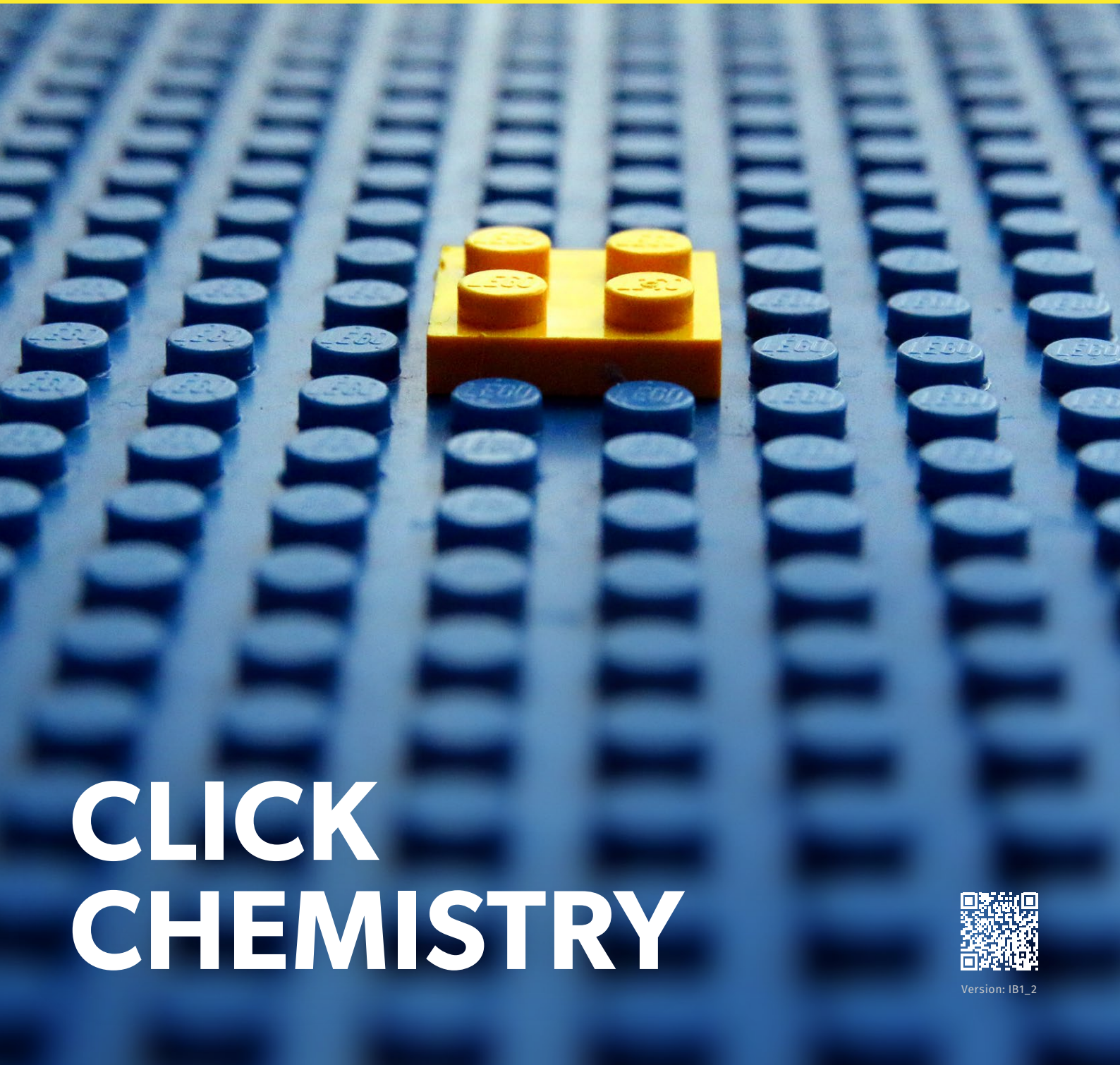
Iris Biotech



CLICK CHEMISTRY

Version: IB1_2

Empowering Peptide Innovation

With this guiding theme in mind, Iris Biotech's mission is to support researchers by supplying

- innovative technologies,
- rare compounds,
- as well as a broad portfolio on standard consumables,

available in flexible quantities from small scale to bulk quantities. To fulfill our dedication "Empowering Peptide Innovation", we are attending various conferences, symposia, and exhibitions each year. This allows us to remain in direct contact with scientists all over the world, both from academia and industry, to exchange knowledge, and to gather new ideas to tackle your current challenges.

Guided by our dedication to provide

- competent service,
- as well as novel substances and
- latest technologies,

Iris Biotech is your trusted partner for the world of peptides, while having strong expertise in associated disciplines. Thus, our portfolio comprises reagents and tools for the synthesis and modification of peptides, e.g. amino acids, resins and solvents but also for related technologies such as Drug Delivery, Linkerology® and Life Sciences.

Amino Acids ***Building Blocks*** ***Life Sciences*** ***Drug Delivery*** ***Reagents*** ***Resins*** ***Linkerology®*** ***Click Chemistry***

Owed to the growing demand for tailor-made compounds, our portfolio is fine-tuned by our Custom Synthesis Service at Iris Biotech Laboratories. Our skilled scientists offer profound expertise in

- *de novo* route development,
- upscaling towards larger scale production,
- as well as synthesis optimization for increased efficiency.

Examples are the synthesis of rare chiral building blocks, unnatural amino acid derivatives, sophisticated orthogonal protecting groups, heterocycles, building blocks for nucleotides, PEGs and PEG-analogues as well as specific linkers for controlled drug delivery and release.

Portfolio Overview

Peptide Synthesis and Modification

(Protected) Amino Acids

Standards such as Fmoc-D/L-AAA and Boc-D/L-AAA, Smoc amino acids for peptide synthesis in water, variety of protecting groups (e.g. Pbf, Trt, ^{t}Bu, Bzl, Acm, Mob, SIT, Phacm, Allocam, Mmt), unusual amino acids, fluorinated derivatives, substituted prolines, arginine analogues

Building Blocks

Amino alcohols, amino aldehydes, diamines and hydrazines, (pseudoproline) dipeptides, polyamines and spermines, fatty acid derivatives

Reagents

Coupling reagents, solvents and scavengers, protecting groups

Resins

Preloaded resins (e.g. based on Trityl, TCP, TentaGel, Methoxybenzhydryl, Merrifield, PAM, Rink, Wang), scavenger resins, hydrazone resins

Linkerology® and Drug Delivery

Linkers for Solid Phase Peptide Synthesis

Cleavable Linkers

Val-Ala based, Val-Cit based, disulfide based, Dde-helping hands

Photo-Activatable Linkers

Functionalized Linkers

Clickable linkers, trifunctional linkers, linkers with maleimide function, cross-linkers, selective N-term acylation and biotinylation

PROTACs

Ligands, linkers & modules

Fullerenes & Poly(2-oxazolines)

Poly-Amino Acids

Poly-Arg, Poly-Glu, Poly-Lys, Poly-Orn, Poly-Sar

PEGylation

Branched PEGylating reagents, (amino-)PEG-acids, PEG-amines & hydrazides & guanidines, reagents for Click-conjugation, Biotin-PEG-reagents, PEG-thiols, PEG-maleimides, other PEGylating reagents

Life Sciences

Carbohydrates

Galactose, Glucose, Maltose, Mannose, Xylose and others

Drug Metabolites

Peptides

Substrates & Inhibitors

E.g. protein kinase inhibitors, substrates for fusion (Halo/Snap/Clip)-tagged proteins

Natural Products

Dyes and Fluorescent Labels

E.g. ICG, AMC, DAPI

Maillard & Amadori Reaction Products

Large portfolio of derivatives useful as standards for food, pharma and cosmetics industry

Vitamins

Custom Synthesis

Your project requires a compound not listed in our portfolio?
Get in contact and inquire about our custom synthesis capabilities.

Our experienced scientists are excited to accept your synthetic challenge!
In such cases, your request undergoes the following stages:

Step-by-Step Analysis

- Customer's demands

Process Evaluation

- Detailed literature review
- Synthetic possibilities

Strategy Development

- Protocol development
- Method development and validation
- Customized synthesis

Quality Consistency

- Identity confirmation
- Purity verification

Our Service Promise

All our services are based on high standards, transparency & documentation, trust, honesty & confidentiality, as well as the required know-how.

High Standards

- Values: sustainability & responsibility
- State-of-the-art equipment & latest technologies
- High quality standards
- Qualified suppliers & regular audits

Transparency & Documentation

- Talk to our specialists – customer care
- Certificates of analysis & impurity profiling
- Analytical and process reports

Trust, Honesty & Confidentiality

- Intergenerational business valuing partnerships
- Meeting the customer's expectations
- Integrity towards our customers

Our Know-How

- One-step reactions & complex multi-step synthesis
- Scalability from mg to kg quantities
- Route scouting

Click Chemistry

1. The Click Reaction

1.1. The 1st Generation Click Reaction: CuAAC

Alkynes and azides can undergo a Cu(I)-catalyzed azide-alkyne 1,3-dipolar cycloaddition (CuAAC) to afford 1,4-disubstituted 1,2,3-triazoles. Developed by K. Barry Sharpless and Morton Meldal, this type of chemical transformation was quickly dubbed "Click Chemistry". It has since become a widely used reaction that is orthogonal to many other types of chemical transformations and is used in various kinds of applications. Due to its high thermodynamic driving force, which is usually greater than 20 kcal/mol, the Click reaction rapidly proceeds to completion in almost all cases. Moreover, while the thermal Huisgen 1,3-dipolar cycloaddition affords a mixture of both the 1,4-substituted and the 1,5-substituted regioisomers, the CuAAC is highly selective for the 1,4-substituted isomer only (*Fig. 1*). Worth noting is the fact that ruthenium is also able to catalyze a 1,3-dipolar cycloaddition between an azide and an alkyne affording the 1,5-disubstituted regioisomer instead.

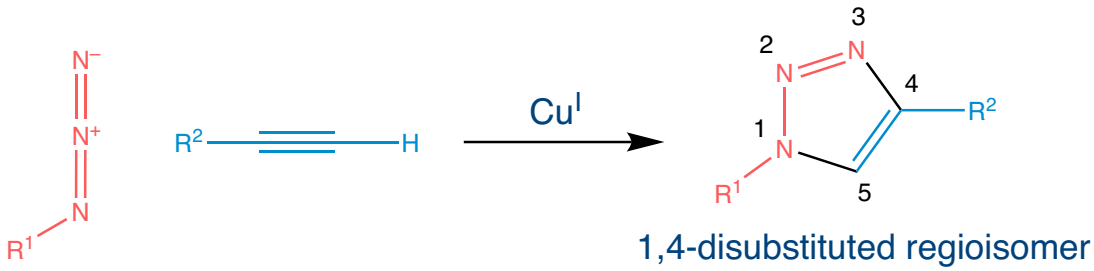


Fig. 1: The copper-catalyzed azide-alkyne cycloaddition affords the 1,4-disubstituted isomers.

Cycloaddition reactions such as the [3+2] azide-alkyne and the [4+2] Diels-Alder reaction, have become common conjugation techniques. Applications range from imaging and drug design to the development of sensors, thereby covering such diverse fields as chemical biology, material science, surface and polymer chemistry.

Tris(benzyltriazolylmethyl)amine (TBTA; RL-2010; see *p. 78*) is stabilizing copper(I) towards oxidation in solution by forming a complex and effectively catalyzes quantitative and regioselective Click cycloaddition reactions in a variety of aqueous and organic solvents. Among scientists, CuAAC has found widespread use as a biochemical tool for the site-specific labeling of peptides, proteins, and other biomolecules.

THPTA (see *p. 78*) is a water-soluble alternative to TBTA (RL-2010) and a highly efficient ligand for Click chemistry in partially organic and particularly in completely aqueous reactions. The benefits of a completely aqueous reaction include the biological labelling of live cells or the labelling of proteins without the concern of denaturing secondary structures. THPTA complexes Cu(I) and thus blocks its bioavailability. This mitigates potentially toxic effects while maintaining the catalytic effectiveness in Click conjugations. Successful Click reactions with oligonucleotides can be found in many publications.

A variety of azido and alkyne building blocks are available from Iris Biotech. Some of those compounds can be incorporated into peptides and proteins by recombinant syntheses, particularly by non-neutral protein translation using the amber-suppression-based orthogonal system, while others are suitable for solid phase peptide synthesis. The presence of an azido or alkyne function at a particular position of a peptide sequence opens up the possibility for the site-selective conjugation of other biomolecules (e.g. carbohydrates), labels or APIs.

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- *Preparation of alumina supported copper nanoparticles and their application in the synthesis of 1,2,3-triazoles; M. L. Kantam, V. S. Jaya, B. Sreedhar, M. M. Rao, B. M. Choudary;* ***J. Mol. Catal. A Chem.*** *2006;* ***256****: 273-277. https://doi.org/10.1016/j.molcata.2006.04.054*
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- *Synthesis of a DOTA--biotin conjugate for radionuclide chelation via Cu-free click chemistry; M. K. Schultz, S. G. Parameswarappa, F. C. Pigge;* ***Org Lett*** *2010;* ***12****: 2398-401. https://doi.org/10.1021/ol100774p*
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- *Click Chemistry and Radiochemistry: The First 10 Years; J. P. Meyer, P. Adumeau, J. S. Lewis, B. M. Zeglis;* ***Bioconjug Chem*** *2016;* ***27****: 2791-2807. https://doi.org/10.1021/acs.bioconjchem.6b00561*

1.2. Catalyst-free Click Reactions: 2nd and 3rd Generation Click Chemistry

Introduced in 2002, the copper-catalyzed variant of the azide-alkyne cycloaddition (CuAAC) reaction has found broad applicability in various fields and is as such currently the most widely used conjugation technique. The presence of copper, however, limits *in vivo* applications of this reaction for several reasons:

- High cell toxicity
- Undesired oxidation of proteins and
- Inhibition of luminescence properties of nanocrystals

To allow for fast and efficient *in vivo* conjugations, new methodologies were developed that do not require the use of a metal catalyst while still making use of bioorthogonal functional groups. The most commonly used approaches can be classified into two categories.

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1.2.1. 2nd Generation: Strain-Promoted Azide-Alkyne Cycloadditions (SPAAC)

As early as 1961, Wittig and Krebs noted the propensity of cyclooctyne to strongly react with phenyl azide *via* a 1,3-dipolar cycloaddition, forming a triazole product. This finding stood in stark contrast to previous research that found slow kinetics for Huisgen 1,3-dipolar cycloadditions of azides with unstrained, linear alkynes. The latter reaction can be drastically accelerated by copper catalysis. The use of this metal, however, is linked with several drawbacks as noted above.

This property of cyclooctynes was exploited by Bertozzi *et al.* in the design of SPAAC reagents for bioorthogonal couplings to azide-bearing biomolecules in live cells or organisms such as *C. elegans*, zebrafish or mice. By modifying the cyclooctyne core structure of SPAAC reagents with heteroatoms, fluorine substituents and fused rings, key properties such as cycloaddition kinetics, stability, solubility, and pharmacokinetics could be optimized.

Strained Cyclooctynes: DBCO

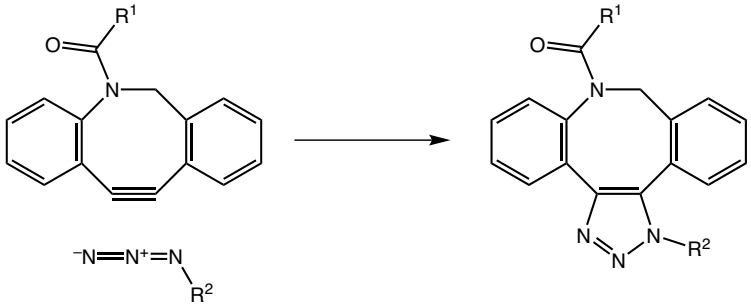

Fig. 2: Reaction of DBCO as an exemplary strained cyclooctyne with an azide.

In the figure below, various strained cyclooctynes and cyclononynes are depicted with their corresponding reactivities, as determined by their reaction with benzyl azide as a model compound. In general, the presence of atoms with high electronegativity next to the alkyne function, i.e. good σ-acceptors, leads to increased reactivity. A higher reactivity also correlates with increased ring strain, as exemplified by dibenzo-fused cyclooctynes (DiBO, DBCO) and bicyclo[6.1.0]non-4-yne (BCN).

A relatively new addition to this ensemble is 4,8-diazacyclononyne (DACN). While exhibiting a reactivity twice as high as OCT, DACN is also more hydrophilic than most cyclooctynes, highly stable (both thermal and chemical stability), and highly selective towards ynophiles. Additionally, the two endocyclic nitrogens in DACN may serve as additional attachment points for further conjugation, rendering the compound functionally versatile.

CliCr® - an innovative Click reagent

A superior class of reagents for metal-free click strain promoted conjugation with azides is based on CliCr®, which is based on the small-molecule TMTH-sulfoximine (TMTHSI). The 7-membered CliCr® ring can be conveniently functionalized with a variety of linkers, e.g. *via* acylation, sulfonylation, N-alkylation, or carbamoylation. Hence, CliCr® reagents can be used in diverse applications for example for the construction of Antibody-Drug Conjugates (ADCs), for ex vivo cell modification (e.g. CAR-T), for small molecule-drug conjugates, for oligonucleotide conjugates as well as for diagnostic labelling of a variety of agents.

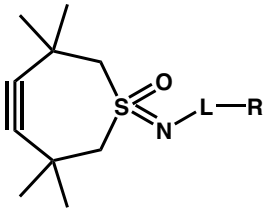

Fig. 3: Chemical structure of the CliCr® base compound and its derivatization possibilities.

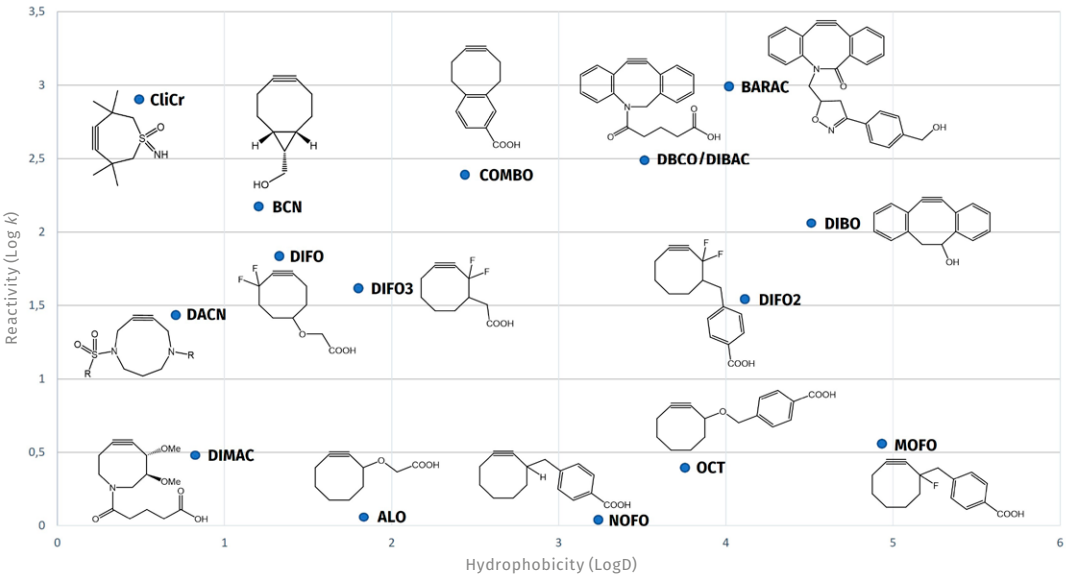

Fig. 4: Reaction rate constants for different strained cyclooctyne derivatives.

Our SPAAC reagents are based on dibenzocyclooctyne (DBCO or DIBAC) and DACN, since both combine a high reactivity with excellent stability and decent to good solubility. However, we also offer other cyclooctyne derivatives on a custom synthesis basis.

Key benefits:

More attractive CoG *via* faster click reactions:

Shorter reaction times than all other marketed copper-free click reagents, providing greater chemical yield.

Generation of (biodegradable) bioconjugates:

Highly stable reagents yielding (biodegradable) linked drug products.

Greater variety of click reactions:

Due to a larger variation of linkers that can easily be attached to the seven-membered ring.

Broad applicability:

Next to straightforward bioconjugation, a plethora of additional important applications in biochemical, aqueous environments is envisioned, such as Surface Plasmon Resonance (SPR) applications and conjugation of chelator moieties for radio-active isotope incorporation in theragnostic applications.

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CliCr® is shown to be > 5 times more reactive than BCN. The reaction progress of 5 mM CliCr® (blue line) or BCN-OH (red line), respectively, with 1.3 eq. of benzylazide in $CDCl_3$ at room temperature was monitored by MS. The reaction conversion was measured based on the increase of the triazole signals (see *https://doi.org/10.1039/d0sc03477k*).

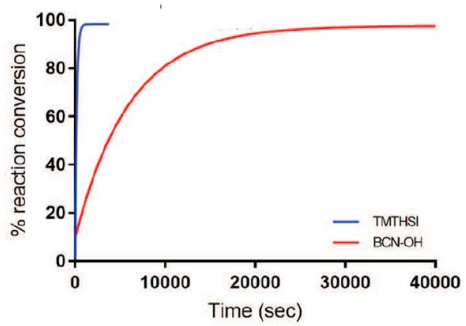


Fig. 5: Reaction progress with benzylazide in $CDCl_3$ – comparison of BCN-OH and TMTHSI.

Within our portfolio, we offer a selection of CliCr® derivatives. The CliCr® derivatives can be clicked to azide compounds with high efficiency and excellent stability. For further derivatives, large scale production or GMP grade, please get in contact!

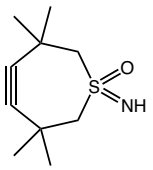
CliCr® Products

Product details

RL-4180 CliCr® base compound

TMTH-Sulfoximine

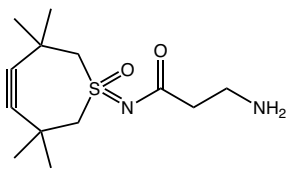
CAS-No.	2408481-82-1
Formula	$C_{10}H_{17}NOS$
Mol. weight	199,31 g/mol



RL-4190 CliCr®-beta-Ala-NH_2*TFA

TMTH-sulfoximine beta-alanine amide TFA salt

Formula	$C_{11}H_{22}N_2OS*CF_3COOH$
Mol. weight	156,37*114,02 g/mol

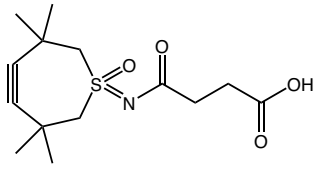


Product details

RL-4200 CliCr®-Suc

TMTH-sulfoximine succinic acid

CAS-No.	2479971-29-2
Formula	$C_{14}H_{21}NO_4S$
Mol. weight	299,39 g/mol



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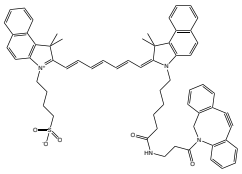
Products with DBCO

Product details

RL-2870 ICG-DBCO

Indocyanine green dibenzoazacyclooctyne

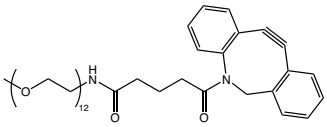
Formula	$C_{63}H_{64}N_4O_5S$
Mol. weight	989,27 g/mol



PEG7465 Me-PEG(12)-DBCO

Methyl-12(ethylene glycol)-amido-dibenzoazacyclooctyne

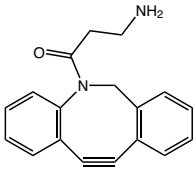
Formula	$C_{45}H_{68}N_2O_{14}$
Mol. weight	861,04 g/mol



RL-2120 DBCO-NH_2

Dibenzocyclooctyne-amine

CAS-No.	1255942-06-3
Formula	$C_{18}H_{16}N_2O$
Mol. weight	276,33 g/mol



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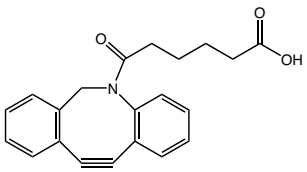
Product details

RL-2430 DBCO-COOH

Dibenzoazacyclooctyne-carboxylic acid

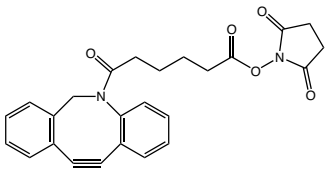
CAS-No.	1425485-72-8
Formula	$C_{21}H_{19}NO_3$
Mol. weight	333,38 g/mol



RL-2440 DBCO-NHS

Dibenzoazacyclooctyne-carboxylic acid succinimidyl ester

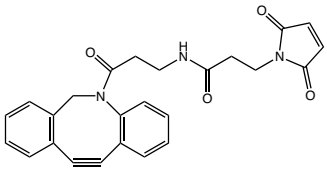
CAS-No.	1384870-47-6
Formula	$C_{25}H_{22}N_2O_5$
Mol. weight	430,45 g/mol



RL-2490 DBCO-mal

Dibenzoazacyclooctyne-maleimide

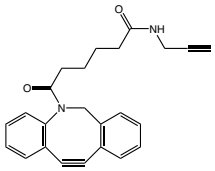
CAS-No.	1395786-30-7
Formula	$C_{25}H_{21}N_3O_4$
Mol. weight	427,45 g/mol



RL-4020 DBCO-C6-Alkyne

N-(propargylamidoadipoyl)-dibenzoazacyclooctyne

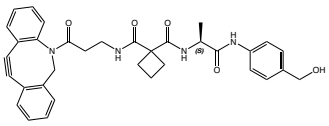
Formula	$C_{24}H_{22}N_2O_2$
Mol. weight	370,45 g/mol



ADC1620 DBCO-cyclobutane-1,1-dicarboxamide-Ala-PAB

dibenzoazacyclooctyne-cyclobutane-1,1-dicarboxamide-alanyl-(4-aminobenzyl alcohol)

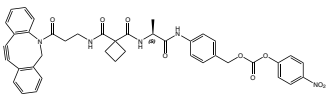
Formula	$C_{34}H_{34}N_4O_5$
Mol. weight	578,66 g/mol



ADC1630 DBCO-cyclobutane-1,1-dicarboxamide-Ala-PAB-PNP

dibenzoazacyclooctyne-cyclobutane-1,1-dicarboxamide-alanyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate

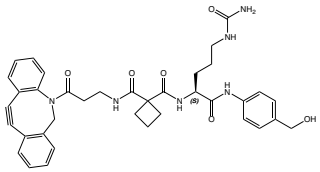
Formula	$C_{41}H_{37}N_5O_9$
Mol. weight	743,76 g/mol



ADC1520 DBCO-cyclobutane-1,1-dicarboxamide-Cit-PAB

dibenzoazacyclooctyne-cyclobutane-1,1-dicarboxamide-citrullyl-(4-aminobenzyl alcohol)

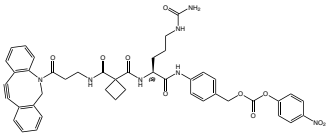
Formula $C_{37}H_{40}N_6O_6$
Mol. weight 664,75 g/mol



ADC1530 DBCO-cyclobutane-1,1-dicarboxamide-Cit-PAB-PNP

dibenzoazacyclooctyne-cyclobutane-1,1-dicarboxamide-citrullyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate

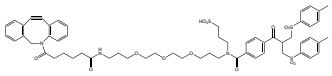
Formula $C_{44}H_{43}N_7O_{10}$
Mol. weight 829,85 g/mol



RL-2480 DBCO-PEG(3)-BisSulfonThiol-Linker

Dibenzoazacyclooctyne-PEG(3)-BisSulfon-Thiol-Linker

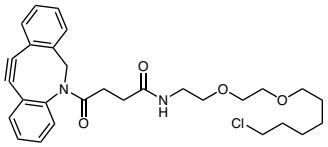
Formula $C_{59}H_{69}N_3O_{14}S_3$
Mol. weight 1140,39 g/mol



RL-3670 Halo-DBCO

N-[2-[2-[(6-chlorohexyl)oxy]ethoxy]ethyl]-gamma-oxo-dibenz[b,f]azocine-5(6H)-butanamide

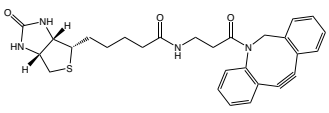
CAS-No. 1808119-16-5
Formula $C_{29}H_{35}ClN_2O_4$
Mol. weight 511,06 g/mol



LS-4270 Biotin-DBCO

(3aS,4S,6aR)-N-[3-(11,12-Didehydrodibenz[b,f]azocin-5(6H)-yl)-3-oxopropyl]hexahydro-2-oxo-1H-thieno[3,4-d]imidazole-4-pentanamide

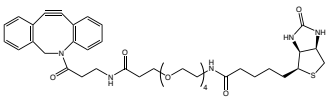
CAS-No. 1418217-95-4
Formula $C_{28}H_{30}N_4O_3S$
Mol. weight 502,63 g/mol



RL-2520 Biotin-PEG(4)-DBCO

Dibenzoazacyclooctyne-tetra(ethylene glycol)-biotin

CAS-No. 1255942-07-4
Formula $C_{39}H_{51}N_5O_8S$
Mol. weight 749,92 g/mol



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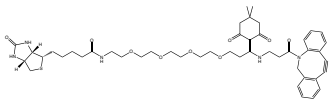
Product details

PEG8140 Biotin-PEG(4)-Dde-DBCO

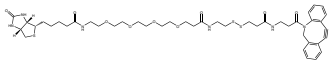
N-(15-(4,4-dimethyl-2,6-dioxocyclohexylidene)-19-oxo-19-(azadibenzocyclooctyn-1-yl)-3,6,9,12-tetraoxa-16-azanonadecyl)-5-((3aS,4S,6aR)-2-oxohexahydro-1H-thieno[3,4-d]imidazol-4-yl)pentanamide



CAS-No.	1807512-43-1
Formula	$C_{47}H_{61}N_5O_9S$
Mol. weight	872,08 g/mol

PEG8120 Biotin-PEG(4)-SS-DBCO

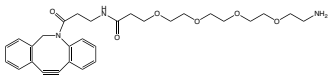
N-(2-((3-(3-(azadibenzocyclooctyn-1-yl)-3-oxopropylamino)-3-oxopropyl)disulfanyl)ethyl)-1-(5-((3aS,4S,6aR)-2-oxohexahydro-1H-thieno[3,4-d]imidazol-4-yl)pentanamido)-3,6,9,12-tetraoxapentadecan-15-am ide



Formula	$C_{44}H_{60}N_6O_9S_3$
Mol. weight	913,18 g/mol

RL-2420 DBCO-PEG(4)-NH_2*TFA

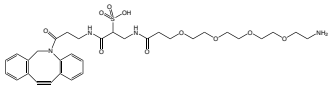
Dibenzoazacyclooctyne-tetra(ethylene glycol)-amine trifluoro acetic acid salt



CAS-No.	1255942-08-5 net
Formula	$C_{29}H_{37}N_3O_6*C_2F_3HO_2$
Mol. weight	523,62*114,02 g/mol

RL-2421 DBCO-Sulfo-PEG(4)-NH_2

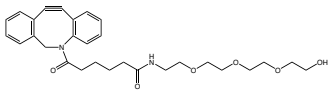
Dibenzoazacyclooctyne-tetra(ethylene glycol)amine



CAS-No.	2055198-05-3
Formula	$C_{32}H_{42}N_4O_{10}S$
Mol. weight	674,76 g/mol

RL-2510 DBCO-PEG(4)-OH

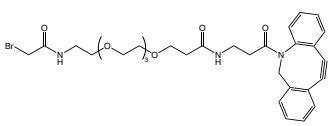
Dibenzoazacyclooctyne-tetra(ethylene glycol)



CAS-No.	1416711-60-8
Formula	$C_{29}H_{36}N_2O_6$
Mol. weight	508,61 g/mol

PEG6790 Bromoacetamido-PEG(4)-DBCO

Bromoacetamido-tetra(ethylene glycol)-amido-dibenzoazacyclooctyne

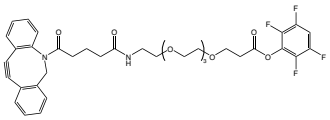


Formula	$C_{31}H_{38}BrN_3O_7$
Mol. weight	644,55 g/mol

PEG6740 DBCO-PEG(4)-TFP

Dibenzoazacyclooctyne-tetra(ethylene glycol)-propionyl 2,3,5,6-tetrafluorophenol ester

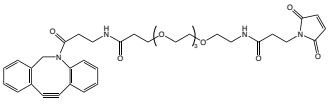
Formula $C_{37}H_{38}F_4N_2O_8$
Mol. weight 714,7 g/mol



RL-2500 DBCO-PEG(4)-mal

Dibenzoazacyclooctyne-tetra(ethylene glycol)-maleimide

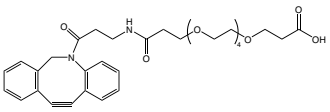
CAS-No. 1480516-75-3
Formula $C_{36}H_{42}N_4O_9$
Mol. weight 674,74 g/mol



RL-2450 DBCO-PEG(5)-COOH

Dibenzoazacyclooctyne-penta(ethylene glycol)-propanoic acid

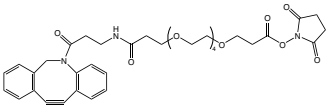
Formula $C_{32}H_{40}N_2O_9$
Mol. weight 596,67 g/mol



RL-2460 DBCO-PEG(5)-NHS

Dibenzoazacyclooctyne-penta(ethylene glycol)-propanoic acid succinimidyl ester

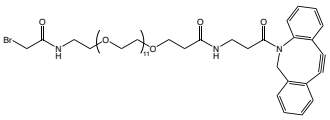
CAS-No. 1378531-80-6
Formula $C_{36}H_{43}N_3O_{11}$
Mol. weight 693,74 g/mol



PEG6800 Bromoacetamido-PEG(12)-DBCO

Bromoacetamido-dodeca(ethylene glycol)-amido-dibenzoazacyclooctyne

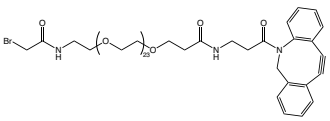
Formula $C_{47}H_{70}BrN_3O_{17}$
Mol. weight 996,97 g/mol



PEG6810 Bromoacetamido-PEG(24)-DBCO

Bromoacetamido-24(ethylene glycol)-amido-dibenzoazacyclooctyne

Formula $C_{71}H_{118}BrN_3O_{27}$
Mol. weight 1525,6 g/mol



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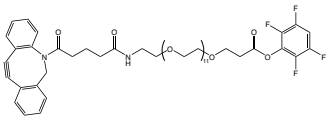
Product details

PEG6750 DBCO-PEG(12)-TFP

Dibenzoazacyclooctyne-dodeca(ethylene glycol)-propionyl 2,3,5,6-tetrafluorophenol ester

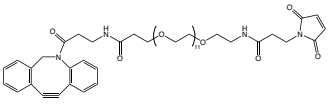
Formula $C_{53}H_{70}F_4N_2O_{16}$
Mol. weight 1067,12 g/mol



PEG6770 DBCO-PEG(12)-MAL

Dibenzoazacyclooctyne-dodeca(ethylene glycol)-maleimide

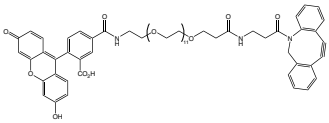
CAS-No. 2011777-01-6
Formula $C_{52}H_{74}N_4O_{17}$
Mol. weight 1027,16 g/mol



PEG6830 DBCO-dPEG(12)-(5)6-carboxyfluorescein

Dibenzoazacyclooctyne-dodeca(ethylene glycol)-(5)6-carboxyfluorescein

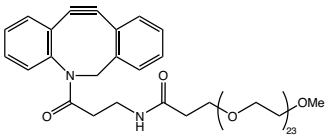
Formula $C_{46}H_{39}N_3O_{10}$
Mol. weight 1234,34 g/mol



PEG7460 DBCO-PEG(24)-OMe

alpha-Methoxy-24(ethylene glycol)-amido-dibenzoazacyclooctyne

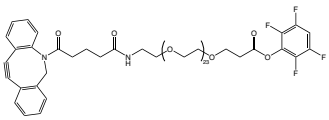
Formula $C_{68}H_{114}N_2O_{26}$
Mol. weight 1375,63 g/mol



PEG6760 DBCO-PEG(24)-TFP

Dibenzoazacyclooctyne-24(ethylene glycol)-propionyl 2,3,5,6-tetrafluorophenol ester

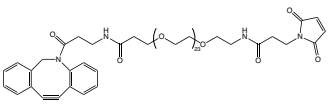
Formula $C_{77}H_{118}F_4N_2O_{28}$
Mol. weight 1595,75 g/mol



PEG6780 DBCO-PEG(24)-MAL

Dibenzoazacyclooctyne-24(ethylene glycol)-maleimide

Formula $C_{76}H_{122}N_4O_{29}$
Mol. weight 1555,79 g/mol

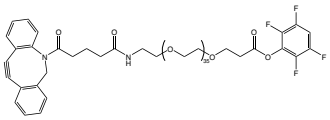


Product details

PEG6765 DBCO-PEG(36)-TFP

Dibenzoazacyclooctyne-36(ethylene glycol)-propionyl 2,3,5,6-tetrafluorophenol ester

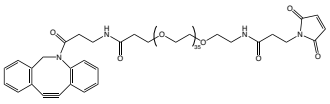
Formula $C_{101}H_{166}F_4N_2O_{40}$
Mol. weight 2124,41 g/mol



PEG6785 DBCO-PEG(36)-MAL

Dibenzoazacyclooctyne-36(ethylene glycol)-maleimide

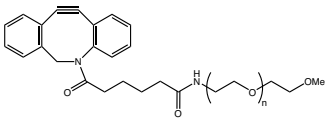
Formula $C_{100}H_{170}N_4O_{41}$
Mol. weight 2084,45 g/mol



RL-2530 DBCO-mPEG (5kDa)

alpha-Dibenzoazacyclooctyne-omega-methoxy-poly(ethylene glycol)

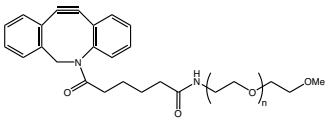
CAS-No. 2262541-53-5
Mol. weight 5000 Da



RL-2540 DBCO-mPEG (10kDa)

alpha-Dibenzoazacyclooctyne-omega-methoxy-poly(ethylene glycol)

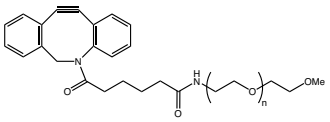
CAS-No. 2262541-53-5
Mol. weight 10000 Da



RL-2550 DBCO-mPEG (20kDa)

alpha-Dibenzoazacyclooctyne-omega-methoxy-poly(ethylene glycol)

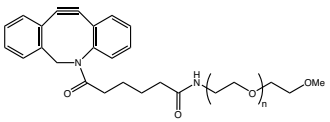
CAS-No. 2262541-53-5
Mol. weight 20000 Da



RL-2560 DBCO-mPEG (30kDa)

alpha-Dibenzoazacyclooctyne-omega-methoxy-poly(ethylene glycol)

CAS-No. 2262541-53-5
Mol. weight 30000 Da



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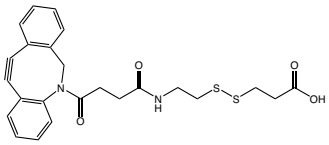
Product details

RL-4110 DBCO-Suc-SS-COOH

CAS-No. 2749426-25-1
Formula $C_{24}H_{24}N_2O_4S_2$
Mol. weight 468,59 g/mol



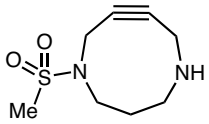
Products with DACN

Product details

RL-3600 DACN(Ms)*HCl

N-(Mesyl)-4,8-diazacyclononyne hydrochloride

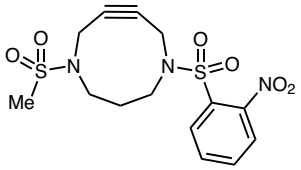
CAS-No. 2331322-16-6
Formula $C_8H_{14}N_2O_2S$*HCl
Mol. weight 202,27*36,46 g/mol



RL-3610 DACN(Ms,Ns)

N-(Mesyl)-*N'*-(2-nosyl)-4,8-diazacyclononyne

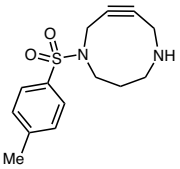
CAS-No. 2411082-25-0
Formula $C_{14}H_{17}N_3O_6S_2$
Mol. weight 387,43 g/mol



RL-2735 DACN(Tos)*HCl

N-(*p*-toluenesulfonyl)-4,8-diazacyclononyne hydrochloride

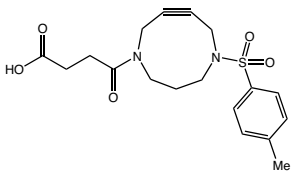
CAS-No. 2331322-18-8
Formula $C_{14}H_{18}N_2O_2S$*HCl
Mol. weight 278,37*36,46 g/mol



RL-2720 DACN(Tos,Suc-OH)

N-succinoyl-*N'*-(*p*-toluenesulfonyl)-4,8-diazacyclononyne

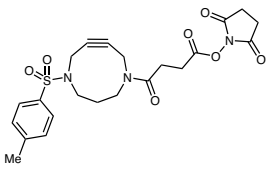
CAS-No. 2109751-68-8
Formula $C_{18}H_{22}N_2O_5S$
Mol. weight 378,44 g/mol



RL-2725 DACN(Tos,Suc-NHS)

N-(succinoyl-NHS ester)-*N'*-(*p*-toluenesulfonyl)-4,8-diazacyclononyne

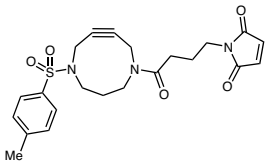
CAS-No.	2411082-26-1
Formula	$C_{22}H_{25}N_3O_7S$
Mol. weight	475,52 g/mol



RL-3630 DACN(Tos,Mal)

N-(maleimidobutyryl)-*N'*-(*p*-toluenesulfonyl)-4,8-diazacyclononyne

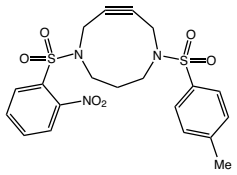
CAS-No.	2411082-28-3
Formula	$C_{22}H_{25}N_3O_5S$
Mol. weight	443,52 g/mol



RL-2710 DACN(Tos,Ns)

N-(*o*-nitrobenzenesulfonyl)-*N'*-(*p*-toluenesulfonyl)-4,8-diazacyclononyne

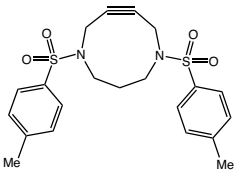
CAS-No.	1797508-58-7
Formula	$C_{20}H_{21}N_3O_6S_2$
Mol. weight	463,53 g/mol



RL-2730 DACN(Tos2)

N,N'-bis(*p*-toluenesulfonyl)-4,8-diazacyclononyne

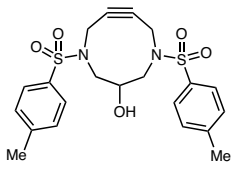
CAS-No.	1797508-57-6
Formula	$C_{21}H_{24}N_2O_4S_2$
Mol. weight	432,56 g/mol



RL-2737 DACN(Tos2,6-OH)

4,8-Bis(*p*-toluenesulfonyl)-4,8-diazacyclononyn-6-ol

CAS-No.	2109751-74-6
Formula	$C_{21}H_{24}N_2O_5S_2$
Mol. weight	448,55 g/mol



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1.2.2. 3rd Generation: Inverse Electron-Demand Diels-Alder (IEDDA) Reactions

Click Chemistry is frequently the method of choice for site-selective labeling and crosslinking. However, in biological systems, the cytotoxicity of copper used for the classical Cu-promoted 1,3-dipolar cycloaddition may cause major problems. The copper-free strain-promoted alkyne-azide cycloaddition (SPAAC) utilizing cyclooctynes, on the other hand, is limited by its moderate reaction kinetics for the application in live cells, where the concentration of biomolecules is usually low. Another potential drawback of cyclooctynes is the extensive patent coverage of many variants.

