

Title (en)

CHEMICAL MODIFICATION OF RNA AT THE 2'-POSITION OF THE RIBOSE RING VIA AAA COUPLING

Title (de)

CHEMISCHE MODIFIKATION VON RNA AN DER 2'-POSITION DES RIBOSERINGS MITTELS AAA-KOPPLUNG

Title (fr)

MODIFICATION CHIMIQUE D'ARN EN POSITION 2' SUR LE CYCLE RIBOSE PAR COUPLAGE AAA

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Application

EP 11825711 A 20110909

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Abstract (en)

[origin: WO2012036972A1] A method that relates to the post-synthetic chemical modification of RNA at the 2'-position on the ribose ring via a silver catalyzed alkyne, aldehyde, amine coupling ("AAA or A3 or AA3 coupling") chemistry is disclosed. The method 1) avoids complex, tedious multi-step syntheses of each desired modified ribonucleoside; 2) allows diverse chemical modifications using high-fidelity chemistry that is completely orthogonal to commonly used alkylamino, carboxylate and disulfide linker reactivities; 3) allows introduction of functional groups that are incompatible with modern automated solid-phase synthesis of RNA and subsequent cleavage-deprotection steps; 4) allows introduction of functional groups useful as targeting ligands; and 5) enables high-throughput structure-activity relationship studies on chemically modified RNA in 96-well format.

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