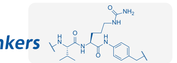
Cleavable Linkers

Some linkers contain a group that can be cleaved in response to specific conditions.

Peptide-containing Linkers



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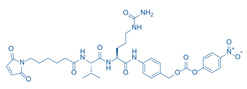
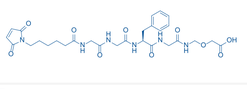
Peptide-containing linkers are a class of linkers containing specific short peptides, which can be cleaved by enzymes and have been widely used in antibody-drug conjugate(ADC). The mechanism of action of ADC is to use the targeting of antibodies to be accurately transported to the target cells, and then enter the cells through the endocytic pathway. Due to the high concentration of proteases in the intracellular lysosomes, the opportunity for selective cleavage of the linkers containing peptide chains is provided in the cell, and finally the controlled release of the payload can be achieved.



Mc-Val-Cit-PAB-PNP ester

Cat. No. BD293478
CAS No. 159857-81-5

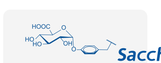


Mc-GGFG-CH2O-Acetic acid

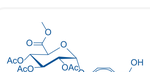
Cat. No. BD01290795
CAS No. 1599440-25-1

Saccharide-containing Linkers

View More

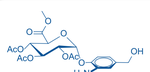


Saccharide-containing linkers are a category of cleavable linkers incorporated into ADC molecules to enable specific hydrolases in high lysosomal concentrations to cleave them, thereby regulating the targeted release of cytotoxic drugs. In contrast to peptide-containing linkers, sugar-containing linkers possess hydrophilic characteristics, which prevent them from aggregating and precipitating after binding to hydrophobic drug toxins, thus ensuring the efficacy of ADC molecules during targeting.



2-(4-(Hydroxymethyl)-2-nitrophenoxy)-tetraactyl-β-D-glucuronide methyl ester

Cat. No. BD631720
CAS No. 148579-94-6



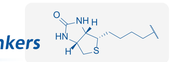
22-(4-(Hydroxymethyl)-2-aminophenoxy)-tetraactyl-β-D-glucuronide methyl ester

Cat. No. BD01302091
CAS No. 229977-57-5

Target-Specific Linkers

Some linkers at one end are attached to a specific pharmaceutical active segment, thereby imparting the final molecule with specific targeting or drug activity.

Biotin-Linkers



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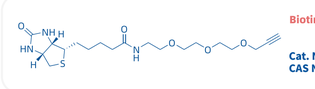
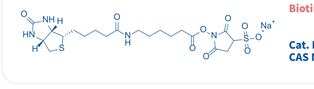
Biotin is a water-soluble vitamin, and the non-covalent interaction between biotin and streptavidin/avidin is the strongest protein-ligand interaction known, with an affinity constant (K) of 10^15 mol/L, and this binding can withstand extreme effects such as pH, temperature, organic solvents and denaturants. Biotin-linkers are complexes formed by biotin linking different linkers, which are designed to facilitate application needs such as probe construction, affinity purification, drug delivery, etc.



Biotin-PEG3-Propargyl

Cat. No. BD01136798
CAS No. 1421701-68-9

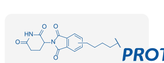


Biotin-C5-SulfoNHS

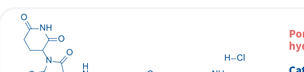
Cat. No. BD152260
CAS No. 191671-46-2

PROTAC E∃ Ligand-Linkers

View More

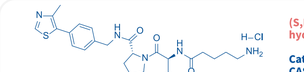


PROTAC is a bifunctional molecule composed of two ligands that bind through linkers: one end is a ligand for the target protein, used to bind to the protein to be degraded; On the other end is the ligand of E3 ubiquitin ligase, which is used to recruit E3 ubiquitin ligase. The function of E3 ligase is to label the target protein with ubiquitin and degrade it through the ubiquitin proteasome pathway. We offer a variety of binary complexes of E3 ligands and linkers for rapid synthesis of final PROTAC molecules with target protein ligands.,



Pomalidomide-PEG3-amine hydrochloride

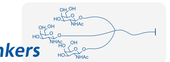
Cat. No. BD01421379
CAS No. 2446474-09-3



(S,R,S)-AHPC-C4-amine hydrochloride

Cat. No. BD01108803
CAS No. 2245697-83-8

GalNAc-Linkers



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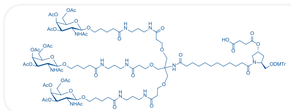
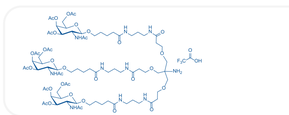
N-acetylgalactosamine(GalNAc) is one of the Natural N-glycans' components. It was verified to bind specifically to the asialoglycoprotein receptor(ASGPR) which is specifically expressed on the surface of hepatocytes. GalNAcs modified by linkers are capable of conjugating with macromolecules(antibodies, nucleic acids, etc.), then making the macromolecules easy to achieve cellular internalization in the liver. This hepatocyte-specific delivery platform brings the first FDA-approved siRNA drug givosiran which targets ALAS in 2018, and the drug was used to treat acute hepatic porphyrias(AHP).