Tetrazine ligation presents the option for a copper-free, rapid, and fully bioorthogonal type of Click chemistry. Mechanistically, this reaction proceeds *via* an inverse electron-demand Diels-Alder cycloaddition reaction between a tetrazine and a strained alkene such as *trans*-cyclooctene (TCO), cyclopropane or norbornene, followed by a retro-Diels-Alder reaction under elimination of N_2, the latter rendering the reaction irreversible.

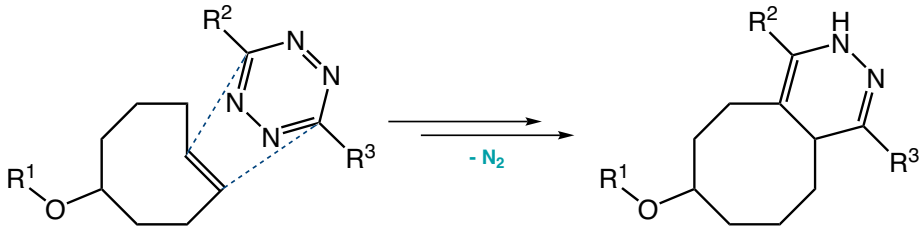

Fig. 6: Reaction between a trans-cyclooctene (TCO) and a tetrazine.

This method excels at very low concentrations (e.g. in biological systems) due to the extremely rapid second order reaction rate constants (between approx. 800 $M^{-1}s^{-1}$ and 30000 $M^{-1}s^{-1}$). Moreover, the tetrazine-TCO ligation can be performed in aqueous media and has been applied in live cell imaging. These properties make tetrazine Click chemistry the method of choice for labeling or crosslinking biomolecules in living cells.

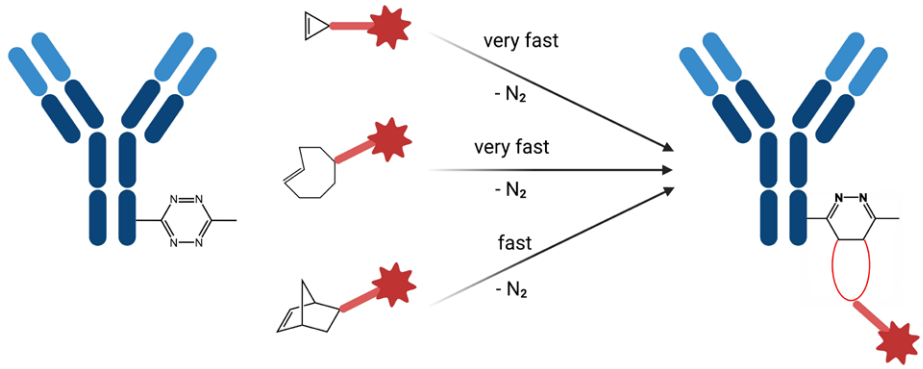

Fig. 7: Common reaction partners for tetrazines.

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Stability vs. Faster Reaction Kinetics: 6-Me or 6-H Tetrazines

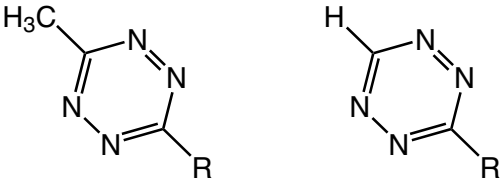


Fig. 8: Chemical structures of 6-Me and 6-H tetrazine.

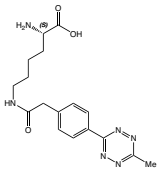
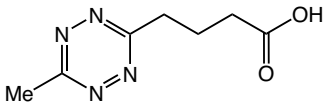
There are two main types of tetrazines that are widely applied: 6-Methyl-substituted tetrazines and 6-hydrogen-substituted tetrazines. Methyl-substituted tetrazines exhibit a high stability even when dissolved in aqueous media, while still offering faster reaction kinetics with TCO derivatives than any other bioorthogonal reaction pairs (approx. 1000 $M^{-1}s^{-1}$). Moreover, they tolerate a wide array of reaction conditions which renders them the prime choice for applications such as protein labeling. Hydrogen-substituted tetrazines, on the other hand, show lower stability and less tolerance to harsh reaction conditions, but offer extremely fast reaction kinetics (up to 30000 $M^{-1}s^{-1}$) for applications like *in vivo* imaging.

Choice of Spacer: Alkyl or PEG?

Tetrazines equipped with alkyl spacers are suitable for reactions in organic solvents. For applications in aqueous media, however, PEG spacers are usually the superior choice. Moreover, tetrazines equipped with PEG-spacers are ideal for the functionalization of proteins since PEGs are known to reduce the aggregation of labeled polypeptides.

In summary, the reaction between a tetrazine (Tz) and a *trans*-cyclooctene (TCO) is the innovative third generation Click reaction that proceeds without the use of copper or other catalysts. It is rapid, fully bioorthogonal, irreversible and excels at very low concentrations.

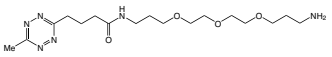
Products with Tetrazine

		Product details
HAA9170 H-L-Lys(MeTz-PhAc)-OH*TFA N-(2-(4-(6-methyl-1,2,4,5-tetrazin-3-yl)phenyl)acetyl)-L-lysine TFA salt Formula $C_{17}H_{22}N_6O_3*CF_3COOH$ Mol. weight 358,40*114,02 g/mol		
RL-2140 (Me)Tz-butanoic acid 4-(6-methyl-1,2,4,5-tetrazin-3-yl)butanoic acid CAS-No. 1923268-81-8 Formula $C_7H_{10}N_4O_2$ Mol. weight 182,18 g/mol		

RL-2100 MeTz-Butanoyl-TOTA*TFA

4-(6-methyl-1,2,4,5-tetrazin-3-yl)-N-(4,7,10-trioxatri-decane-13-amine)butanamide trifluoroacetate salt

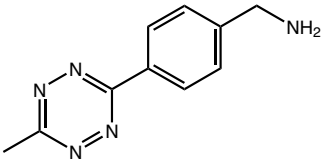
Formula $C_{17}H_{32}N_6O_4*CF_3CO_2H$
Mol. weight 384,47*114,02 g/mol



RL-2360 MeTz-Bzl-NH_2*HCl

Methyltetrazine-benzylamine*HCl

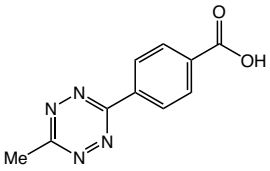
CAS-No. 1596117-29-1
Formula $C_{10}H_{11}N_5*HCl$
Mol. weight 201,23*36,46 g/mol



RL-2130 (Me)Tz-benzoic acid

4-(6-methyl-1,2,4,5-tetrazin-3-yl)benzoic acid

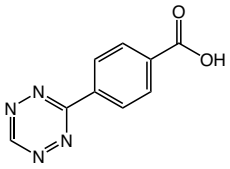
CAS-No. 1345866-66-1
Formula $C_{10}H_8N_4O_2$
Mol. weight 216,2 g/mol



RL-2580 Tz-benzoic acid

4-(1,2,4,5-tetrazin-3-yl)benzoic acid

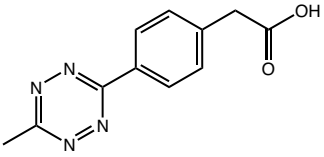
CAS-No. 1345866-65-0
Formula $C_9H_6N_4O_2$
Mol. weight 202,17 g/mol



RL-2300 MeTz-PhAcOH

Methyltetrazine-phenylacetic acid

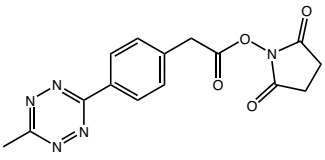
CAS-No. 1380500-88-8
Formula $C_{11}H_{10}N_4O_2$
Mol. weight 230,22 g/mol



RL-2320 MeTz-PhAc-NHS

Methyltetrazine-phenylacetyl succinimidyl ester

CAS-No. 1644644-96-1
Formula $C_{15}H_{13}N_5O_4$
Mol. weight 327,29 g/mol



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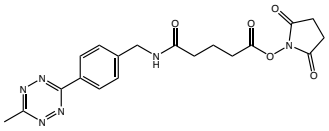
Product details

RL-2230 Bz-(Me)Tz-NHS

2,5-dioxopyrrolidin-1-yl 5-(4-(6-methyl-1,2,4,5-tetrazin-3-yl)benzylamino)-5-oxopentanoate

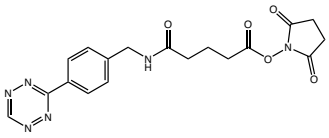
CAS-No. 1454558-58-7
Mol. weight 412,41 g/mol



RL-2240 Bz-Tz-NHS

2,5-dioxopyrrolidin-1-yl 5-(4-(1,2,4,5-tetrazin-3-yl) benzylamino)-5-oxopentanoate

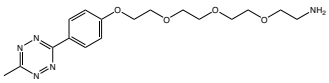
CAS-No. 1244040-64-9
Formula $C_{18}H_{18}N_6O_5$
Mol. weight 398,37 g/mol



RL-2370 MeTz-PEG(4)-NH_2*HCl

Methyltetrazine-PEG(4)-amine HCL salt

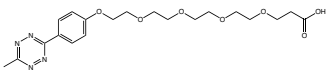
CAS-No. 1802908-05-9 net
Formula $C_{17}H_{25}N_5O_4$*HCl
Mol. weight 363,41*HCl g/mol



RL-2310 MeTz-PEG(4)-COOH

Methyltetrazine-PEG(4)-acid

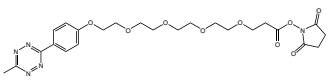
CAS-No. 1802907-91-0
Formula $C_{20}H_{28}N_4O_7$
Mol. weight 436,56 g/mol



RL-2330 MeTz-PEG(4)-NHS

Methyltetrazine-PEG(4)-propanoyl succinimidyl ester

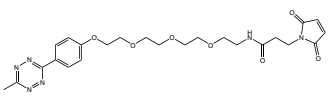
CAS-No. 1802907-92-1
Formula $C_{24}H_{31}N_5O_9$
Mol. weight 533,53 g/mol



RL-2340 MeTz-PEG(4)-mal

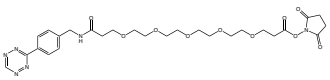
Methyltetrazine-PEG(4)-maleimide

CAS-No. 1802908-02-6
Formula $C_{24}H_{30}N_6O_7$
Mol. weight 514,53 g/mol



RL-2250 Bz-Tz-PEG(5)-NHS

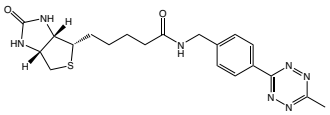
2,5-dioxopyrrolidin-1-yl 1-(4-(1,2,4,5-tetrazin-3-yl) phenyl)-3-oxo-6,9,12,15,18-pentaoxa-2-azahenicosan-21-oate



CAS-No.	1682653-80-0
Formula	$C_{27}H_{36}N_6O_{10}$
Mol. weight	604,61 g/mol

LS-4280 Biotin-MeTz

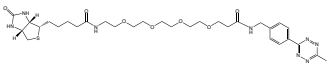
N-(4-(6-methyl-1,2,4,5-tetrazin-3-yl)benzyl)-5-((3aS,4S,6aR)-2-oxohexahydro-1H-thieno[3,4-d]imidazol-4-yl)pentanamide



CAS-No.	1802883-51-7
Formula	$C_{20}H_{25}N_7O_2S$
Mol. weight	427,53 g/mol

LS-4290 Biotin-PEG(4)-MeTz

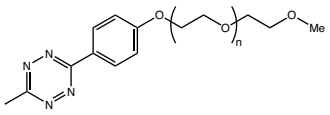
N-(4-(6-methyl-1,2,4,5-tetrazin-3-yl)benzyl)-1-(5-((3aS,4S,6aR)-2-oxohexahydro-1H-thieno[3,4-d] imidazol-4-yl)pentanamido)-3,6,9,12-tetraoxapentadecan-15-amide



CAS-No.	1962919-31-8
Formula	$C_{31}H_{46}N_8O_7S$
Mol. weight	674,82 g/mol

RL-2380 MeTz-mPEG (5kDa)

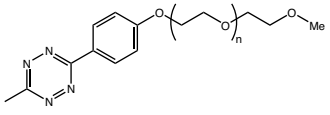
alpha-Methyltetrazine-omega-methoxy-poly(ethylene glycol)



Mol. weight	5000 Da

RL-2390 MeTz-mPEG (10kDa)

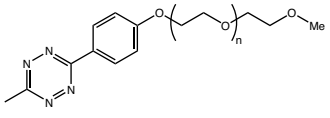
alpha-Methyltetrazine-omega-methoxy-poly(ethylene glycol)



Mol. weight	10000 Da

RL-2400 MeTz-mPEG (20kDa)

alpha-Methyltetrazine-omega-methoxy-poly(ethylene glycol)



Mol. weight	20000 Da

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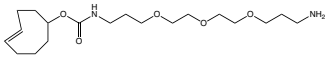
Products with TCO

Product details

TCO1070 TCO-PEG(3)-NH_2*HCl

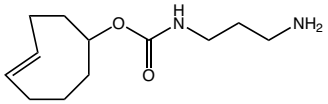
trans-Cyclooctene-PEG(3)-amine



Formula $C_{19}H_{36}N_2O_5$*HCl
Mol. weight 372,51*36,46 g/mol

TCO1060 TCO-NH_2*HCl

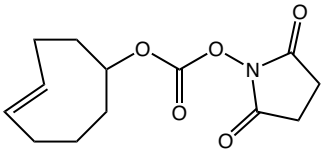
trans-Cyclooctene-amine hydrochloride



CAS-No. 1609659-02-0
Formula $C_{12}H_{22}N_2O_2$*HCl
Mol. weight 226,32*36,45 g/mol

TCO1000 TCO-NHS

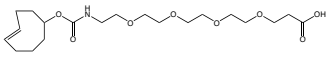
trans-Cyclooctene succinimidyl carbonate



CAS-No. 1191901-33-3
Formula $C_{13}H_{17}NO_5$
Mol. weight 267,28 g/mol

TCO1040 TCO-PEG(4)-COOH

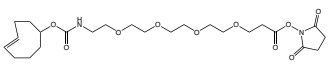
trans-Cyclooctene-PEG(4)-Acid



Formula $C_{20}H_{35}NO_8$
Mol. weight 417,49 g/mol

TCO1010 TCO-PEG(4)-NHS

trans-Cyclooctene-PEG(4)-carboxy succinimidyl ester



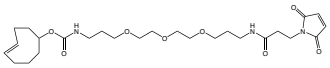
CAS-No. 1621096-79-4
Formula $C_{24}H_{38}N_2O_{10}$
Mol. weight 514,57 g/mol

Product details

TCO1050 TCO-PEG(3)-mal

trans-Cyclooctene-PEG(3)-maleimide

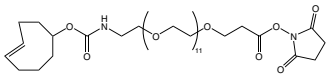
CAS-No. 1609659-01-9
Formula $C_{26}H_{41}N_3O_8$
Mol. weight 523,62 g/mol



TCO1020 TCO-PEG(12)-NHS

trans-Cyclooctene-PEG(12)-carboxy succinimidyl ester

Formula $C_{40}H_{70}N_2O_{18}$
Mol. weight 866,99 g/mol



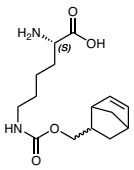
Products with Norbornene

Product details

HAA9235 H-L-Lys(Norbornene-methoxycarbonyl)-OH*HCl

N-epsilon-(norbornene-methoxycarbonyl)-L-lysine hydrochloride

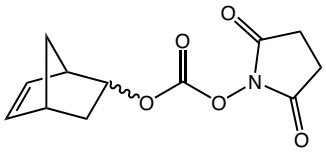
CAS-No. 1378916-76-7 net
Formula $C_{15}H_{24}N_2O_4$*HCl
Mol. weight 296,37*36,46 g/mol



RL-2080 Norbornene-NHS

(Norbornene-2-yl)-N-hydroxysuccinimidylcarbonate

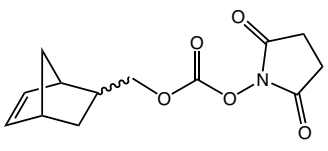
CAS-No. 1888335-48-5
Formula $C_{12}H_{13}NO_5$
Mol. weight 251,24 g/mol



RL-2090 Norbornene-methyl-NHS

(Norbornene-2-methyl)-N-hydroxysuccinimidylcarbonate

CAS-No. 1986791-87-0
Formula $C_{13}H_{15}NO_5$
Mol. weight 265,26 g/mol



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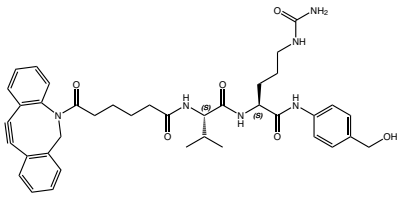
1.2.3. Custom Synthesis of DBCO, Tetrazine and TCO Derivatives

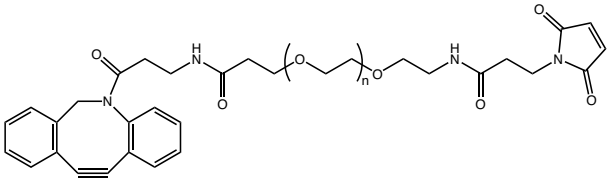
DBCO with Cleavable Linkers

e.g. ADC linkers, Dde-based linkers, disulfide-based linkers.



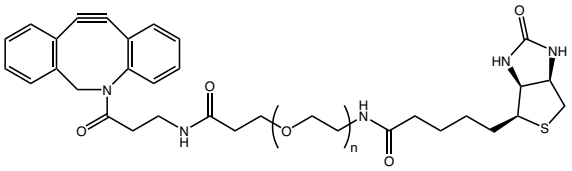
DBCO-PEG-Derivatives

DBCO-PEG-NHS
DBCO-PEG-mal
DBCO-PEG-Bis-Sulfone-Thiol
DBCO-PEG-COOH
DBCO-PEG-NH_2
With mono- or polydisperse PEG.



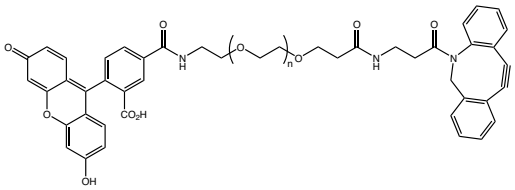
DBCO-Biotin

With both monodisperse and polydisperse PEG spacers.



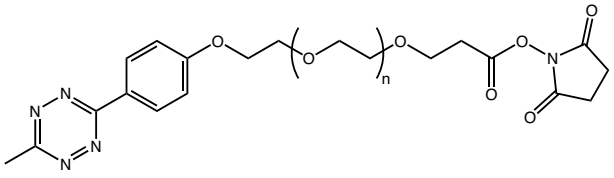
DBCO-Dye

With both monodisperse and polydisperse PEG spacers.
With the dye of your choice, e.g. ICG, (5)6-carboxyfluorescein.



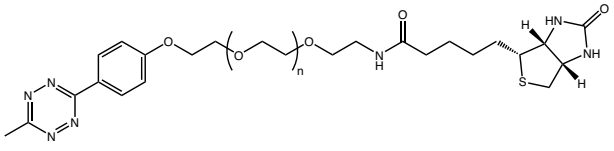
Tetrazine-PEG-Derivatives

Tetrazine-PEG-NHS
Tetrazine-PEG-mal
Tetrazine-PEG-COOH Tetrazine-PEG-NH_2
With both monodisperse and polydisperse PEG spacers.



Tetrazine-Biotin

With both monodisperse and polydisperse PEG spacers, or alkyl spacers.



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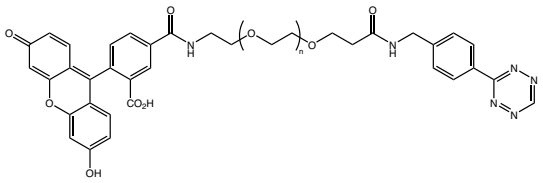
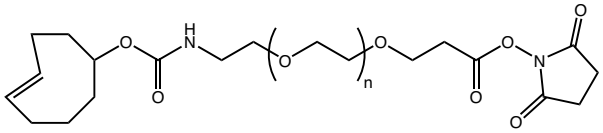
Tetrazine-Dye With both monodisperse and polydisperse PEG spacers. With the dye of your choice, e.g. ICG, (5)6-carboxyfluorescein.	
TCO-PEG-Derivatives TCO-PEG-NHS TCO-PEG-mal TCO-PEG-COOH TCO-PEG-NH_2	

Table 1: A selection of derivatives of tetrazine, TCO and DBCO available by custom synthesis.

We offer custom synthesis of DBCO, DACN, TCO and tetrazine derivatives and related conjugations.

Send us your inquiry to *info@iris-biotech.de*

2. Amino Acid Derivatives and Related Building Blocks for Click Chemistry

2.1. Recombinant Incorporation of Amino Acids into Proteins

Genetic code expansion is a powerful technology in proteomics, facilitating the site-specific incorporation of noncanonical amino acids (ncAAs) into proteins using the cellular machinery. A wide variety of ncAAs can be incorporated into proteins using this technology that relies on aminoacyl-tRNA synthetase/tRNA pairs.

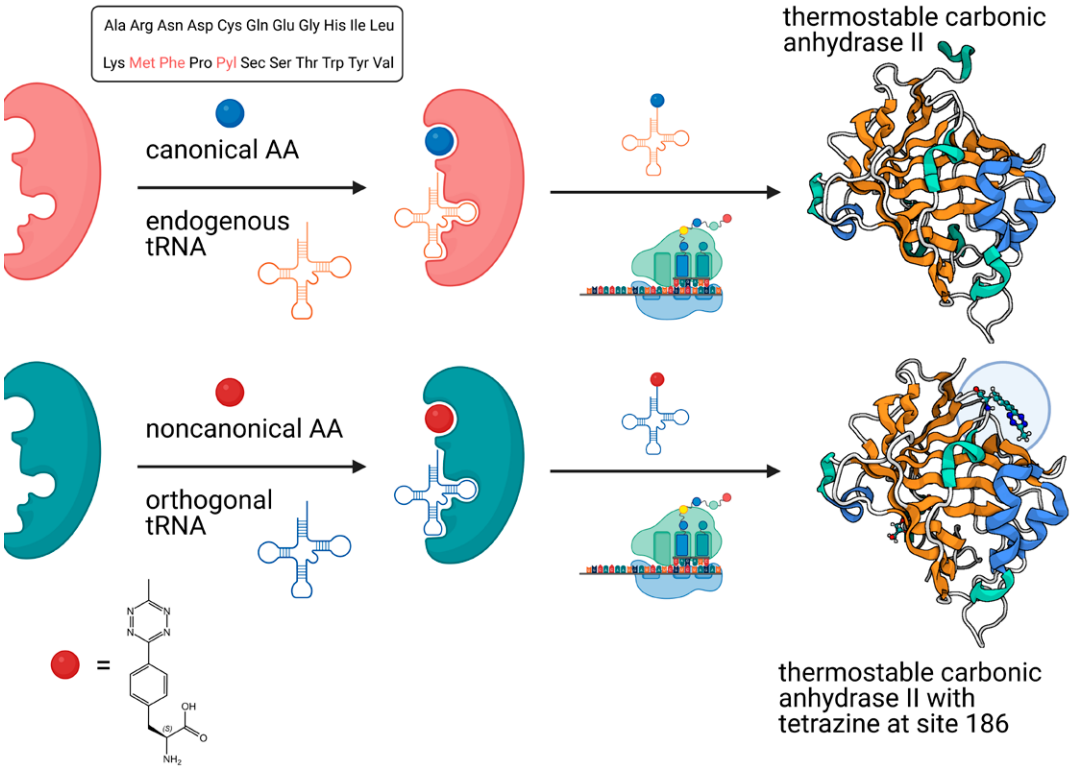


Fig. 9: Principle of genetic code expansion (protein structures adapted from Kean et al., Protein Sci. 2018 ; Bednar et al., ACS Appl. Mater. Interfaces 2019).

Certain amino acids such as azidohomoalanine (Aha) can be incorporated into proteins using the cell's native translational apparatus. Aha is a structural analogue of methionine (Met), and as such activated by the native methionyl-tRNA synthetase of *Escherichia coli*, replacing Met in proteins expressed in methionine-depleted bacterial cultures. Aside from being a clickable amino acid, azidohomoalanine is an excellent conformationally sensitive IR probe to study protein folding and protein structure.

In most cases, researchers resort to engineering suitable aminoacyl-tRNA synthetase/tRNA pairs in order to incorporate ncAAs. For example, the usually promiscuous pyrrolysyl-tRNA synthetase (PylRS) machinery can be engineered to accommodate more than 100 ncAAs or α-hydroxy acids into proteins at amber codons, and can be reassigned to other codons such as ochre (UAA) or opal (UGA). Among the most prominent noncanonical amino acids that are routinely incorporated by engineered PylRS/ $tRNA^{Pyl}$ pairs are azido and propargyl analogues of L-lysine, enabling the biochemist to site-specifically introduce an azido or alkyne group into a protein for further Click conjugation.

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Recent developments in the field of genetic code expansion include the directed evolution of tRNA synthetases to improve substrate selectivity, as well as the reassignment of further codons to encode ncAAs. Once an azido or alkyne function has been built into the protein sequence, conjugation with a large number of diverse clickable compounds opens up a wide field of possibilities. Alternatively, a protein bearing an azido group can be selectively modified *via* Staudinger ligation (see *Fig. 10*). Many different applications from therapeutics to diagnostics can be addressed through conjugates with PEG-polymers, dyes, cofactors, antibodies, small molecules, toxins, additional proteins, and peptides.

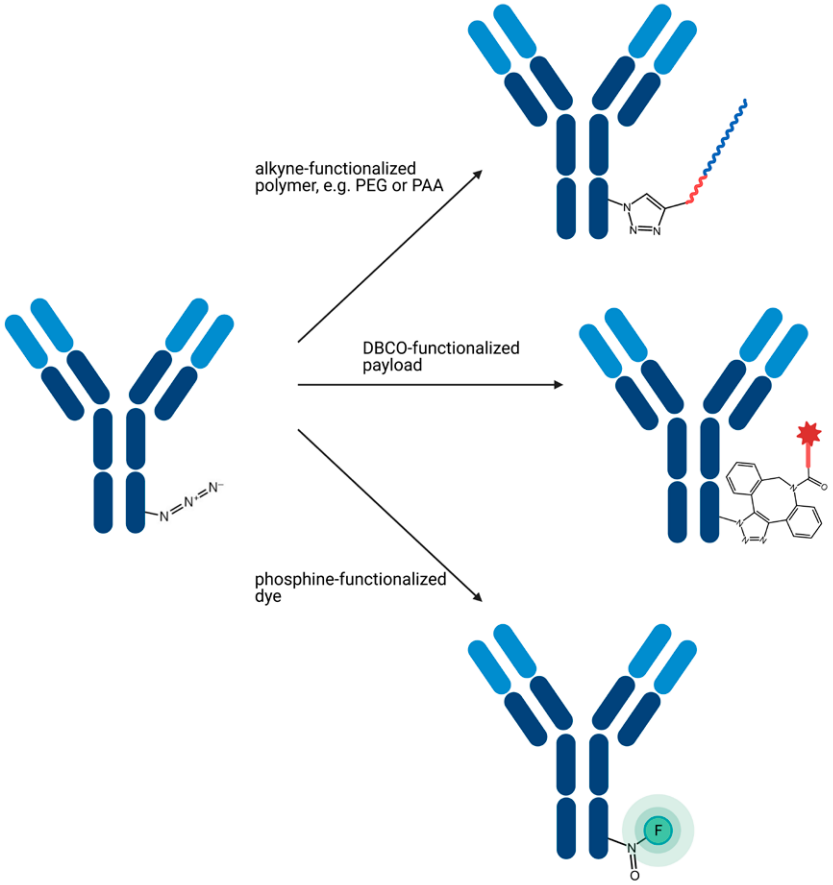


Fig. 10: Site-specific conjugation to an azido-functionalized IgG antibody.

One recent example of a sophisticated application of genetic code expansion is the site-directed incorporation of two different non-canonical amino acids into human erythropoietin *via* cell-free protein synthesis (Zemella *et al.*, *Sci. Rep.* 2018). Either *p*-propargyloxyphenylalanine (pPa) or *p*-azido-L-phenylalanine (AzF) was incorporated into an erythropoietin amber-mutant (EPO-Amb) *via* amber suppression in a eukaryotic translationally active lysate. This eukaryotic system also facilitated the glycosylation of EPO which is known to be crucial for its pharmacokinetics. The recombinant EPO variants were subsequently labelled with various fluorophores, as well as functionalized with a PEG of 10 kDa. Similar to glycosylation, the attachment of PEGs has been shown to improve solubility, stability and activity of recombinantly produced EPO (Hoffmann *et al.*, *Mol. Biosyst.* 2016).

The usefulness of the cell-free protein synthesis approach for the incorporation of ncAAs was further demonstrated by the preparation and ligand-free dimerization of functional human epidermal growth factor receptor (EGFR), a complex eukaryotic transmembrane protein (Quast *et al.*, *Sci. Rep.* 2016). EGFR is a receptor tyrosine kinase that dimerizes and autophosphorylates upon binding to its ligand, thereby

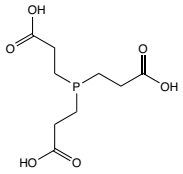
initiating an intracellular signal transduction cascade. In order to facilitate dimerization in the absence of a ligand, *p*-azido-L-phenylalanine (AzF) was site-selectively incorporated into EGFR, which was verified by Staudinger ligation of a phosphine dye to AzF. Two different EGFR amber mutants that incorporate AzF in the intracellular juxtamembrane domain were synthesized and reacted with a bis-COMBO Click-cross-linking reagent, thereby generating covalently linked receptor dimers.

Product details

LS-3405 TCEP*HCl

Tris-(2-carboxyethyl)phosphine hydrochloride salt

CAS-No.	51805-45-9
Formula	$C_9H_{15}O_6P$*HCl
Mol. weight	250,19*36,45 g/mol



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2.2. Peptide Synthesis with Azido and Alkyne Amino Acids

Both Boc- and Fmoc-protected derivatives of azido and alkyne amino acids can be readily introduced into peptide sequences by standard SPPS protocols. Such building blocks have found widespread use in techniques such as peptide ligation, bioconjugation, labeling, immobilization and linkerology. Bioconjugation, which is defined as the joining of two biomolecules or the ligation of a synthetic molecule with a biomolecule, stands out in particular among those applications. Targets that are notoriously difficult to access such as glycopeptides and -proteins can be synthesized in a straightforward and chemoselective fashion *via* Click reaction to afford neoglycopeptides and -proteins. Peptides or proteins that aid in the translocation into cells or that facilitate the targeting to certain tissues or organelles may be conjugated to toxins, fluorophores, or oligonucleotides by means of the Click reaction.

Another potential application is the cyclization of peptides *via* Click chemistry. This technique is a well-known approach to stabilize specific conformations in order to optimize peptide binding, and to increase resistance toward proteolytic degradation. If two clickable groups are placed at a suitable distance from each other in a peptide, they can undergo intramolecular cycloaddition with good yields and minimal side reactions. For example, this Click-mediated cyclization may be used to stabilize an α-helical secondary structure when azide and alkyne are located in side chains at positions i and i+4, respectively.

Example for a Protocol for Click Reactions in Peptide Synthesis:

Successful protocols have been published applying to 3 µmol peptide in 4 ml *t*BuOH/H_2O (1:2) with excess of ascorbic acid (40 µmol) and $CuSO_4$*5 H_2O (40 µmol) generating Cu(I) *in situ*. Stirring at room temperature overnight is followed by appropriate chromatographic work up. [Le Chevalier-Isaad *et al.*, *Eur. J. Org. Chem***.** 2010]

References:

- *Synthesis and conformational analysis of a cyclic peptide obtained via i to i+4 intramolecular side-chain to side-chain azide-alkyne 1,3-dipolar cycloaddition; S. Cantel, C. Isaad Ale, M. Scrima, J. J. Levy, R. D. DiMarchi, P. Rovero, J. A. Halperin, A. M. D'Ursi, A. M. Papini, M. Chorev;* ***J Org Chem*** *2008;* ***73****: 5663-74. https://doi.org/10.1021/jo800142s*
- *Side chain-to-side chain cyclization by click reaction; A. Le Chevalier Isaad, A. M. Papini, M. Chorev, P. Rovero;* ***J. Pept. Sci.*** *2009;* ***15****: 451-4. https://doi.org/10.1002/psc.1141*
- *CuI-Catalyzed Azide-Alkyne Intramolecular i-to-(i+4) Side-Chain-to-Side-Chain Cyclization Promotes the Formation of Helix-Like Secondary Structures; M. Scrima, A. Le Chevalier-Isaad, P. Rovero, A. M. Papini, M. Chorev, A. M. D'Ursi;* ***Eur. J. Org. Chem.*** *2010;* ***2010****: 446-457. https://doi.org/10.1002/ejoc.200901157*
- *Improved synthesis and biological evaluation of chelator-modified alpha-MSH analogs prepared by copper-free click chemistry; N. J. Baumhover, M. E. Martin, S. G. Parameswarappa, K. C. Kloepping, M. S. O'Dorisio, F. C. Pigge, M. K. Schultz;* ***Bioorg Med Chem Lett*** *2011;* ***21****: 5757-61. https://doi.org/10.1016/j.bmcl.2011.08.017*
- *„Click"-cyclized (68)Ga-labeled peptides for molecular imaging and therapy: synthesis and preliminary in vitro and in vivo evaluation in a melanoma model system; M. E. Martin, M. Sue O'Dorisio, W. M. Leverich, K. C. Kloepping, S. A. Walsh, M. K. Schultz;* ***Recent Results Cancer Res*** *2013;* ***194****: 149-75. https://doi.org/10.1007/978-3-642-27994-2_9*

2.3. Azido Amino Acids and Related Derivatives

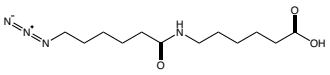
Azido-Alkyl Acids and Azido-Aryl Acids

Product details

HAA6990 N_3-Aca-Aca-OH

6-(6-azidohexanamido)hexanoic acid

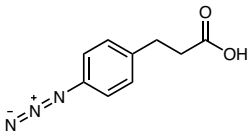
CAS-No.	866363-71-5
Formula	$C_{12}H_{22}N_4O_3$
Mol. weight	270,33 g/mol



RL-3650 N_3-Phenylpropionic-OH

3-(4-azidophenyl)propanoic acid

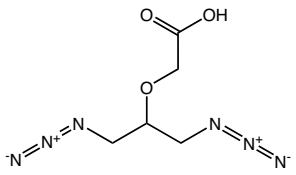
CAS-No.	103489-31-2
Formula	$C_9H_9N_3O_2$
Mol. weight	191,19 g/mol



AAA2190 DAPOA*DCHA

2-(1,3-diazidopropan-2-yloxy)acetic acid dicyclohexylamine

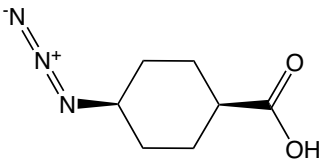
CAS-No.	2389064-43-9 net
Formula	$C_5H_8N_6O_3*C_{12}H_{23}N$
Mol. weight	200,16*181,32 g/mol



HAA2230 N_3-1,4-*cis*-CHC-OH

cis-4-Azidocyclohexanecarboxylic acid

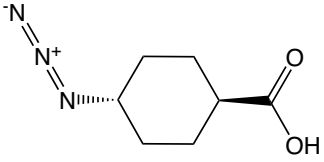
CAS-No.	863222-21-3
Formula	$C_7H_{11}N_3O$
Mol. weight	169,18 g/mol



HAA2235 N_3-1,4-*trans*-CHC-OH

trans-4-Azidocyclohexanecarboxylic acid

CAS-No.	1931895-14-5
Formula	$C_7H_{11}N_3O_2$
Mol. weight	169,18 g/mol



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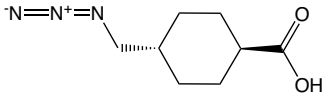
Product details

HAA2240 N_3-*trans*-MCHC-OH

trans-4-(Azidomethyl)cyclohexanecarboxylic acid

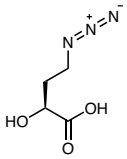
CAS-No.	170811-10-6
Formula	$C_8H_{13}N_3O_2$
Mol. weight	183,21 g/mol



HAA3175 N_3-HABA*DCHA (2S)

(S)-4-azido-2-hydroxybutyric acid dicyclohexalamine

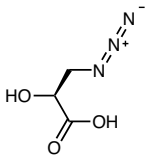
CAS-No.	959148-55-1 net
Formula	$C_4H_7N_3O_3*C_{12}H_{23}N$
Mol. weight	145,12*181,32 g/mol



HAA3365 N_3-IsoSer*DCHA (2S)

(S)-2-Hydroxy-3-azidopropanoic acid dicyclohexalamine

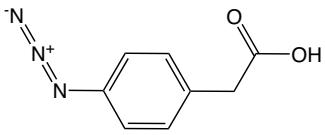
CAS-No.	1620171-65-4 net
Formula	$C_3H_5N_3O_3*C_{12}H_{23}N$
Mol. weight	131,09*181,32 g/mol



HAA2245 N_3-PhAc-OH

(4-Azidophenyl)acetic acid

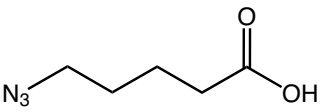
CAS-No.	62893-37-2
Formula	$C_8H_7N_3O_2$
Mol. weight	177,16 g/mol



AAA1970 N_3-Pen-OH

5-Azido-pentanoic acid

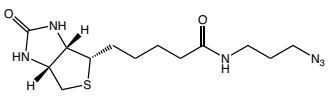
CAS-No.	79583-98-5
Formula	$C_5H_9N_3O_2$
Mol. weight	143,14 g/mol



LS-4210 Biotin-N_3

N-(3-azidopropyl)-5-((3aS,4S,6aR)-2-oxohexahydro-1H-thieno[3,4-d]imidazol-4-yl)pentanamide

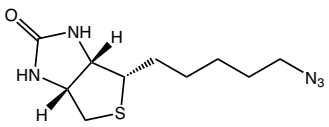
CAS-No.	908007-17-0
Formula	$C_{13}H_{22}N_6O_2S$
Mol. weight	326,42 g/mol



LS-4300 DecarboxyBiotin-N_3

(3aS,4S,6aR)- 4-(5-Azidopentyl)tetrahydro-1H-Thieno[3,4-d]imidazol-2(3H)-one

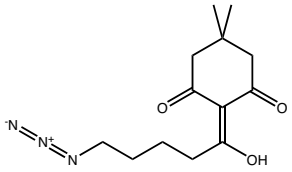
CAS-No.	1260586-88-6
Formula	$C_{10}H_{17}N_5OS$
Mol. weight	255,34 g/mol



RL-3280 N_3-Pen-Dde

2-(5-azido-1-hydroxypentylidene)-5,5-dimethylcyclohexane-1,3-dione

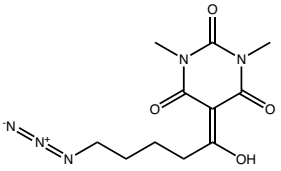
CAS-No.	1867129-38-1
Formula	$C_{13}H_{19}N_3O_3$
Mol. weight	265,31 g/mol



RL-3290 N_3-Pen-Dtpp

5-(5-azido-1-hydroxypentylidene)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione

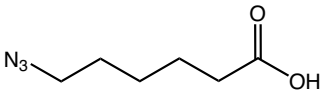
CAS-No.	1867129-42-7
Formula	$C_{11}H_{15}N_5O_4$
Mol. weight	281,27 g/mol



AAA1960 N_3-Hx-OH

6-Azido-hexanoic acid

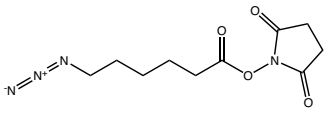
CAS-No.	79598-53-1
Formula	$C_6H_{11}N_3O_2$
Mol. weight	157,17 g/mol



RL-2980 N_3-Aca-OSu

6-Azidocaproic acid N-hydroxysuccinimidyl ester

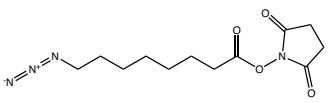
CAS-No.	866363-70-4
Formula	$C_{10}H_{14}N_4O_4$
Mol. weight	254,24



RL-3480 8-Azido-octanoyl-OSu

8-Azidodooctanoic acid N-hydroxysuccinimide ester

CAS-No.	2576471-56-0
Formula	$C_{12}H_{18}N_4O_4$
Mol. weight	282,30 g/mol



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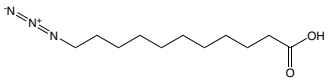
Product details

RL-3200 11-Azidoundecanoic acid

11-Azido-undecanoic acid

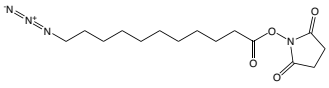
CAS-No.	118162-45-1
Formula	$C_{11}H_{21}N_3O_2$
Mol. weight	227,30 g/mol



RL-3170 11-Azido-undecanoyl-OSu

11-Azidoundecanoic acid N-hydroxysuccinimide ester

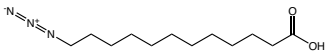
CAS-No.	850080-13-6
Formula	$C_{15}H_{24}N_4O_4$
Mol. weight	324,38 g/mol



RL-3210 12-Azidododecanoic acid

12-Azido-dodecanoic acid

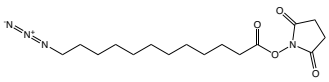
CAS-No.	80667-36-3
Formula	$C_{12}H_{23}N_3O_2$
Mol. weight	241,33 g/mol



RL-3220 12-Azido-dodecanoyl-OSu

12-Azidododecanoic acid N-hydroxysuccinimide ester

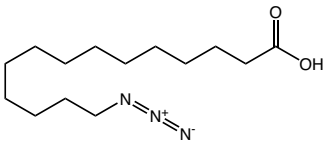
CAS-No.	2489524-00-5
Formula	$C_{16}H_{26}N_4O_4$
Mol. weight	338,40 g/mol



RL-3230 14-Azido-myristic acid

14-azidotetradecanoic acid

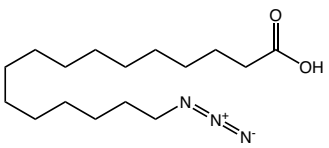
CAS-No.	176108-61-5
Formula	$C_{14}H_{27}N_3O_2$
Mol. weight	269,38 g/mol



RL-3240 16-Azido-palmitic acid

16-azidohexadecanoic acid

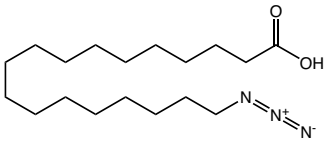
CAS-No.	112668-54-9
Formula	$C_{16}H_{31}N_3O_2$
Mol. weight	297,44 g/mol



RL-3250 18-Azido-stearic acid

18-azidooctadecanoic acid

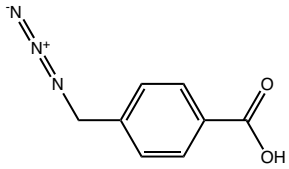
CAS-No. 1529763-58-3
Formula $C_{18}H_{35}N_3O_2$
Mol. weight 325,49 g/mol



RL-2995 4-(Azidomethyl)benzoic acid

4-Azidomethylbenzoic acid

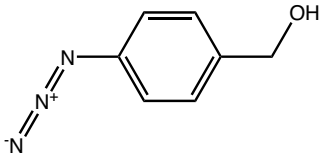
CAS-No. 79584-03-5
Formula $C_8H_7N_3O_2$
Mol. weight 177,16 g/mol



RL-2990 4-Azidobenzyl alcohol

(4-azidophenyl)methanol

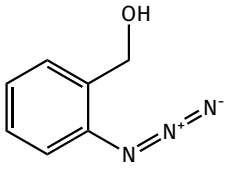
CAS-No. 31499-54-4
Formula $C_7H_7N_3O$
Mol. weight 149,15 g/mol



RL-4070 2-Azidobenzyl alcohol

(2-azidophenyl)methanol

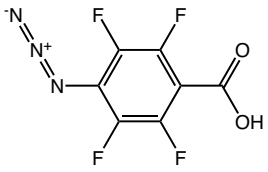
CAS-No. 20615-76-3
Formula $C_7H_7N_3O$
Mol. weight 149,15 g/mol



RL-2035 ATFB

4-Azido-2,3,5,6-tetrafluorobenzoic acid

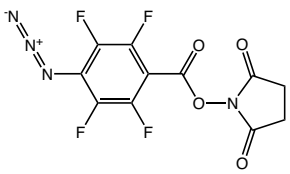
CAS-No. 122590-77-6
Formula $C_7HF_4N_3O_2$
Mol. weight 235,1 g/mol



RL-2045 ATFB-NHS

N-Succinimidyl 4-azido-2,3,5,6-tetrafluorobenzoate

CAS-No. 126695-58-7
Formula $C_{11}H_4F_4N_4O_4$
Mol. weight 332,17 g/mol



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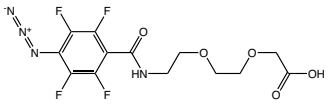
Product details

PEG5000 N_3-TFBA-O_2Oc

{2-[2-(4-Azido-2,3,5,6-tetrafluorobenzoyl-amino)ethoxy] ethoxy}acetic acid

CAS-No.	1993119-45-1
Formula	$C_{13}H_{12}F_4N_4O_5$
Mol. weight	380,25 g/mol



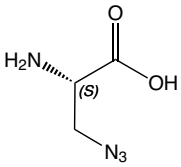
Azido-Alanine and Propionic Acid Derivatives

Product details

HAA1880 H-L-Aza-OH*HCl hydrate

(S)-2-Amino-3-azidopropanoic acid hydrochloride hydrate

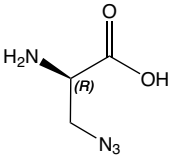
CAS-No.	1620171-64-3
Formula	$C_3H_6N_4O_2$*HCl*nH_2O
Mol. weight	130,11*36,45 g/mol



HAA1885 H-D-Aza-OH*HCl hydrate

(R)-2-Amino-3-azidopropanoic acid hydrochloride hydrate

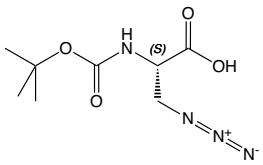
CAS-No.	1379690-01-3
Formula	$C_3H_6N_4O_2$*HCl*nH_2O
Mol. weight	130,11*36,45 g/mol



BAA1820 Boc-L-Aza-OH*CHA

(S)-2-*t*-Butyloxycarbonylamino-3-azidopropanoic acid cyclohexylamine

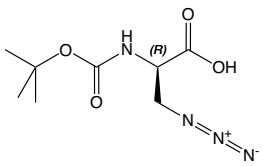
CAS-No.	2098496-88-7
Formula	$C_8H_{14}N_4O_4$*$C_6H_{13}N$
Mol. weight	230,22*99,18 g/mol



BAA1825 Boc-D-Aza-OH*CHA

(R)-2-*t*-Butyloxycarbonylamino-3-azidopropanoic acid cyclohexylamine

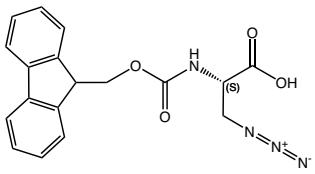
CAS-No.	225780-77-8net
Formula	$C_8H_{14}N_4O_4$*$C_6H_{13}N$
Mol. weight	230,22*99,18 g/mol



FAA1820 Fmoc-L-Aza-OH (solv.)

