



New Linker for Solid-Phase Organic Synthesis and Drug-Screening Libraries

Scientists at Colorado State University (CSU) have developed a new linker that can be used to reversibly bind target molecules to a polymeric support through a variety of functional groups (carboxylic acids, amines, alcohols, phenols). The linkage displays excellent stability under a variety of common reactions conditions (e.g., unaffected by most Brønsted and Lewis acids, Brønsted bases, nucleophiles, or UV light) and may be selectively cleaved with a mild 20% TFA solution in less than one hour. Furthermore, the linker is easily regenerated, allowing for multiple uses.

This new linker provides unprecedented advantages for polymer-supported synthesis. The exceptional stability of the new linkage allows for a diverse set of reactions to be performed on the bound target molecules, making it amenable to complex, multi-step syntheses. This trait is particularly advantageous for the synthesis of complex, biologically relevant target molecules as these compounds frequently require diverse, multi-step procedures and conditions which would interfere with other types of linkers. A second key advantage is that the linkage can be cleaved under mild conditions which will not degrade the products. These characteristics enhance the advantages already present with solid-phase synthesis (e.g., delivery of complex target molecules in high yield and purity, development of drug-screening libraries, protection of reactive functional groups).

These new linkers should be a valuable asset for both polymer-supported organic synthesis and the creation of drug-screening libraries. In fact, the utility of the new linker has been demonstrated in the creation of libraries based on uridine B-hydroxyamino acid, useful for screening potential MraY inhibitors (important agents in antibacterial drug development, such as those used to fight tuberculosis).

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Inventor Information

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Protection Status

Patent pending.

Publications

"Polymer-Supported (2, 6-Dichloro-4-alkoxyphenyl) (2,4-dichlorophenyl) methanol: A New Linker for Solid Phase Organic Synthesis"

Features and Benefits

- Useful for complex syntheses, generation of drug-screening libraries, as a protecting group.
- Binds target molecules with carboxylic acid, amine, alcohol, or phenol functional groups to polymer support.
- Stable under a diverse set of reaction conditions, but can be cleaved under mild conditions that do not degrade the products.
- Versatile and reusable.

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