GalNac-L96

Cat. No. BD01400772
CAS No. 1159408-61-3

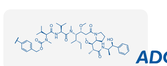


tri-GalNAc(OAc)3 TFA

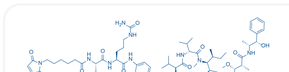
Cat. No. BD01417849
CAS No. 1159408-65-7

ADC Payload-Linkers

View More

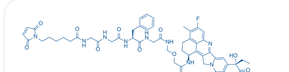


ADC linkers are one of the important components of antibody-drug conjugates (ADCs), forming a stable covalent link between the antibody and the payload, and jointly maintaining the dual effects of cell targeting and cytotoxicity of ADC drugs. Payloads are small-molecule toxic drugs used to kill cancer cells, and auristatins and maytansines are currently the most common drugs in ADCs. We can offer different payload-linker conjugates for direct conjugation to suitable antibodies.



vcMMAE

Cat. No. BD317613
CAS No. 646502-53-6



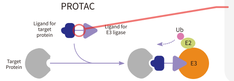
Deruxtecan

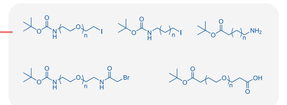
Cat. No. BD00782569
CAS No. 1599440-13-7

BLDpharm

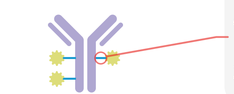
LINKERARY

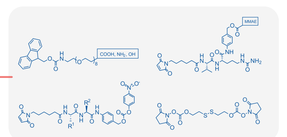
Series I : PROTAC Linkers



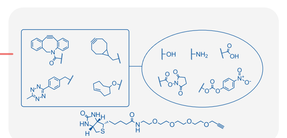


Series II: ADC Linkers

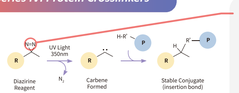


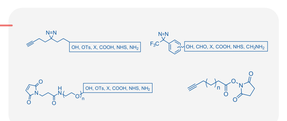


Series III: Click Chemistry Tools



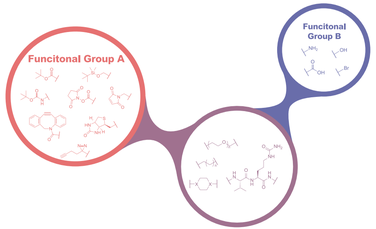
Series IV: Protein Crosslinkers





12 / 2024

**Research Use Only!*



The increasing front scientific research has led to a proliferation of new drug development pipelines hatching from research findings in cross fields of chemistry and biology. Fields representing coupling drugs, protein degraders, and drug delivery have become hotspots in current drug development. These hotspots involve drug molecules that typically require linker units, which are responsible for linking other functional moieties within the molecule, regulating the spatial relationships between these modules through their own chemical structure, or achieving specific condition-responsive chemical reactions. Based on the general characteristics of linker units, we have collected a series of linker building blocks to construct linker library(Linkerary).

Bifunctional Linkers

Some basic linker units containing two reactive functional groups can be used to synthesize simple ternary compounds or more complex linkers.

PEG Linkers

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Polyethylene glycol (PEG) is a long chain formed by the polymerization of ethylene glycol from end to end. The length of PEG linkers can be adjusted by changing the number of ethylene glycol units. At the same time, the hydroxyl groups at the end of the long chain can be replaced with specific functional groups, and the bifunctionalized PEG linker can serve as a "bridge" to connect the molecules at both ends. PEG linkers have good water solubility and low immunogenicity, therefore they are widely used in many fields such as drug formulation modification, drug delivery, and biochemical experiments.