(S)-2-(9-Fluorenylmethyloxycarbonylamino)-3-azido-propanoic acid, solvate with DIPE

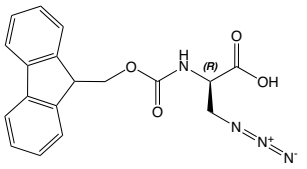
CAS-No.	684270-46-0
Formula	$C_{18}H_{16}N_4O_4$
Mol. weight	352,34 g/mol



FAA6870 Fmoc-D-Aza-OH

(R)-2-(9-Fluorenylmethyloxycarbonylamino)-3-azido-propanoic acid

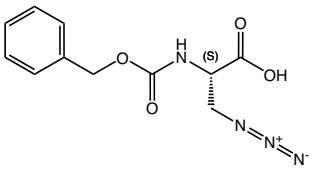
CAS-No.	1016163-79-3
Formula	$C_{18}H_{16}N_4O_4$
Mol. weight	352,34 g/mol



ZAA1300 Z-L-Dap(N_3)-OH

N-alpha-Benzyloxycarbonyl-L-2-amino-3-azidopropanoic acid

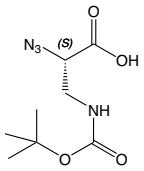
CAS-No.	684270-44-8
Formula	$C_{11}H_{12}N_4O_4$
Mol. weight	264,24 g/mol



HAA2130 N_3-L-Dap(Boc)-OH

(S)-2-Azido-3-((*t*-butyloxycarbonyl)amino)propanoic acid

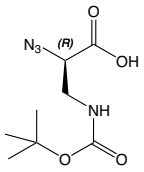
CAS-No.	1932432-15-9
Formula	$C_8H_{14}N_4O_4$
Mol. weight	230,22 g/mol



HAA2135 N_3-D-Dap(Boc)-OH

(R)-2-Azido-3-((*t*-butyloxycarbonyl)amino)propanoic acid

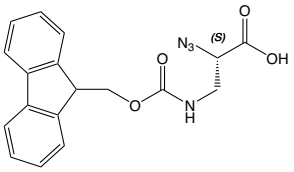
Formula	$C_8H_{14}N_4O_4$
Mol. weight	230,22 g/mol



HAA2140 N_3-L-Dap(Fmoc)-OH

(S)-2-Azido-3-[(9-fluorenylmethyloxycarbonyl)amino] propanoic acid

CAS-No.	880637-82-1
Formula	$C_{18}H_{16}N_4O_4$
Mol. weight	352,34 g/mol



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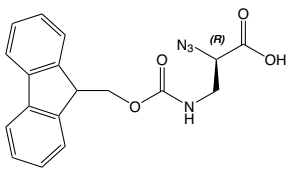
Product details

HAA2145 N_3-D-Dap(Fmoc)-OH

(R)-2-Azido-3-[(9-fluorenylmethyloxycarbonyl)amino] propanoic acid

CAS-No.	1807631-13-5
Formula	$C_{18}H_{16}N_4O_4$
Mol. weight	352,34 g/mol



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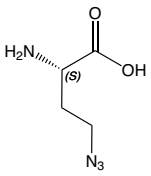
Azido-Homoalanine and Butanoic Acid Derivatives

Product details

HAA5730 H-L-Aha-OH*HCl

(S)-2-Amino-4-azidobutanoic acid hydrochloride

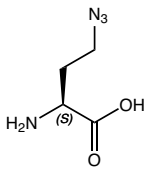
CAS-No.	942518-29-8
Formula	$C_4H_8N_4O_2$*HCl
Mol. weight	144,13*36,45 g/mol



HAA9280 H-L-Aha-OH

4-Azido-L-homoalanine

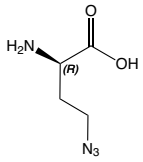
CAS-No.	120042-14-0
Formula	$C_4H_8N_4O_2$
Mol. weight	144,13 g/mol



HAA1630 H-D-Aha-OH*HCl

(R)-2-Amino-4-azidobutanoic acid hydrochloride

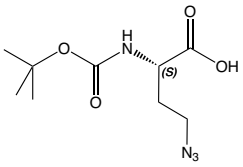
CAS-No.	1858224-26-6
Formula	$C_4H_8N_4O_2$*HCl
Mol. weight	144,13*36,45 g/mol



BAA1800 Boc-L-Aha-OH*CHA

(S)-2-*t*-Butyloxycarbonylamino-4-azidobutanoic acid cyclohexylamine

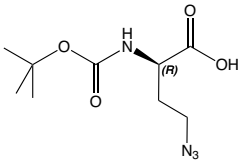
CAS-No.	120042-08-2net
Formula	$C_9H_{16}N_4O_4$*$C_6H_{13}N$
Mol. weight	244,25*99,18 g/mol



BAA1805 Boc-D-Aha-OH*CHA

(R)-2-*t*-Butyloxycarbonylamino-4-azidobutanoic acid cyclohexylamine

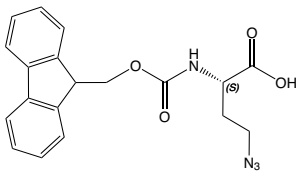
CAS-No.	1609202-75-6 net
Formula	$C_9H_{16}N_4O_4$*$C_6H_{13}N$
Mol. weight	244,25*99,18 g/mol



FAA6620 Fmoc-L-Aha-OH

(S)-2-(9-Fluorenylmethyloxycarbonylamino)-4-azido-butanoic acid

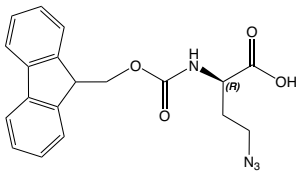
CAS-No.	942518-20-9
Formula	$C_{19}H_{18}N_4O_4$
Mol. weight	366,41 g/mol



FAA6810 Fmoc-D-Aha-OH

(R)-2-(9-Fluorenylmethyloxycarbonylamino)-4-azido-butanoic acid

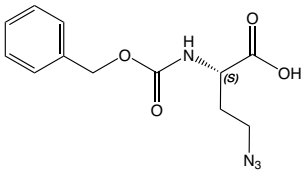
CAS-No.	1263047-53-5
Formula	$C_{19}H_{18}N_4O_4$
Mol. weight	366,41 g/mol



ZAA5700 Z-L-Aha-OH*DCHA

(S)-2-Benzyloxycarbonylamino-4-azidobutanoic acid dicyclohexylamine

CAS-No.	1263047-43-3 net
Formula	$C_{12}H_{14}N_4O_4$*$C_{12}H_{23}N$
Mol. weight	278,26*181,34 g/mol



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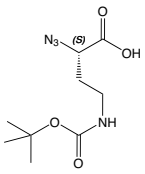
Product details

HAA2150 N_3-L-Dab(Boc)-OH

(S)-2-Azido-4-((*t*-butyloxycarbonyl)amino)butanoic acid

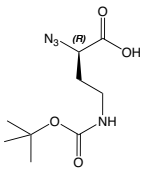
CAS-No.	1932403-71-8
Formula	$C_9H_{16}N_4O_4$
Mol. weight	244,25 g/mol



HAA2155 N_3-D-Dab(Boc)-OH

(R)-2-Azido-4-((*t*-butyloxycarbonyl)amino)butanoic acid

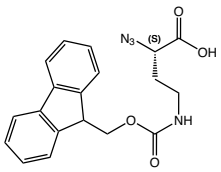
CAS-No.	1922891-74-4
Formula	$C_9H_{16}N_4O_4$
Mol. weight	244,25 g/mol



HAA3170 N_3-L-Dab(Fmoc)-OH

(S)-2-Azido-4-[(9-fluorenylmethyloxycarbonyl)amino] butanoic acid

CAS-No.	2250436-44-1
Formula	$C_9H_{16}N_4O_4$
Mol. weight	366,37 g/mol



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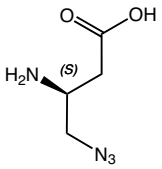
Azido-beta-Homoalanine

Product details

HAA3970 H-L-Dbu(N_3)-OH*HCl

(S)-3-Amino-4-azidobutanoic acid hydrochloride

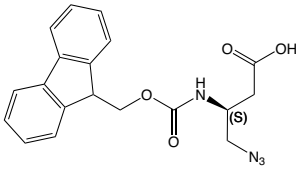
CAS-No.	2389078-78-6 net
Formula	$C_4H_8N_4O_2$*HCl
Mol. weight	144,13*36,45 g/mol



FAA2035 Fmoc-L-Dbu(N_3)-OH

(S)-3-(9-Fluorenylmethyloxycarbonyl)amino-4-azido-butanoic acid

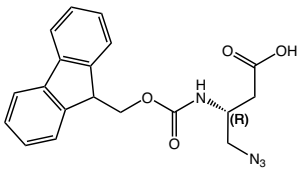
CAS-No.	934502-72-4
Formula	$C_{19}H_{18}N_4O_4$
Mol. weight	366,37 g/mol



FAA3650 Fmoc-D-Dbu(N_3)-OH

(R)-3-(9-Fluorenylmethyloxycarbonyl)amino-4-azido-butanoic acid

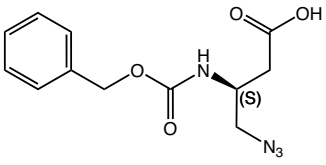
CAS-No.	1932023-47-6
Formula	$C_{19}H_{18}N_4O_4$
Mol. weight	366,37 g/mol



ZAA1290 Z-L-Dbu(N_3)-OH

(S)-3-(Benzyloxycarbonyl)amino-4-azido-butanoic acid

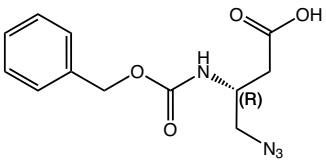
CAS-No.	1932657-23-2
Formula	$C_{12}H_{14}N_4O_4$
Mol. weight	278,26 g/mol



ZAA1285 Z-D-Dbu(N_3)-OH

(R)-3-(Benzyloxycarbonyl)amino-4-azido-butanoic acid

CAS-No.	1931958-82-5
Formula	$C_{12}H_{14}N_4O_4$
Mol. weight	278,26 g/mol



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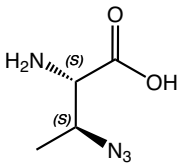
2-Amino-3-Azido-Butanoic Acid

Product details

HAA3010 H-Abu(3-N_3)-OH*HCl (2S,3S)

(2S,3S)-2-amino-3-azidobutanoic acid hydrochloride

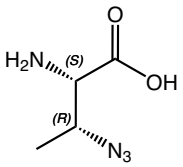
CAS-No.	2737202-68-3
Formula	$C_4H_8N_4O_2$*HCl
Mol. weight	144,13*36,45 g/mol



HAA3020 H-Abu(3-N_3)-OH*HCl (2S,3R)

(2S,3S)-2-amino-3-azidobutanoic acid hydrochloride

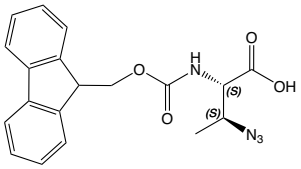
CAS-No.	2737202-63-8
Formula	$C_4H_8N_4O_2$*HCl
Mol. weight	144,13*36,45 g/mol



FAA2040 Fmoc-Abu(3-N_3)-OH (2S,3S)

(2S,3S)-2-(9-Fluorenylmethyloxycarbonyl)amino-3-azido-butanoic acid

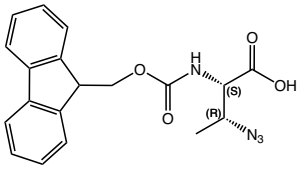
CAS-No.	131669-42-6
Formula	$C_{19}H_{18}N_4O_4$
Mol. weight	366,37 g/mol



FAA3200 Fmoc-Abu(3-N_3)-OH (2S,3R)

(2S,3R)-2-(9-Fluorenylmethyloxycarbonyl)amino-3-azido-butanoic acid

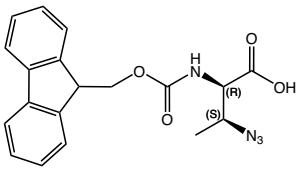
CAS-No.	146306-79-8
Formula	$C_{19}H_{18}N_4O_4$
Mol. weight	366,37 g/mol



FAA3540 Fmoc-Abu(3-N_3)-OH (2R,3S)

(2R,3S)-2-(9-Fluorenylmethyloxycarbonyl)amino-3-azido-butanoic acid

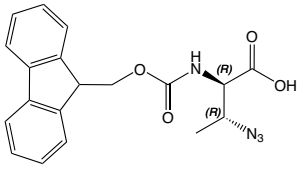
CAS-No.	1932349-21-7
Formula	$C_{19}H_{18}N_4O_4$
Mol. weight	366,37 g/mol



FAA2095 Fmoc-Abu(3-N_3)-OH (2R,3R)

(2R,3R)-2-(9-Fluorenylmethyloxycarbonyl)amino-3-azidobutanoic acid

CAS-No.	1229394-75-5
Formula	$C_{19}H_{18}N_4O_4$
Mol. weight	366,37 g/mol



Azido-Masked Amino Function

Azido groups located in amino acid side chains can be used for various applications. 2-amino-3-azido-butanoic acid is shown as an example below.

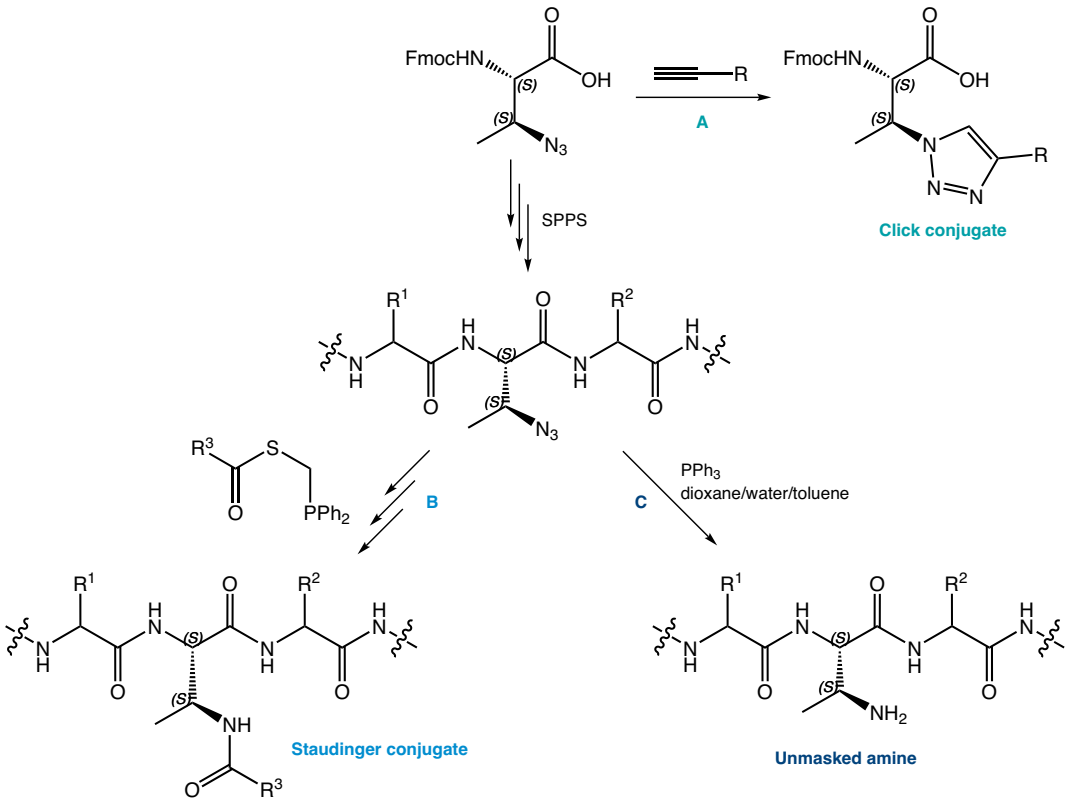


Fig. 11: Possible applications of amino acids bearing azide groups in the side chain.

A) Azido groups can be used for any type of Click conjugation with any available alkynyl residue forming conjugates with peptides or any other organic molecule.

B) Azido groups may also be used for another prominent type of bioconjugation, namely the Staudinger ligation, which is a further development of the Staudinger reaction. The Staudinger ligation is characterized by high selectivity and a typically rapid and high-yielding turnover. As a biorthogonal reaction, it has been used for the semisynthesis of proteins, for installing posttranslational modifications such as glycosylations, and for DNA labeling.

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C) The azido group can be reduced to an amino function and hereby serve as a masked amino group. Prominent methods for the reduction of azido groups include the Staudinger reaction as well as the reduction by DTT. Azido groups are stable towards treatment with piperidine (Fmoc deprotection), Pd(0) (Alloc removal) and acidic treatment (cleavage of Mtt, Trt or other acid-sensitive groups). However, as it is a pseudohalogenide, care must be taken during coupling steps, as HATU will cause a high degree of racemization. This can be avoided using collidine or other non-nucleophilic bases instead of DIPEA.

Chiral α,β-diamines and diamino acids have increasingly become motifs of interest in organic synthesis owing to their ubiquity in natural products and medicinal agents. For example, these motifs are found in biotin, penicillins, and the antiinfluenza neuraminidase inhibitor Tamiflu. Chiral vicinal diamines and their metal complexes have been employed in stereoselective organic synthesis, in particular as chiral auxiliaries and ligands in catalytic asymmetric synthesis.

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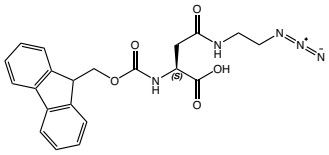
Azido-Asparagine

Product details

FAA8605 Fmoc-L-Asn(EDA-N_3)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-N-gamma-(2-azidoethyl)-L-asparagine

CAS-No.	2616562-74-2
Formula	$C_{21}H_{21}N_5O_5$
Mol. weight	423,43



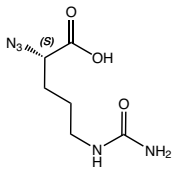
Azido-Citrulline

Product details

HAA4980 N_3-L-Cit-OH*DCHA

(S)-2-Azido-citrulline dicyclohexylamine

CAS-No.	1799421-66-1 net
Formula	$C_6H_{11}N_5O_3*C_{12}H_{23}N$
Mol. weight	201,18*181,32 g/mol



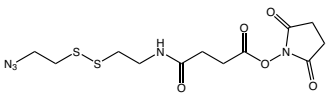
Azido-Cysteine

Product details

HAA2255 N_3-Cystamine-Suc-OSu

4-(2-((2-Azidoethyl)disulfanyl)ethylamino)-4-oxobutanoic acid succinimidyl ester

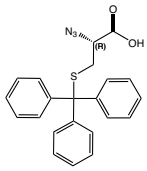
CAS-No.	1987341-40-1
Formula	$C_{12}H_{17}N_5O_5S_2$
Mol. weight	375,42 g/mol



HAA2810 N_3-L-Cys(Trt)-OH*CHA

(R)-2-azido-3-(tritylthio)propanoic acid cyclohexylamine

CAS-No.	1286670-90-3
Formula	$C_{22}H_{19}N_3O_2S*C_6H_{13}N$
Mol. weight	389,47*99,17 g/mol



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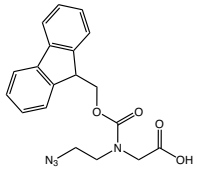
Azido-Glycine

Product details

FAA4060 Fmoc-Aeg(N_3)-OH

N-(9-Fluorenylmethyloxycarbonyl)-N-(2-azidoethyl) glycine

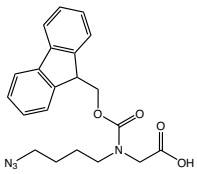
CAS-No.	1935981-35-3
Formula	$C_{19}H_{18}N_4O_4$
Mol. weight	366,37 g/mol



FAA4055 Fmoc-Abg(N_3)-OH

N-(9-Fluorenylmethyloxycarbonyl)-N-(4-azidobutyl) glycine

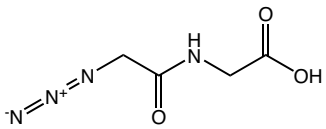
CAS-No.	2250433-81-7
Formula	$C_{21}H_{22}N_4O_4$
Mol. weight	394,42 g/mol



HAA2850 N_3-Gly-Gly-OH*DCHA

Azido-glycylglycine dicyclohexylamine

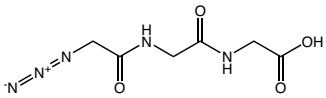
CAS-No.	855750-87-7 net
Formula	$C_4H_6N_4O_3$*$C_{12}H_{23}N$
Mol. weight	158,12*181,32 g/mol



HAA2840 N_3-Gly-Gly-Gly-OH

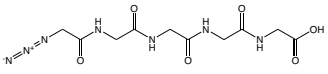
Azido-glycylglycylglycine

CAS-No.	1993176-75-2
Formula	$C_6H_9N_5O_4$
Mol. weight	215,17 g/mol



HAA2860 N_3-Gly-Gly-Gly-Gly-Gly-OH

CAS-No.	2250433-77-1
Formula	$C_{10}H_{15}N_7O_6$
Mol. weight	329,27 g/mol

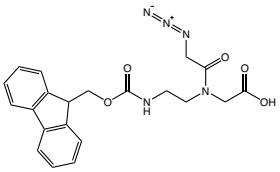


Product details

HAA9330 N_3-Gly-Aeg(Fmoc)-OH

N-(2-((((9H-fluoren-9-yl)methoxy)carbonyl)amino) ethyl)-N-(2-azidoacetyl)glycine

CAS-No.	2606227-07-8
Formula	$C_{21}H_{21}N_5O_5$
Mol. weight	423,43 g/mol



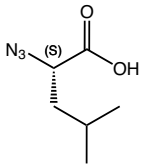
Azido-Leucine

Product details

HAA3350 N_3-L-Leu-OH*BHA

(S)-2-azido-4-methylpentanoic acid benzhydrylamine salt

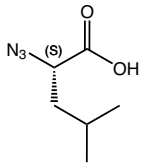
CAS-No.	79410-33-6
Formula	$C_6H_{11}N_3O_2*C_{13}H_{13}N$
Mol. weight	157,17*183,25 g/mol



HAA2820 N_3-L-Leu-OH*CHA

(S)-2-Azido-4-methylpentanoic acid cyclohexylamine

CAS-No.	1286670-79-8
Formula	$C_6H_{11}N_3O_2*C_6H_{13}N$
Mol. weight	157,17*99,18 g/mol



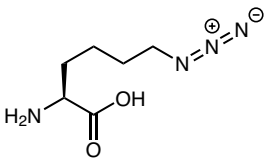
Azido-Lysine

Product details

HAA9210 H-L-Lys(N_3)-OH

N-epsilon-azido-L-lysine

CAS-No.	159610-92-1
Formula	$C_6H_{12}N_4O_2$
Mol. weight	172,19 g/mol



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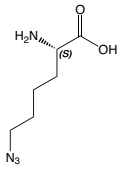
Product details

HAA1625 H-L-Lys(N_3)-OH*HCl

N-epsilon-Azido-L-lysine hydrochloride

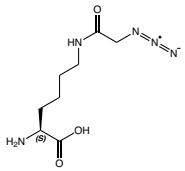
CAS-No. 1454334-76-9
Formula $C_6H_{12}N_4O_2$*HCl
Mol. weight 172,19*36,45 g/mol



HAA9340 H-L-Lys(N_3-Gly)-OH*HCl

Azidoacetyl-L-Lysine hydrochloride

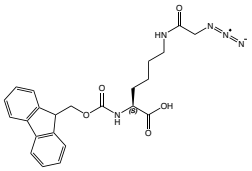
CAS-No. 1198617-82-1 net
Formula $C_8H_{15}N_5O_3$
Mol. weight 229,24 g/mol



FAA8855 Fmoc-L-Lys(N_3-Gly)-OH

Azidoacetyl-Fmoc-L-Lysine

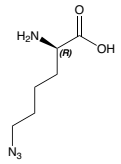
CAS-No. 1198617-89-8
Formula $C_{23}H_{25}N_5O_5$
Mol. weight 451,48 g/mol



HAA1890 H-D-Lys(N_3)-OH*HCl

N-epsilon-Azido-D-lysine hydrochloride

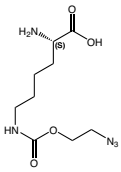
CAS-No. 2098497-01-7
Formula $C_6H_{12}N_4O_2$*HCl
Mol. weight 172,19*36,45 g/mol



HAA2080 H-L-Lys(EO-N_3)-OH*HCl

(S)-2-amino-6-((2-azidoethoxy)carbonylamino)hexanoic acid hydrochloride

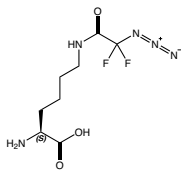
CAS-No. 1994331-17-7
Formula $C_9H_{17}N_5O_4$*HCl
Mol. weight 259,26*36,46 g/mol



HAA9295 H-L-Lys($COCF_2N_3$)-OH*HCl

N6-(2-azido-2,2-difluoroacetyl)-L-lysine

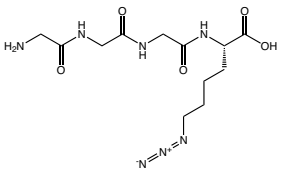
Formula $C_8H_{13}F_2N_5O_3$*HCl
Mol. weight 265,22*36,46 g/mol



HAA2870 H-(Gly)$_3$-Lys(N$_3$)-OH*HCl

Triglycyl-epsilon-azido-L-lysine hydrochloride

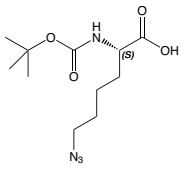
CAS-No.	2250437-45-5 net
Formula	$C_{12}H_{21}N_7O_5$*HCl
Mol. weight	343,34*36,45 g/mol



BAA1810 Boc-L-Lys(N$_3$)-OH*CHA

N-alpha-*t*-Butyloxycarbonyl-epsilon-azido-L-lysine cyclohexylamine

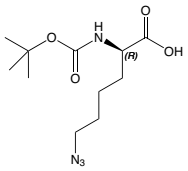
CAS-No.	846549-33-5net
Formula	$C_{11}H_{20}N_4O_4$*$C_6H_{13}N$
Mol. weight	272,30*99,18 g/mol



BAA1815 Boc-D-Lys(N$_3$)-OH*CHA

N-alpha-*t*-Butyloxycarbonyl-epsilon-azido-D-lysine cyclohexylamine

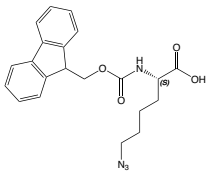
CAS-No.	1620410-04-9 net
Formula	$C_{11}H_{20}N_4O_4$*$C_6H_{13}N$
Mol. weight	272,30*99,18 g/mol



FAA1793 Fmoc-L-Lys(N$_3$)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-epsilon-azido-L-lysine

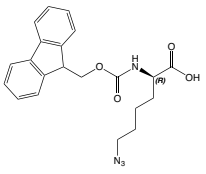
CAS-No.	159610-89-6
Formula	$C_{21}H_{22}N_4O_4$
Mol. weight	394,42 g/mol



FAA1835 Fmoc-D-Lys(N$_3$)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-epsilon-azido-D-lysine

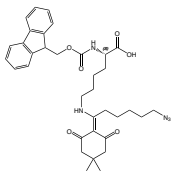
CAS-No.	1198791-53-5
Formula	$C_{21}H_{22}N_4O_4$
Mol. weight	394,42 g/mol



FAA8145 Fmoc-L-Lys(N$_3$-Aca-DIM)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-N-epsilon-[6-azido-1-(4,4-dimethyl-2,6- dioxocyclohexylidene)hexyl]-L-lysine

CAS-No.	2408993-39-3
Formula	$C_{35}H_{43}N_5O_6$
Mol. weight	629,76 g/mol



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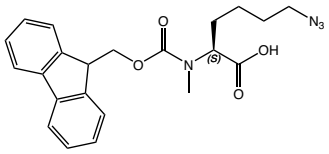
Product details

FAA8595 Fmoc-L-MeLys(N_3)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-N-alpha-methyl-epsilon-azido-L-lysine

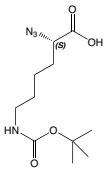
CAS-No.	1263721-14-7
Formula	$C_{22}H_{24}N_4O_4$
Mol. weight	408,46 g/mol



HAA2170 N_3-L-Lys(Boc)-OH

(S)-2-Azido-6-[(*t*-butyloxycarbonyl)amino]hexanoic acid

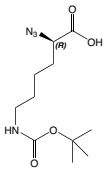
CAS-No.	333366-32-8
Formula	$C_{11}H_{20}N_4O_4$
Mol. weight	272,3 g/mol



HAA2175 N_3-D-Lys(Boc)-OH

(R)-2-Azido-6-[(*t*-butyloxycarbonyl)amino]hexanoic acid

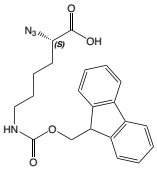
CAS-No.	1178899-92-7
Formula	$C_{11}H_{20}N_4O_4$
Mol. weight	272,3 g/mol



HAA2160 N_3-L-Lys(Fmoc)-OH

(S)-2-Azido-6-[(9-fluorenylmethyloxycarbonyl)amino]hexanoic acid

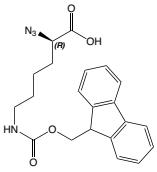
CAS-No.	473430-12-5
Formula	$C_{21}H_{22}N_4O_4$
Mol. weight	394,42 g/mol



HAA2165 N_3-D-Lys(Fmoc)-OH

(R)-2-Azido-3-[(9-fluorenylmethyloxycarbonyl)amino]propanoic acid

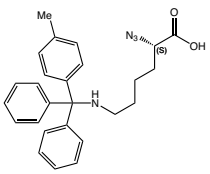
CAS-No.	1994300-35-4
Formula	$C_{21}H_{22}N_4O_4$
Mol. weight	394,42 g/mol



HAA2880 N_3-L-Lys(Mtt)-OH

(S)-2-Azido-6-[(4-methyltrityl)amino]hexanoic acid

CAS-No.	1333231-26-7
Formula	$C_{26}H_{28}N_4O_2$
Mol. weight	428,53 g/mol

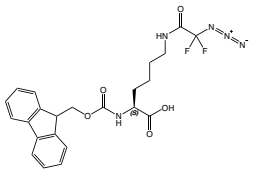


Product details

FAA8825 Fmoc-L-Lys(COCF2N$_3$)-OH

N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N6-(2-azido-2,2-difluoroacetyl)-L-lysine

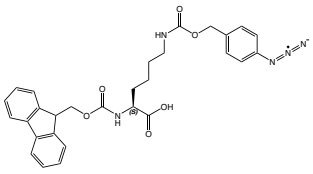
Formula	$C_{23}H_{23}F_2N_5O_5$
Mol. weight	487,46 g/mol



FAA8830 Fmoc-L-Lys(4-N$_3$-Z)-OH

N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N6-(((4-azidobenzyl)oxy)carbonyl)-L-lysine

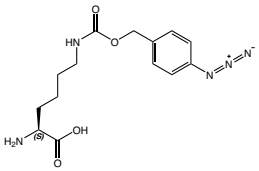
CAS-No.	1446511-14-3
Formula	$C_{29}H_{29}N_5O_6$
Mol. weight	543,58 g/mol



HAA9315 H-L-Lys(4-N$_3$-Z)-OH*HCl

(2S)-6-(4-Azido-benzyloxycarbonylamino)-2-amino-hexanoic acid hydrochloride

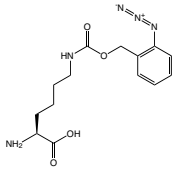
CAS-No.	2084913-49-3
Formula	$C_{14}H_{19}N_5O_4$*HCl
Mol. weight	321,34*36,46 g/mol



HAA9380 H-L-Lys(2-N$_3$-Z)-OH

N6-(((2-azidobenzyl)oxy)carbonyl)-L-lysine

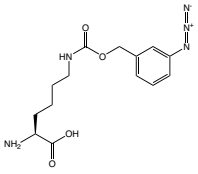
CAS-No.	1131963-69-3
Formula	$C_{14}H_{19}N_5O_4$
Mol. weight	321,34 g/mol



HAA9370 H-L-Lys(3-N$_3$-Z)-OH*HCl

N6-(((3-azidobenzyl)oxy)carbonyl)-L-lysine

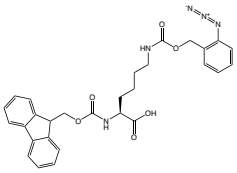
CAS-No.	2084913-47-1
Formula	$C_{14}H_{19}N_5O_4$*HCl
Mol. weight	321,34*36,45 g/mol



FAA8880 Fmoc-L-Lys(2-N$_3$-Z)-OH

(2S)-6-(2-Azido-benzyloxycarbonylamino)-2-(9H-fluoren-9-ylmethoxycarbonylamino)-hexanoic acid

CAS-No.	2714331-96-9
Formula	$C_{29}H_{29}N_5O_6$
Mol. weight	543,58 g/mol



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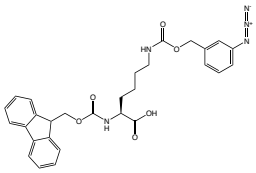
Product details

FAA8890 Fmoc-L-Lys(3-N_3-Z)-OH

(2S)-6-(3-Azido-benzyloxycarbonylamino)-2-(9H-fluoren-9-ylmethoxycarbonylamino)-hexanoic acid

CAS-No.	1836202-27-7
Formula	$C_{29}H_{29}N_5O_6$
Mol. weight	543,58 g/mol



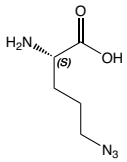
Azido-Ornithine

Product details

HAA1620 H-L-Orn(N_3)-OH*HCl

N-delta-Azido-L-ornithine hydrochloride

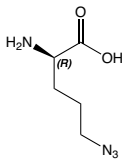
CAS-No.	1782935-10-7
Formula	$C_5H_{10}N_4O_2$*HCl
Mol. weight	158,16*36,45 g/mol



HAA1895 H-D-Orn(N_3)-OH*HCl

N-delta-Azido-D-ornithine hydrochloride

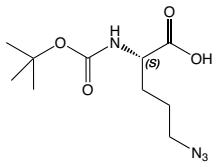
CAS-No.	1858224-08-4
Formula	$C_5H_{10}N_4O_2$*HCl
Mol. weight	158,16*36,45 g/mol



BAA1830 Boc-L-Orn(N_3)-OH*CHA

N-alpha-*t*-Butyloxycarbonyl-delta-azido-L-ornithine cyclohexylamine

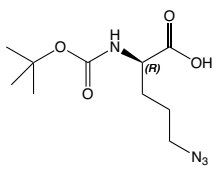
CAS-No.	763139-35-1net
Formula	$C_{10}H_{18}N_4O_4$*$C_6H_{13}N$
Mol. weight	258,27*99,18 g/mol



BAA1835 Boc-D-Orn(N_3)-OH*CHA

N-alpha-*t*-Butyloxycarbonyl-delta-azido-D-ornithine cyclohexylamine

CAS-No.	1858224-18-6
Formula	$C_{10}H_{18}N_4O_4$*$C_6H_{13}N$
Mol. weight	258,27*99,18 g/mol

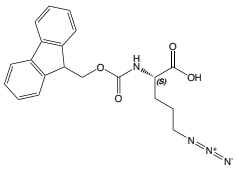


Product details

FAA6880 Fmoc-L-Orn(N_3)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-delta-azido-L-ornithine

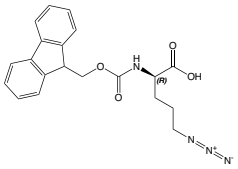
CAS-No.	1097192-04-5
Formula	$C_{20}H_{20}N_4O_4$
Mol. weight	380,4 g/mol



FAA6890 Fmoc-D-Orn(N_3)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-delta-azido-D-ornithine

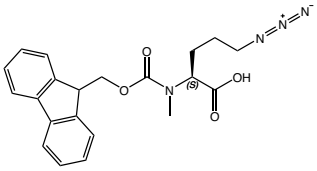
CAS-No.	1176270-25-9
Formula	$C_{20}H_{20}N_4O_4$
Mol. weight	380,4 g/mol



FAA8680 Fmoc-L-MeOrn(N_3)-OH

(S)-2-((((9H-fluoren-9-yl)methoxy)carbonyl)(methyl)amino)-5-azidopentanoic acid

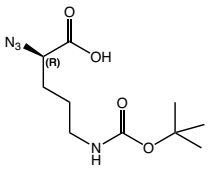
Formula	$C_{21}H_{22}N_4O_4$
Mol. weight	394,43 g/mol



HAA2210 N_3-D-Orn(Boc)-OH*CHA

(R)-2-Azido-5-[(*t*-butyloxycarbonyl)amino]pentanoic acid cyclohexylamine

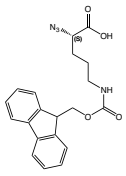
CAS-No.	2165877-62-1 net
Formula	$C_{10}H_{18}N_4O_4$*$C_6H_{13}N$
Mol. weight	258,27*99,18 g/mol



HAA2225 N_3-L-Orn(Fmoc)-OH

(S)-2-Azido-5-[(9-fluorenylmethyloxycarbonyl)amino]pentanoic acid

CAS-No.	1994267-98-9
Formula	$C_{20}H_{20}N_4O_4$
Mol. weight	380,4 g/mol



Reference:

→ *Application of metal-free triazole formation in the synthesis of cyclic RGD-DTPA conjugates; S. S. van Berkel, A. Dirks, S. A. Meeuwissen, D. L. Pingen, O. C. Boerman, P. Laverman, F. L. van Delft, J. J. Cornelissen, F. P. Rutjes;* ***Chembiochem*** *2008;* ***9****: 1805-15. https://doi.org/10.1002/cbic.200800074*

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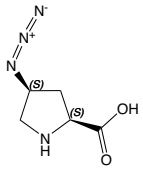
Azido-Proline

Product details

HAA2125 H-L-Pro(4-N_3)-OH*HCl (2S,4S)

(2S,4S)-4-Azidopyrrolidine-2-carboxylic acid hydrochloride

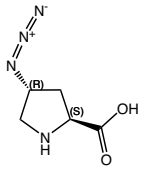
CAS-No. 892128-58-4 net
Formula $C_5H_8N_4O_2$*HCl
Mol. weight 156,14*36,45 g/mol



HAA3150 H-L-Pro(4-N_3)-OH*HCl (2S,4R)

(2S,4R)-4-Azidopyrrolidine-2-carboxylic acid hydrochloride

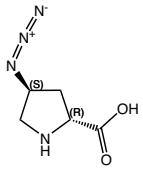
CAS-No. 1019849-13-8 net
Formula $C_5H_8N_4O_2$*HCl
Mol. weight 156,14*36,45 g/mol



HAA3140 H-D-Pro(4-N_3)-OH*HCl (2R,4S)

(2R,4S)-4-Azidopyrrolidine-2-carboxylic acid hydrochloride

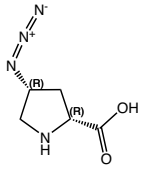
CAS-No. 2137086-50-9
Formula $C_5H_8N_4O_2$*HCl
Mol. weight 156,14*36,45 g/mol



HAA3190 H-D-Pro(4-N_3)-OH*HCl (2R,4R)

(2R,4R)-4-Azidopyrrolidine-2-carboxylic acid hydrochloride

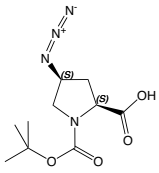
CAS-No. 2737202-69-4
Formula $C_5H_8N_4O_2$*HCl
Mol. weight 156,14*36,45 g/mol



