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(71) Applicant (for all designated States except US):
**STICHTING HET NEDERLANDS KANKER INSTI-
TUUT** [NL/NL]; Plesmanlaan 121, NL-1066 CX Amster-
dam (NL).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **OVAA, Huib** [NL/
NL]; Derde Schinkelstraat 56 huis, NL-1075 TM Amster-
dam (NL). **EL OUALID, Farid** [NL/NL]; Mamixstraat
73D, NL-1015 VC Amsterdam (NL).

(74) Agent: **KETELAARS, Maarten**; J.W. Frisolaan 13,
NL-2517 JS The Hague (NL).

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(54) Title: LYSINE COMPOUNDS AND THEIR USE IN SITE- AND CHEMOSELECTIVE MODIFICATION OF PEPTIDES
AND PROTEINS

(57) Abstract: The present invention concerns new thiolysine and selenolysine compounds that can be used as building blocks for peptides and proteins, providing ligation handles for site- and chemoselective modification of said peptides and proteins. In particular, the invention provides. In particular, the invention provides (the use of) the compounds 5-thiolysine (also referred to as δ -thiolysine); 4-thiolysine (also referred to as γ -thiolysine); 5-selenolysine (also referred to as δ -selenolysine) and 4-selenolysine (also referred to as γ -selenolysine). The positioning of the thiol or selenol group at the respective carbon atom allows for a very efficient intramolecular transfer reaction to take place after conjugation with a selected ligand, and the thiol or selenol group may subsequently be removed using reported procedures, thereby restoring the native lysine structure, or be used as an additional conjugation handle. The methodology is fast and gives well-defined material.



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