Bocamine-PEG4-Br

Cat. No. BD00865587
CAS No. 1392499-32-9

tBu ester-PEG8-amine

Cat. No. BD760171
CAS No. 756526-06-4

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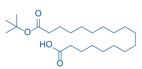
Aliphatic Linkers

Aliphatic linkers mainly refer to single-chain molecules composed of functional groups (carboxylic acids, amines, alcohols, halogens, etc.) at both ends of carbon chains with different lengths, and different terminal groups can achieve specific linkage to the target molecule. Aliphatic linkers are common in compartments of PROTACs and ADCs, they can regulate the lipid solubility and stability of modified molecules, as well as the degradation activity of drug molecules. Its application in the field of materials is also gradually expanding, and it can be used to control the spatial structure and properties of material molecules.

tBu ester-C6-amine

Cat. No. BD00796604
CAS No. 105974-64-9



tBu ester-C16-acid

Cat. No. BD01426941
CAS No. 843666-40-0

Rigid Linkers

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Rigid linkers replace linear linkers by introducing rings(aliphatic ring, heterocyclic ring, aromatic ring, etc.), which can effectively reduce the number of rotatable bonds in drug molecules and limit the conformation of compounds, thus improving the binding stability of drug molecules to targets. On the other hand, rigid rings are easier than linear molecules to regulate the physiochemical properties of drug molecules and improve their DMPK, they are now widely used in protein degraders.

6-((tert-Butoxycarbonyl)amino)spiro[3.3]heptane-2-carboxylic acid

Cat. No. BD235220
CAS No. 1087798-38-6

tert-Butyl 4-(piperazin-1-ylmethyl)piperidine-1-carboxylate

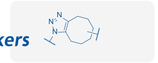
Cat. No. BD01202992
CAS No. 381722-48-1

Linker-containing Probes&Tools

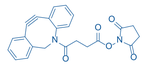
Some linkers at one end are attached to a special functional molecule, so as to be used as chemical tools or to synthesize some probes.

View More

Click Chemistry Linkers

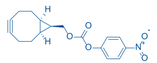


Click chemistry refers to a class of modular, highly selective, mild conditions, high-yield coupling reactions to achieve efficient and rapid synthesis of functional molecules. The 2022 Nobel Prize in Chemistry has been awarded to pioneers of bioorthogonal reaction and click chemistry, both of which uphold the concept of "Great Truth in Simple Words", using simple and efficient methods to achieve the complex function of molecules. Click chemistry successfully led chemistry into the era of functionalism, and promoted the development of materials chemistry, chemical biology, medicinal chemistry and biomedicine.



DBCO-C2-NHS ester

Cat. No. BD631344
CAS No. 1353016-71-3



BCN(endo)-PNP ester

Cat. No. BD00850869
CAS No. 1263166-91-1

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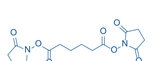
Amino-reactive Linkers

Amino-reactive linkers are a class of crosslinkers that react with amine in molecules (such as lysine residues, artificially modified amino groups, etc.) to form stable amide bonds, enabling them to be coupled with other compounds or biomolecules. These linkers are widely used in drug development to label or modify antibodies, enzymes, peptides, and other molecules.



NHS ester-C4-NHS ester

Cat. No. BD02199262
CAS No. 59156-70-6

Propargyloxy-PEG6-NHS ester

Cat. No. BD00844502
CAS No. 2093152-77-1

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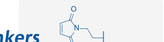
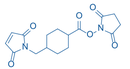
Thio-reactive Linkers

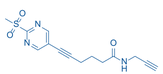


Thio-reactive linkers are a class of common crosslinkers that selectively react with thiols to form stable thioethers through specific functional groups. This kind of linker is mostly used in ADC molecules, and the introduction of maleimide at the end of the linker can specifically link with the cysteine residue in the antibody, so as to realize the coupling between the antibody and the toxic drug (payload) and maintain the stability of the ADC molecule during delivery.



SMCC

Cat. No. BD151232
CAS No. 64987-85-5



Mspy-yne-propylAmido-Propargyl

Cat. No. BD00745932
CAS No. 2288710-39-2

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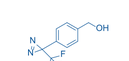
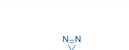
Photo-Cross-Linking

Photo-crosslinkers are molecules that introduce photo-sensitive groups, when excited by ultraviolet light, these molecules can undergo photochemical reactions to form highly reactive intermediates with specific structures. The intermediates then rapidly cross-linked to adjacent target molecules through covalent bonds. Photo-crosslinkers can be used to synthesize photo-affinity labeling(PAL) probes that are available in live cells for target identification, protein-protein interaction mapping, and more.



4-[3-(Trifluoromethyl)-3H-diazirin-3-yl]benzyl Alcohol

Cat. No. BD306020
CAS No. 87736-88-7



2-(3-But-3-ynyl-3H-diaziri n-3-yl)-ethanol

Cat. No. BD627884
CAS No. 1450754-41-2