BAA1905 Boc-L-Pro(4-N_3)-OH (2S,4S)

cis-N-alpha-(*t*-Butyloxycarbonyl)-4-azido-L-proline

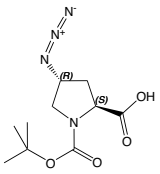
CAS-No. 132622-65-2
Formula $C_{10}H_{16}N_4O_4$
Mol. weight 256,26 g/mol



BAA1930 Boc-L-Pro(4-N_3)-OH*DCHA (2S,4R)

trans-N-alpha-(*t*-Butyloxycarbonyl)-4-azido-L-proline dicyclohexylamine

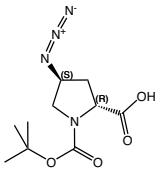
CAS-No.	132622-68-5 net
Formula	$C_{10}H_{16}N_4O_4$*$C_{12}H_{23}N$
Mol. weight	256,26*181,32 g/mol



BAA3110 Boc-D-Pro(4-N_3)-OH*DCHA (2R,4S)

trans-N-alpha-(*t*-Butyloxycarbonyl)-4-azido-D-proline dicyclohexylamine

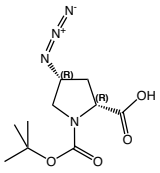
CAS-No.	132622-77-6 net
Formula	$C_{10}H_{16}N_4O_4$*$C_{12}H_{23}N$
Mol. weight	256,26*181,32 g/mol



BAA3120 Boc-D-Pro(4-N_3)-OH (2R,4R)

cis-N-alpha-(*t*-Butyloxycarbonyl)-4-azido-D-proline

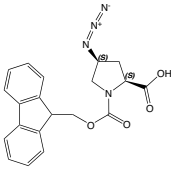
CAS-No.	650601-59-5
Formula	$C_{10}H_{16}N_4O_4$
Mol. weight	256,26 g/mol



FAA2050 Fmoc-L-Pro(4-N_3)-OH (2S,4S)

cis-N-alpha-(9-Fluorenylmethyloxycarbonyl)-4-azido-L-proline

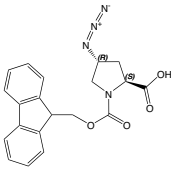
CAS-No.	263847-08-1
Formula	$C_{20}H_{18}N_4O_4$
Mol. weight	378,38 g/mol



FAA3000 Fmoc-L-Pro(4-N_3)-OH (2S,4R)

trans-N-alpha-(9-Fluorenylmethyloxycarbonyl)-4-azido-L-proline

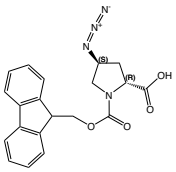
CAS-No.	702679-55-8
Formula	$C_{20}H_{18}N_4O_4$
Mol. weight	378,38 g/mol



FAA4630 Fmoc-D-Pro(4-N_3)-OH (2R,4S)

trans-N-alpha-(9-Fluorenylmethyloxycarbonyl)-4-azido-D-proline

CAS-No.	2137142-63-1
Formula	$C_{20}H_{18}N_4O_4$
Mol. weight	378,38 g/mol



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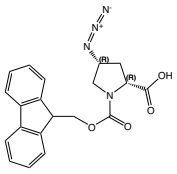
Product details

FAA4720 Fmoc-D-Pro(4-N_3)-OH (2R,4R)

cis-N-alpha-(9-Fluorenylmethyloxycarbonyl)-4-azido-D-proline

CAS-No. 1378847-51-8
Formula $C_{20}H_{18}N_4O_4$
Mol. weight 378,38 g/mol



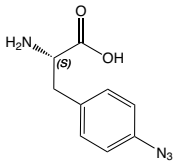
Azido-Phenylalanine

Product details

HAA1850 H-L-Phe(4-N_3)-OH

4-Azido-L-phenylalanine

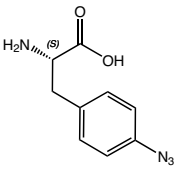
CAS-No. 33173-53-4
Formula $C_9H_{10}N_4O_2$
Mol. weight 206,20 g/mol



HAA2980 H-L-Phe(4-N_3)-OH*HCl

4-Azido-L-phenylalanine hydrochloride

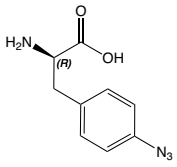
CAS-No. 34670-43-4
Formula $C_9H_{10}N_4O_2$*HCl
Mol. weight 206,2*36,45 g/mol



HAA1855 H-D-Phe(4-N_3)-OH

4-Azido-D-phenylalanine

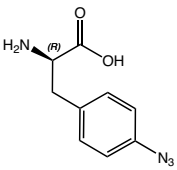
CAS-No. 1241681-80-0
Formula $C_9H_{10}N_4O_2$
Mol. weight 206,20 g/mol



HAA1856 H-D-Phe(4-N_3)-OH*HCl

4-Azido-D-phenylalanine hydrochloride

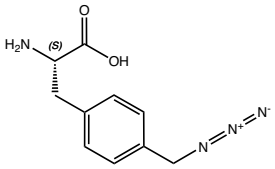
CAS-No. 1241681-80-0 net
Formula $C_9H_{10}N_4O_2$*HCl
Mol. weight 206,2*36,45 g/mol



HAA4090 H-L-Phe(4-CH_2-N_3)*HCl

4-azidomethyl-L-phenylalanine hydrochloride

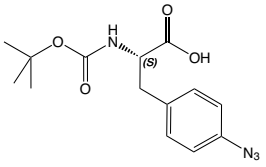
CAS-No.	1446772-80-0
Formula	$C_{10}H_{12}N_4O_2$*HCl
Mol. weight	220,23*36,45 g/mol



BAA1850 Boc-L-Phe(4-N_3)-OH

N-alpha-*t*-Butyloxycarbonyl-4-azido-L-phenylalanine

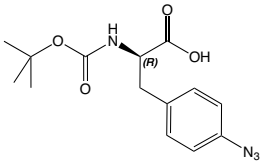
CAS-No.	33173-55-6
Formula	$C_{14}H_{18}N_4O_4$
Mol. weight	306,32 g/mol



BAA1855 Boc-D-Phe(4-N_3)-OH

N-alpha-*t*-Butyloxycarbonyl-4-azido-D-phenylalanine

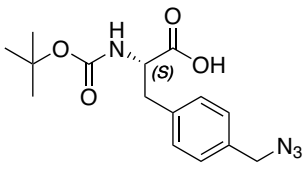
CAS-No.	214630-05-4
Formula	$C_{14}H_{18}N_4O_4$
Mol. weight	306,32 g/mol



BAA4660 Boc-L-Phe(4-CH_2-N_3)-OH

N-alpha-*t*-Butyloxycarbonyl-4-azidomethyl-L-phenyl-alanine

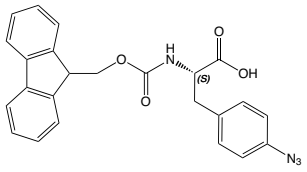
CAS-No.	205127-59-9
Formula	$C_{15}H_{20}N_4O_4$
Mol. weight	320,35 g/mol



FAA1905 Fmoc-L-Phe(4-N_3)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-4-azi-do-L-phenylalanine

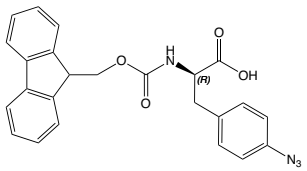
CAS-No.	163217-43-4
Formula	$C_{24}H_{20}N_4O_4$
Mol. weight	428,44 g/mol



FAA1910 Fmoc-D-Phe(4-N_3)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-4-azi-do-D-phenylalanine

CAS-No.	1391586-30-3
Formula	$C_{24}H_{20}N_4O_4$
Mol. weight	428,44 g/mol



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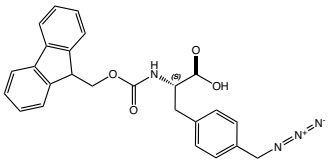
Product details

FAA7740 Fmoc-L-Phe(4-CH_2-N_3)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-4-azido-methyl-L-phenylalanine

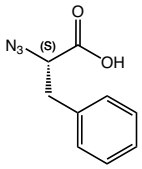
CAS-No. 2375587-79-2
Formula $C_{25}H_{22}N_4O_4$
Mol. weight 442,47 g/mol



HAA3360 N_3-L-Phe-OH*DCHA

(S)-2-Azido-3-phenylpropanoic acid dicyclohexylamine

CAS-No. 79410-36-9
Formula $C_9H_9N_3O_2$*$C_{13}H_{23}N$
Mol. weight 191,19*181,32 g/mol



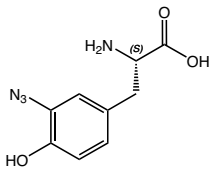
Azido-Tyrosine

Product details

HAA3940 H-L-Tyr(3-N_3)-OH

3-Azido-L-tyrosine

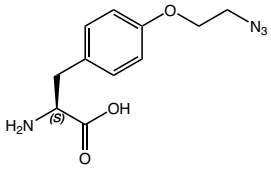
CAS-No. 129960-90-3
Formula $C_9H_{10}N_4O_3$
Mol. weight 222,2 g/mol



HAA9310 H-L-Tyr(2-azidoethyl)-OH

O-2-azidoethyl-tyrosine

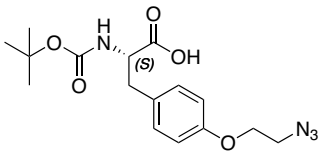
CAS-No. 1570523-47-5
Formula $C_{11}H_{14}N_4O_3$
Mol. weight 250,26 g/mol



BAA4650 Boc-L-Tyr(2-azidoethyl)-OH

N-alpha-*t*-Butyloxycarbonyl-O-(2-azidoethyl)-L-tyrosine

CAS-No. 1434445-10-9
Formula $C_{16}H_{22}N_4O_5$
Mol. weight 350,38 g/mol

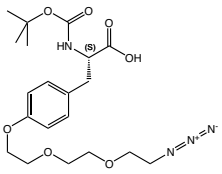


Product details

BAA2235 Boc-L-Tyr(PEG(3)-N_3)-OH*DCHA

N-alpha-*t*-Butyloxycarbonyl-O-(2-(2-(2-azidoethoxy) ethoxy)ethyl)-L-tyrosine dicyclohexylamine

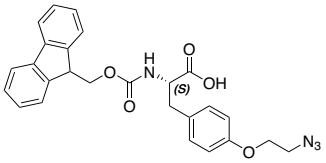
CAS-No.	1831059-64-3 net
Formula	$C_{20}H_{30}N_4O_7$*$C_{12}H_{23}N$
Mol. weight	438,47*181,32 g/mol



FAA8535 Fmoc-L-Tyr(2-azidoethyl)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-O-(2-azido-ethyl)-L-tyrosine

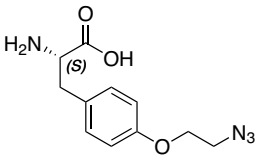
CAS-No.	1454816-10-4
Formula	$C_{26}H_{24}N_4O_5$
Mol. weight	472,50 g/mol



HAA9215 H-L-Tyr(2-azidoethyl)-OH*HCl

O-(2-azidoethyl)-L-tyrosine hydrochloride

CAS-No.	1567845-62-8
Formula	$C_{11}H_{14}N_4O_3$*HCl
Mol. weight	250,26*36,46 g/mol



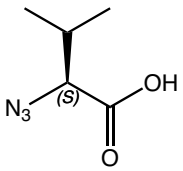
Azido-Valine

Product details

HAA9285 N_3-L-Val-OH*CHA

Azido-L-valine cyclohexylammonium salt

CAS-No.	1217462-63-9
Formula	$C_5H_9N_3O_2$*$C_6H_{13}N$
Mol. weight	143,15*99,17 g/mol



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- *Azide reduction during peptide cleavage from solid support-the choice of thioscavenger?; P. E. Schneggenburger, B. Worbs, U. Diederichsen;* ***J. Pept. Sci.*** *2010;* ***16****: 10-4. https://doi.org/10.1002/psc.1202*
- *A DOTA-peptide conjugate by copper-free click chemistry; M. E. Martin, S. G. Parameswarappa, M. S. O'Dorisio, F. C. Pigge, M. K. Schultz;* ***Bioorg Med Chem Lett*** *2010;* ***20****: 4805-7. https://doi.org/10.1016/j.bmcl.2010.06.111*

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- → *CuI-Catalyzed Azide-Alkyne Intramolecular i-to-(i+4) Side-Chain-to-Side-Chain Cyclization Promotes the Formation of Helix-Like Secondary Structures; M. Scrima, A. Le Chevalier-Isaad, P. Rovero, A. M. Papini, M. Chorev, A. M. D'Ursi;* ***Eur. J. Org. Chem.*** *2010;* ***2010****: 446-457. https://doi.org/10.1002/ejoc.200901157*
- → *Synthesis and conformational analysis of a cyclic peptide obtained via i to i+4 intramolecular side-chain to side-chain azide-alkyne 1,3-dipolar cycloaddition; S. Cantel, C. Isaad Ale, M. Scrima, J. J. Levy, R. D. DiMarchi, P. Rovero, J. A. Halperin, A. M. D'Ursi, A. M. Papini, M. Chorev;* ***J Org Chem*** *2008;* ***73****: 5663-74. https://doi.org/10.1021/jo800142s*
- → *Side chain-to-side chain cyclization by click reaction; A. Le Chevalier Isaad, A. M. Papini, M. Chorev, P. Rovero;* ***J. Pept. Sci.*** *2009;* ***15****: 451-4. https://doi.org/10.1002/psc.1141*
- → *An efficient peptide ligation using azido-protected peptides via the thioester method; H. Katayama, H. Hojo, T. Ohira, Y. Nakahara;* ***Tetrahedron Lett.*** *2008;* ***49****: 5492-5494. https://doi.org/10.1016/j.tetlet.2008.07.037*

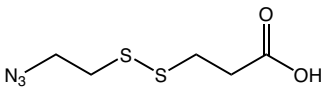
Azido-ADC Linker

Product details

RL-4100 Azido-SS-COOH

3-((2-azidoethyl)disulfanyl)propanoic acid

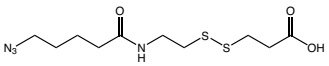
CAS-No.	2228857-32-5
Formula	$C_5H_9N_3O_2S_2$
Mol. weight	207,27 g/mol



RL-3320 Azido-Pen-SS-COOH

3-((2-(5-azidopentanamido)ethyl)disulfanyl)propanoic acid

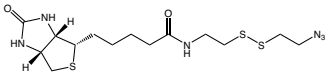
Formula	$C_{10}H_{18}N_4O_3S_2$
Mol. weight	306,40 g/mol



RL-4120 Biotin-SS-N_3

N-(2-((2-azidoethyl)disulfanyl)ethyl)-5-((3aS,4S,6aR)-2-oxohexahydro-1H-thieno[3,4-d]imidazol-4-yl)pentanamide

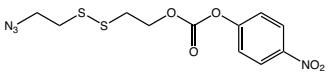
CAS-No.	1620523-64-9
Formula	$C_{14}H_{24}N_6O_2S_3$
Mol. weight	404,57 g/mol



RL-4150 Azido-SS-OpNC

2-((2-azidoethyl)disulfanyl)ethyl (4-nitrophenyl) carbonate

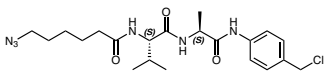
CAS-No.	2766027-28-3
Formula	$C_{11}H_{12}N_4O_5S_2$
Mol. weight	344,36 g/mol



ADC1680 6-Azidohexanoyl-Val-Ala-PAB-Cl

6-Azidohexanoyl-valyl-alanyl-(4-aminobenzyl chloride)

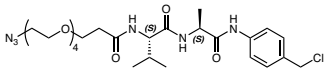
Formula $C_{21}H_{31}ClN_6O_3$
Mol. weight 450,97 g/mol



ADC1710 Azido-PEG(4)-Val-Ala-PAB-Cl

Azido-tetraethyleneglycol-propanoyl-valyl-alanyl-(4-aminobenzyl chloride)

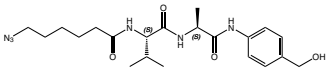
Formula $C_{26}H_{41}ClN_6O_7$
Mol. weight 585,10 g/mol



ADC1290 6-Azidohexanoyl-Val-Ala-PAB

6-azidohexanoyl-valyl-alanyl-(4-aminobenzyl alcohol)

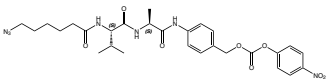
Formula $C_{21}H_{32}N_6O_4$
Mol. weight 432,52 g/mol



ADC1300 6-Azidohexanoyl-Val-Ala-PAB-PNP

6-azidohexanoyl-valyl-alanyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate

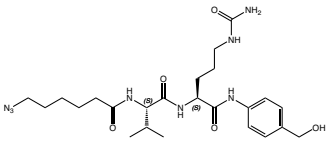
Formula $C_{28}H_{35}N_7O_8$
Mol. weight 597,62 g/mol



ADC1120 6-Azidohexanoyl-Val-Cit-PAB

6-azidohexanoyl-valyl-citrullyl-(4-aminobenzyl alcohol)

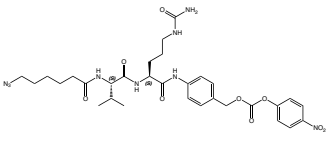
CAS-No. 1613321-02-0
Formula $C_{24}H_{38}N_8O_5$
Mol. weight 518,61 g/mol



ADC1130 6-Azidohexanoyl-Val-Cit-PAB-PNP

6-azidohexanoyl-valyl-citrullyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate

CAS-No. 1613321-01-9
Formula $C_{31}H_{41}N_9O_9$
Mol. weight 683,71 g/mol



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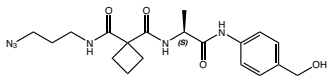
Product details

ADC1580 Azido-cyclobutane-1,1-dicarboxamide-Ala-PAB

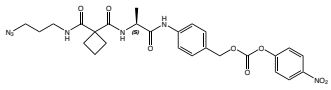
3-azidopropyl-cyclobutane-1,1-dicarboxamide-alanyl-(4-aminobenzyl alcohol)



Formula $C_{19}H_{26}N_6O_4$
Mol. weight 402,45 g/mol

ADC1590 Azido-cyclobutane-1,1-dicarboxamide-Ala-PAB-PNP

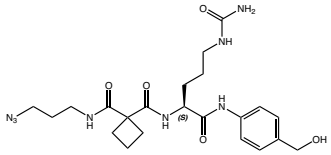
3-azidopropyl-cyclobutane-1,1-dicarboxamide-alanyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate



Formula $C_{26}H_{29}N_7O_8$
Mol. weight 567,55 g/mol

ADC1480 Azido-cyclobutane-1,1-dicarboxamide-Cit-PAB

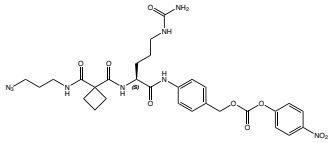
3-azidopropyl-cyclobutane-1,1-dicarboxamide-citrullyl-(4-aminobenzyl alcohol)



Formula $C_{22}H_{32}N_8O_5$
Mol. weight 488,54 g/mol

ADC1490 Azido-cyclobutane-1,1-dicarboxamide-Cit-PAB-PNP

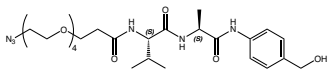
3-azidopropyl-cyclobutane-1,1-dicarboxamide-citrullyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate



Formula $C_{29}H_{35}N_9O_9$
Mol. weight 653,64 g/mol

ADC1330 Azido-PEG(4)-Val-Ala-PAB

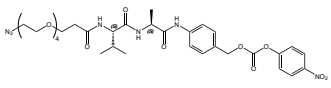
azido-tetraethyleneglycol-propanoyl-valyl-alanyl-(4-aminobenzyl alcohol)



Formula $C_{26}H_{42}N_6O_8$
Mol. weight 566,65 g/mol

ADC1340 Azido-PEG(4)-Val-Ala-PAB-PNP

azido-tetraethyleneglycol-propanoyl-valyl-alanyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate



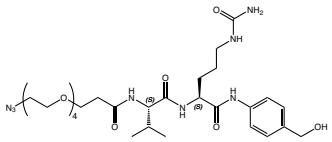
Formula $C_{33}H_{45}N_7O_{12}$
Mol. weight 731,75 g/mol

Product details

ADC1160 Azido-PEG(4)-Val-Cit-PAB

azido-tetraethyleneglycol-propanoyl-valyl-citrul-lyl-(4-aminobenzyl alcohol)

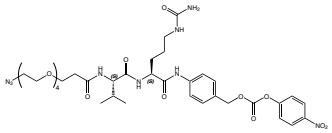
CAS-No.	2055024-64-9
Formula	$C_{29}H_{48}N_8O_9$
Mol. weight	652,74 g/mol



ADC1170 Azido-PEG(4)-Val-Cit-PAB-PNP

azido-tetraethyleneglycol-propanoyl-valyl-citrul-lyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate

CAS-No.	1869126-60-2
Formula	$C_{36}H_{51}N_9O_{13}$
Mol. weight	817,84 g/mol



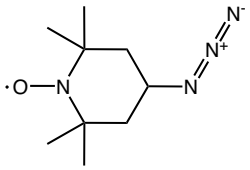
Other Azido Building Blocks

Product details

HNN1110 N_3-TEMPO

4-Azido-2,2,6,6-tetramethyl-1-piperidinyloxy

CAS-No.	63697-61-0
Formula	$C_9H_{17}N_4O$
Mol. weight	197,26 g/mol



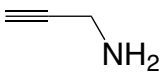
2.4. Alkyne Amino Acids and Related Derivatives

Propargylating Reagents

Product details

PEG2755 Propargyl amine

CAS-No.	2450-71-7
Formula	C_3H_5N
Mol. weight	55,08 g/mol



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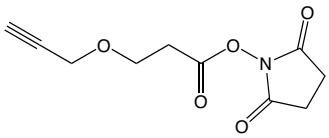
Product details

PEG1935 Propargyl-NHS

3-(Prop-2-ynyloxy)propanoic acid succinimidyl ester

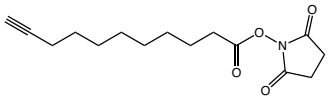
CAS-No.	1174157-65-3
Formula	$C_{10}H_{11}NO_5$
Mol. weight	225,2 g/mol



RL-3460 10-Undecynoyl-OSu

10-Undecynoic acid N-hydroxysuccinimide ester

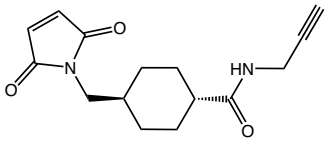
CAS-No.	1006592-57-9
Formula	$C_{15}H_{21}NO_4$
Mol. weight	279,34 g/mol



MAA1100 Mal-AMCHC-N-Propargylamide

trans-4-[(2,5-dioxo-2,5-dihydro-1H-pyrrol-1-yl)methyl]-N-(prop-2-yn-1-yl)cyclohexane-1-carboxamide

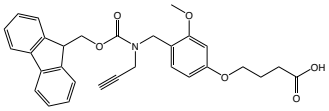
CAS-No.	2027476-42-0
Formula	$C_{15}H_{18}N_2O_3$
Mol. weight	274,32 g/mol



RL-3780 Fmoc-N-propargyl-MPBA

4-(4-(((9-Fluorenylmethyloxycarbonyl)(propargyl)amino)methyl)-3-methoxyphenoxy)butanoic acid

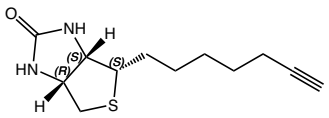
CAS-No.	1009362-00-8
Formula	$C_{30}H_{29}NO_6$
Mol. weight	499,20 g/mol



LS-4310 DecarboxyBiotin-Alkyne

(3aS,4S,6aR)- 4-(6-heptyn-1-yl)tetrahydro-1H-Thieno[3,4-d]imidazol-2(3H)-one

CAS-No.	887915-53-9
Formula	$C_{12}H_{18}N_2OS$
Mol. weight	238,35 g/mol



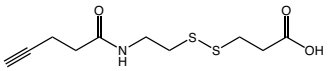
Alkyne-Alkyl Acids

Product details

RL-3330 Alkyne-SS-COOH

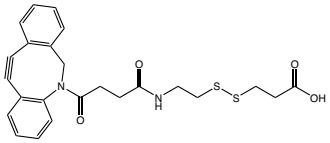
3-((2-pent-4-ynamidoethyl)disulfanyl)propanoic acid

CAS-No.	2279938-29-1
Formula	$C_{10}H_{15}NO_3S_2$
Mol. weight	261,36 g/mol



RL-4110 DBCO-Suc-SS-COOH

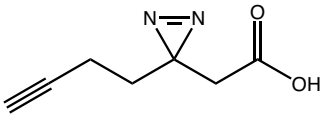
CAS-No.	2749426-25-1
Formula	$C_{24}H_{24}N_2O_4S_2$
Mol. weight	468,59 g/mol



RL-3410 Photo-Click-Heptanoic acid

2-(3-(but-3-ynyl)-3H-diazirin-3-yl)acetic acid

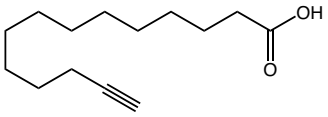
CAS-No.	2049109-24-0
Formula	$C_7H_8N_2O_2$
Mol. weight	152,15 g/mol



RL-2055 Alkyne-myristic acid

13-Tetradecynoic acid

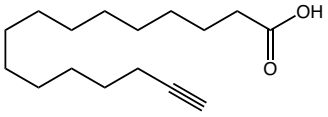
CAS-No.	82909-47-5
Formula	$C_{14}H_{24}O_2$
Mol. weight	224,34 g/mol



RL-2060 Alkyne-palmitic acid

15-Hexadecynoic acid

CAS-No.	99208-90-9
Formula	$C_{16}H_{28}O_2$
Mol. weight	252,39 g/mol



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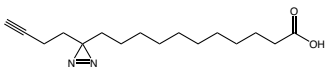
Product details

RL-3720 Photo-Click-Palmitic acid

11-(3-(but-3-yn-1-yl)-3H-diazirin-3-yl)undecanoic acid

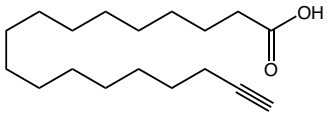
Formula $C_{16}H_{26}N_2O_2$
Mol. weight 278,40 g/mol



RL-2065 Alkyne-stearic acid

17-Octadecynoic acid

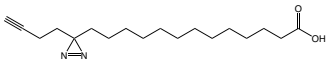
CAS-No. 34450-18-5
Formula $C_{18}H_{32}O_2$
Mol. weight 280,45 g/mol



RL-3760 Photo-Click-Stearic acid

13-(3-(but-3-yn-1-yl)-3H-diazirin-3-yl)tridecanoic acid

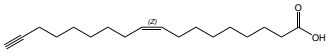
Formula $C_{18}H_{30}N_2O_2$
Mol. weight 306,45 g/mol



RL-3900 Alkyne-oleic acid

(9Z)-Octadec-9-en-17-ynoic acid, Alkyne-(9Z)-octadecynoic acid

CAS-No. 151333-45-8
Formula $C_{18}H_{30}O_2$
Mol. weight 278,44 g/mol



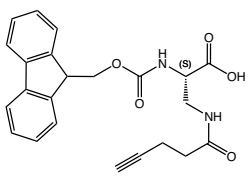
Propargylalanine and Propionic Acid Derivatives

Product details

FAA4170 Fmoc-L-Dap(Pentynoyl)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-N-beta-(4-pentynoyl)-L-2,3-diaminopropionic acid

CAS-No. 2250436-47-4
Formula $C_{23}H_{22}N_2O_5$
Mol. weight 406,43 g/mol

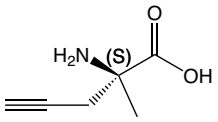


Product details

HAA5510 H-alpha-Prg-D-Ala-OH

(S)-a-Propargylalanine (>98%, >98%ee)

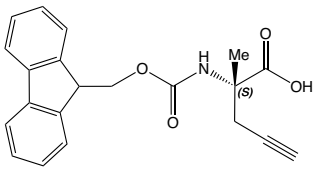
CAS-No. 1231709-27-5
Formula $C_6H_9NO_2$
Mol. weight 127,14 g/mol



FAA2080 Fmoc-alpha-Prg-D-Ala-OH

(S)-2-[(9-Fluorenylmethyloxycarbonyl)amino]-2-methyl-4-pentynoic acid

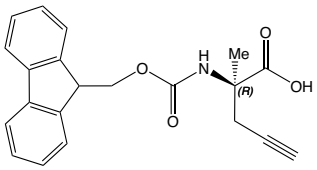
CAS-No. 1198791-58-0
Formula $C_{21}H_{19}NO_4$
Mol. weight 349,38 g/mol



FAA2070 Fmoc-alpha-Prg-L-Ala-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-alpha-propargyl-L-alanine, solvate with 20 to 50% MTBE (98%, 98%ee)

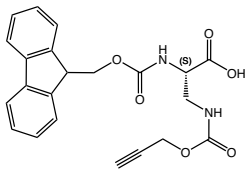
CAS-No. 1198791-65-9
Formula $C_{21}H_{19}NO_4$
Mol. weight 349,38 g/mol



FAA4230 Fmoc-L-Dap(Poc)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-N-beta-propargyloxycarbonyl-L-2,3-diaminopropionic acid

CAS-No. 2250437-44-4
Formula $C_{22}H_{20}N_2O_6$
Mol. weight 408,41 g/mol



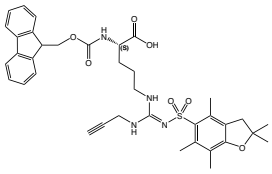
Propargylarginine

Product details

FAA7400 Fmoc-L-Arg(Propargyl,Pbf)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-*N'*-(2,2,4,6,7-pentamethyldihydrobenzofuran)-*N''*-propargyl-5-sulfonyl-L-arginine

Formula $C_{37}H_{42}N_4O_7S$
Mol. weight 686,82 g/mol



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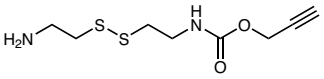
Propargylcysteine

Product details

PAA1170 Poc-Cystamine*HCl

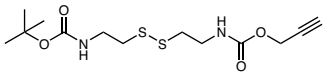
Prop-2-yn-1-yl (2-((2-aminoethyl)disulfaneyl)ethyl) carbamate



CAS-No.	1266354-28-2 net
Formula	$C_8H_{14}N_2O_2S_2$*HCl
Mol. weight	234,33*36,45 g/mol

BNN1320 Boc-Cystamine-Poc

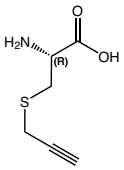
Tert-butyl (2-((2-(((prop-2-yn-1-yloxy)carbonyl)amino) ethyl)disulfaneyl)ethyl)carbamate



CAS-No.	2171512-56-2
Formula	$C_{13}H_{22}N_2O_4S_2$
Mol. weight	334,45 g/mol

HAA2350 H-L-Cys(Propargyl)-OH*HCl

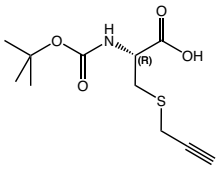
S-Propargyl-L-cysteine hydrochloride



CAS-No.	3262-64-4 net
Formula	$C_6H_9NO_2S$*HCl
Mol. weight	159,21*36,45 g/mol

BAA2250 Boc-L-Cys(Propargyl)-OH*DCHA

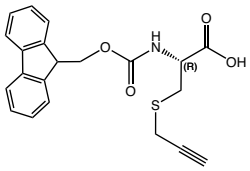
N-alpha-t-Butyloxycarbonyl-S-propargyl-L-cysteine dicyclohexylamine



CAS-No.	1260119-25-2 net
Formula	$C_{11}H_{17}NO_4S$*$C_{12}H_{23}N$
Mol. weight	259,32*181,32 g/mol

FAA3810 Fmoc-L-Cys(Propargyl)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-S-propargyl-L-cysteine



CAS-No.	1354752-76-3
Formula	$C_{21}H_{19}NO_4S$
Mol. weight	381,44 g/mol

References:

→ *Photoinduced addition of glycosyl thiols to alkynyl peptides: use of free-radical thiol-yne coupling for post-translational double-glycosylation of peptides; M. Lo Conte, S. Pacifico, A. Chambery, A. Marra, A. Dondoni;* ***J Org Chem*** *2010;* ***75****: 4644-7. https://doi.org/10.1021/jo1008178*

→ *Neoglycopeptides through direct functionalization of cysteine; C. Vala, F. Chrétien, E. Balentova, S. Lamandé-Langle and Y. Chapleur;* ***Tetrahedron Letters*** *2011;* ***52****: 17-20. https://doi.org/10.1016/j.tetlet.2010.10.021*

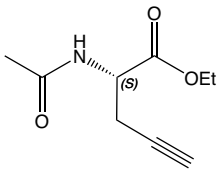
Propargylglycine

Product details

AAA1937 Ac-L-Pra-OEt

N-alpha-Acetyl-L-propargylglycine ethyl ester

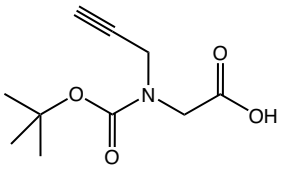
Formula $C_9H_{13}NO_3$
Mol. weight 183,2 g/mol



BAA3230 Boc-N-(propargyl)-glycine

N-alpha-*t*-Butyloxycarbonyl-N-alpha-propargyl-glycine

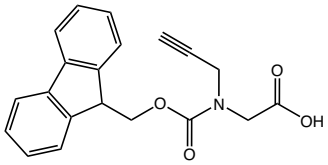
CAS-No. 158979-29-4
Formula $C_{10}H_{12}NO_4$
Mol. weight 213,23 g/mol



FAA4950 Fmoc-N-(propargyl)-glycine

N-alpha-(9-Fluorenylmethyloxycarbonyl)-N-alpha-propargyl-glycine

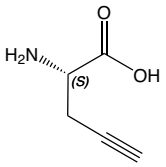
CAS-No. 1033622-38-6
Formula $C_{20}H_{17}NO_4$
Mol. weight 335,35 g/mol



HAA7151 H-L-Pra-OH

L-Propargylglycine

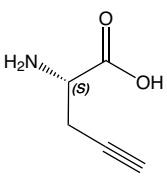
CAS-No. 23235-01-0
Formula $C_5H_7NO_2$
Mol. weight 113,11 g/mol



HAA7150 H-L-Pra-OH*HCl

L-Propargylglycine hydrochloride

CAS-No. 23235-01-0net
Formula $C_5H_7NO_2$*HCl
Mol. weight 113,11*36,45 g/mol



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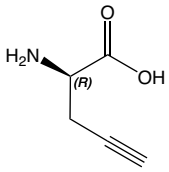
Product details

HAA6490 H-D-Pra-OH*HCl

D-Propargylglycine hydrochloride

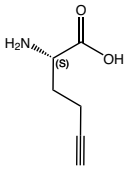
CAS-No.	23235-03-2
Formula	$C_5H_8NO_2$*HCl
Mol. weight	113,11*36,45 g/mol



HAA3470 H-L-HPra-OH*HCl

L-Homopropargylglycine hydrochloride

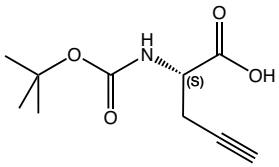
CAS-No.	942518-19-6
Formula	$C_6H_9NO_2$*HCl
Mol. weight	127,14 g/mol



BAA1434 Boc-L-Pra-OH*DCHA

N-alpha-(*t*-Butyloxycarbonyl)-L-propargylglycine dicyclohexylamine

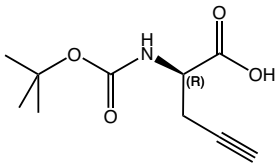
CAS-No.	63039-49-6
Formula	$C_{10}H_{15}NO_4$*$C_{12}H_{23}N$
Mol. weight	213,23*181,32 g/mol



BAA1377 Boc-D-Pra-OH*DCHA

N-alpha-*t*-Butyloxycarbonyl-D-propargylglycine dicyclohexylamine

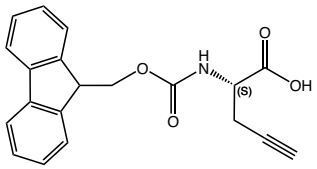
CAS-No.	63039-47-4
Formula	$C_{10}H_{15}NO_4$*$C_{12}H_{23}N$
Mol. weight	213,23*181,32 g/mol



FAA1589 Fmoc-L-Pra-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-L-propargylglycine

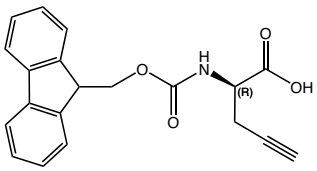
CAS-No.	198561-07-8
Formula	$C_{20}H_{17}NO_4$
Mol. weight	335,35 g/mol



FAA1690 Fmoc-D-Pra-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-D-propargylglycine

CAS-No.	220497-98-3
Formula	$C_{20}H_{17}NO_4$
Mol. weight	335,36 g/mol

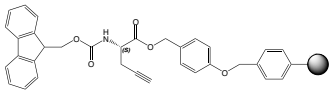


Product details

WAA6025 Fmoc-L-Pra-Wang Resin

Fmoc-L-Propargylglycine-Wang Resin

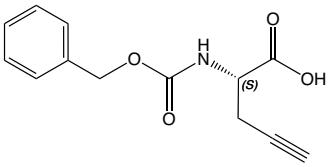
Mesh Size	100-200 mesh
DVB	1% DVB



ZAA1240 Z-L-Pra-OH

N-alpha-Benzyloxycarbonyl-L-propargylglycine

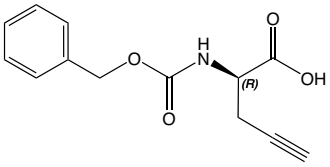
Formula	$C_{13}H_{13}NO_4$
Mol. weight	246,96 g/mol



ZAA1210 Z-D-Pra-OH*DCHA

N-alpha-Benzyloxycarbonyl-D-propargylglycine dicyclohexylamine

Formula	$C_{13}H_{13}NO_4*C_{12}H_{23}N$
Mol. weight	246,96*181,32 g/mol



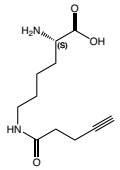
Propargyllysine

Product details

HAA2085 H-L-Lys(Pentynoyl)-OH

(S)-2-Amino-6-(pent-4-ynamido)hexanoic acid

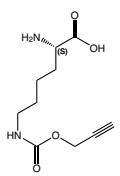
Formula	$C_{11}H_{18}N_2O_3$ *HCl
Mol. weight	226,27 *36,5 g/mol



HAA2090 H-L-Lys(Poc)-OH*HCl

(S)-Amino-6-((prop-2-ynyloxy)carbonylamino)hexanoic acid hydrochloride

CAS-No.	1428330-91-9
Formula	$C_{10}H_{16}N_2O_4$*HCl
Mol. weight	228,25*36,45 g/mol



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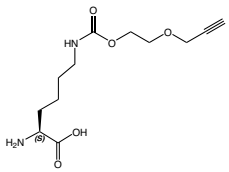
Product details

HAA9390 H-L-Lys(CO-Ethoxypropargyl)-OH*HCl

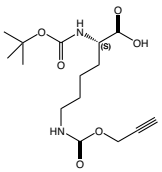
(2S)-2-amino-6-({[2-(prop-2-yn-1-yloxy)ethoxy]carbonyl}amino)hexanoic acid



Formula	$C_{12}H_{20}N_2O_5$*HCl
Mol. weight	272,30*36,45 g/mol

BAA1960 Boc-L-Lys(Poc)-OH

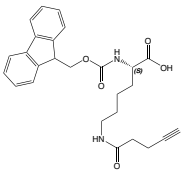
(S)-2-(*t*-Butyloxycarbonylamino)-6-((prop-2-ynyloxy)carbonylamino)hexanoic acid



Formula	$C_{15}H_{24}N_2O_6$
Mol. weight	328,36 g/mol

FAA4175 Fmoc-L-Lys(pentynoyl)-OH

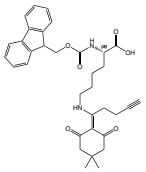
N-alpha-(9-Fluorenylmethyloxycarbonyl)-N-epsilon-(4-pentynoyl)-L-lysine



CAS-No.	1159531-18-6
Formula	$C_{26}H_{28}N_2O_5$
Mol. weight	448,51 g/mol

FAA8115 Fmoc-L-Lys(Pentynoyl-DIM)-OH

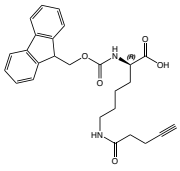
N-alpha-(9-Fluorenylmethyloxycarbonyl)-N-epsilon-[1-(4,4-dimethyl-2,6- dioxocyclohexylidene)pent-4-yn-1-yl]-L-lysine



CAS-No.	2408993-33-7
Formula	$C_{34}H_{38}N_2O_6$
Mol. weight	570,69 g/mol

FAA8135 Fmoc-D-Lys(pentynoyl)-OH

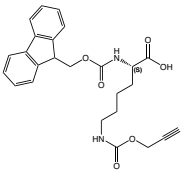
N-alpha-(9-Fluorenylmethyloxycarbonyl)-N-epsilon-(4-pentynoyl)-D-lysine



CAS-No.	2576508-18-2
Formula	$C_{26}H_{28}N_2O_5$
Mol. weight	448,51 g/mol

FAA3150 Fmoc-L-Lys(Pryoc)-OH

(S)-2-((9-Fluorenylmethyloxyl)amino)-6-((prop-2-ynyloxy)carbonylamino)hexanoic acid

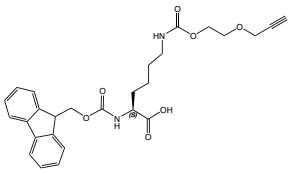


CAS-No.	1584133-25-4
Formula	$C_{25}H_{26}N_2O_6$
Mol. weight	450,48 g/mol

FAA8905 Fmoc-L-Lys(CO-Ethoxypropargyl)-OH

(2S)-2-({[(9H-fluoren-9-yl)methoxy]carbonyl}amino)-6-({[2-(prop-2-yn-1-yloxy)ethoxy]carbonyl}amino) hexanoic acid

Formula $C_{27}H_{30}N_2O_7$
Mol. weight 494,54 g/mol

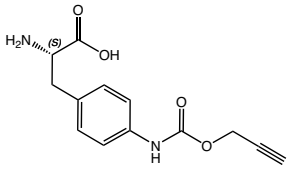


Propargylphenylalanine

HAA4970 H-L-Phe(4-NH-Poc)-OH*HCl

4-(Propargyloxycarbonyl)amino-L-phenylalanine hydrochloride

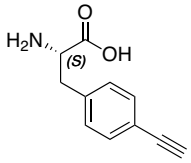
CAS-No. 2737202-66-1
Formula $C_{13}H_{14}N_2O_4$*HCl
Mol. weight 262,26*36,45 g/mol



HAA9220 H-L-Phe(4-Eth)-OH*HCl

4-Ethynyl-L-phenylalanine hydrochloride

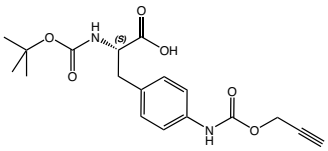
CAS-No. 188640-63-3
Formula $C_{11}H_{11}NO_2$*HCl
Mol. weight 189,21*36,46 g/mol



BAA3980 Boc-L-Phe(4-NH-Poc)-OH

N-alpha-*t*-Butyloxycarbonyl-4-(propargyloxycarbonyl) amino-L-phenylalanine

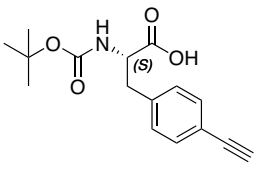
CAS-No. 2576508-03-5
Formula $C_{18}H_{22}N_2O_6$
Mol. weight 362,38 g/mol



