

Multi-Functional CrossLinkers

Products Information

Multifunctional crosslinkers, for the preparation of multimeric conjugate:

| Homo-tri-&quadri- functional Amine-reactive homo multi | Sulfhydryl-reactive |

| Hetero-tri-functional Amino and sulfhydryl reactive crosslinking reagents |

Applications:

Preparation of multivalent conjugates, e.g. antigenic carrier-peptides Oriented coupling for probe design

• Amine-reactive homo-multi-functional crosslinkers.

Catalog #: L7962A, 250mg
Name: NHS-3 (TSAT)

tris-Succinimidyl aminotriacetate

MW: 482.36 (K

Spacer Arm length: 4.2 Å.

• Amino reactive trifunctional crosslinking reagent

• Amino core for preparation of dendritic or molecular aggregates.

• Can be selectively aminated to generate mixed trimer

Catalog #: BU243A, 50mg

Name: lc-NHS-3 (lc-TSAT)

tris-Succinimidyl (6-aminocaproyl)aminotriacetate

MW: 821.83 (K

• TSAT analog with long chain spacer

Catalog #: BU248A, 50mg

Name: NHS-4 (TKSPE)

tetrakis-(N-succinimidylcarboxypropyl)pentaerythritol

MW= 812.69 (IMp: 158-159 °C

• Reacts with 4 amines with its Succinimidyl groups

• Useful in forming multivalent ligands.

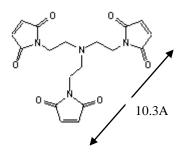
• Sulfhydryl-reactive homo-multi-functional crosslinkers.

Catalog #: 86685A, 50 mg **Name: Mal-3 (TMEA)**

tris-(2-Maleimidoethyl)amine

MW: 386.36 (K

Spacer length: 10.3 Å





FT-BU245A

Catalog #: BU247B, 25mg

Name: MAL-4 (TKMPE)

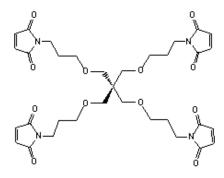
tetrak is-(3-Male imid opropyl) pentaery thritol

Mp: 90-91 °C

MW = 684.70 (K

• Reacts with 4 sulfhydryl with its Maleimide groups

• Useful in forming multivalent ligands.



Store at $+4^{\circ}$ C (or -20° C for long term) (K)

• Hetero-tri-functional Amino and sulfhydryl reactive crosslinking reagents.

Catalog #: BU245A, 100mg

Name: SDMB (Mal₂-NHS)

Succinimidyl-3,5-dimaleimidophenyl benzoate

Mp: 198-199 °C

• Reacts with one sulfhydryl with its Maleimide group. and 2 amino groups with its Succinimidyl groups.

• Useful for generating multivalent antitumor antibody fragments.1

1. Schott, M.E., et. al. (1993) Bioconjugate Chem. 4, 153-165.

MW = 409.31

Store at $+4^{\circ}$ C (or -20° C for long term) (K)

Catalog #: BU246A, 50mg

Name: MDSI (Mal-NHS₂)

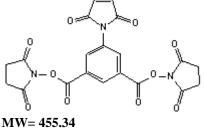
Maleimido-3,5-disuccinimidyl isophthalate

Mp: 247 °C (Decomp)

• Reacts with 2 sulfhydryl with its Maleimide groups, and one amino group with Succinimidyl group.

• Useful in forming mixed, trimeric aggregates of ligands or therapeutics.

Store at $+4^{\circ}$ C (or -20° C for long term) (K)



Introduction

Cross-linkers are chemical reagents used to conjugate molecules together by a covalent bound (forming a 'spacer arm') to associate the characteristics and biological activities of each component. In the extensive range of Uptima reagents for this purpose, this sheet presents multi-functional cross-linkers, that is to say they have distinct reactivities (toward amine, and sulfhydryls), allowing the conjugation of molecules in an oriented manner, and/or multiple same reactive groups. Multimeric conjugates can be prepared, that is taken to good account for the preparation of antigen in immunisation procedures, and tri- or quadri-valent probes.

Technical and Scientific Information

The chemical group N-hydroxysuccinimydyl (NHS) reacts in aqueous phase on primary (-NH2) and secondary amines (=NH) (in fact on its deprotonated form), optimally at neutral pH or higher: amines present in proteins (Lys aminoacid) and in a lower proportion on NH2 located in terminal peptidic chains. The reaction competes with hydrolysis, that increases with pH, and with the high dilutions of the molecule that should be derivatized. In usual conditions, one should start with a ratio of 5-40 moles of maleimide per mole of protein.



FT-BU245A

• The **maleimide** group reacts very specifically with sulfhydryls –SH at neutral pH 6.5-7.5, forming a thioester, in comparison to iodoacetamides which react also with tyr, his, met. The reaction is rapid (a few minutes for cystein), but usually performed for 30-60min at RT or +4°C. In the absence of –SH, maleimide is well stable, but hydrolysis forming maleimic acid may compete significantly when pH goes up 8.0, and the reactivity specificity is lower. At pH higher than 8.0-8.5, a nucleophilic reaction with an adjacent amine can ring-open the crosslinker to yield crosslinked products with poor stability.

In usual conditions, one should start with a ratio of 1-20 moles of maleimide per mole of protein. With SH-peptides in optimal conditions, a molar 1:1 incubation ratio allows almost 1:1 coupling.

Related / associated products

- NHS reaction catalyzer: **EDAC** # <u>UP52005A</u> or DCC #<u>01202A</u>
- Quenchers for amine conjugations: Hydroxylamine #13072, NHS-Acetate <u>UP68723A</u>
- 6-(N-trifluoroacetyl)caproic acid NHS (TFCS) #L7727B to protect amino group that can then be unmasked at pH 7.8-8.1
- 2-Iminothiolane (**Traut's reagent**) #<u>UP42425A</u> to convert amino group to un-protected sulfhydryl group
- SATA #84235A to converts amino group to protected sulfhydryl group
- Reductants: DTT #<u>UP284250</u> or TCEP #<u>UP242210</u>
- DTNB (Elman's reagent) (01566H) (SH dosage)
- $\begin{tabular}{l} \blacksquare PDA (Pyridine dithioethylamine hydrochloride) \# \underline{BI1321} \end{tabular} to converts sulfhydryl group in amino group. \\$
- HomobiFunctional crosslinkers: i.e. DSS / BS3#UP54940A
- HeterobiFunctional crosslinkers: i.e. (sulfo)SMCC #<u>UP17412A</u>
- Hydrazone chemistry: Conjugation kit (BL1501) and HynNic crosslinkers (SANH #BL9270, MHPH #BL9401 SH-reactive)
- •Desalting tools, i.e <u>CelluSep dialysis tubings</u>, Desalting gelfiltration columns #<u>UP84874</u>
- Buffers: PBS(Phosphate Buffer Saline) #68723A, TBS(Tris Buffer Saline) #74004A, MES Buffer GS2960
- •Preservatives: AEBSF #401070 and other protease inhibitors, SodiumAzide #08112A

Ordering information

Catalog size quantities and prices may be found at http://www.interchim.com Please inquire for higher quantities (availability, shipment conditions).

For any information, please ask : Uptima / Interchim; Hotline : +33(0)470037306

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