BAA4670 Boc-L-Phe(4-Eth)-OH

N-alpha-*t*-Butyloxycarbonyl-4-Ethynyl-L-phenylalanine

CAS-No. 169158-05-8
Formula $C_{16}H_{19}NO_4$
Mol. weight 289,33 g/mol



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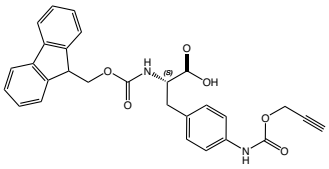
Product details

FAA7720 Fmoc-L-Phe(4-NH-Poc)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-4-propargyloxycarbonylamino-L-phenylalanine

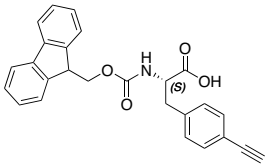
CAS-No.	2576508-07-9
Formula	$C_{28}H_{24}N_2O_6$
Mol. weight	484,5 g/mol



FAA8530 Fmoc-L-Phe(4-Eth)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-4-ethynyl-L-phenylalanine

CAS-No.	1228049-41-9
Formula	$C_{26}H_{21}NO_4$
Mol. weight	411,46 g/mol



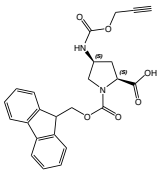
Propargylproline

Product details

FAA7130 Fmoc-L-Pro(4-NHPoc)-OH (2S,4S)

(2S,4S)-1-(9-Fluorenylmethyloxycarbonyl)-4-(propargyloxycarbonyl)amino-pyrrolidine-2-carboxylic acid

CAS-No.	2451202-17-6
Formula	$C_{24}H_{22}N_2O_6$
Mol. weight	434,44 g/mol



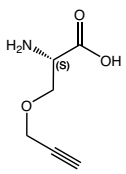
Propargylserine

Product details

HAA2355 H-L-Ser(Propargyl)-OH*HCl

O-Propargyl-L-serine hydrochloride

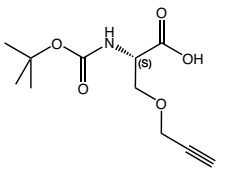
CAS-No.	1379150-93-2
Formula	$C_6H_9NO_3$*HCl
Mol. weight	143,14*36,45 g/mol



BAA2260 Boc-L-Ser(Propargyl)-OH*DCHA

N-alpha-*t*-Butyloxycarbonyl-O-propargyl-L-serine dicyclohexylamine

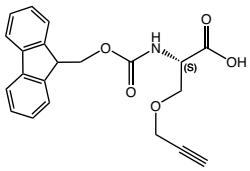
CAS-No.	145205-94-3 (net)
Formula	$C_{11}H_{17}NO_5$*$C_{12}H_{23}N$
Mol. weight	243,26*181,32 g/mol



FAA3820 Fmoc-L-Ser(Propargyl)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-O-propargyl-L-serine

CAS-No.	1354752-75-2
Formula	$C_{21}H_{19}NO_5$
Mol. weight	365,38 g/mol



References:

- *Isoxazolyl-serine-based agonists of peroxisome proliferator-activated receptor: design, synthesis, and effects on cardiomyocyte differentiation; Z. L. Wei, P. A. Petukhov, F. Bizik, J. C. Teixeira, M. Mercola, E. A. Volpe, R. I. Glazer, T. M. Willson, A. P. Kozikowski;* ***J Am Chem Soc*** *2004;* ***126****: 16714-5. https://doi.org/10.1021/ja046386l*
- *Lacosamide isothiocyanate-based agents: novel agents to target and identify lacosamide receptors; K. D. Park, P. Morieux, C. Salome, S. W. Cotten, O. Reamtong, C. Eyers, S. J. Gaskell, J. P. Stables, R. Liu, H. Kohn;* ***J Med Chem*** *2009;* ***52****: 6897-911. https://doi.org/10.1021/jm9012054*

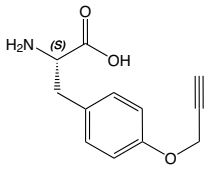
Propargyltyrosine

Product details

HAA1970 H-L-Tyr(Propargyl)-OH

O-Propargyl-L-tyrosine

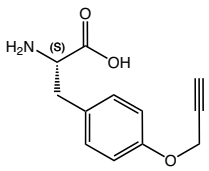
CAS-No.	610794-20-2
Formula	$C_{12}H_{13}NO_3$
Mol. weight	219,24 g/mol



HAA1971 H-L-Tyr(Propargyl)-OH*HCl

O-Propargyl-L-tyrosine hydrochloride

CAS-No.	1919043-11-0
Formula	$C_{12}H_{13}NO_3$*HCl
Mol. weight	219,24*36,45 g/mol



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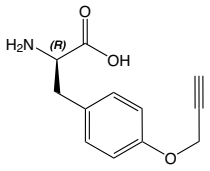
Product details

HAA2020 H-D-Tyr(Propargyl)-OH

O-Propargyl-D-tyrosine

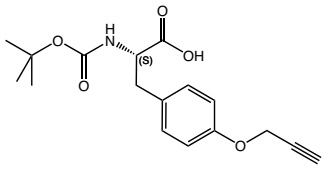
CAS-No. 1170674-20-0
Formula $C_{12}H_{13}NO_3$
Mol. weight 219,24 g/mol



BAA2265 Boc-L-Tyr(Propargyl)-OH*DCHA

N-alpha-*t*-Butyloxycarbonyl-O-propargyl-L-tyrosine dicyclohexylamine

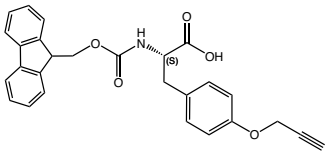
CAS-No. 340732-79-8 (net)
Formula $C_{17}H_{21}NO_5$
Mol. weight 319,35 g/mol



FAA3830 Fmoc-L-Tyr(Propargyl)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-O-propargyl-L-tyrosine

CAS-No. 1204595-05-0
Formula $C_{27}H_{23}NO_5$
Mol. weight 441,48 g/mol



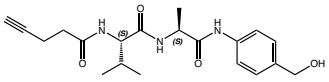
Alkyne-ADC Linker

Product details

ADC1310 4-Pentynoyl-Val-Ala-PAB

4-pentynoyl-valyl-alanyl-(4-aminobenzyl alcohol)

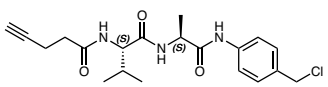
CAS-No. 1956294-75-9
Formula $C_{20}H_{27}N_3O_4$
Mol. weight 373,45 g/mol



ADC1690 4-Pentynoyl-Val-Ala-PAB-Cl

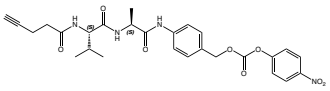
4-Penynoyl-valyl-alanyl-(4-aminobenzyl chloride)

Formula $C_{20}H_{26}ClN_3O_3$
Mol. weight 391,90 g/mol



ADC1320 4-Pentynoyl-Val-Ala-PAB-PNP

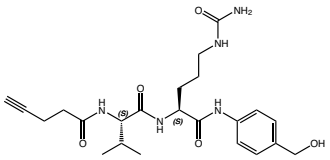
4-pentynoyl-valyl-alanyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate



CAS-No.	1956294-76-0
Formula	$C_{27}H_{30}N_4O_8$
Mol. weight	538,55 g/mol

ADC1140 4-Pentynoyl-Val-Cit-PAB

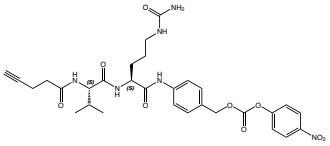
4-pentynoyl-valyl-citrullyl-(4-aminobenzyl alcohol)



Formula	$C_{23}H_{33}N_5O_5$
Mol. weight	459,54 g/mol

ADC1150 4-Pentynoyl-Val-Cit-PAB-PNP

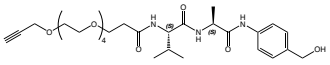
4-pentynoyl-valyl-citrullyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate



Formula	$C_{30}H_{36}N_6O_9$
Mol. weight	624,64 g/mol

ADC1350 Alkyne-PEG(4)-Val-Ala-PAB

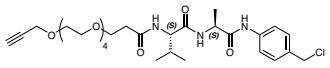
propargyl-tetraethyleneglycol-propanoyl-valyl-alanyl-(4-aminobenzyl alcohol)



Formula	$C_{29}H_{45}N_3O_9$
Mol. weight	579,68 g/mol

ADC1720 Alkyne-PEG(4)-Val-Ala-PAB-Cl

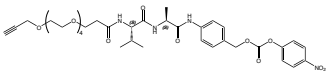
Propargyl-tetraethyleneglycol-propanoyl-valyl-alanyl-(4-aminobenzyl chloride)



Formula	$C_{29}H_{44}ClN_3O_8$
Mol. weight	598,13 g/mol

ADC1360 Alkyne-PEG(4)-Val-Ala-PAB-PNP

propargyl-tetraethyleneglycol-propanoyl-valyl-alanyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate



Formula	$C_{36}H_{48}N_4O_{13}$
Mol. weight	744,79 g/mol

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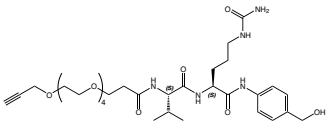
Product details

ADC1180 Alkyne-PEG(5)-Val-Cit-PAB

propargyl-tetraethyleneglycol-propanoyl-valyl-citrul-lyl-(4-aminobenzyl alcohol)

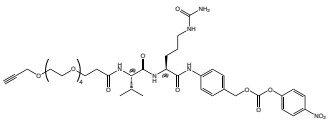
Formula $C_{32}H_{51}N_5O_{10}$
Mol. weight 665,77 g/mol



ADC1190 Alkyne-PEG(5)-Val-Cit-PAB-PNP

propargyl-tetraethyleneglycol-propanoyl-valyl-citrul-lyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate

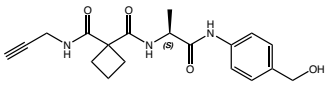
Formula $C_{39}H_{54}N_6O_{14}$
Mol. weight 830,88 g/mol



ADC1600 Propargyl-cyclobutane-1,1-dicarboxamide-Ala-PAB

propargyl-cyclobutane-1,1-dicarboxamide-ala-nyl-(4-aminobenzyl alcohol)

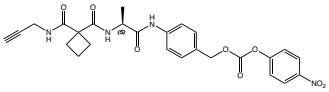
Formula $C_{19}H_{23}N_3O_4$
Mol. weight 357,40 g/mol



ADC1610 Propargyl-cyclobutane-1,1-dicarboxamide-Ala-PAB-PNP

propargyl-cyclobutane-1,1-dicarboxamide-ala-nyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate

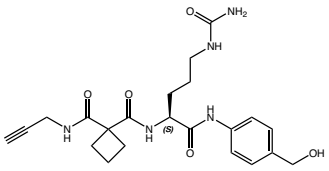
Formula $C_{26}H_{26}N_4O_8$
Mol. weight 522,51 g/mol



ADC1500 Propargyl-cyclobutane-1,1-dicarboxamide-Cit-PAB

propargyl-cyclobutane-1,1-dicarboxamide-citrul-lyl-(4-aminobenzyl alcohol)

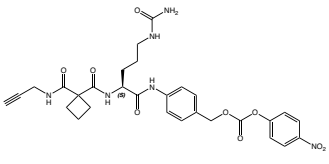
Formula $C_{22}H_{29}N_5O_5$
Mol. weight 443,50 g/mol



ADC1510 Propargyl-cyclobutane-1,1-dicarboxamide-Cit-PAB-PNP

propargyl-cyclobutane-1,1-dicarboxamide-citrul-lyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate

Formula $C_{29}H_{32}N_6O_9$
Mol. weight 608,60 g/mol



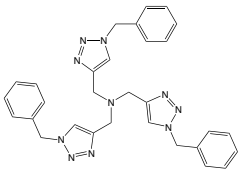
Auxiliary Reagents

Product details

RL-2010 TBTA

Tris[(1-benzyl-1H-1,2,3-triazol-4-yl)methyl]amine

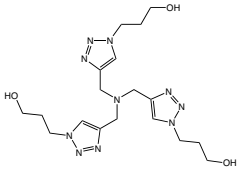
CAS-No.	510758-28-8
Formula	$C_{30}H_{30}N_{10}$
Mol. weight	530,63 g/mol



RL-2210 THPTA

Tris(3-hydroxypropyltriazolylmethyl)amine

CAS-No.	760952-88-3
Formula	$C_{18}H_{30}N_{10}O_3$
Mol. weight	434,51 g/mol



Iris Biotech

Any Questions or Suggestions?

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3. Spermines and Amines for Click Chemistry

Polyamines are aliphatic cations with multiple functions in cell proliferation and differentiation and are essential for normal cell growth and development in eukaryotes. These molecules carry positive charges at their primary and secondary amino groups at physiological pH. Consequently, polyamines bind to various anionic macromolecules including DNA, RNA, acidic phospholipids, and certain proteins. These polycationic alkylamines are involved in various critical cellular functions, such as maintaining chromatin structure, regulating ion-channels, maintaining membrane stability, modulating protein synthesis, and scavenging free radicals. Polyamines also serve as substrates for transglutaminase reactions and for the synthesis of the translational regulator hypusine.

Crucial parts of the biological function of polyamines are the regulation of gene expression by altering DNA structure, the modulation of protein synthesis by binding to RNA, and the modulation of signal transduction pathways. The binding of polyamines to both RNA and DNA leads to conformational changes of those nucleic acids. Polyamines cause the conformational transition of DNA from the B form to the Z form and also cause bending of DNA. Both structural alterations are known to influence transcription. Close to 80% of all polyamines in the cell are associated with RNA, while spermine in particular has been shown to stabilize tRNA structures. Binding of polyamines to RNA causes structural changes that increase the efficiency of protein synthesis.

Polyamines are also known to modulate DNA-protein interactions, e.g. by enhancing the binding of specific gene-regulatory proteins to certain regulatory sequences termed response elements. The polyamine spermine has been reported to facilitate the binding of estrogen receptor and nuclear factor κB (NF-κB) to their respective response elements at 100 to 500 µM concentrations. Polyamines are also involved in modulating ligand-receptor interactions, for example N-methyl-D-aspartate (NMDA) receptors, which are important for the excitatory synaptic transmission in the brain and spinal cord.

Moreover, polyamines have been implicated as important molecules in virus-host interactions since many viruses utilize and manipulate polyamines for their own replication. Those pathogens depend on the presence of polyamines for numerous aspects of their replication cycles, such as DNA and RNA polymerization, genome packaging, and viral protein translation. Certain viruses even appear to stimulate polyamine synthesis upon infection, a fact that underlines the importance of this class of molecules for the viral life cycle.

The polyamine metabolic pathway and thus polyamine levels are strictly regulated in cells. However, dysregulation of polyamine metabolism is a frequently observed event in cancer. For example, elevated levels of polyamines have been associated with breast, colon, prostate, and skin cancers. Consequently, polyamine synthesis, metabolism, uptake, and function may be promising targets for cancer therapy.

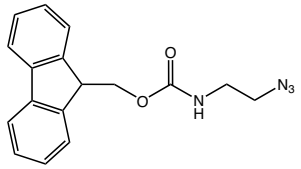
References:

- → *Structural analysis of DNA interactions with biogenic polyamines and cobalt(III)hexamine studied by Fourier transform infrared and capillary electrophoresis; A. A. Ouameur, H. A. Tajmir-Riahi;* ***J Biol Chem*** *2004;* ***279****: 42041-54. https://doi.org/10.1074/jbc.M406053200*
- → *Polyamines and Their Role in Virus Infection; B. C. Mounce, M. E. Olsen, M. Vignuzzi, J. H. Connor;* ***Microbiol. Mol. Biol. Rev.*** *2017;* ***81****: e00029-17. https://doi.org/10.1128/MMBR.00029-17*
- → *Targeting polyamine metabolism for cancer therapy and prevention; T. R. Murray-Stewart, P. M. Woster, R. A. Casero, Jr.;* ***Biochem J*** *2016;* ***473****: 2937-53. https://doi.org/10.1042/BCJ20160383*
- → *Polyamine catabolism in carcinogenesis: potential targets for chemotherapy and chemoprevention; V. Battaglia, C. DeStefano Shields, T. Murray-Stewart, R. A. Casero, Jr.;* ***Amino Acids*** *2014;* ***46****: 511-9. https://doi.org/10.1007/s00726-013-1529-6*
- → *Targeting polyamine metabolism and function in cancer and other hyperproliferative diseases; R. A. Casero, Jr., L. J. Marton;* ***Nat Rev Drug Discov*** *2007;* ***6****: 373-90. https://doi.org/10.1038/nrd2243*

FNN1020 Fmoc-EDA-N_3

1-[(9-Fluorenylmethyloxycarbonyl)amino]-2-azidoethane

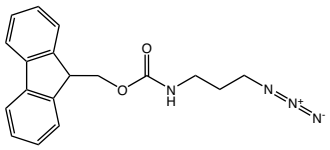
CAS-No.	432507-62-5
Formula	$C_{17}H_{16}N_4O_2$
Mol. weight	308,33 g/mol



FNN1030 Fmoc-DAP-N_3

1-[(9-Fluorenylmethyloxycarbonyl)amino]-3-azidopropane

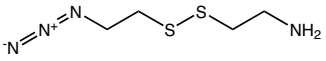
CAS-No.	1021422-85-4
Formula	$C_{18}H_{18}N_4O_2$
Mol. weight	322,36 g/mol



HNN1090 N_3-Cystamine*HCl

Azido-cystamine hydrochloride

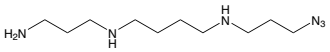
CAS-No.	1807512-40-8 net
Formula	$C_4H_{10}N_4S_2$*HCl
Mol. weight	178,28*36,45 g/mol



SNN1170 Spermine(HHHN_3)*3HCl

N1-(3-Aminopropyl)-N4-(3-azidopropyl)butane-1,4-diamine trihydrochloride

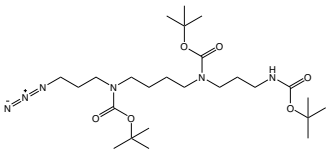
CAS-No.	1823475-98-4
Formula	$C_{10}H_{24}N_6$*3HCl
Mol. weight	228,34*109,38 g/mol



SNN1230 Spermine(N_3BBB)

tert-butyl (4-((3-azidopropyl)(*tert*-butoxycarbonyl)amino)butyl)(3-((*tert*-butoxycarbonyl)amino)propyl)carbamate

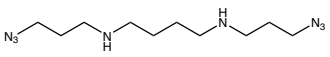
CAS-No.	1190203-80-5
Formula	$C_{25}H_{48}N_6O_6$
Mol. weight	528,70 g/mol



SNN1210 Spermine(N_3HHN_3)*2TsOH

N1-(3-Aminopropyl)-N4-(3-azidopropyl)butane-1,4-diamine bistosylate

CAS-No.	2250433-79-3 net
Formula	$C_{10}H_{22}N_8$*$C_{14}H_{16}O_6S_2$
Mol. weight	254,34*344,40 g/mol



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4. Click Reagents for Drug Delivery

4.1. Principles of Polymer Therapeutics

Peptides, proteins, and other biomolecules have a high potential as drugs due to their usually high specificity and efficacy. However, they often show poor pharmacokinetic properties, with their low stability under physiological conditions being a major factor. Since synthetic biomolecules are similar to endogenous molecules found in the human body, they are quickly degraded by enzymes and cleared from the system. Especially peptides and small proteins are susceptible to renal clearance. Additionally, the immunogenic responses and side effects elicited by many drugs, in particular protein drugs, are exacerbated by their hydrophobicity. Conjugation to biocompatible polymers, such as PEG (poly(ethylene glycol)), PGA (poly(glutamic acid)) or POX (Poly(2-oxazoline)), increases aqueous solubility of a drug and often drastically enhances its pharmacokinetics at both the whole organism and subcellular level.

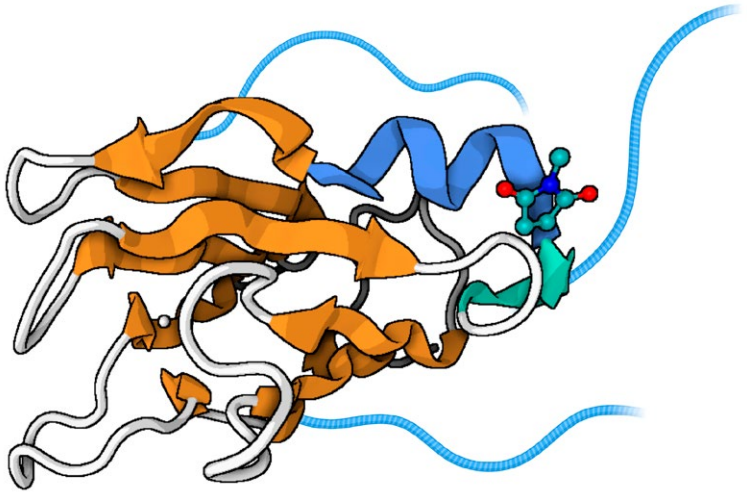

Fig. 12: PEGylation increases the hydrodynamic radius and aqueous solubility of proteins (example: PEGylated plastocyanin, adapted from Cattani et al., Nat Chem 2015).

This may significantly improve therapeutic outcomes by increasing drug circulation times. Moreover, Polymer Therapeutics (PT) allow for combination therapies based on the co-transport of multiple APIs to certain tissues or subcellular locations. By using bi- or multifunctional polymers, a linkage between two compounds can be formed or multivalent conjugates generated.

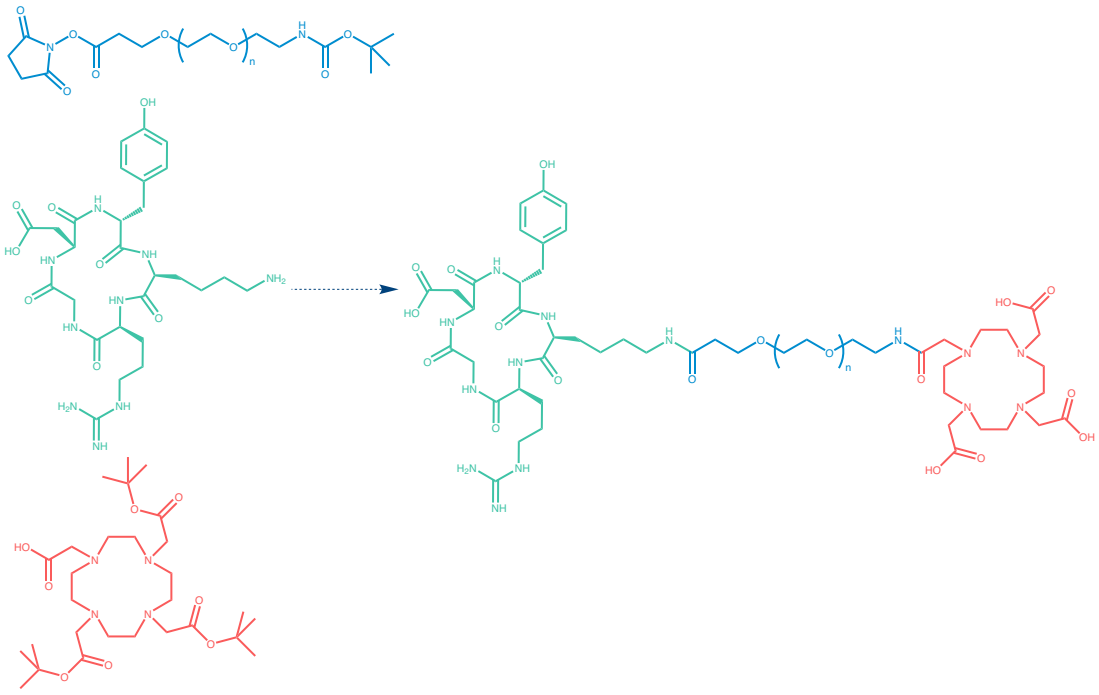

Fig. 13: Synthesis of a PEGylated RGD-DOTA conjugate for PET imaging (adapted from Chen et al., J. Nucl. Med. 2004).

The main advantage of proteins, antibodies, siRNA, mRNA and other biomolecules when applied as drugs is their high specificity in combination with their low side effects, as they usually only interact with their dedicated target. A current focus is the study of targeted drug delivery systems for the controlled delivery and/or release of therapeutic agents. To this end, a biocompatible polymeric carrier is covalently linked to an active agent and a targeting moiety. This recognition part can be a peptide or protein that specifically binds to a certain cellular receptor, or an antibody against a specific antigen on the cell surface. Especially in the context of antibody-drug-conjugates, the introduction of a PEG moiety can be very beneficial for pharmacokinetics by attenuating the frequently hydrophobic nature of payload molecules. After internalization of the whole conjugate, the active part (e.g. a nucleic acid or toxin) is released by e.g. variations in pH, temperature, or enzyme concentration. Consequently, the active agent is enabled to exert its function (e.g. the inhibition of a certain enzyme or the initiation of apoptosis) at a high local drug concentration, further increasing drug efficacy. If the active agent and/or the targeting entity are tailored to certain characteristics of an individual or a group of individuals (e.g. specific cancer cell antigens), this approach opens the door to individualized therapies (“personalized medicine”).

To enlarge the field of Polymer Therapeutics to new classes of drug molecules, there is a constant search for new types of polymers. One interesting group are homopolymers of amino acids. Typical examples are polylysine and poly-α-glutamic acid (PGA) - polymers that do not exist in nature, but which show good physiological properties due to their similarity to natural proteins. In particular, poly(glutamic acid) has been identified as suitable carrier system.

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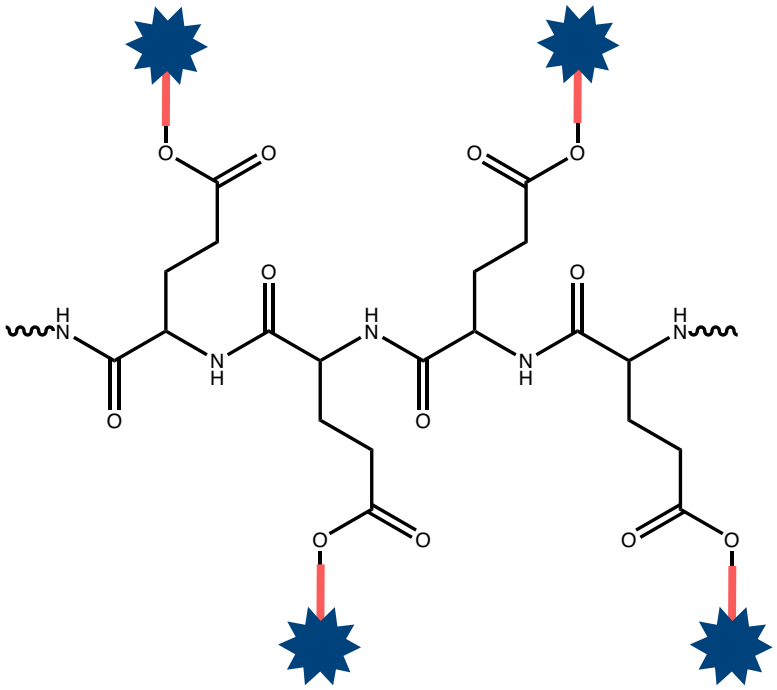


Fig. 14: Multivalent presentation of a drug on poly-α-(glutamic acid).

PGA shows the ability to conjugate with partners on its N- and C-termini, analogous to the alpha and omega derivatization of a PEG – poly(ethylene glycol). Additionally, the glutamic acid side chains may be used for further decoration of the polymer. Therefore, a multivalent presentation of a specific molecule along the polymer chain is possible, which is especially interesting for small molecules (*Fig. 14*). It is theoretically also possible to PEGylate a small molecule. However, inactivation of the small drug molecule is often the consequence. PGA is hence an ideal carrier for low molecular weight APIs.

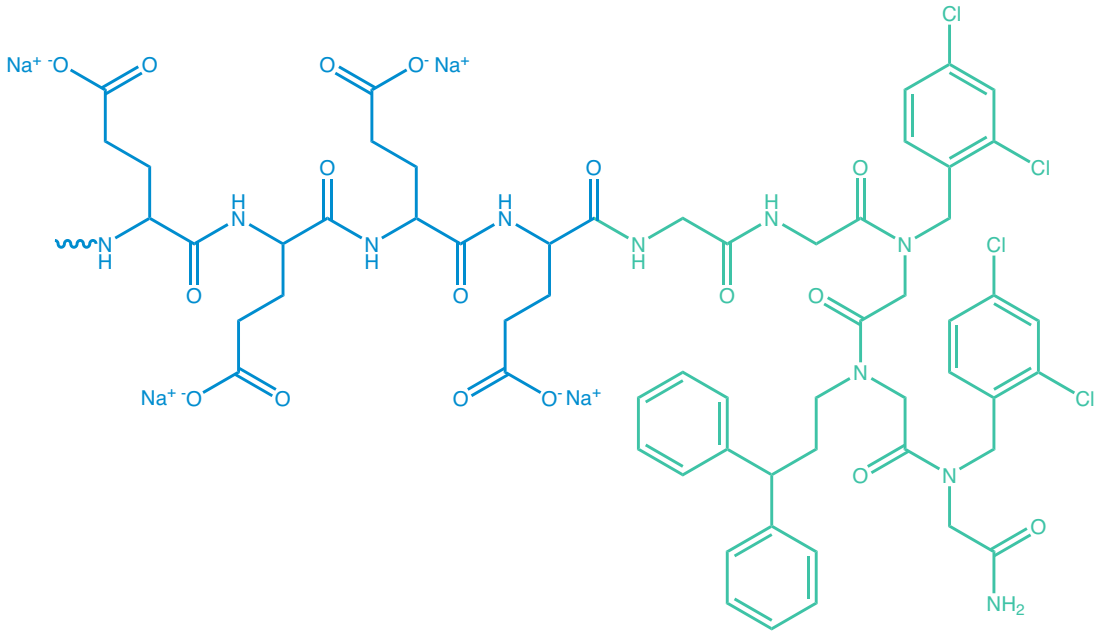


Fig. 15: A pentapeptide (green) conjugated to poly-α (glutamic acid) (blue).

4.2. PEGylation Improves Drug Delivery and Pharmacokinetics

Small drug molecules, but also large biomolecules like antibodies suffer from rapid clearance, causing a sharp decrease in plasma concentration of the drug as it is removed from the body. Consequently, drug administration has to be repeated within relatively short time intervals in order to keep its plasma concentration over a certain threshold. Otherwise, immunogenic reactions may be triggered.

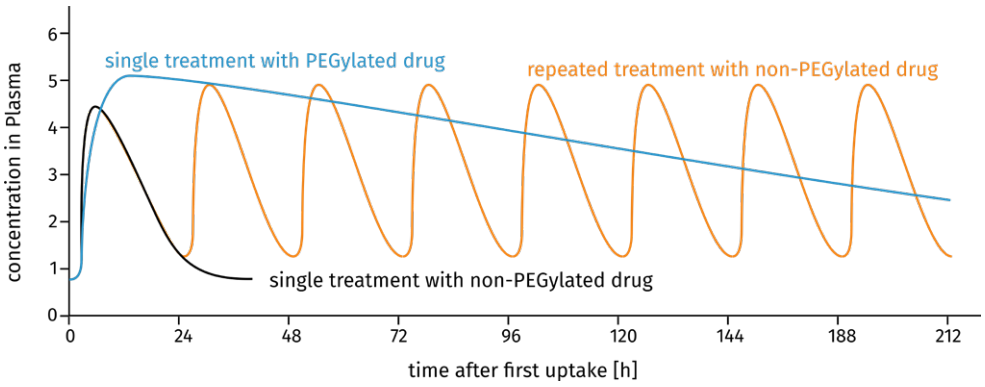
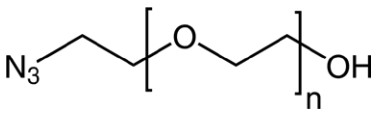


Fig. 16: Pharmacokinetic properties of a PEGylated drug in comparison to a non-PEGylated drug.

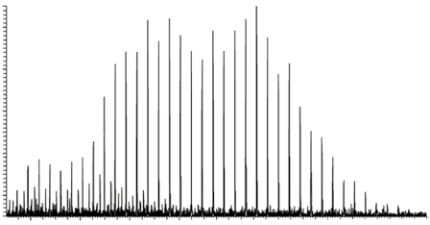
PEGylated drugs show decreased rates of renal clearance and reduced immunogenicity. Consequently, plasma half-life of the drug is significantly increased, extending the time intervals between applications of the drug over the course of the treatment. This is due to the following mechanisms:

1. Preventing Degradation and Reducing Immunogenicity:

PEG chains cover the surface of a biopharmaceutical and thus effectively shield it from recognition by the immune system. This PEG layer has characteristics that are rather similar to a solvent, preventing uptake by cells of the retinal endothelial system (macrophage system). Therefore, recognition by the immune system (antibodies, proteases, and other degradation enzymes) is significantly attenuated. The drug stays intact and is not degraded or metabolized during its presence in and journey through the body.



Poly(ethylene glycol) is a polymeric linear structure with repeating polyethylene oxide units.



Mass spectrum of a polyethylene glycol showing the typical signals with a difference of m/z = 44

$$Đ = \frac{M_w^\circ}{M_n^\circ} \geq 1 \text{ with } M_w^\circ = \frac{\sum N_x M_x^2}{\sum N_x M_x} \text{ and } M_n^\circ = \frac{\sum N_x M_x}{\sum N_x}$$

Whenever there is a distribution of molecular weights, the weight average M_w° is always greater than the number average M_n° and the polydispersity Đ is greater than 1.

Fig. 17: Composition of poly(ethylene glycols), typical mass spectrum of a polydisperse PEG, and formula for the polydispersity Đ.

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2. Preventing Excretion:

PEG is very hygroscopic by nature and surrounded by a large solvation sphere of water. Thus, the overall hydrodynamic radius of a biopharmaceutical may be increased by PEGylation by up to an order of magnitude, to a size larger than the diameter of the glomerular capillaries (6 to 12 nm). Consequently, a PEGylated drug can no longer be excreted through the kidneys, and pharmacologic half-life is significantly extended.

Chemical/Physical Properties and Quality Parameters of PEGs, Dispersity

Depending on whether a given PEG consists of a single molecular weight species (a defined number n of repeating units) or of a range of species with an average mass and a distribution of n around a mean value, PEG polymers are referred to as monodisperse or polydisperse, respectively. If the polymer is polydisperse, its mass spectrum will show a range of different molecular weights (*Fig. 17*).

A measure of the distribution of molecular weights in a polymer is given by the Dispersity Đ, which is defined as the ratio between the weight average molecular weight M_w and the number average molecular weight M_n. The weight average M_w does not "count" species just by their number but takes into account the total weight of each species and is therefore a much more realistic indicator of the gross mechanical properties of a polymer.

In case of a homogeneous PEG, which consists only of one polymer species with a defined chain length, M_w is equal to M_n, thus the dispersity Đ equals 1 and the compound is referred to as monodisperse. Whenever there is a distribution of molecular weights, the weight average M_w is always greater than the number average M_n, and consequently the dispersity Đ is greater than 1. The dispersity of PEGs typically used in PEGylations ranges between 1.05 and 1.50.

However, whenever a PEGylated drug candidate needs to be approved by EMEA, FDA and other authorities, it is easier and faster if this compound is a defined species with a defined molecular weight. Therefore, the need for large but monodisperse PEGs is increasing. Iris Biotech now offers long monodisperse PEGs with 112 ethylene glycol units that combine a uniform molecular weight and a high oligomer purity with molecular weights of close to 5 kDa. Those PEGs are available at affordable costs and are scalable to commercial quantity.

UHPL/ELSD Signal

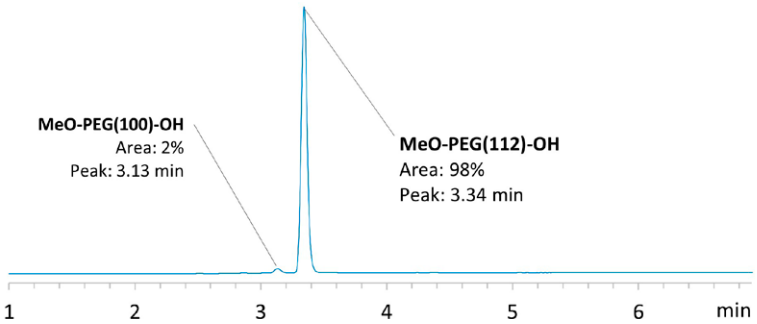

Fig. 18: Typical UHPLC spectrum of a monodisperse MeO-PEG(112)-OH.

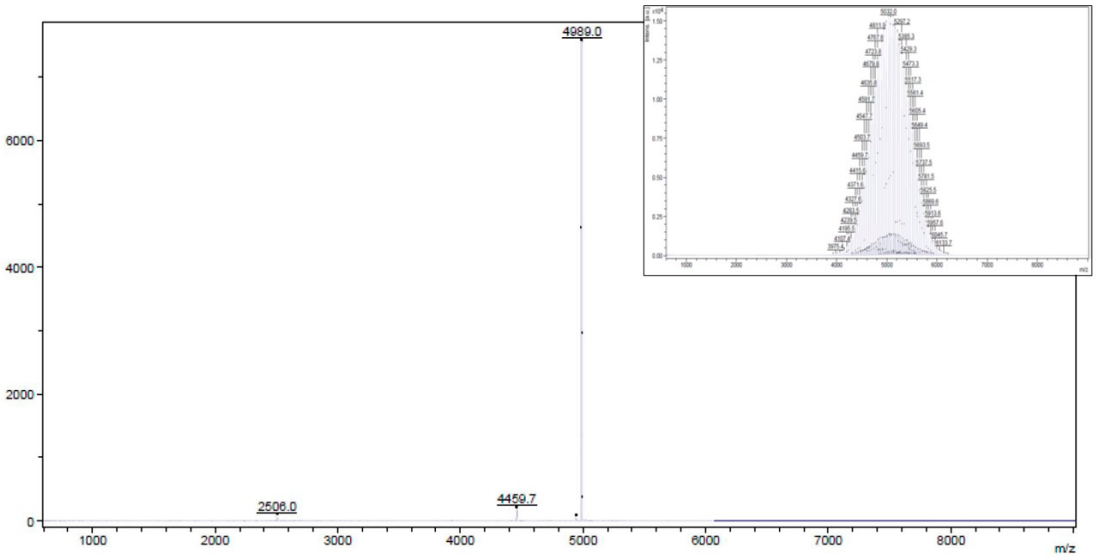

Fig. 19: MALDI-TOF MS spectrum of a monodisperse MeO-PEG(112)-OH; $[MeO\text{-}PEG(112)\text{-}OH+Na]^+$ = 4989.0 (97%), $[MeO\text{-}PEG(111)\text{-}OH+Na]^+$ = 4945.0 (0.8%), $[MeO\text{-}PEG(100)\text{-}OH+Na]^+$ = 4459.7 (2.8%), $[MeO\text{-}PEG(112)\text{-}OH+2Na]^{2+}$ = 2506.0 (1%); typical MALDI-TOF MS spectrum of a polydisperse PEG shown for comparison (upper right).

Summary of Chemical and Physical Properties of PEGs:

- Good solubility in BOTH hydrophilic AND hydrophobic solvents as water, toluene, methylene chloride, and many other organic solvents.
- Insoluble in diethyl ether, hexane, ethylene glycol.
- Insoluble in water at elevated temperature.
- The solubility is influenced by forming derivatives.
- Highly mobile in water with high exclusion volume; large hydrodynamic radius.
- Complex formation with metal cations.
- Can be used to precipitate proteins and nucleic acids.
- Form two-phase system with aqueous solutions of other polymers.
- Non-toxic and FDA approved for use in drug products.

PEGylating Biopharmaceuticals and Small Molecules has the Following Effects:

- Improves solubility of conjugated molecules.
- Renders proteins non-immunogenic and tolerogenic.
- Reduces the rate of renal clearance through the kidney and alters pharmacokinetics.
- Alters electroosmotic flow.
- Increases cell permeability.

References:

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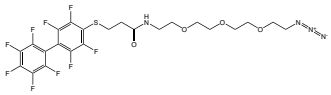
4.3. Azido-PEG Derivatives for Click Chemistry

Product details

RL-4030 PFB-mercaptopropionyl-PEG3-N_3

Perfluorobiphenyl-mercaptopropionyl-PEG(3)-N_3

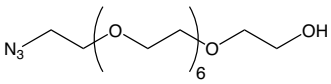
Formula	$C_{23}H_{21}F_9N_4O_4S$
Mol. weight	620,49 g/mol



PEG1088 N_3-PEG(8)-OH

alpha-Azido-omega-hydroxy octa(ethylene glycol)

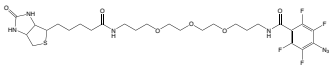
CAS-No.	352439-36-2
Formula	$C_{16}H_{33}N_3O_8$
Mol. weight	395,45 g/mol



Product details

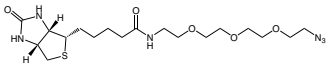
PEG2065 Biotin-TEG-ATFBA

Biotin-triethylenglycol-(*p*-azido-tetrafluorobenzamide)



CAS-No. 1264662-85-2
Formula $C_{27}H_{37}F_4N_7O_6S$
Mol. weight 663,68 g/mol

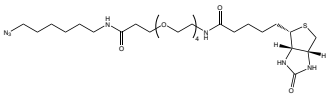
PEG4940 Biotin-PEG(3)-N_3



CAS-No. 875770-34-6
Formula $C_{18}H_{32}N_6O_5S$
Mol. weight 444,55 g/mol

PEG7990 Biotin-PEG(4)-N_3

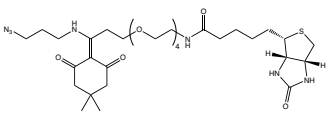
(3aS,4S,6aR)-4-(28-azido-5,21-dioxo-9,12,15,18-tetraoxa-6,22-diazaoctacosyl)tetrahydro-1H-thieno[3,4-d]imidazol-2(3H)-one



CAS-No. 1006592-62-6
Formula $C_{27}H_{49}N_7O_7S$
Mol. weight 615,79 g/mol

PEG7960 Biotin-PEG(4)-Dde-N_3

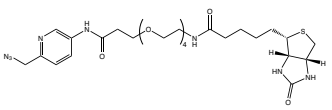
N-(19-azido-15-(4,4-dimethyl-2,6-dioxocyclohexylidene)-3,6,9,12-tetraoxa-16-azanonadecyl)-5-((3aS,4S,6aR)-2-oxohexahydro-1H-thieno[3,4-d]imidazol-4-yl)pentanamide



CAS-No. 1802907-93-2
Formula $C_{32}H_{53}N_7O_8S$
Mol. weight 695,87 g/mol

PEG8000 Biotin-PEG(4)-Picolyl-N_3

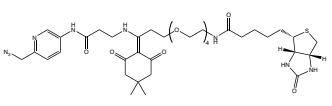
(3aS,4S,6aR)-4-(1-(6-(azidomethyl)pyridin-3-ylamino)-1,17-dioxo-4,7,10,13-tetraoxa-16-azahenicosan-21-yl) tetrahydro-1H-thieno[3,4-d]imidazol-2(3H)-one



CAS-No. 2222687-71-8
Formula $C_{27}H_{42}N_8O_7S$
Mol. weight 622,74 g/mol

PEG7970 Biotin-PEG(4)-Dde-Picolyl-N_3

N-(6-(azidomethyl)pyridin-3-yl)-15-(4,4-dimethyl-2,6-dioxocyclohexylidene)-1-(5-((3aS,4S,6aR)-2-oxohexahydro-1H-thieno[3,4-d]imidazol-4-yl)pentanamido)-3,6,9,12-tetraoxa-16-azanonadecan-19-amide



CAS-No. 2055048-42-3
Formula $C_{38}H_{57}N_9O_9S$
Mol. weight 815,98 g/mol

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Product details

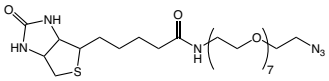
PEG8100 Biotin-PEG(4)-SS-Azide

N-(2-((3-((3-azidopropyl)amino)-3-oxopropyl)disulfaneyl)ethyl)-1-(5-((3aS,4S,6aR)-2-oxohexahydro-1H-thieno[3,4-d]imidazol-4-yl)pentanamido)-3,6,9,12-tetraoxapentadecan-15-amide

CAS-No.	1260247-52-6
Formula	$C_{29}H_{52}N_8O_8S_3$
Mol. weight	736,96 g/mol

PEG4330 Biotin-dPEG™(7)-N_3

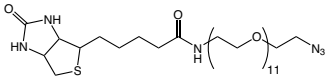
alpha-Biotin-omega-azido hepta(ethylene glycol)



CAS-No.	1334172-75-6
Formula	$C_{26}H_{48}N_6O_9S$
Mol. weight	620,76 g/mol

PEG4340 Biotin-dPEG™(11)-N_3

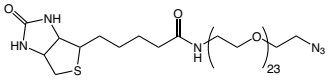
[2-(2-aminoethoxy)ethoxy]acetic acid *tert*-butyl ester*HCl



CAS-No.	956494-20-5
Formula	$C_{34}H_{64}N_6O_{13}S$
Mol. weight	796,97 g/mol

PEG4350 Biotin-dPEG™(23)-N_3

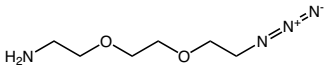
alpha-Biotin-omega-azido 23(ethylene glycol)



CAS-No.	956494-20-5
Formula	$C_{58}H_{112}N_6O_{25}S$
Mol. weight	1325,6 g/mol

PEG4980 H_2N-PEG(2)-N_3*TosOH

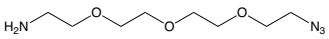
2-[2-(2-Azidoethoxy)ethoxy]ethanaminium tosylat



CAS-No.	2173092-98-1
Formula	$C_7H_{14}N_4O_2$*$C_7H_8O_3S$
Mol. weight	174,20*172,20 g/mol

PEG3060 H_2N-PEG(3)-N_3

1-Amino-11-azido-3,6,9-trioxaundecane



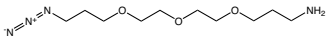
CAS-No.	134179-38-7
Formula	$C_8H_{18}N_4O_3$
Mol. weight	218,25 g/mol

Product details

BNN1150 N_3-TOTA

1-Azido-4,7,10-trioxa-13-tridecanamine

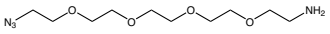
CAS-No.	1162336-72-2
Formula	$C_{10}H_{22}N_4O_3$
Mol. weight	246,31 g/mol



PEG5320 N_3-PEG(4)-NH_2

14-Azido-3,6,9,12-tetraoxatetradecan-1-amine

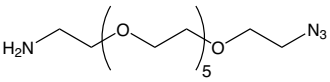
CAS-No.	951671-92-4
Formula	$C_{10}H_{22}N_4O_4$
Mol. weight	262,31 g/mol



PEG1087 H_2N-PEG(6)-N_3

alpha-Amino-omega-azido hexa(ethylene glycol)

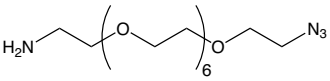
CAS-No.	957486-82-7
Formula	$C_{14}H_{30}N_4O_6$
Mol. weight	350,42 g/mol



PEG2350 H_2N-PEG(7)-N_3

alpha-Amino-omega-azido hepta(ethylene glycol)

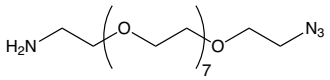
CAS-No.	1333154-77-0
Formula	$C_{16}H_{34}N_4O_7$
Mol. weight	394,46 g/mol



PEG3050 H_2N-PEG(8)-N_3

alpha-Amino-omega-azido octa(ethylene glycol)

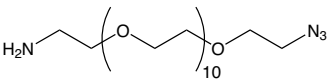
CAS-No.	857891-82-8
Formula	$C_{18}H_{38}N_4O_8$
Mol. weight	438,52 g/mol



PEG1081 H_2N-PEG(11)-N_3

alpha-Amino-omega-azido undecae(ethylene glycol)

CAS-No.	1800414-71-4
Formula	$C_{24}H_{50}N_4O_{11}$
Mol. weight	570,69 g/mol



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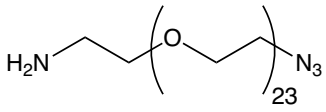
Product details

PEG3070 H_2N-PEG(23)-N_3

alpha-Azido-omega-amino 23(ethylene glycol)

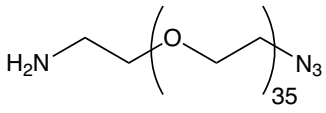
CAS-No.	2172677-19-7
Formula	$C_{48}H_{98}N_4O_{23}$
Mol. weight	1099,3 g/mol



PEG3080 H_2N-PEG(35)-N_3

alpha-Azido-omega-amino 35(ethylene glycol)

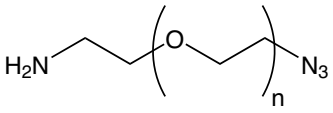
CAS-No.	749244-38-0
Formula	$C_{72}H_{146}N_4O_{35}$
Mol. weight	1627,94 g/mol



PEG3010 H_2N-PEG-N_3 (3 kDa)

alpha-Amino-omega-azido poly(ethylene glycol)

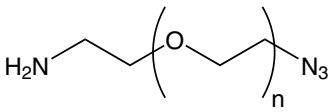
Mol. weight	3000 Da



PEG3030 H_2N-PEG-N_3 (5 kDa)

alpha-Amino-omega-azido poly(ethylene glycol)

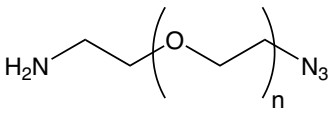
Mol. weight	5000 Da



PEG3000 H_2N-PEG-N_3 (10 kDa)

alpha-Amino-omega-azido poly(ethylene glycol)

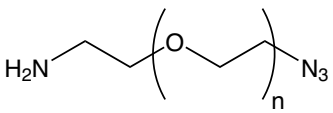
Mol. weight	10000 Da



PEG3020 H_2N-PEG-N_3 (20 kDa)

alpha-Amino-omega-azido poly(ethylene glycol)

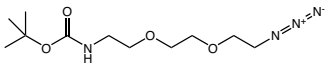
Mol. weight	20000 Da



Product details

PEG4960 Boc-NH-PEG(2)-N_3

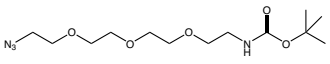
1-(*t*-Butyloxycarbonyl-amino)-3,6-dioxa-8-octaneazide



CAS-No.	950683-55-3
Formula	$C_{11}H_{22}N_4O_4$
Mol. weight	274,32 g/mol

PEG8160 N_3-PEG(3)-NH-Boc

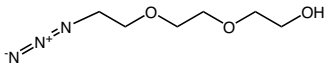
t-Butyl N-(2-(2-(2-(2-azidoethoxy)ethoxy)ethoxy)ethyl) carbamate



CAS-No.	642091-68-7
Formula	$C_{13}H_{26}N_4O_5$
Mol. weight	318,37 g/mol

PEG4900 N_3-EEEt-OH

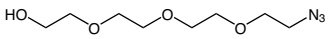
2-[2-(2-Azidoethoxy)ethoxy]ethanol



CAS-No.	86520-52-7
Formula	$C_6H_{13}N_3O_3$
Mol. weight	175,19 g/mol

PEG3760 N_3-PEG(3)-OH

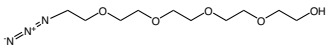
alpha-Azido-omega-hydroxy tetra(ethylene glycol)



CAS-No.	86770-67-4
Formula	$C_8H_{17}N_3O_4$
Mol. weight	219,24 g/mol

PEG5300 N_3-PEG(4)-OH

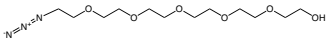
2-(2-(2-(2-(2-Azidoethoxy)ethoxy)ethoxy)ethoxy) ethanol



CAS-No.	86770-68-5
Formula	$C_{10}H_{21}N_3O_5$
Mol. weight	263,29 g/mol

PEG6720 N_3-PEG(5)-OH

17-Azido-3,6,9,12,15-pentaoxaheptadecan-1-ol



CAS-No.	86770-69-6
Formula	$C_{12}H_{25}N_3O_6$
Mol. weight	307,34 g/mol

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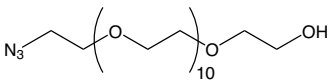
Product details

PEG1390 N_3-dPEG(12)-OH

35-Azido-3,6,9,12,15,18,21,24,27,30,33-undecaoxapentatriacontan-1-ol

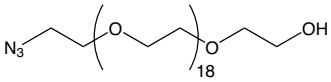
CAS-No.	73342-16-2
Formula	$C_{24}H_{49}N_3O_{12}$
Mol. weight	571,66 g/mol



PEG1220 N_3-PEG(20)-OH

alpha-Azido-omega-hydroxy icosa(ethylene glycol)

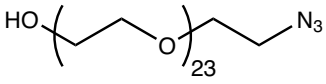
CAS-No.	1637297-21-2
Formula	$C_{40}H_{81}N_3O_{20}$
Mol. weight	924,1 g/mol



PEG3770 N_3-dPEG™(24)-OH

alpha-Azido-omega-hydroxy 24(ethylene glycol)

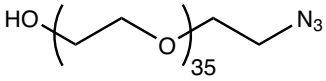
CAS-No.	73342-16-2
Formula	$C_{48}H_{97}N_3O_{24}$
Mol. weight	1100,29 g/mol



PEG3780 N_3-dPEG™(36)-OH

alpha-Azido-omega-hydroxy 36(ethylene glycol)

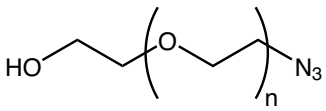
CAS-No.	73342-16-2
Formula	$C_{72}H_{145}N_3O_{36}$
Mol. weight	1628,92 g/mol



PEG5350 HO-PEG-N_3 (3 kDa)

alpha-Hydroxy-omega-azido poly(ethylene glycol)

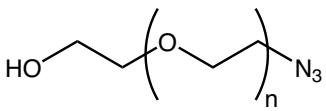
Mol. weight	3000 Da



PEG5360 HO-PEG-N_3 (5 kDa)

alpha-Hydroxy-omega-azido poly(ethylene glycol)

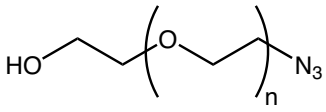
Mol. weight	5000 Da



PEG5330 HO-PEG-N_3 (10 kDa)

alpha-Hydroxy-omega-azido poly(ethylene glycol)

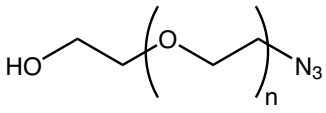
Mol. weight 10000 Da



PEG5340 HO-PEG-N_3 (20 kDa)

alpha-Hydroxy-omega-azido poly(ethylene glycol)

Mol. weight 20000 Da



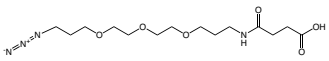
PEG5170 N_3-TOTA-Suc

1-Azido-4,7,10-trioxa-13-tridecaneamine succinamic acid

CAS-No. 1993176-74-1

Formula $C_{14}H_{26}N_4O_6$

Mol. weight 346,38 g/mol



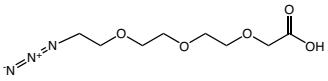
PEG5400 N_3-AEEEA*CHA

11-Azido-3,6,9-trioxaundecanoic acid cyclohexylamine

CAS-No. 172531-37-2 net

Formula $C_8H_{15}N_3O_5*C_6H_{13}N$

Mol. weight 233,22*99,17 g/mol



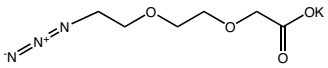
PEG7950 N_3-AEEA-OK

Potassium 8-azido-3,6-dioxaoctanoate

CAS-No. 882518-90-3 net

Formula $C_6H_{10}KN_3O_4$

Mol. weight 39,10*188,16 g/mol



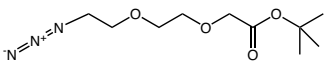
PEG5390 N_3-O_2Oc-O*t*Bu

8-Azido-3,6-dioxaoctanoic acid *t*-butyl ester

CAS-No. 251564-45-1

Formula $C_{10}H_{19}N_3O_4$

Mol. weight 245,28 g/mol



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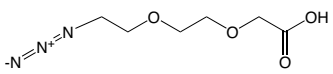
Product details

PEG2780 N_3-O_2Oc-OH*CHA

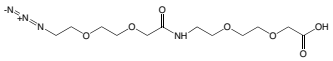
[2-(2-azidoethoxy)ethoxy]acetic acid cyclohexylamine salt



CAS-No.	2098500-94-6
Formula	$C_6H_{11}N_3O_4*C_6H_{13}N$
Mol. weight	189,17*99,17 g/mol

PEG2790 N_3-O_2Oc-O_2Oc-OH

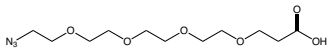
8-(8-Azido-3,6-dioxaoctanoylamido)-3,6-dioxaoctanoic acid



CAS-No.	1254054-60-8
Formula	$C_{12}H_{22}N_4O_7$
Mol. weight	334,33 g/mol

PEG2345 N_3-PEG(4)-COOH

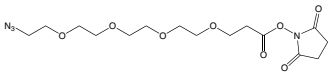
15-Azido-4,7,10,13-tetraoxa-pentadecanoic acid



CAS-No.	1257063-35-6
Formula	$C_{11}H_{21}N_3O_6$
Mol. weight	291,3 g/mol

PEG1400 N_3-dPEG(4)-NHS

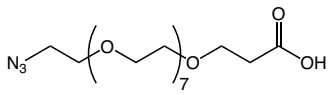
15-Azido-4,7,10,13-tetraoxa-pentadecanoic acid succinimidyl ester



CAS-No.	944251-24-5
Formula	$C_{15}H_{24}N_4O_8$
Mol. weight	388,37 g/mol

PEG4170 N_3-PEG™(8)-COOH

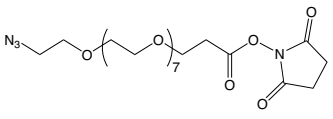
alpha-Azido-omega-(propionic acid) octa(ethylene glycol)



CAS-No.	1214319-92-2
Formula	$C_{19}H_{37}N_3O_{10}$
Mol. weight	467,51 g/mol

PEG1405 N_3-dPEG(8)-NHS

1-Azido-3,6,9,12,15,18,21,24-octaoxaheptacosan-27-oic acid succinimidyl ester

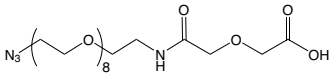


CAS-No.	1204834-00-3
Formula	$C_{23}H_{40}N_4O_{12}$
Mol. weight	564,58 g/mol

PEG2015 N_3-PEG(9)-COOH

O-(2-Azidoethyl)-O-[2-(diglycolyl-amino)ethyl]hep-taethylene glycol

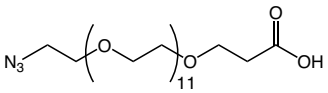
CAS-No.	846549-37-9
Formula	$C_{22}H_{42}N_4O_{12}$
Mol. weight	554,59 g/mol



PEG4180 N_3-PEG™(12)-COOH

alpha-Azido-omega-(propionic acid) dodeca(ethylene glycol)

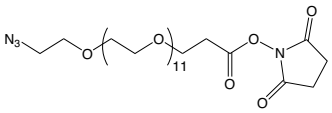
CAS-No.	1167575-20-3
Formula	$C_{27}H_{53}N_3O_{14}$
Mol. weight	643,72 g/mol



PEG1395 N_3-dPEG(12)-NHS

1-Azido-3,6,9,12,15,18,21,24,27,30,33,36-dodecaoxanona-triacontan-39-oic acid succinimidyl ester

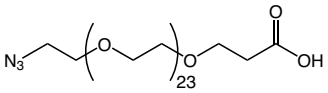
CAS-No.	1108750-59-9
Formula	$C_{31}H_{56}N_4O_{16}$
Mol. weight	740,79 g/mol



PEG4190 N_3-dPEG™(24)-COOH

alpha-Azido-omega-(propionic acid) 24(ethylene glycol)

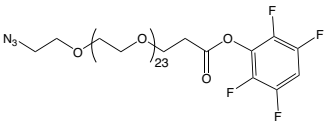
CAS-No.	1167575-20-3
Formula	$C_{51}H_{101}N_3O_{26}$
Mol. weight	1172,35 g/mol



PEG7650 N_3-dPEG™(24)-TFP

alpha-Azido-omega-(2,3,5,6-tetrafluorophenyl propio-nate) 24(ethylene glycol)

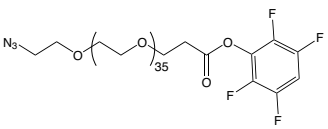
Formula	$C_{57}H_{101}F_4N_3O_{26}$
Mol. weight	1320,41 g/mol



PEG7660 N_3-dPEG™(36)-TFP

alpha-Azido-omega-(2,3,5,6-tetrafluorophenyl propio-nate) 36(ethylene glycol)

Formula	$C_{81}H_{149}F_4N_3O_{38}$
Mol. weight	1849,04 g/mol



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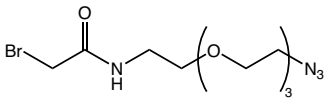
Whenever free thiol groups (e.g. from Cysteine) are used for conjugation, maleimides are typically the reaction partner of choice. However, maleimides also react with other functional groups, for example -COOH, -OH or -NH_2 which may lead to the formation of unwanted impurities. The iodo group reacts more specifically with thiols, resulting in much cleaner conjugates.

Product details

PEG7190 Bromoacetamido-PEG(3)-N_3

Bromoacetamido-tri(ethylene glycol)-azide

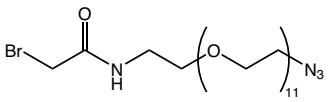
CAS-No. 940005-81-2
Formula $C_{10}H_{19}BrN_4O_4$
Mol. weight 339,19 g/mol



PEG7200 Bromoacetamido-PEG(11)-N_3

Bromoacetamido-undeca(ethylene glycol)-azide

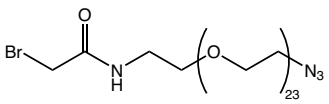
Formula $C_{26}H_{51}BrN_4O_{12}$
Mol. weight 691,61 g/mol



PEG7210 Bromoacetamido-PEG(23)-N_3

Bromoacetamido-23(ethylene glycol)-azide

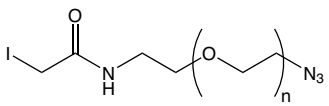
Formula $C_{50}H_{99}BrN_4O_{24}$
Mol. weight 1220,24 g/mol



PEG3130 I-PEG-N_3 (10 kDa)

alpha-Iodo-omega-azido poly(ethylene glycol)

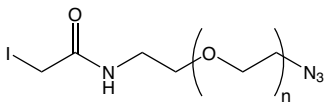
Mol. weight 10000 Da



PEG3140 I-PEG-N_3 (20 kDa)

alpha-Iodo-omega-azido poly(ethylene glycol)

Mol. weight 20000 Da

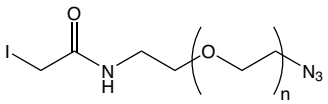


Product details

PEG3150 I-PEG-N_3 (3 kDa)

alpha-Iodo-omega-azido poly(ethylene glycol)

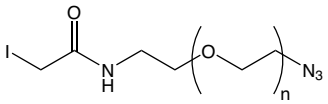
Mol. weight 3000 Da



PEG3160 I-PEG-N_3 (5 kDa)

alpha-Iodo-omega-azido poly(ethylene glycol)

Mol. weight 5000 Da



→ *Quantitative reactivity profiling predicts functional cysteines in proteomes; E. Weerapana, C. Wang, G. M. Simon, F. Richter, S. Khare, M. B. Dillon, D. A. Bachovchin, K. Mowen, D. Baker, B. F. Cravatt;* ***Nature*** *2010;* ***468****: 790-5. https://doi.org/10.1038/nature09472*

Product details

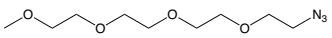
PEG1690 MeO-dPEG(4)-N_3

13-Azido-2,5,8,11-tetraoxa-tridecane

CAS-No. 606130-90-9

Formula $C_9H_{19}N_3O_4$

Mol. weight 233,26 g/mol

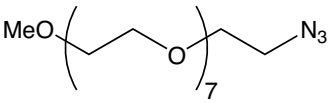


PEG1705 MeO-dPEG(8)-N_3

25-Azido-2,5,8,11,14,17,20,23-octaoxapentacosane

Formula $C_{17}H_{35}N_3O_8$

Mol. weight 409,48 g/mol



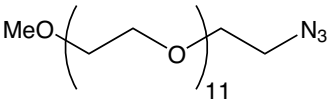
PEG1660 MeO-dPEG(12)-N_3

37-Azido-2,5,8,11,14,17,20,23,26,29,32,35-dodecaoxahep-tatriacontane

CAS-No. 2170098-29-8

Formula $C_{25}H_{51}N_3O_{12}$

Mol. weight 585,69 g/mol



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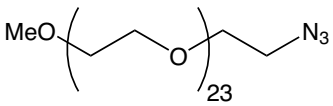
Product details

PEG1710 MeO-dPEG(24)-N_3

alpha-Methoxy-omega-azido-24(ethylene glycol)

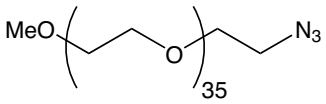
CAS-No. 89485-61-0
Formula $C_{49}H_{99}N_3O_{24}$
Mol. weight 1114,34 g/mol



PEG3430 MeO-dPEG™(36)-N_3

alpha-Methoxy-omega-azido-36(ethylene glycol)

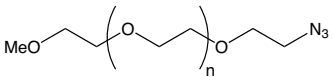
CAS-No. 89485-61-0
Formula $C_{73}H_{147}N_3O_{36}$
Mol. weight 1642,95 g/mol



PEG1219 MeO-PEG-N_3 (750 Da)

alpha-Methoxy-omega-azido poly(ethylene glycol)

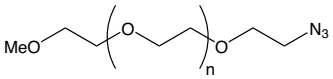
Mol. weight 750 Da



PEG1225 MeO-PEG-N_3 (2 kDa)

alpha-Methoxy-omega-azido poly(ethylene glycol)

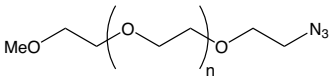
Mol. weight 2000 Da



PEG2040 MeO-PEG-N_3 (5 kDa)

alpha-Methoxy-omega-azido poly(ethylene glycol)

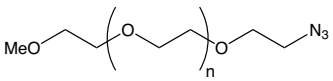
Mol. weight 5000 Da



PEG2045 MeO-PEG-N_3 (10 kDa)

alpha-Methoxy-omega-azido poly(ethylene glycol)

Mol. weight 10000 Da

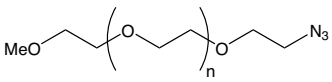


Product details

PEG2050 MeO-PEG-N_3 (20 kDa)

alpha-Methoxy-omega-azido poly(ethylene glycol)

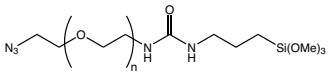
Mol. weight 20000 Da



PEG4830 Azido-PEG-Si(OMe)$_3$ (3 kDa)

alpha-Azido-omega-trimethoxysilyl poly(ethylene glycol)

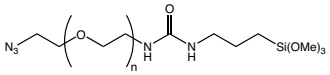
Mol. weight 3000 Da



PEG4835 Azido-PEG-Si(OMe)$_3$ (5 kDa)

alpha-Azido-omega-trimethoxysilyl poly(ethylene glycol)

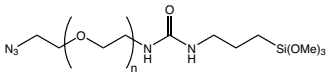
Mol. weight 5000 Da



PEG4840 Azido-PEG-Si(OMe)$_3$ (10 kDa)

alpha-Azido-omega-trimethoxysilyl poly(ethylene glycol)

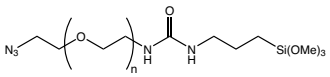
Mol. weight 10000 Da



PEG4845 Azido-PEG-Si(OMe)$_3$ (20 kDa)

alpha-Azido-omega-trimethoxysilyl poly(ethylene glycol)

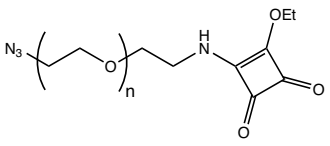
Mol. weight 20000 Da



PEG6655 N_3-PEG-SQA (3 kDa)

alpha-Azido-omega-squaric acid ethyl ester poly(ethylene glycol)

Mol. weight 3000 Da



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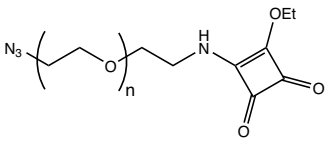
Product details

PEG6660 N_3-PEG-SQA (5 kDa)

alpha-Azido-omega-squaric acid ethyl ester poly(ethylene glycol)

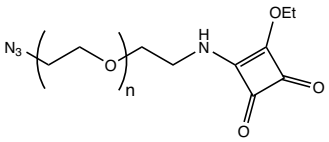
Mol. weight 5000 Da



PEG6645 N_3-PEG-SQA (10 kDa)

alpha-Azido-omega-squaric acid ethyl ester poly(ethylene glycol)

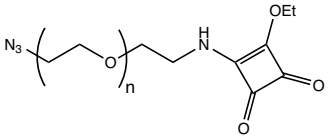
Mol. weight 10000 Da



PEG6650 N_3-PEG-SQA (20 kDa)

alpha-Azido-omega-squaric acid ethyl ester poly(ethylene glycol)

Mol. weight 20000 Da



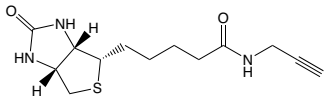
4.4. Alkyne-PEG Derivatives for Click Chemistry

Product details

RL-3490 Biotin-Propargylamide

Biotinyl-N-propargylamide

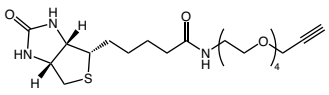
CAS-No. 773888-45-2
Formula $C_{13}H_{19}N_3O_2S$
Mol. weight 281,37 g/mol



PEG4950 Biotin-PEG(4)-alkyne

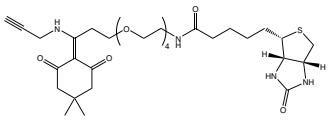
15-[D(+)-Biotinylamino]-4,7,10,13-tetraoxapentadec-1-yne

CAS-No. 1262681-31-1
Formula $C_{21}H_{35}N_3O_6S$
Mol. weight 457,58 g/mol



PEG7980 Biotin-PEG(4)-Dde-Alkyne

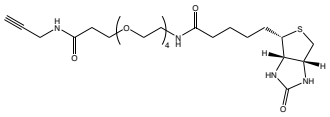
N-(15-(4,4-dimethyl-2,6-dioxocyclohexylidene)-3,6,9,12-tetraoxa-16-azanonadec-18-ynyl)-5-((3aS,4S,6aR)-2-oxohexahydro-1H-thieno[3,4-d]imidazol-4-yl)pentanamide



CAS-No.	1802908-00-4
Formula	$C_{32}H_{50}N_4O_8S$
Mol. weight	650,83 g/mol

PEG8010 Biotin-PEG(4)-Alkyne

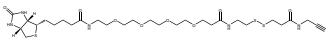
(3aS,4S,6aR)-4-(5,21-dioxo-8,11,14,17-tetraoxa-4,20-diazapentacos-1-yn-25-yl)tetrahydro-1H-thieno[3,4-d]imidazol-2(3H)-one



CAS-No.	1006592-45-5
Formula	$C_{24}H_{40}N_4O_7S$
Mol. weight	528,66 g/mol

PEG8110 Biotin-PEG(4)-SS-Alkyne

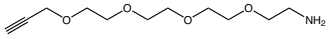
N-(2-((3-oxo-3-(prop-2-ynylamino)propyl)disulfanyl)ethyl)-1-(5-((3aS,4S,6aR)-2-oxohexahydro-1H-thieno[3,4-d]imidazol-4-yl)pentanamido)-3,6,9,12-tetraoxapentadecan-15-amide



CAS-No.	1260247-54-8
Formula	$C_{29}H_{49}N_5O_8S_3$
Mol. weight	691,92 g/mol

PEG5430 Alkyne-PEG(4)-NH_2

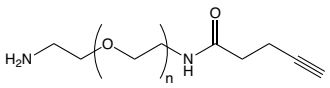
Alkyne-PEG(4)-amine



CAS-No.	1013921-36-2
Formula	$C_{11}H_{21}NO_4$
Mol. weight	231,29 g/mol

PEG2960 H_2N-PEG-alkyne (3 kDa)

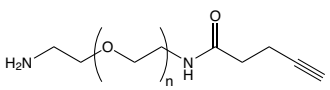
alpha-Amino-omega-propargylacetamido poly(ethylene glycol)



Mol. weight	3000 Da

PEG2980 H_2N-PEG-alkyne (5 kDa)

alpha-Amino-omega-propargylacetamido poly(ethylene glycol)



Mol. weight	5000 Da

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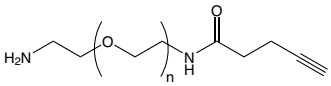
Product details

PEG2950 H_2N-PEG-alkyne (10 kDa)

alpha-Amino-omega-propargylacetamido poly(ethylene glycol)

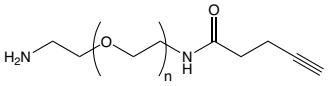
Mol. weight 10000 Da



PEG2970 H_2N-PEG-alkyne (20 kDa)

alpha-Amino-omega-propargylacetamido poly(ethylene glycol)

Mol. weight 20000 Da

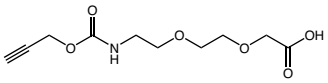


PAA1050 Poc-O_2Oc-OH*DCHA

8-(Popargylyloxycarbonyl-amino)-3,6-dioxaoctanoic acid dicyclohexylamine

Formula $C_{10}H_{15}NO_6$*$C_{12}H_{23}N$

Mol. weight 245,23*181,32 g/mol



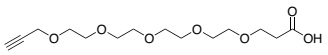
PEG8170 Propargyl-PEG(5)-COOH

4,7,10,13,16-pentaoxanonadec-18-ynoic acid

CAS-No. 1245823-51-1

Formula $C_{14}H_{24}O_7$

Mol. weight 304,34 g/mol



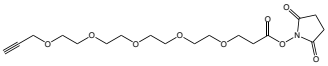
PEG5410 Alkyne-PEG(4)-NHS

Alkyne-PEG(4)-succinimidyl ester

CAS-No. 1393330-40-9

Formula $C_{18}H_{27}NO_9$

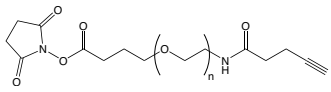
Mol. weight 401,41 g/mol



PEG2860 NHS-PEG-alkyne (3 kDa)

alpha-Succinimidyl ester-omega-propargylacetamido poly(ethylene glycol)

Mol. weight 3000 Da

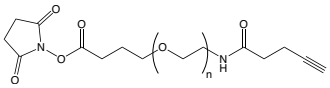


Product details

PEG2880 NHS-PEG-alkyne (5 kDa)

alpha-Succinimidyl ester-omega-propargylacetamido poly(ethylene glycol)

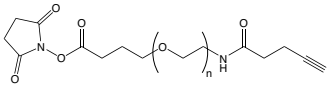
Mol. weight 5000 Da



PEG2850 NHS-PEG-alkyne (10 kDa)

alpha-Succinimidyl ester-omega-propargylacetamido poly(ethylene glycol)

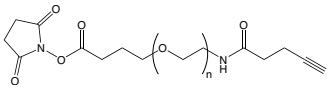
Mol. weight 10000 Da



PEG2870 NHS-PEG-alkyne (20 kDa)

alpha-Succinimidyl ester-omega-propargylacetamido poly(ethylene glycol)

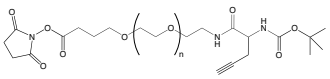
Mol. weight 20000 Da



PEG2910 NHS-PEG(NH-Boc)-alkyne (3 kDa)

alpha-Succinimidyl ester-omega-(N-*t*-Butyloxycarbonyl-L-propargyl-glycinyl) poly(ethylene glycol)

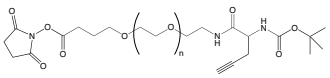
Mol. weight 3000 Da



PEG2930 NHS-PEG(NH-Boc)-alkyne (5 kDa)

alpha-Succinimidyl ester-omega-(N-*t*-Butyloxycarbonyl-L-propargyl-glycinyl) poly(ethylene glycol)

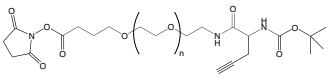
Mol. weight 5000 Da



PEG2900 NHS-PEG(NH-Boc)-alkyne (10 kDa)

alpha-Succinimidyl ester-omega-(N-*t*-Butyloxycarbonyl-L-propargyl-glycinyl) poly(ethylene glycol)

Mol. weight 10000 Da



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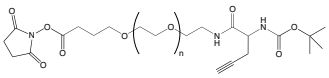
Product details

PEG2920 NHS-PEG(NH-Boc)-alkyne (20 kDa)

alpha-Succinimidyl ester-omega-(N-*t*-Butyloxycarbonyl-L-propargyl-glycinyl) poly(ethylene glycol)

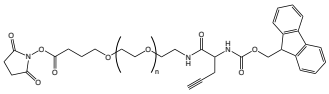
Mol. weight 20000 Da



PEG2915 NHS-PEG(NH-Fmoc)-alkyne (3 kDa)

alpha-Succinimidyl ester-omega-(N-(9-Fluorenylmethyloxycarbonyl)-L-propargyl-glycinyl) poly(ethylene glycol)

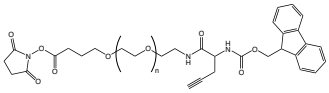
Mol. weight 3000 Da



PEG2935 NHS-PEG(NH-Fmoc)-alkyne (5 kDa)

alpha-Succinimidyl ester-omega-(N-(9-Fluorenylmethyloxycarbonyl)-L-propargyl-glycinyl) poly(ethylene glycol)

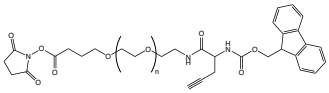
Mol. weight 5000 Da



PEG2905 NHS-PEG(NH-Fmoc)-alkyne (10 kDa)

alpha-Succinimidyl ester-omega-(N-(9-Fluorenylmethyloxycarbonyl)-L-propargyl-glycinyl) poly(ethylene glycol)

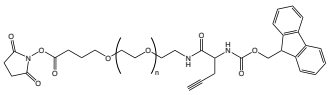
Mol. weight 10000 Da



PEG2925 NHS-PEG(NH-Fmoc)-alkyne (20 kDa)

alpha-Succinimidyl ester-omega-(N-(9-Fluorenylmethyloxycarbonyl)-L-propargyl-glycinyl) poly(ethylene glycol)

Mol. weight 20000 Da



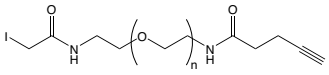
Maleimides are frequently used for conjugation to free thiol groups (e.g. from Cysteine). However, maleimides also react with other functional groups such as OH or NH_2, potentially leading to the formation of impurities. The iodo group reacts more specifically with thiols, resulting in much cleaner conjugates.

Product details

PEG3110 I-PEG-alkyne (3 kDa)

alpha-Iodo-omega-propargylacetamido poly(ethylene glycol)

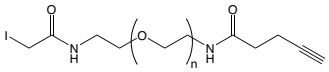
Mol. weight 3000 Da



PEG3120 I-PEG-alkyne (5 kDa)

alpha-Iodo-omega-propargylacetamido poly(ethylene glycol)

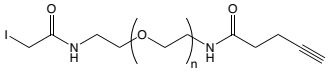
Mol. weight 5000 Da



PEG3090 I-PEG-alkyne (10 kDa)

alpha-Iodo-omega-propargylacetamido poly(ethylene glycol)

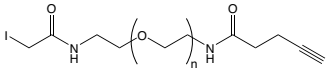
Mol. weight 10000 Da



PEG3100 I-PEG-alkyne (20 kDa)

alpha-Iodo-omega-propargylacetamido poly(ethylene glycol)

Mol. weight 20000 Da



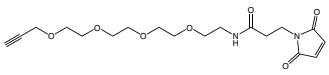
PEG5440 Alkyne-PEG(4)-mal

Alkyne-PEG(4)-maleimide

CAS-No. 1609651-90-2

Formula $C_{18}H_{26}N_2O_7$

Mol. weight 382,41 g/mol

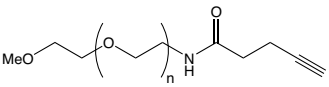


PEG2840 MeO-PEG-alkyne (750 Da)

alpha-Methoxy-omega-propargylacetamido poly(ethylene glycol)

CAS-No. 1993176-75-2

Mol. weight 750 Da



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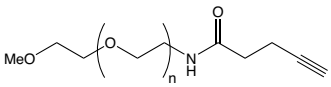
Product details

PEG2810 MeO-PEG-alkyne (2 kDa)

alpha-Methoxy-omega-propargylacetamido poly(ethylene glycol)

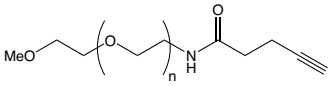
Mol. weight 2000 Da



PEG2830 MeO-PEG-alkyne (5 kDa)

alpha-Methoxy-omega-propargylacetamido poly(ethylene glycol)

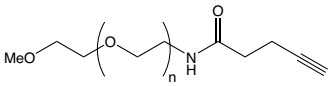
Mol. weight 5000 Da



PEG2800 MeO-PEG-alkyne (10 kDa)

alpha-Methoxy-omega-propargylacetamido poly(ethylene glycol)

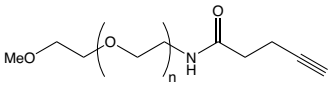
Mol. weight 10000 Da



PEG2820 MeO-PEG-alkyne (20 kDa)

alpha-Methoxy-omega-propargylacetamido poly(ethylene glycol)

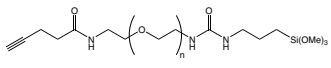
Mol. weight 20000 Da



PEG4810 Alkyne-PEG-Si(OMe)$_3$ (3 kDa)

alpha-Propargylacetamido-omega-trimethoxysilyl poly(ethylene glycol)

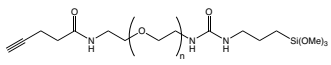
Mol. weight 3000 Da



PEG4815 Alkyne-PEG-Si(OMe)$_3$ (5 kDa)

alpha-Propargylacetamido-omega-trimethoxysilyl poly(ethylene glycol)

Mol. weight 5000 Da

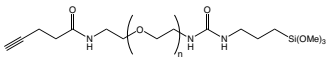


Product details

PEG4820 Alkyne-PEG-Si(OMe)$_3$ (10 kDa)

alpha-Propargylacetamido-omega-trimethoxysilyl poly(ethylene glycol)

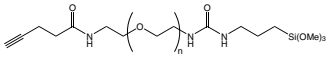
Mol. weight 10000 Da



PEG4825 Alkyne-PEG-Si(OMe)$_3$ (20 kDa)

alpha-Propargylacetamido-omega-trimethoxysilyl poly(ethylene glycol)

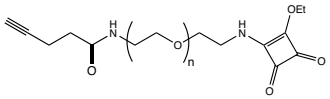
Mol. weight 20000 Da



PEG6570 Alkynyl-PEG-SQA (3 kDa)

alpha-Pentynyl-omega-squaric acid ethyl ester poly(ethylene glycol)

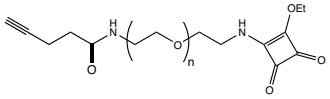
Mol. weight 3000 Da



PEG6575 Alkynyl-PEG-SQA (5 kDa)

alpha-Pentynyl-omega-squaric acid ethyl ester poly(ethylene glycol)

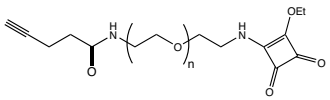
Mol. weight 5000 Da



PEG6560 Alkynyl-PEG-SQA (10 kDa)

alpha-Pentynyl-omega-squaric acid ethyl ester poly(ethylene glycol)

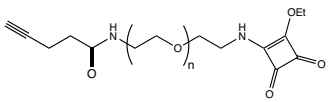
Mol. weight 10000 Da



PEG6565 Alkynyl-PEG-SQA (20 kDa)

alpha-Pentynyl-omega-squaric acid ethyl ester poly(ethylene glycol)

Mol. weight 20000 Da



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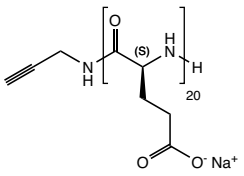
4.5. Poly(Amino Acids) for Click Chemistry

Product details

PGA1085 Prg-PGA(20)

Propargyl-poly(L-glutamic acid) sodium salt

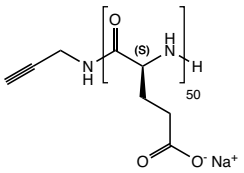
Mol. weight 3000 Da



PGA1090 Prg-PGA(50)

Propargyl-poly(L-glutamic acid) sodium salt

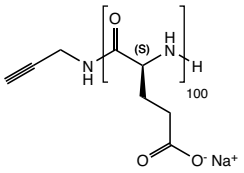
Mol. weight 7500 Da



PGA1095 Prg-PGA(100)

Propargyl-poly(L-glutamic acid) sodium salt

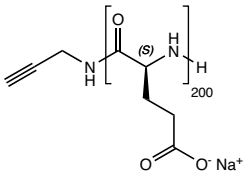
Mol. weight 15000 Da



PGA1100 Prg-PGA(200)

Propargyl-poly(L-glutamic acid) sodium salt

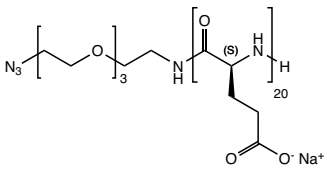
Mol. weight 30200 Da



PGA1125 N_3-PGA(20)

Azido-ethyltri(ethylene glycol)-poly(L-glutamic acid) sodium salt

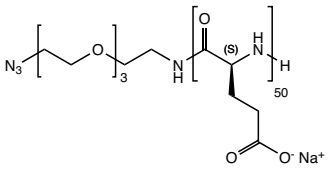
Mol. weight 3000 Da



PGA1130 N_3-PGA(50)

Azido-ethyltri(ethylene glycol)-poly(L-glutamic acid) sodium salt

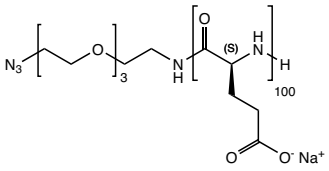
Mol. weight 7500 Da



PGA1135 N_3-PGA(100)

Azido-ethyltri(ethylene glycol)-poly(L-glutamic acid) sodium salt

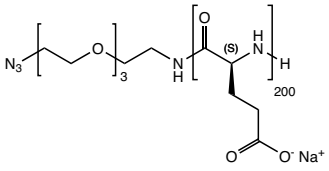
Mol. weight 15000 Da



PGA1140 N_3-PGA(200)

Azido-ethyltri(ethylene glycol)-poly(L-glutamic acid) sodium salt

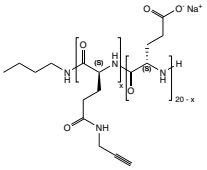
Mol. weight 30000 Da



PGA1190 *n*Bu-PGA(20)[Prg(20)]

n-Butyl-poly(L-glutamic acid gamma-propargyl amide) sodium salt (10-20mol% propargyl substitution)

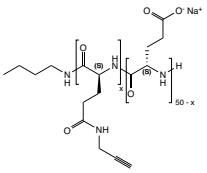
Mol. weight 3000 Da



PGA1195 *n*Bu-PGA(50)[Prg(20)]

n-Butyl-poly(L-glutamic acid gamma-propargyl amide) sodium salt (10-20mol% propargyl substitution)

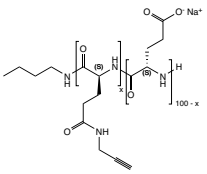
Mol. weight 7500 Da



PGA1200 *n*Bu-PGA(100)[Prg(20)]

n-Butyl-poly(L-glutamic acid gamma-propargyl amide) sodium salt (10-20mol% propargyl substitution)

Mol. weight 15000 Da



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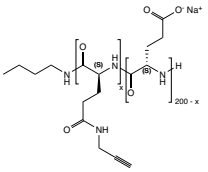
Product details

PGA1205 *n*Bu-PGA(200)[Prg(20)]

n-Butyl-poly(L-glutamic acid gamma-propargyl amide) sodium salt (10-20mol% propargyl substitution)

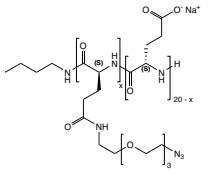
Mol. weight 30000 Da



PGA1290 *n*Bu-PGA(20)[PEG2-N_3(20)]

n-Butyl-poly(L-glutamic acid gamma-azido-ethyltri(ethylene glycol) amide) sodium salt (10-20mol% azido substitution)

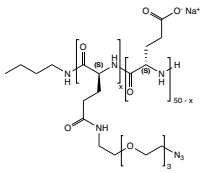
Mol. weight 3600 Da



PGA1295 *n*Bu-PGA(50)[PEG2-N_3(20)]

n-Butyl-poly(L-glutamic acid gamma-azido-ethyltri(ethylene glycol) amide) sodium salt (10-20mol% azido substitution)

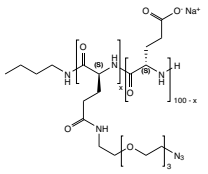
Mol. weight 9100 Da



PGA1300 *n*Bu-PGA(100)[PEG2-N_3(20)]

n-Butyl-poly(L-glutamic acid gamma-azido-ethyltri(ethylene glycol) amide) sodium salt (10-20mol% azido substitution)

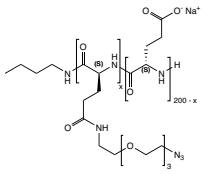
Mol. weight 18300 Da



PGA1305 *n*Bu-PGA(200)[PEG2-N_3(20)]

n-Butyl-poly(L-glutamic acid gamma-azido-ethyltri(ethylene glycol) amide) sodium salt (10-20mol% azido substitution)

Mol. weight 36700 Da



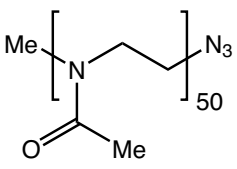
POX1200 Me-PMeOx(50)-N_3

alpha-Methyl-poly(2-methyl-2-oxazoline)-omega-azide

CAS-No. 26375-28-0

Formula $CH_3(C_4H_7NO)50N_3$

Mol. weight 4300 Da

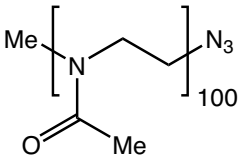


Product details

POX1210 Me-PMeOx(100)-N_3

alpha-Methyl-poly(2-methyl-2-oxazoline)-omega-azide

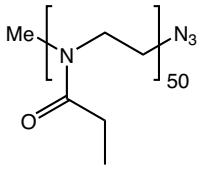
CAS-No.	26375-28-0
Formula	$CH_3(C_4H_7NO)100N_3$
Mol. weight	8500 Da



POX2200 Me-PEtOx(50)-N_3

alpha-Methyl-poly(2-ethyl-2-oxazoline)-omega-azide

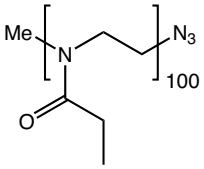
CAS-No.	25805-17-8
Formula	$CH_3(C_5H_9NO)50N_3$
Mol. weight	5000 Da



POX2210 Me-PEtOx(100)-N_3

alpha-Methyl-poly(2-ethyl-2-oxazoline)-omega-azide

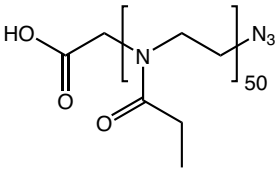
CAS-No.	25805-17-8
Formula	$CH_3(C_5H_9NO)100N_3$
Mol. weight	5000 Da



POX2250 HOOC-PEtOx(50)-N_3

alpha-Carboxymethyl-poly(2-ethyl-2-oxazoline)-omega-azide

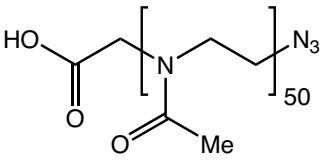
CAS-No.	25805-17-8
Formula	$HOCOCH_2(C_5H_9NO)50N_3$
Mol. weight	5000 Da



POX1250 HOOC-PMeOx(50)-N_3

alpha-Carboxymethyl-poly(2-methyl-2-oxazoline)-omega-azide

CAS-No.	26375-28-0
Formula	$HOCOCH_2(C_4H_7NO)50N_3$
Mol. weight	4300 Da



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5. Click Chemistry Tools for Proteomics

5.1. Indocyanine Green Dyes for Click Chemistry

Indocyanine Green (ICG) dye, a material approved by the FDA for various applications, is a powerful tool for imaging in live cells and tissues.

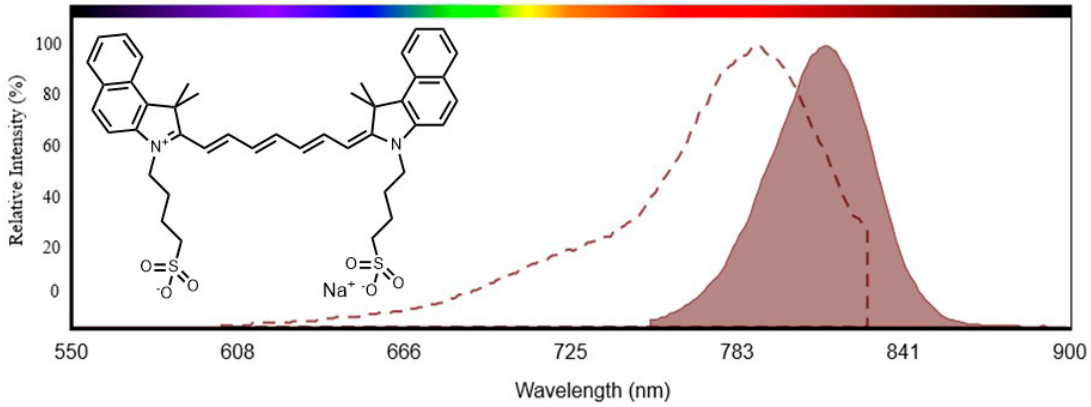


Fig. 20: Absorption and emission spectra of Indocyanine Green.

ICG exhibits an absorption maximum in the near infrared region (NIR) at ca. 800 nm with a slight absorption in the visible range, resulting in a low auto-fluorescence. The emission maximum is at 810 nm. This absorption/emission profile allows for tissue-penetrating excitation without causing tissue damage. Consequently, ICG has found use in fields as diverse as angiography, detection of solid tumours and fluorescence image-guided surgery.

Iris Biotech offers a series of ICG dyes functionalized with various clickable moieties, such as tetrazine, alkyne, azide or DBCO. Moreover, we offer ICG equipped with different popular functional groups for conjugation, e.g. maleimide, 2-cyanobenzothiazole (CBT), and NHS.

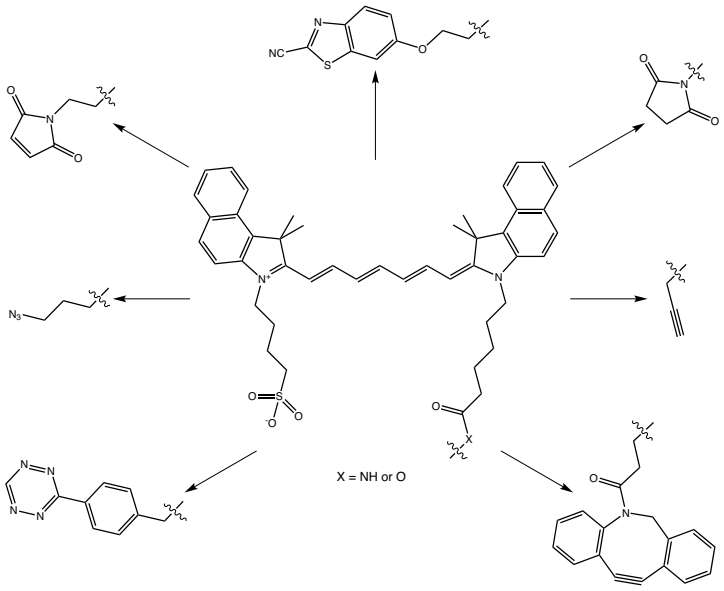


Fig. 21: Functional groups available for conjugation of Indocyanine Green.

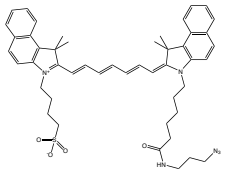
ICG Derivatives

Product details

RL-2840 ICG-azide

Indocyanine green azide

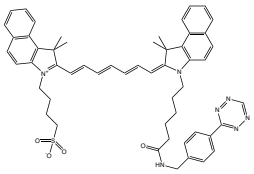
Formula	$C_{48}H_{56}N_6O_4S$
Mol. weight	813,06 g/mol



RL-2860 ICG-Tz

Indocyanine green tetrazine

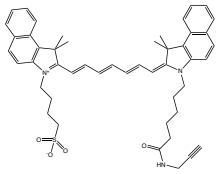
Formula	$C_{54}H_{57}N_7O_4S$
Mol. weight	900,14 g/mol



RL-2880 ICG-alkyne

Indocyanine green alkyne

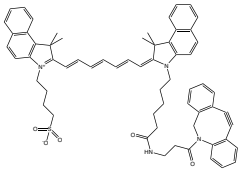
CAS-No.	1622335-41-4
Formula	$C_{48}H_{53}N_3O_4S$
Mol. weight	768,02 g/mol



RL-2870 ICG-DBCO

Indocyanine green dibenzoazacyclooctyne

Formula	$C_{63}H_{64}N_4O_5S$
Mol. weight	989,27 g/mol



References:

- *Near-infrared fluorescence: application to in vivo molecular imaging; S. A. Hilderbrand, R. Weissleder;* ***Curr Opin Chem Biol*** *2010;* ***14****: 71-9. https://doi.org/10.1016/j.cbpa.2009.09.029*
- *NIR dyes for bioimaging applications; J. O. Escobedo, O. Rusin, S. Lim, R. M. Strongin;* ***Curr Opin Chem Biol*** *2010;* ***14****: 64-70. https://doi.org/10.1016/j.cbpa.2009.10.022*
- *In vivo molecular imaging of cancer with a quenching near-infrared fluorescent probe using conjugates of monoclonal antibodies and indocyanine green; M. Ogawa, N. Kosaka, P. L. Choyke, H. Kobayashi;* ***Cancer Res*** *2009;* ***69****: 1268-72. https://doi.org/10.1158/0008-5472.CAN-08-3116*

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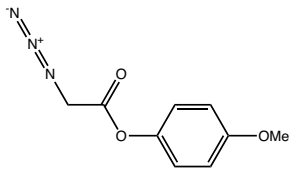
5.2. Clickable Linkers for Selective Protein Labeling

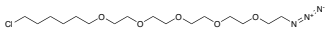
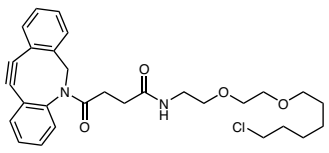
One way to selectively label a protein is to recombinantly express a modified version containing a sequence that selectively reacts with a specific linker type. Two examples of this approach are the His-Tag Acylation and the HaloTag®.

In the His-Tag Acylation approach, a N-terminal GlyHis6 tag attached to a protein of interest selectively reacts with a 4-methoxyphenyl ester, generating an acylated N-terminus. While 4-methoxyphenyl esters are too unreactive to undergo acylation with any other primary amine, a proximal imidazole in the GlyHis6 sequence acts as a catalyst to facilitate selective acylation of the N-terminal glycine.

For the acylation of Lys, Jensen *et al.* developed the peptide sequence Hisn-Lys-Hism (Lys-His tag) that directs the acylation of the designated Lys N-epsilon amine under mild conditions and with high selectivity over native Lys residues.

			Product details
RL-3010	N_3Ac-OPhOMe **4-Methoxyphenyl 2-azidoacetate** CAS-No. 2546513-31-7 Formula $C_9H_9N_3O_3$ Mol. weight 207,19 g/mol		

The HaloTag® is a protein tag whose sequence can easily be fused to a gene coding for a protein of interest. Functionally, it is a haloalkane dehalogenase that binds and forms covalent bonds to specific halogenated ligands. Those ligands are composed of two parts: a chloroalkane linker that forms the bond with HaloTag® protein, and a functional group or affinity handle. A HaloTag®-containing fusion protein is thus able to selectively label itself with an appropriate haloalkane dehalogenase ligand.

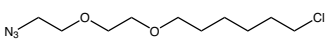
			Product details
RL-3640	Halo-PEG(5)-azide **1-azido-21-chloro-3,6,9,12,15-pentaoxahenicosane** CAS-No. 1261238-21-4		
RL-3670	Halo-DBCO **N-[2-[2-[(6-chlorohexyl)oxy]ethoxy]ethyl]-gamma-oxo-dibenz[b,f]azocine-5(6H)-butanamide** CAS-No. 1808119-16-5		

Product details

RL-3700 Halo-PEG(2)-Azide

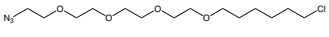
1-Azido-12-chloro-3,6-dioxadodecane

CAS-No. 2568146-55-2



RL-3710 Halo-PEG(4)-Azide

1-Azido-18-chloro-3,6,9,12-tetraoxaoctadecane



Reference:

→ *Direct pH measurements by using subcellular targeting of 5(and 6-) carboxyseminaphthorhodafluor in mammalian cells; H. A. Benink, M. G. McDougall, D. H. Klaubert, G. V. Los;* ***Biotechniques*** *2018;* ***47(3):*** *769-774. https://doi.org/10.2144/000113220*

Besides HaloTag®, we also offer clickable SNAP-tag® and CLIP-tag™ ligands. The SNAP-tag® is a 20 kDa self-labeling protein tag bearing a Cysteine moiety that undergoes an irreversible reaction with synthetic O6-benzylguanine (BG) derivatives, resulting in a covalent thioether bond. The CLIP-tag™ is a modified version of the SNAP-tag, engineered to react with benzylcytosine (BC) instead of benzylguanine (BG).

Product details

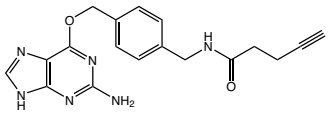
RL-3930 Alkyne-SNAP

N-(4-(((2-amino-9H-purin-6-yl)oxy)methyl)benzyl) pent-4-ynamide

CAS-No. 1104822-07-2

Formula $C_{18}H_{18}N_6O_2$

Mol. weight 350,38 g/mol



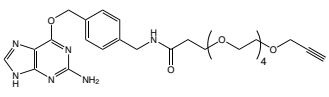
RL-3940 Alkyne-PEG(5)-SNAP

N-(4-(((2-amino-9H-purin-6-yl)oxy)methyl)benzyl)-3-(2-(prop-2-yn-1-yloxy)ethoxy)propanamide

CAS-No. 1104822-07-2

Formula $C_{21}H_{24}N_6O_4$

Mol. weight 424,46 g/mol



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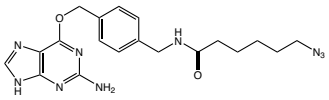
Product details

RL-3950 Azide-SNAP

N-(4-(((2-amino-9H-purin-6-yl)oxy)methyl)benzyl)-6-azidohexanamide

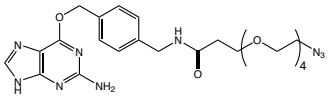
Formula $C_{19}H_{23}N_9O_2$
Mol. weight 409,45 g/mol



RL-3960 Azide-PEG(4)-SNAP

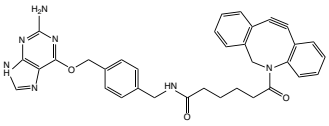
N-(4-(((2-amino-9H-purin-6-yl)oxy)methyl)benzyl)-3-(2-azidoethoxy)propanamide

Formula $C_{18}H_{21}N_9O_3$
Mol. weight 411,43 g/mol



RL-4010 DBCO-SNAP

Formula $C_{34}H_{31}N_7O_3$
Mol. weight 585,67 g/mol



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- *Site-specific, Covalent Labeling of Recombinant Antibody Fragments via Fusion to an Engineered Version of 6-O-Alkylguanine DNA Alkyltransferase; F. Kampmeier, M. Ribbert, T. Nachreiner, S. Dembski, F. Beaufils, A. Brecht, S. Barth;* ***Bioconjugate Chem.*** *2009;* ***20(5)****: 1010-1015. https://doi.org/10.1021/bc9000257*
- *SNAP-Tag Technology: A Useful Tool to Determine Affinity Constants and Other Functional Parameters of Novel Antibody Fragments; J. Niesen, M. Sack, M. Seidel, R. Fendel, S. Barth, R. Fischer, C. Stein;* ***Bioconjugate Chem.*** *2016;* ***27(8)****: 1931-1941. https://doi.org/10.1021/acs.bioconjchem.6b00315*
- *Snap-, CLIP- and Halo-Tag Labelling of Budding Yeast Cells; F. Stagge, G. Y. Mitronova, V. N. Belov, C. A. Wurm, S. Jakobs;* ***PLoS ONE 8(10):*** *e78745. https://doi.org/10.1371/journal.pone.0078745*

6. Carbohydrates for Click Chemistry

Glycoconjugates, i.e. glycans linked to proteins or lipids, are an essential part of all living organisms. In higher organisms, but also in lower eukaryotes and some bacteria and archaea, many proteins are post-translationally modified by linking oligosaccharides to amino acid side chains, forming glycoproteins. Glycosylation is the most complex posttranslational modification and can be observed on membrane proteins, secreted proteins and peptides, or proteins in the cytosol and nucleus.

Glycoconjugates display a multitude of biological effects from protein folding and stabilization, to cell surface interaction through molecular recognition motifs for cell-cell communication, and structural support and protection.

Abnormal glycosylation patterns can be observed in pathological conditions such as neurodegenerative diseases or tumor growth and metastasis. Moreover, glycosylation patterns play a decisive role in the infection pathways of and the immune response against many pathogens, further underlining the importance of this type of modification.

Synthetic glycoconjugates are interesting targets for the investigation of immunogenicity, infection pathways or structure activity relationships, and for the development of novel drugs and vaccines. Carbohydrates functionalized for Click chemistry provide mild and selective access to such glycoconjugates.

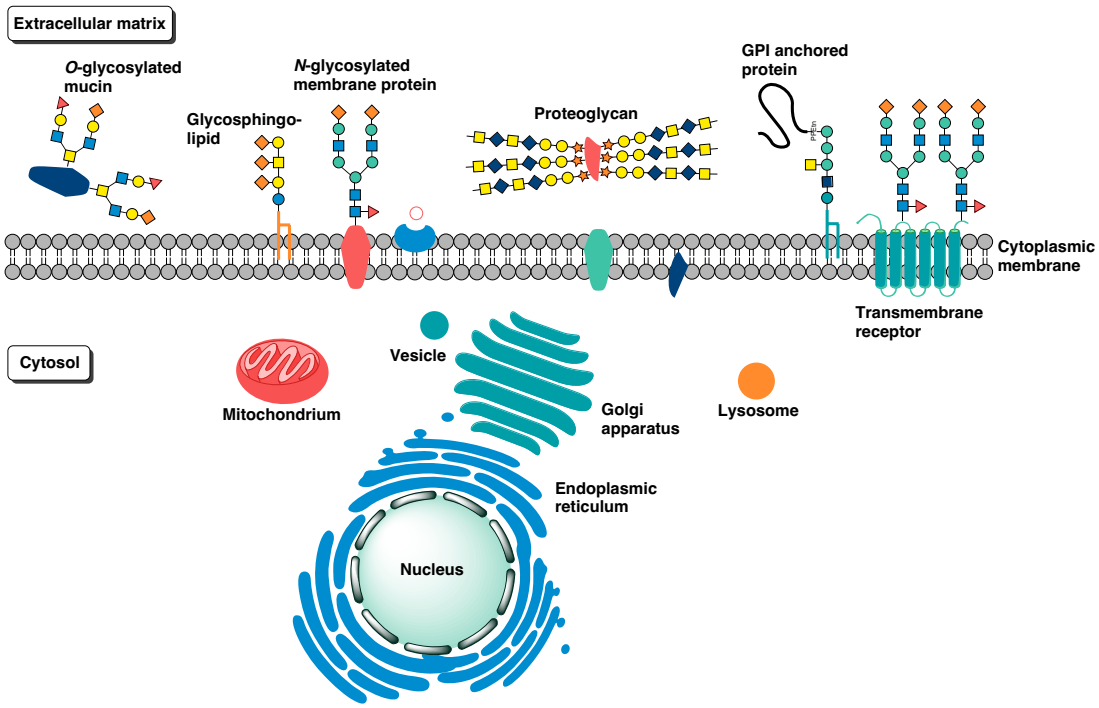

Fig. 22: Simplified representation of a eukaryotic cell and its cell surface glycans.

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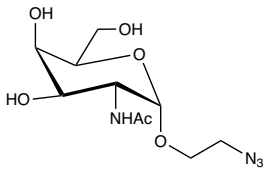
6.1. Galactose Derivatives

Product details

GBB1445 alpha-GalNAc-N_3

1-O-(2-Azidoethoxy)-2-acetamido-2deoxy-alpha-D-galactopyranoside

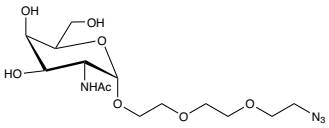
Formula $C_{10}H_{18}N_4O_6$
Mol. weight 290,27 g/mol



GBB1370 alpha-GalNAc-TEG-N_3

1-O-(2-(2-(2-Azidoethoxy)ethoxy)ethoxy)-2-acetamido-2deoxy-alpha-D-galactopyranoside

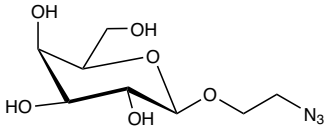
CAS-No. 882873-70-3
Formula $C_{14}H_{26}N_4O_8$
Mol. weight 378,38 g/mol



GBB1430 beta-Gal-Et-N_3

1-(2-Azidoethoxy)-beta-D-galactopyranose

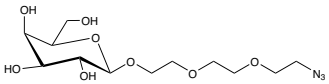
Formula $C_8H_{15}N_3O_6$
Mol. weight 249,22 g/mol



GBB1380 beta-Gal-TEG-N_3

1-O-(2-(2-(2-Azidoethoxy)ethoxy)ethoxy)-beta-D-galactopyranoside

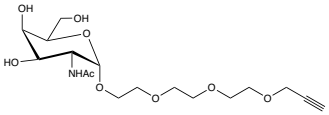
Formula $C_{12}H_{23}N_3O_8$
Mol. weight 337,33 g/mol



GBB1375 alpha-GalNAc-TEG-Alkyne

1-O-(2-(2-(2-(Prop-2-ynyloxy)ethoxy)ethoxy)ethoxy)-2-acetamido-2deoxy-alpha-D-galactopyranoside

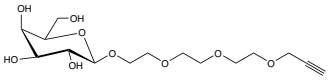
Formula $C_{17}H_{29}NO_9$
Mol. weight 391,41 g/mol



GBB1385 beta-Gal-TEG-Alkyne

1-O-(2-(2-(2-(Prop-2-ynyloxy)ethoxy)ethoxy)ethoxy)-beta-D-galactopyranoside

Formula $C_{15}H_{26}O_9$
Mol. weight 350,36 g/mol

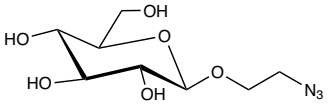


6.2. Glucose Derivatives

GBB1435 beta-Glc-N_3

1-(2-Azidoethoxy)-beta-D-glucopyranose

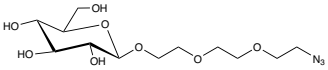
Formula $C_8H_{15}N_3O_6$
Mol. weight 249,22 g/mol



GBB1390 beta-Glc-TEG-N_3

1-O-(2-(2-(2-Azidoethoxy)ethoxy)ethoxy)-beta-D-glucopyranoside

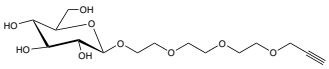
Formula $C_{12}H_{23}N_3O_8$
Mol. weight 337,33 g/mol



GBB1395 beta-Glc-TEG-Alkyne

1-O-(2-(2-(2-(Prop-2-ynyloxy)ethoxy)ethoxy)ethoxy)-beta-D-glucopyranoside

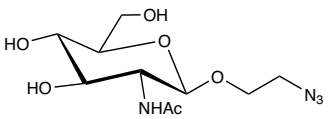
CAS-No. 1072903-76-4
Formula $C_{15}H_{26}O_9$
Mol. weight 350,36 g/mol



GBB1450 beta-GlcNAc-N_3

1-(2-Azidoethoxy)-2-acetamido-2deoxy-beta-D-galactopyranose

Formula $C_{10}H_{18}N_4O_6$
Mol. weight 290,27 g/mol



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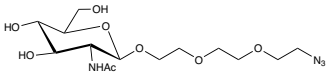
Product details

GBB1400 beta-GlcNAc-TEG-N_3

1-O-(2-(2-(2-Azidoethoxy)ethoxy)ethoxy)-2-acetamido-2deoxy-beta-D-glucopyranoside

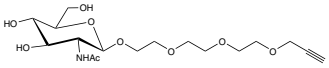
Formula $C_{14}H_{26}N_4O_8$
Mol. weight 378,73 g/mol



GBB1405 beta-GlcNAc-TEG-Alkyne

1-O-(2-(2-(2-(Prop-2-ynyloxy)ethoxy)ethoxy)ethoxy)-2-acetamido-2deoxy-beta-D-glucopyranoside

Formula $C_{17}H_{29}NO_9$
Mol. weight 391,41 g/mol



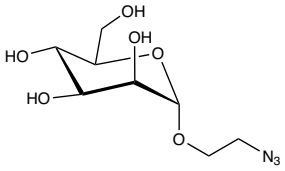
6.3. Mannose Derivatives

Product details

GBB1440 alpha-Man-N_3

1-(2-Azidoethoxy)-alpha-D-mannopyranose

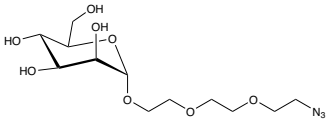
Formula $C_8H_{15}N_3O_6$
Mol. weight 249,22 g/mol



GBB1420 alpha-Man-TEG-N_3

1-O-(2-(2-(2-Azidoethoxy)ethoxy)ethoxy)-alpha-D-mannopyranoside

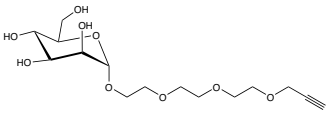
Formula $C_{12}H_{23}N_3O_8$
Mol. weight 337,33 g/mol



GBB1425 alpha-Man-TEG-Alkyne

1-O-(2-(2-(2-(Prop-2-ynyloxy)ethoxy)ethoxy)ethoxy)-alpha-D-mannopyranoside

Formula $C_{15}H_{26}O_9$
Mol. weight 350,36 g/mol

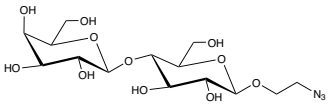


6.4. Lactose Derivatives

Product details

GBB1455 beta-Lac-EO-N_3

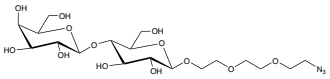
2-Azidoethyl 4-O-beta-D-galactopyranosyl-beta-(1->4)-D-glucopyranoside



CAS-No.	230286-11-0
Formula	$C_{14}H_{25}N_3O_{11}$
Mol. weight	411,36 g/mol

GBB1410 beta-Lac-TEG-N_3

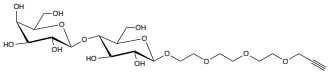
(2-(2-(2-Azidoethoxy)ethoxy)ethyl) 4-O-beta-D-galactopyranosyl-beta-(1->4)-D-glucopyranoside



CAS-No.	246855-74-3
Formula	$C_{18}H_{33}N_3O_{13}$
Mol. weight	499,47 g/mol

GBB1415 beta-Lac-TEG-Alkyne

2-[2-[2-(2-propyn-1-yloxy)ethoxy]ethoxy]ethyl 4-O-beta-D-galactopyranosyl-beta-(1->4)-D-glucopyranoside



Formula	$C_{21}H_{36}O_{14}$
Mol. weight	512,5 g/mol

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Click Chemistry

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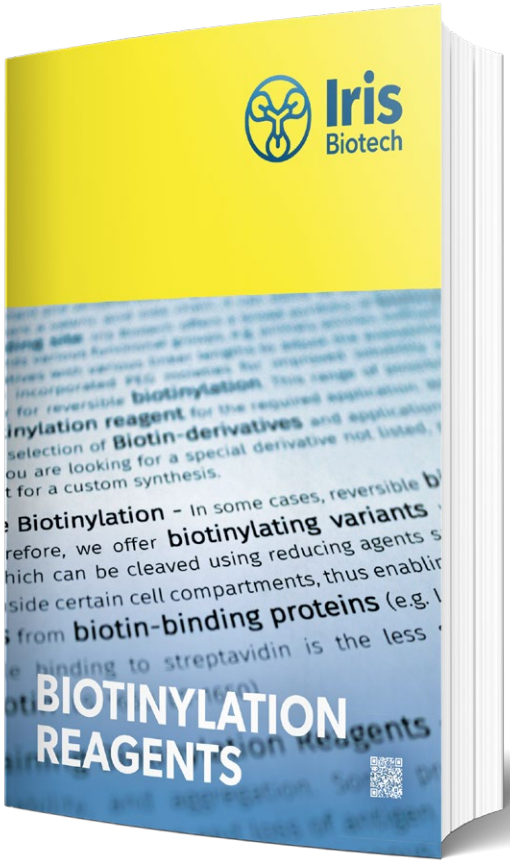
For clickable biotinylation reagents, please refer to our booklet Biotinylation Reagents.



7. Proteolysis Targeting Chimeras (PROTACs®)

Targeted protein degradation *via* proteolysis-targeting chimeras (PROTACs) is an emerging attempt to cure diseases caused by the irregular expression of certain disease-causing proteins. Such protein degraders act as bifunctional linkers and allow to feed the protein of interest (POI) to the cell's Ubiquitin-Proteasome system, thus, to eliminate the malexpressed proteins. These PROTACs consist of three components: one ligand with high affinity for E3 ubiquitin ligase, another one with high affinity for the protein of interest (POI) and an appropriate cross-linker joining both ligands. This linker can also be used to increase the solubility, if needed, e.g. by incorporation of PEGs. The resulting proximity of both, the recruited POI and the E3 ligase, allows the polyubiquitination of the POI by the E3 associated E2 enzyme. This leads to a labeling of the POI for degradation through the proteasome.

Mode of action:

1. A cross-linker unites the POI ligand and E3 ligase ligand = PROTAC.
2. The three-component PROTAC recruits the POI and the E2-associated E3 ligase *via* the respective ligands = Ternary complex.
3. Several Ubiquitins are added to Lys residues of the POI = Polyubiquitination.
4. The ubiquitinated POI is degraded by the proteasome.

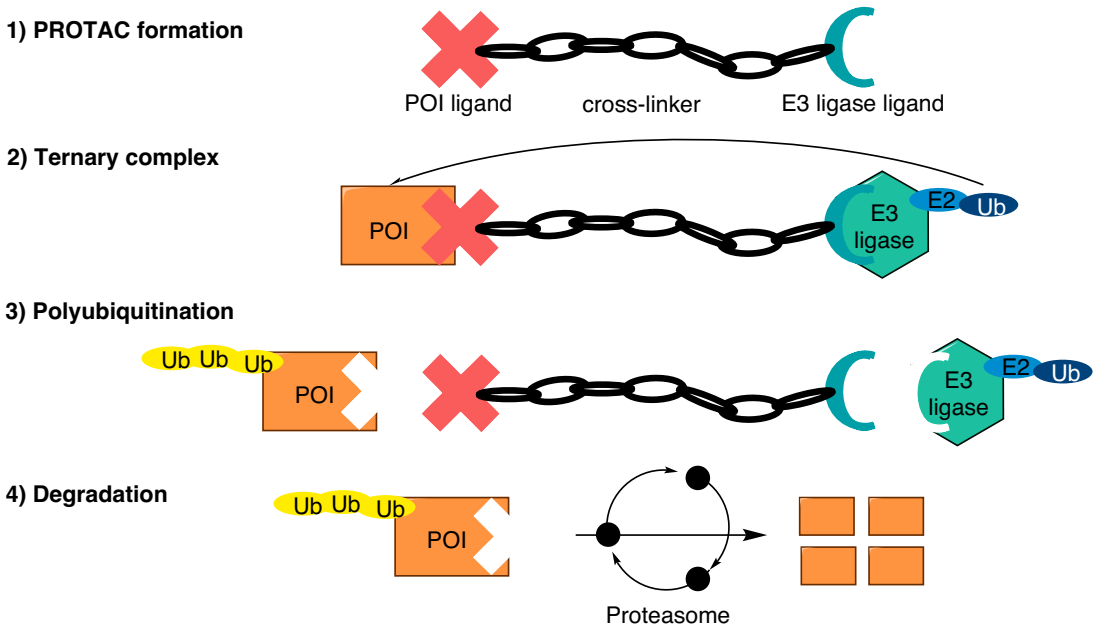


Fig. 23: Targeted protein degradation via proteolysis-targeting chimeras.

To construct a suitable PROTAC, we provide a variety of E3 ubiquitin ligase ligands in combination with linkers of various length and an elective amino-, carboxyl-, click- or thiol-reactive end ("Partial PROTACs").

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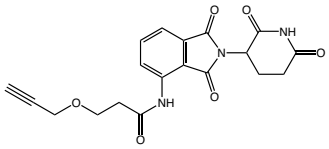
Click Reactive Partial PROTACs

Product details

PTC1400 Pomalidomide-PEG1-Alkyne

N-(2-(2,6-Dioxopiperidin-3-yl)-1,3-dioxoisoindolin-4-yl)-3-(prop-2-yn-1-yloxy)propanamide

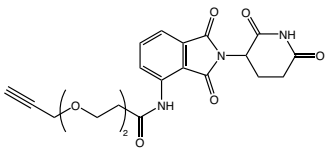
Formula $C_{19}H_{17}N_3O_6$
Mol. weight 383,35 g/mol



PTC1410 Pomalidomide-PEG2-Alkyne

N-(2-(2,6-Dioxopiperidin-3-yl)-1,3-dioxoisoindolin-4-yl)-3-(2-(prop-2-yn-1-yloxy)ethoxy)propanamide

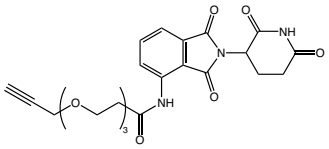
Formula $C_{21}H_{21}N_3O_7$
Mol. weight 427,41 g/mol



PTC1420 Pomalidomide-PEG3-Alkyne

N-(2-(2,6-Dioxopiperidin-3-yl)-1,3-dioxoisoindolin-4-yl)-3-(2-(2-(prop-2-yn-1-yloxy)ethoxy)ethoxy)propanamide

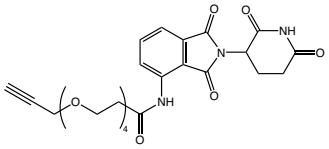
Formula $C_{23}H_{25}N_3O_8$
Mol. weight 471,46 g/mol



PTC1430 Pomalidomide-PEG4-Alkyne

N-(2-(2,6-Dioxopiperidin-3-yl)-1,3-dioxoisoindolin-4-yl)-4,7,10,13-tetraoxahexadec-15-ynamide

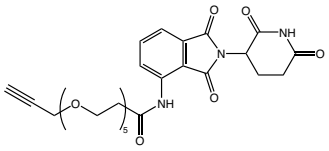
Formula $C_{25}H_{29}N_3O_9$
Mol. weight 515,51 g/mol



PTC1440 Pomalidomide-PEG5-Alkyne

N-(2-(2,6-Dioxopiperidin-3-yl)-1,3-dioxoisoindolin-4-yl)-4,7,10,13,16-pentaoxanonadec-18-ynamide

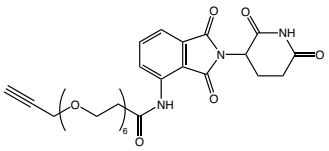
Formula $C_{27}H_{33}N_3O_{10}$
Mol. weight 559,57 g/mol



PTC1450 Pomalidomide-PEG6-Alkyne

N-(2-(2,6-Dioxopiperidin-3-yl)-1,3-dioxoisoindolin-4-yl)-4,7,10,13,16,19-hexaoxadocos-21-ynamide

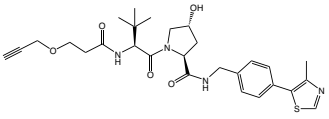
Formula $C_{29}H_{37}N_3O_{11}$
Mol. weight 603,62 g/mol



PTC1460 (S,R,S)-AHPC-PEG1-Alkyne

(2S,4R)-1-((S)-3,3-Dimethyl-2-(3-(prop-2-yn-1-yloxy)propanamido)butanoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide

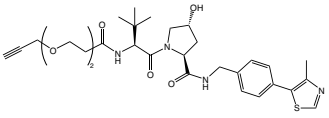
Formula $C_{28}H_{36}N_4O_5S$
Mol. weight 540,67 g/mol



PTC1470 (S,R,S)-AHPC-PEG2-Alkyne

(2S,4R)-1-((S)-3,3-Dimethyl-2-(3-(2-(prop-2-yn-1-yloxy)ethoxy)propanamido)butanoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide

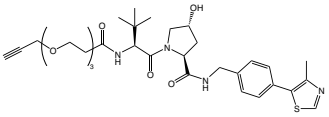
Formula $C_{30}H_{40}N_4O_6S$
Mol. weight 584,73 g/mol



PTC1480 (S,R,S)-AHPC-PEG3-Alkyne

(2S,4R)-1-((S)-2-(*tert*-Butyl)-4-oxo-7,10,13-trioxa-3-azahexadec-15-ynoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide

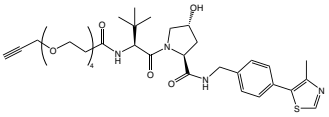
CAS-No. 2374122-30-0
Formula $C_{32}H_{44}N_4O_7S$
Mol. weight 628,78 g/mol



PTC1490 (S,R,S)-AHPC-PEG4-Alkyne

(2S,4R)-1-((S)-2-(*tert*-Butyl)-4-oxo-7,10,13,16-tetraoxa-3-azanonadec-18-ynoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide

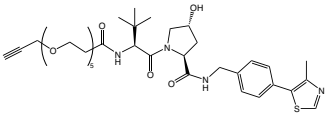
Formula $C_{34}H_{48}N_4O_8S$
Mol. weight 672,83 g/mol



PTC1500 (S,R,S)-AHPC-PEG5-Alkyne

(2S,4R)-1-((S)-2-(*tert*-Butyl)-4-oxo-7,10,13,16,19-pentaoxa-3-azadocos-21-ynoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide

Formula $C_{36}H_{52}N_4O_9S$
Mol. weight 716,88 g/mol



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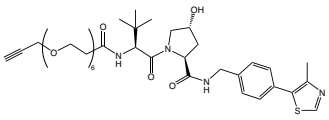
Product details

PTC1510 (S,R,S)-AHPC-PEG6-Alkyne

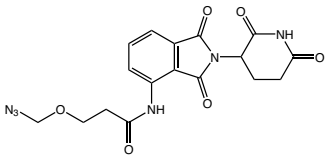
(2S,4R)-1-((S)-2-(*tert*-Butyl)-4-oxo-7,10,13,16,19,22-hexaoxa-3-azapentacos-24-ynoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide



Formula	$C_{38}H_{56}N_4O_{10}S$
Mol. weight	760,94 g/mol

PTC1520 Pomalidomid- PEG1-N_3

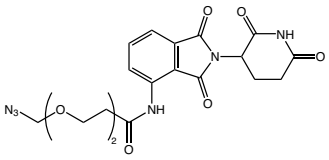
2-(2-Azidoethoxy)-N-(2-(2,6-dioxopiperidin-3-yl)-1,3-dioxoisoindolin-4-yl)acetamide



CAS-No.	2133360-04-8
Formula	$C_{17}H_{16}N_6O_6$
Mol. weight	400,35 g/mol

PTC1530 Pomalidomid- PEG2-N_3

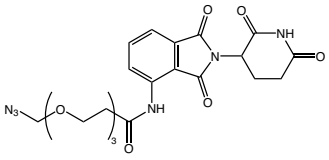
2-(2-(2-Azidoethoxy)ethoxy)-N-(2-(2,6-dioxopiperidin-3-yl)-1,3-dioxoisoindolin-4-yl)acetamide



CAS-No.	2267306-14-7
Formula	$C_{19}H_{20}N_6O_7$
Mol. weight	444,4 g/mol

PTC1540 Pomalidomid- PEG3-N_3

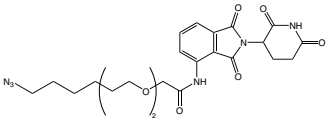
2-(2-(2-(2-azidoethoxy)ethoxy)ethoxy)-N-(2-(2,6-dioxopiperidin-3-yl)-1,3-dioxoisoindolin-4-yl)acetamide



CAS-No.	2267306-15-8
Formula	$C_{21}H_{24}N_6O_8$
Mol. weight	488,45 g/mol

PTC1550 Pomalidomid-PEG2-butyl-N_3

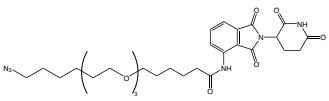
2-(2-((6-Azidohexyl)oxy)ethoxy)-N-(2-(2,6-dioxopiperidin-3-yl)-1,3-dioxoisoindolin-4-yl)acetamide



Formula	$C_{23}H_{28}N_6O_7$
Mol. weight	500,5 g/mol

PTC1560 Pomalidomid-C6-PEG3-butyl-N_3

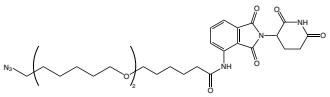
6-(2-(2-((6-Azidohexyl)oxy)ethoxy)ethoxy)-N-(2-(2,6-dioxopiperidin-3-yl)-1,3-dioxoisoindolin-4-yl)hexanamide



CAS-No.	2300178-66-7
Formula	$C_{29}H_{40}N_6O_8$
Mol. weight	600,66 g/mol

PTC1570 Pomalidomid-C6-PEG1-C3-PEG1-butyl-N_3

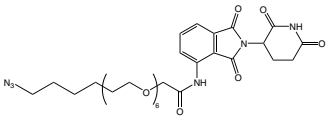
6-((5-((6-Azidohexyl)oxy)pentyl)oxy)-N-(2-(2,6-dioxopiperidin-3-yl)-1,3-dioxoisoindolin-4-yl)hexanamide



Formula	$C_{30}H_{42}N_6O_7$
Mol. weight	598,69 g/mol

PTC1580 Pomalidomid-PEG6-butyl-N_3

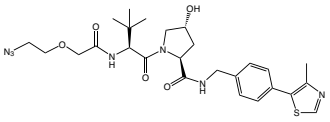
4-azido-N-(2-(2,6-dioxopiperidin-3-yl)-1,3-dioxoisoindolin-4-yl)-3,6,9,12,15,18-hexaoxatetracosanamide



Formula	$C_{31}H_{44}N_6O_{11}$
Mol. weight	676,71 g/mol

PTC1590 (S,R,S)-AHPC-PEG1-N_3

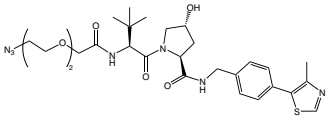
(2S,4R)-1-((S)-2-(2-(2-Azidoethoxy)acetamido)-3,3-dimethylbutanoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide



CAS-No.	2101200-09-1
Formula	$C_{26}H_{35}N_7O_5S$
Mol. weight	557,67 g/mol

PTC1600 (S,R,S)-AHPC-PEG2-N_3

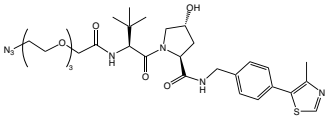
(2S,4R)-1-((S)-2-(2-(2-(2-Azidoethoxy)ethoxy)acetamido)-3,3-dimethylbutanoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide



CAS-No.	2010159-45-0
Formula	$C_{28}H_{39}N_7O_6S$
Mol. weight	601,72 g/mol

PTC1610 (S,R,S)-AHPC-PEG3-N_3

(2S,4R)-1-((S)-14-azido-2-(*tert*-butyl)-4-oxo-6,9,12-trioxa-3-azatetradecanoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide



CAS-No.	1797406-80-4
Formula	$C_{30}H_{43}N_7O_7S$
Mol. weight	645,77 g/mol

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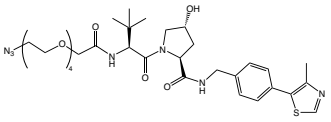
Thiol Reactive Partial PROTACs

Product details

PTC1620 (S,R,S)-AHPC-PEG4-N_3

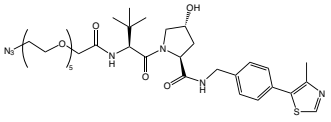
(2S,4R)-1-((S)-17-Azido-2-(*tert*-butyl)-4-oxo-6,9,12,15-tetraoxa-3-azaheptadecanoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide



CAS-No.	1797406-81-5
Formula	$C_{32}H_{47}N_7O_8S$
Mol. weight	689,82 g/mol

PTC1630 (S,R,S)-AHPC-PEG5-N_3

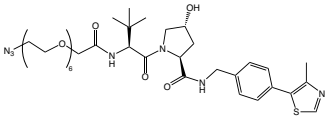
(2S,4R)-1-((S)-20-Azido-2-(*tert*-butyl)-4-oxo-6,9,12,15,18-pentaoxa-3-azaicosanoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide



Formula	$C_{34}H_{51}N_7O_9S$
Mol. weight	733,88 g/mol

PTC1640 (S,R,S)-AHPC-PEG6-N_3

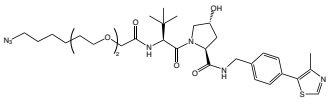
(2S,4R)-1-((S)-23-Azido-2-(*tert*-butyl)-4-oxo-6,9,12,15,18,21-hexaoxa-3-azatricosanoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide



CAS-No.	2086298-71-5
Formula	$C_{36}H_{55}N_7O_{10}S$
Mol. weight	777,93 g/mol

PTC1650 (S,R,S)-AHPC-PEG2-butyl-N_3

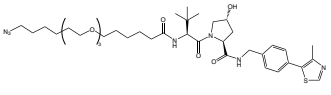
(2S,4R)-1-((S)-2-(2-(2-((6-Azidohexyl)oxy)ethoxy)acetamido)-3,3-dimethylbutanoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide



Formula	$C_{32}H_{47}N_7O_6S$
Mol. weight	657,82 g/mol

PTC1660 (S,R,S)-AHPC-C6-PEG3-butyl-N_3

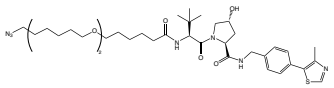
(2S,4R)-1-((S)-22-Azido-2-(*tert*-butyl)-4-oxo-10,13,16-trioxa-3-azadocosanoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide



CAS-No.	2300155-90-0
Formula	$C_{38}H_{59}N_7O_7S$
Mol. weight	757,98 g/mol

PTC1670 (S,R,S)-AHPC-C6-PEG1-C3-PEG1-butyl-N_3

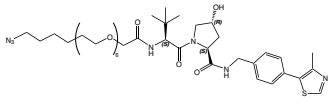
(2S,4R)-1-((S)-2-(6-((5-(((6-Azidohexyl)oxy)pentyl)oxy) hexanamido)-3,3-dimethylbutanoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide



Formula	$C_{39}H_{61}N_7O_6S$
Mol. weight	756,01 g/mol

PTC1680 (S,R,S)-AHPC-PEG6-butyl-N_3

(2S,4R)-1-((S)-27-Azido-2-(*tert*-butyl)-4-oxo-6,9,12,15,18,21-hexaoxa-3-azaheptacosanoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide



Formula	$C_{40}H_{63}N_7O_{10}S$
Mol. weight	834,03 g/mol

In addition to these pre-designed building blocks, we offer custom synthesis of your required ligand-linker combination or "complete PROTAC". This allows to design a library of slightly different PROTACs in order to find the best combination for your application, as even small changes in ligands and cross-linkers might affect the efficiency of the formation of the ternary complex.

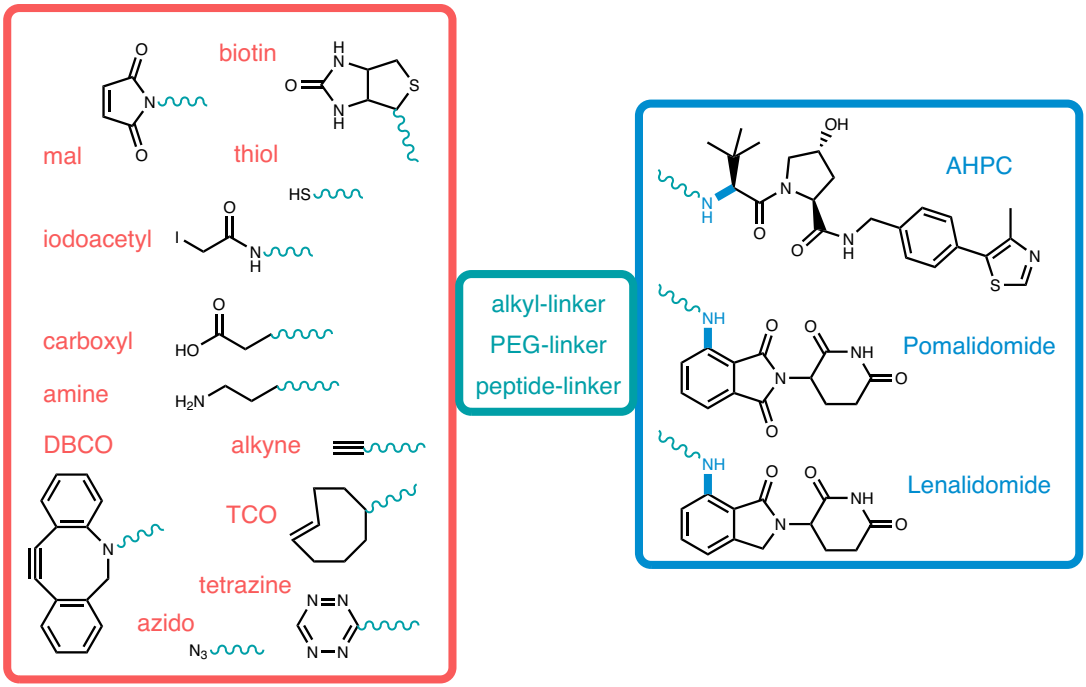


Fig. 24 Possibilities of PROTAC design. Above displayed options for linker constructs can be conjugated to substrates of the protein of interest, in order to create the desired PROTAC®.

Please contact us for Custom Synthesis of the PROTAC® linker fragment of your choice or complete functional PROTAC®.

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- *Novel Silyl Ether-Based Acid-Cleavable Antibody-MMAE Conjugates with Appropriate Stability and Efficacy; Y. Wang, S. Fan, D. Xiao, F. Xie, W. Li, W. Zhong, X. Zhou;* ***Cancers (Basel)*** *2019;* ***11****: 957. https://doi.org/10.3390/cancers11070957*

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Code of Conduct

As business activity of Iris Biotech GmbH impacts people's lives and health, it must be operated in ethical and correct manner and act with integrity and responsibility. To ensure high ethical standards and fair business practices, Iris Biotech GmbH applies an integrated policy known as its Code of Conduct.

In 2001 Iris Biotech GmbH was founded just at the beginning of the Biotech movement and the first remarkable breakthrough of biotech pharma products. Although the biotech field is rather young compared to other industries we believe on long-term business, a good partnership between our business partners and Iris Biotech GmbH and a good reputation. It is our duty as well as our responsibility to maintain and to extend this over the next generations – based on the principles of an honourable and prudent tradesman which based upon the concept of honourable entrepreneurship.

This Code of Conduct has been developed following the "Voluntary Guidelines for Manufacturers of Fine Chemical Intermediates and Active Ingredients" issued by AIME (Agrochemical & Intermediates Manufacturers in Europe) and the requirements of some of our business associates.

Iris Biotech GmbH commits to hold this Code of Conduct and to include and apply its principles in the management system and the company policies.

Ethics

Iris Biotech GmbH undertakes business in an ethical manner and acts with integrity. All corruption, extortion and embezzlement are prohibited. We do not pay or accept bribes or participate in other illegal inducements in business or government relationships. We conduct our business in compliance with all applicable anti-trust laws. Employees are encouraged to report concerns or illegal activities in the workplace, without threat of reprisal, intimidation or harassment.

Labour

Iris Biotech GmbH is committed to uphold the human rights of workers and to treat them with dignity and respect. Child labour, workplace harassment, discrimination, and harsh and inhumane treatment are prohibited. Iris Biotech GmbH respects the rights of the employees to associate freely, join or not join labour unions, seek representation and join workers' councils. Employees are paid and their working timetable is established according to applicable wage and labour laws. Employees are able to communicate openly with management regarding working conditions without threat of reprisal, intimidation or harassment.

General Policies

Contracts and Secrecy Agreements are binding and the confidential information received is only used for intended purposes. Clear management and organizational structures exist to provide efficient normal working and to address problems quickly. Know-how is protected and intellectual property isrespected.

Health and Safety

Iris Biotech GmbH provides a safe and healthy working environment to the employees and protects them from overexposure to chemical and physical hazards. Products are produced, stored and shipped under the guidelines of the relevant chemical and safety legislation. Risks and emergency scenarios are identified and evaluated, and their possible impact is minimized by implementing emergency plans and written procedures. Safety information regarding hazardous materials is available to educate, train and protect workers from hazards. Preventive equipment and facilities maintenance is performed at suitable periods to reduce potential hazards. Employees are regularly trained in health and safety matters and are informed about product properties and risk classification when it is required.

Environment

Iris Biotech GmbH operates in an environmentally responsible and efficient manner, minimizing adverse impacts on the environment. Waste streams are managed to ensure a safe handling, movement, storage, recycling and reuse, before and after being generated. Systems to prevent and mitigate accidental spills and releases to the environment are in place. All required environmental permits and licenses are obtained and their operational and reporting requirements are complied with.

Production and Quality Management

A quality management system following the Good Distribution Practices (GDP rules) of Active Pharmaceutical Ingredients is established covering all the aspects of the worldwide distribution of products. Regular audits are performed to evaluate the efficiency and fulfilling of the quality system. Process controls to provide reproducible product quality are established. There are preventive maintenance procedures to ensure plant reliability and the lowest risk of failure. Staff is trained periodically about GMP and GDP rules. Procedures are established and installations are designed to avoid cross contamination. Batch and analytical records are kept for inspection and audit purposes for suitable periods according guidelines.

Research and Development

Research and development staff education is appropriate to their functional activity and they are trained to develop, optimize and scale-up the processes. Intellectual property is respected and know-how protected. Development of manufacturing processes reflects the principles of the Green Chemistry according to the American Chemical Society Green Chemistry Institute. Animal testing is not used unless alternatives are not scientifically valid or accepted by regulators. If animal testing is carried out, animals are treated so that pain and stress are minimized.

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Terms and Conditions of Sales

All orders placed by a buyer are accepted and all contracts are made subject to the terms which shall prevail and be effective notwithstanding any variations or additions contained in any order or other document submitted by the buyer. No modification of these terms shall be binding upon Iris Biotech GmbH unless made in writing by an authorised representative of Iris Biotech GmbH.

Placing of Orders

Every order made by the buyer shall be deemed an offer by the buyer to purchase products from Iris Biotech GmbH and will not be binding on Iris Biotech GmbH until a duly authorised representative of Iris Biotech GmbH has accepted the offer made by the buyer. Iris Biotech GmbH may accept orders from commercial, educational or government organisations, but not from private individuals and Iris Biotech GmbH reserves the right to insist on a written order and/or references from the buyer before proceeding.

There is no minimum order value. At the time of acceptance of an order Iris Biotech GmbH will either arrange prompt despatch from stock or the manufacture/acquisition of material to satisfy the order. In the event of the latter Iris Biotech GmbH will indicate an estimated delivery date. In addition to all its other rights Iris Biotech GmbH reserves the right to refuse the subsequent cancellation of the order if Iris Biotech GmbH expects to deliver theproduct on or prior to the estimated delivery date. Time shall not be of the essence in respect of delivery of the products. If Iris Biotech GmbH is unable to deliver any products by reason of any circumstances beyond its reasonable control („Force Majeure“) then the period for delivery shall be extended by the time lost due to such Force Majeure. Details of Force Majeure will be forwarded by Iris Biotech GmbH to the buyer as soon as reasonably practicable.

Prices, Quotations and Payments

Prices are subject to change. For the avoidance of doubt, the price advised by Iris Biotech GmbH at the time of the buyer placing the order shall supersede any previous price indications. The buyer must contact the local office of Iris Biotech GmbH before ordering if further information is required. Unless otherwise agreed by the buyer and Iris Biotech GmbH, the price shall be for delivery ex-works. In the event that the buyer requires delivery of the products otherwise than ex-works the buyer should contact the local office of Iris Biotech GmbH in order to detail its requirements. Iris Biotech GmbH shall, at its discretion, arrange the buyer‘s delivery requirements including, without limitation, transit insurance, the mode of transit (Iris Biotech GmbH reserves the right to vary the mode of transit if any regulations or other relevant considerations so require) and any special packaging requirements (including cylinders). For the avoidance of doubt all costs of delivery and packaging in accordance with the buyer‘s requests over and above that of delivery in standard packaging ex-works shall be for the buyer‘s account unless otherwise agreed by both parties. Incoterms 2020 shall apply. Any tax, duty or charge imposed by governmental authority or otherwise and any other applicable taxes, duties or charges shall be for the buyer‘s account. Iris Biotech GmbH may, on request and where possible, provide quotations for multiple packs or bulk quantities, and non-listed items. Irrespective of the type of request or means of response all quotations must be accepted by the buyer without condition and in writing before an order will be accepted by Iris Biotech GmbH. Unless agreed in writing on different terms, quotations are valid for 30 days from the date thereof. Payment terms are net 30 days from invoice date unless otherwise agreed in writing. Iris Biotech GmbH reserves the right to request advance payment at its discretion. For overseas transactions the buyer shall pay all the banking charges of Iris Biotech GmbH. The buyer shall not be entitled to withhold or set-off payment for the products for any reason whatsoever. Government/

Corporate Visa and MasterCard (and other such credit cards) may be accepted on approved accounts for payment of the products. Personal credit cards are not acceptable. Failure to comply with the terms of payment of Iris Biotech GmbH shall constitute default without reminder. In these circumstances Iris Biotech GmbH may (without prejudice to any other of its rights under these terms) charge interest to accrue on a daily basis at the rate of 2% per month from the date upon which payment falls due to the actual date of payment (such interest shall be paid monthly). If the buyer shall fail to fulfil the payment terms in respect of any invoice of Iris Biotech GmbH Iris Biotech GmbH may demand payment of all outstanding balances from the buyer whether due or not and/or cancel all outstanding orders and/or decline to make further deliveries or provision of services except upon receipt of cash or satisfactory securities. Until payment by the buyer in full of the price and any other monies due to Iris Biotech GmbH in respect of all other products or services supplied or agreed to be supplied by Iris Biotech GmbH to the buyer (including but without limitation any costs of delivery) the property in the products shall remain vested in Iris Biotech GmbH.

Shipping, Packaging and Returns

The buyer shall inspect goods immediately on receipt and inform Iris Biotech GmbH of any shortage or damage within five days. Quality problems must be notified within ten days of receipt. Goods must not be returned without prior written authorisation of Iris Biotech GmbH. Iris Biotech GmbH shall at its sole discretion replace the defective products (or parts thereof) free of charge or refund the price (or proportionate price) to buyer. Opened or damaged containers cannot be returned by the buyer without the written prior agreement of Iris Biotech GmbH. In the case of agreed damaged containers which cannot be so returned, the buyer assumes responsibility for the safe disposal of such containers in accordance with all applicable laws.

Product Quality, Specifications and Technical Information

Products are analysed in the Quality Control laboratories of Iris Biotech GmbH's production partners by methods and procedures which Iris Biotech GmbH considers appropriate. In the event of any dispute concerning reported discrepancies arising from the buyer's analytical results, determined by the buyer's own analytical procedures, Iris Biotech GmbH reserves the right to rely on the results of own analytical methods of Iris Biotech GmbH. Certificates of Analysis or Certificates of Conformity are available at the discretion of Iris Biotech GmbH for bulk orders but not normally for prepack orders. Iris Biotech GmbH reserves the right to make a charge for such certification. Specifications may change and reasonable variation from any value listed should not form the basis of a dispute. Any supply by Iris Biotech GmbH of bespoke or custom product for a buyer shall be to a specification agreed by both parties in writing. Technical information, provided orally, in writing, or by electronic means by or on behalf of Iris Biotech GmbH, including any descriptions, references, illustrations or diagrams in any catalogue or brochure, is provided for guidance purposes only and is subject to change.

Safety

All chemicals should be handled only by competent, suitably trained persons, familiar with laboratory procedures and potential chemical hazards. The burden of safe use of the products of Iris Biotech GmbH vests in the buyer. The buyer assumes all responsibility for warning his employees, and any persons who might reasonably be expected to come into contact with the products, of all risks to person and property in any way connected with the products and for instructing them in their safe handling and use. The buyer also assumes the responsibility for the safe disposal of all products in accordance with all applicable laws.

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Uses, Warranties and Liabilities

All products of Iris Biotech GmbH are intended for laboratory research purposes and unless otherwise stated on product labels, in the catalogue and product information sheet of Iris Biotech GmbH or in other literature furnished to the buyer, are not to be used for any other purposes, including but not limited to use as or as components in drugs for human or animal use, medical devices, cosmetics, food additives, household chemicals, agricultural or horticultural products or pesticides. Iris Biotech GmbH offers no warranty regarding the fitness of any product for a particular purpose and shall not be responsible for any loss or damage whatsoever arising there from. No warranty or representation is given by Iris Biotech GmbH that the products do not infringe any letters patent, trademarks, registered designs or other industrial rights. The buyer further warrants to Iris Biotech GmbH that any use of the products in the United States of America shall not result in the products becoming adulterated or misbranded within the meaning of the Federal Food, Drug and Cosmetic Act (or such equivalent legislation in force in the buyer‘s jurisdiction) and shall not be materials which may not, under sections 404, 505 or 512 of the Act, be introduced into interstate commerce. The buyer acknowledges that, since the products of Iris Biotech GmbH are intended for research purposes, they may not be on the Toxic Substances Control Act 1976 („TSCA“) inventory. The buyer warrants that it shall ensure that the products are approved for use under the TSCA (or such other equivalent legislation in force in the buyer‘s jurisdiction), if applicable. The buyer shall be responsible for complying with any legislation or regulations governing the use of the products and their importation into the country of destination (for the avoidance of doubt to include, without limitation, the TSCA and all its amendments, all EINECS, ELINCS and NONS regulations). If any licence or consent of any government or other authority shall be required for the acquisition, carriage or use of the products by the buyer the buyer shall obtain the same at its own expense and if necessary produce evidence of the same to Iris Biotech GmbH on demand. Failure to do so shall not entitle the buyer to withhold or delay payment. Any additional expenses or charges incurred by Iris Biotech GmbH resulting from such failure shall be for the buyer‘s account. Save for death or personal injury caused by negligence of Iris Biotech GmbH, sole obligation of Iris Biotech GmbH and buyer‘s exclusive remedy with respect to the products proved to the satisfaction of Iris Biotech GmbH to be defective or products incorrectly supplied shall be to accept the return of said products to Iris Biotech GmbH for refund of the actual purchase price paid by the buyer (or proportionate part thereof), or replacement of the defective product (or part thereof) with alternative product. Iris Biotech GmbH shall have no liability to the buyer under or arising directly or indirectly out of or otherwise in connection with the supply of products by Iris Biotech GmbH to the buyer and/or their re-sale or use by the buyer or for any product, process or services of the buyer which in any way comprises the product in contract tort (including negligence or breach of statutory duty) or otherwise for pure economic loss, loss of profit, business, reputation, depletion of brand, contracts, revenues or anticipated savings or for any special indirect or consequential damage or loss of any nature except as may otherwise be expressly provided for in these terms. All implied warranties, terms and representations in respect of the products (whether implied by statute or otherwise) are excluded to the fullest extent permitted by law. The buyer shall indemnify Iris Biotech GmbH for and against any and all losses, damages and expenses, including legal fees and other costs of defending any action, that Iris Biotech GmbH may sustain or incur as a result of any act or omission by the buyer, its officers, agents or employees, its successors or assignees, its customers or all other third parties, whether direct or indirect, in connection with the use of any product. For the avoidance of doubt and in the event that Iris Biotech GmbH supplies bespoke or custom product to the buyer‘s design or specification, this indemnity shall extend to include any claim by a third party that the manufacture of the product for the buyer or the use of the product by the buyer infringes the intellectual property rights of any third party.

General

Iris Biotech GmbH shall be entitled to assign or sub-contract all or any of its rights and obligations hereunder. The buyer shall not be entitled to assign, transfer, sub-contract or otherwise delegate any of its rights or obligations hereunder. Any delay or forbearance by Iris Biotech GmbH in exercising any right or remedy under these terms shall not constitute a waiver of such right or remedy. If any provision of these terms is held by any competent authority to be invalid or unenforceable in whole or in part the validity of the other provisions of these terms and the remainder of the provision in question shall not be affected. These terms shall be governed by German Law and the German Courts shall have exclusive jurisdiction for the hearing of any dispute between the parties save in relation to enforcement where the jurisdiction of the German Courts shall be non-exclusive.

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PEG8100	Biotin-PEG(4)-SS-Azide	89
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BNN1320	Boc-Cystamine-Poc	67
BAA1805	Boc-D-Aha-OH*CHA	38
BAA1825	Boc-D-Aza-OH*CHA	35
BAA1815	Boc-D-Lys(N_3)-OH*CHA	48
BAA1835	Boc-D-Orn(N_3)-OH*CHA	51
BAA1855	Boc-D-Phe(4-N_3)-OH	56
BAA1377	Boc-D-Pra-OH*DCHA	69
BAA3120	Boc-D-Pro(4-N_3)-OH (2R,4R)	54
BAA3110	Boc-D-Pro(4-N_3)-OH*DCHA (2R,4S)	54
BAA1800	Boc-L-Aha-OH*CHA	38
BAA1820	Boc-L-Aza-OH*CHA	35
BAA2250	Boc-L-Cys(Propargyl)-OH*DCHA	67
BAA1810	Boc-L-Lys(N_3)-OH*CHA	48
BAA1960	Boc-L-Lys(Poc)-OH	71
BAA1830	Boc-L-Orn(N_3)-OH*CHA	51
BAA4660	Boc-L-Phe(4-CH2-N_3)-OH	56
BAA4670	Boc-L-Phe(4-Eth)-OH	72
BAA1850	Boc-L-Phe(4-N_3)-OH	56
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BAA1905	Boc-L-Pro(4-N_3)-OH (2S,4S)	53
BAA1930	Boc-L-Pro(4-N_3)-OH*DCHA (2S,4R)	54
BAA2260	Boc-L-Ser(Propargyl)-OH*DCHA	74
BAA4650	Boc-L-Tyr(2-azidoethyl)-OH	57
BAA2235	Boc-L-Tyr(PEG(3)-N_3)-OH*DCHA	58
BAA2265	Boc-L-Tyr(Propargyl)-OH*DCHA	75
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RL-4190	CliCr®-beta-Ala-NH_2*TFA	5
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RL-2730	DACN(Tos2)	14
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AAA2190	DAPOA*DCHA	30
RL-4020	DBCO-C6-Alkyne	7
RL-2430	DBCO-COOH	7
ADC1620	DBCO-cyclobutane-1,1-dicarboxamide-Ala-PAB	7
ADC1630	DBCO-cyclobutane-1,1-dicarboxamide-Ala-PAB-PNP	7
ADC1520	DBCO-cyclobutane-1,1-dicarboxamide-Cit-PAB	8
ADC1530	DBCO-cyclobutane-1,1-dicarboxamide-Cit-PAB-PNP	8
PEG6830	DBCO-dPEG(12)-(5)6-carboxyfluorescein	11
RL-2490	DBCO-mal	7
RL-2540	DBCO-mPEG (10kDa)	12
RL-2550	DBCO-mPEG (20kDa)	12
RL-2560	DBCO-mPEG (30kDa)	12
RL-2530	DBCO-mPEG (5kDa)	12
RL-2120	DBCO-NH_2	6
RL-2440	DBCO-NHS	7
PEG6770	DBCO-PEG(12)-MAL	11
PEG6750	DBCO-PEG(12)-TFP	11
PEG6780	DBCO-PEG(24)-MAL	11
PEG7460	DBCO-PEG(24)-OMe	11
PEG6760	DBCO-PEG(24)-TFP	11
RL-2480	DBCO-PEG(3)-BisSulfonThiol-Linker	8
PEG6785	DBCO-PEG(36)-MAL	12
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FAA2095	Fmoc-Abu(3-N_3)-OH (2R,3R)	42
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FAA3200	Fmoc-Abu(3-N_3)-OH (2S,3R)	41
FAA2040	Fmoc-Abu(3-N_3)-OH (2S,3S)	41
FAA4060	Fmoc-Aeg(N_3)-OH	45
FAA2080	Fmoc-alpha-Prg-D-Ala-OH	66
FAA2070	Fmoc-alpha-Prg-L-Ala-OH	66
FAA6810	Fmoc-D-Aha-OH	38
FAA6870	Fmoc-D-Aza-OH	36
FAA3650	Fmoc-D-Dbu(N_3)-OH	40
FAA1835	Fmoc-D-Lys(N_3)-OH	48
FAA8135	Fmoc-D-Lys(pentynoyl)-OH	71
FAA6890	Fmoc-D-Orn(N_3)-OH	52
FAA1910	Fmoc-D-Phe(4-N_3)-OH	56
FAA1690	Fmoc-D-Pra-OH	69
FAA4720	Fmoc-D-Pro(4-N_3)-OH (2R,4R)	55
FAA4630	Fmoc-D-Pro(4-N_3)-OH (2R,4S)	54
FNN1030	Fmoc-DAP-N_3	80
FNN1020	Fmoc-EDA-N_3	80
FAA6620	Fmoc-L-Aha-OH	38
FAA7400	Fmoc-L-Arg(Propargyl,Pbf)-OH	66
FAA8605	Fmoc-L-Asn(EDA-N_3)-OH	44
FAA1820	Fmoc-L-Aza-OH (solv.)	36
FAA3810	Fmoc-L-Cys(Propargyl)-OH	67
FAA4170	Fmoc-L-Dap(Pentynoyl)-OH	65
FAA4230	Fmoc-L-Dap(Poc)-OH	66
FAA2035	Fmoc-L-Dbu(N_3)-OH	40
FAA8880	Fmoc-L-Lys(2-N_3-Z)-OH	50
FAA8890	Fmoc-L-Lys(3-N_3-Z)-OH	51

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FAA8825	Fmoc-L-Lys(COCF2N_3)-OH	50
FAA1793	Fmoc-L-Lys(N_3)-OH	48
FAA8145	Fmoc-L-Lys(N_3-Aca-DIM)-OH	48
FAA8855	Fmoc-L-Lys(N_3-Gly)-OH	47
FAA4175	Fmoc-L-Lys(pentynoyl)-OH	71
FAA8115	Fmoc-L-Lys(Pentynoyl-DIM)-OH	71
FAA3150	Fmoc-L-Lys(Pryoc)-OH	71
FAA8595	Fmoc-L-MeLys(N_3)-OH	49
FAA8680	Fmoc-L-MeOrn(N_3)-OH	52
FAA6880	Fmoc-L-Orn(N_3)-OH	52
FAA7740	Fmoc-L-Phe(4-CH2-N_3)-OH	57
FAA8530	Fmoc-L-Phe(4-Eth)-OH	73
FAA1905	Fmoc-L-Phe(4-N_3)-OH	56
FAA7720	Fmoc-L-Phe(4-NH-Poc)-OH	73
FAA1589	Fmoc-L-Pra-OH	69
WAA6025	Fmoc-L-Pra-Wang Resin	70
FAA3000	Fmoc-L-Pro(4-N_3)-OH (2S,4R)	54
FAA2050	Fmoc-L-Pro(4-N_3)-OH (2S,4S)	54
FAA7130	Fmoc-L-Pro(4-NHPoc)-OH (2S,4S)	73
FAA3820	Fmoc-L-Ser(Propargyl)-OH	74
FAA8535	Fmoc-L-Tyr(2-azidoethyl)-OH	58
FAA3830	Fmoc-L-Tyr(Propargyl)-OH	75
FAA4950	Fmoc-N-(propargyl)-glycine	68
RL-3780	Fmoc-N-propargyl-MPBA	63
HAA2870	H-(Gly)3-Lys(N_3)-OH*HCl	48
HAA3020	H-Abu(3-N_3)-OH*HCl (2S,3R)	41
HAA3010	H-Abu(3-N_3)-OH*HCl (2S,3S)	41
HAA5510	H-alpha-Prg-D-Ala-OH	66
HAA1630	H-D-Aha-OH*HCl	38
HAA1885	H-D-Aza-OH*HCl hydrate	35
HAA1890	H-D-Lys(N_3)-OH*HCl	47
HAA1895	H-D-Orn(N_3)-OH*HCl	51
HAA1855	H-D-Phe(4-N_3)-OH	55
HAA1856	H-D-Phe(4-N_3)-OH*HCl	55
HAA6490	H-D-Pra-OH*HCl	69
HAA3190	H-D-Pro(4-N_3)-OH*HCl (2R,4R)	53
HAA3140	H-D-Pro(4-N_3)-OH*HCl (2R,4S)	53
HAA2020	H-D-Tyr(Propargyl)-OH	75
HAA9280	H-L-Aha-OH	37

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HAA1880	H-L-Aza-OH*HCl hydrate	35
HAA2350	H-L-Cys(Propargyl)-OH*HCl	67
HAA3970	H-L-Dbu(N_3)-OH*HCl	40
HAA3470	H-L-HPra-OH*HCl	69
HAA9380	H-L-Lys(2-N_3-Z)-OH	50
HAA9370	H-L-Lys(3-N_3-Z)-OH*HCl	50
HAA9315	H-L-Lys(4-N_3-Z)-OH*HCl	50
HAA9390	H-L-Lys(CO-Ethoxypropargyl)-OH*HCl	71
HAA9295	H-L-Lys(COCF2N_3)-OH*HCl	47
HAA2080	H-L-Lys(EO-N_3)-OH*HCl	47
HAA9170	H-L-Lys(MeTz-PhAc)-OH*TFA	17
HAA9210	H-L-Lys(N_3)-OH	46
HAA1625	H-L-Lys(N_3)-OH*HCl	47
HAA9340	H-L-Lys(N_3-Gly)-OH*HCl	47
HAA9235	H-L-Lys(Norbornene-methoxycarbonyl)-OH*HCl	22
HAA2085	H-L-Lys(Pentynoyl)-OH	70
HAA2090	H-L-Lys(Poc)-OH*HCl	70
HAA1620	H-L-Orn(N_3)-OH*HCl	51
HAA4090	H-L-Phe(4-CH2-N_3)*HCl	56
HAA9220	H-L-Phe(4-Eth)-OH*HCl	72
HAA1850	H-L-Phe(4-N_3)-OH	55
HAA2980	H-L-Phe(4-N_3)-OH*HCl	55
HAA4970	H-L-Phe(4-NH-Poc)-OH*HCl	72
HAA7151	H-L-Pra-OH	68
HAA7150	H-L-Pra-OH*HCl	68
HAA3150	H-L-Pro(4-N_3)-OH*HCl (2S,4R)	53
HAA2125	H-L-Pro(4-N_3)-OH*HCl (2S,4S)	53
HAA2355	H-L-Ser(Propargyl)-OH*HCl	73
HAA9310	H-L-Tyr(2-azidoethyl)-OH	57
HAA9215	H-L-Tyr(2-azidoethyl)-OH*HCl	58
HAA3940	H-L-Tyr(3-N_3)-OH	57
HAA1970	H-L-Tyr(Propargyl)-OH	74
HAA1971	H-L-Tyr(Propargyl)-OH*HCl	74
PEG1081	H2N-PEG(11)-N_3	90
PEG4980	H2N-PEG(2)-N_3*TosOH	89
PEG3070	H2N-PEG(23)-N_3	91
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PEG2970	H2N-PEG-alkyne (20 kDa)	103
PEG2960	H2N-PEG-alkyne (3 kDa)	102
PEG2980	H2N-PEG-alkyne (5 kDa)	102
PEG3000	H2N-PEG-N_3 (10 kDa)	91
PEG3020	H2N-PEG-N_3 (20 kDa)	91
PEG3010	H2N-PEG-N_3 (3 kDa)	91
PEG3030	H2N-PEG-N_3 (5 kDa)	91
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RL-3700	Halo-PEG(2)-Azide	116
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PEG3100	I-PEG-alkyne (20 kDa)	106
PEG3110	I-PEG-alkyne (3 kDa)	106
PEG3120	I-PEG-alkyne (5 kDa)	106
PEG3130	I-PEG-N_3 (10 kDa)	97
PEG3140	I-PEG-N_3 (20 kDa)	97
PEG3150	I-PEG-N_3 (3 kDa)	98
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MAA1100	Mal-AMCHC-N-Propargylamide	63
PEG7465	Me-PEG(12)-DBCO	6
POX2210	Me-PEtOx(100)-N_3	112
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POX1210	Me-PMeOx(100)-N_3	112
POX1200	Me-PMeOx(50)-N_3	111
PEG1660	MeO-dPEG(12)-N_3	98
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PEG2820	MeO-PEG-alkyne (20 kDa)	107
PEG2830	MeO-PEG-alkyne (5 kDa)	107
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PEG2045	MeO-PEG-N_3 (10 kDa)	99
PEG1225	MeO-PEG-N_3 (2 kDa)	99
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PEG2040	MeO-PEG-N_3 (5 kDa)	99
PEG1219	MeO-PEG-N_3 (750 Da)	99
RL-2100	MeTz-Butanoyl-TOTA*TFA	18
RL-2360	MeTz-Bzl-NH_2*HCl	18
RL-2390	MeTz-mPEG (10kDa)	20
RL-2400	MeTz-mPEG (20kDa)	20
RL-2380	MeTz-mPEG (5kDa)	20
RL-2310	MeTz-PEG(4)-COOH	19
RL-2340	MeTz-PEG(4)-mal	19
RL-2370	MeTz-PEG(4)-NH_2*HCl	19
RL-2330	MeTz-PEG(4)-NHS	19
RL-2320	MeTz-PhAc-NHS	18
RL-2300	MeTz-PhAcOH	18
HAA2230	N_3-1,4-*cis*-CHC-OH	30
HAA2235	N_3-1,4-*trans*-CHC-OH	30
HAA6990	N_3-Aca-Aca-OH	30
RL-2980	N_3-Aca-OSu	32
PEG7950	N_3-AEEA-OK	94
PEG5400	N_3-AEEEA*CHA	94
HNN1090	N_3-Cystamine*HCl	80
HAA2255	N_3-Cystamine-Suc-OSu	44
HAA2155	N_3-D-Dab(Boc)-OH	39
HAA2135	N_3-D-Dap(Boc)-OH	36
HAA2145	N_3-D-Dap(Fmoc)-OH	37
HAA2175	N_3-D-Lys(Boc)-OH	49
HAA2165	N_3-D-Lys(Fmoc)-OH	49
HAA2210	N_3-D-Orn(Boc)-OH*CHA	52
PEG1395	N_3-dPEG(12)-NHS	96
PEG1390	N_3-dPEG(12)-OH	93
PEG1400	N_3-dPEG(4)-NHS	95
PEG1405	N_3-dPEG(8)-NHS	95
PEG4190	N_3-dPEG™(24)-COOH	96

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PEG7650	N_3-dPEG™(24)-TFP	96
PEG3780	N_3-dPEG™(36)-OH	93
PEG7660	N_3-dPEG™(36)-TFP	96
PEG4900	N_3-EEEt-OH	92
HAA9330	N_3-Gly-Aeg(Fmoc)-OH	46
HAA2860	N_3-Gly-Gly-Gly-Gly-Gly-OH	45
HAA2840	N_3-Gly-Gly-Gly-OH	45
HAA2850	N_3-Gly-Gly-OH*DCHA	45
HAA3175	N_3-HABA*DCHA (2S)	31
AAA1960	N_3-Hx-OH	32
HAA3365	N_3-IsoSer*DCHA (2S)	31
HAA4980	N_3-L-Cit-OH*DCHA	44
HAA2810	N_3-L-Cys(Trt)-OH*CHA	44
HAA2150	N_3-L-Dab(Boc)-OH	39
HAA3170	N_3-L-Dab(Fmoc)-OH	39
HAA2130	N_3-L-Dap(Boc)-OH	36
HAA2140	N_3-L-Dap(Fmoc)-OH	36
HAA3350	N_3-L-Leu-OH*BHA	46
HAA2820	N_3-L-Leu-OH*CHA	46
HAA2170	N_3-L-Lys(Boc)-OH	49
HAA2160	N_3-L-Lys(Fmoc)-OH	49
HAA2880	N_3-L-Lys(Mtt)-OH	49
HAA2225	N_3-L-Orn(Fmoc)-OH	52
HAA3360	N_3-L-Phe-OH*DCHA	57
HAA9285	N_3-L-Val-OH*CHA	58
PEG2790	N_3-O2Oc-O2Oc-OH	95
PEG2780	N_3-O2Oc-OH*CHA	95
PEG5390	N_3-O2Oc-OtBu	94
PEG1220	N_3-PEG(20)-OH	93
PEG8160	N_3-PEG(3)-NH-Boc	92
PEG3760	N_3-PEG(3)-OH	92
PEG2345	N_3-PEG(4)-COOH	95
PEG5320	N_3-PEG(4)-NH_2	90
PEG5300	N_3-PEG(4)-OH	92
PEG6720	N_3-PEG(5)-OH	92
PEG1088	N_3-PEG(8)-OH	87
PEG2015	N_3-PEG(9)-COOH	96
PEG6645	N_3-PEG-SQA (10 kDa)	101
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PEG6655	N_3-PEG-SQA (3 kDa)	100

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PEG4170	N_3-PEG™(8)-COOH	95
RL-3280	N_3-Pen-Dde	32
RL-3290	N_3-Pen-Dtpp	32
AAA1970	N_3-Pen-OH	31
PGA1135	N_3-PGA(100)	110
PGA1125	N_3-PGA(20)	109
PGA1140	N_3-PGA(200)	110
PGA1130	N_3-PGA(50)	110
HAA2245	N_3-PhAc-OH	31
RL-3650	N_3-Phenylpropionic-OH	30
HNN1110	N_3-TEMPO	62
PEG5000	N_3-TFBA-O2Oc	35
BNN1150	N_3-TOTA	90
PEG5170	N_3-TOTA-Suc	94
HAA2240	N_3-*trans*-MCHC-OH	31
RL-3010	N_3Ac-OPhOMe	115
PGA1300	*n*Bu-PGA(100)[PEG2-N_3(20)]	111
PGA1200	*n*Bu-PGA(100)[Prg(20)]	110
PGA1290	*n*Bu-PGA(20)[PEG2-N_3(20)]	111
PGA1190	*n*Bu-PGA(20)[Prg(20)]	110
PGA1305	*n*Bu-PGA(200)[PEG2-N_3(20)]	111
PGA1205	*n*Bu-PGA(200)[Prg(20)]	111
PGA1295	*n*Bu-PGA(50)[PEG2-N_3(20)]	111
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PEG2900	NHS-PEG(NH-Boc)-alkyne (10 kDa)	104
PEG2920	NHS-PEG(NH-Boc)-alkyne (20 kDa)	105
PEG2910	NHS-PEG(NH-Boc)-alkyne (3 kDa)	104
PEG2930	NHS-PEG(NH-Boc)-alkyne (5 kDa)	104
PEG2905	NHS-PEG(NH-Fmoc)-alkyne (10 kDa)	105
PEG2925	NHS-PEG(NH-Fmoc)-alkyne (20 kDa)	105
PEG2915	NHS-PEG(NH-Fmoc)-alkyne (3 kDa)	105
PEG2935	NHS-PEG(NH-Fmoc)-alkyne (5 kDa)	105
PEG2850	NHS-PEG-alkyne (10 kDa)	104
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PAA1170	Poc-Cystamine*HCl	67
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PTC1520	Pomalidomid- PEG1-N_3	127
PTC1530	Pomalidomid- PEG2-N_3	127
PTC1540	Pomalidomid- PEG3-N_3	127
PTC1570	Pomalidomid-C6-PEG1-C3-PEG1-butyl-N_3	128
PTC1560	Pomalidomid-C6-PEG3-butyl-N_3	127
PTC1550	Pomalidomid-PEG2-butyl-N_3	127
PTC1580	Pomalidomid-PEG6-butyl-N_3	128
PTC1400	Pomalidomide-PEG1-Alkyne	125
PTC1410	Pomalidomide-PEG2-Alkyne	125
PTC1420	Pomalidomide-PEG3-Alkyne	125
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PGA1095	Prg-PGA(100)	109
PGA1085	Prg-PGA(20)	109
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PGA1090	Prg-PGA(50)	109
PEG2755	Propargyl amine	62
ADC1600	Propargyl-cyclobutane-1,1-dicarboxamide-Ala-PAB	77
ADC1610	Propargyl-cyclobutane-1,1-dicarboxamide-Ala-PAB-PNP	77
ADC1500	Propargyl-cyclobutane-1,1-dicarboxamide-Cit-PAB	77
ADC1510	Propargyl-cyclobutane-1,1-dicarboxamide-Cit-PAB-PNP	77
PEG1935	Propargyl-NHS	63
PEG8170	Propargyl-PEG(5)-COOH	103
SNN1170	Spermine(HHHN$_3$)*3HCl	80
SNN1230	Spermine(N$_3$BBB)	80
SNN1210	Spermine(N$_3$HHN$_3$)*2TsOH	80
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LS-3405	TCEP*HCl	28
TCO1060	TCO-NH_2*HCl	21
TCO1000	TCO-NHS	21
TCO1020	TCO-PEG(12)-NHS	22
TCO1050	TCO-PEG(3)-mal	22
TCO1070	TCO-PEG(3)-NH_2*HCl	21
TCO1040	TCO-PEG(4)-COOH	21
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RL-2580	Tz-benzoic acid	18
ZAA1285	Z-D-Dbu(N_3)-OH	40
ZAA1210	Z-D-Pra-OH*DCHA	70
ZAA5700	Z-L-Aha-OH*DCHA	38
ZAA1300	Z-L-Dap(N_3)-OH	36
ZAA1290	Z-L-Dbu(N_3)-OH	40
ZAA1240	Z-L-Pra-OH	70

Notes

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