Tagging Nitrogen in Biological Systems

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- Primary amines often pose a special problem when derivatives are needed.
- Primary amines are almost universally protonated at physiological pH, and are thus cations.
- The most common derivative of amines is an amide, which is electrically neutral.
- If the cation is essential for biological activity, labeling it as an amide will destroy its biological activity.

The N-alkyl-4-chloro-1,8-naphthalimides were prepared from 4-chloro-1,8-naphthalic anhydride by heating with the appropriate primary amine in glacial acetic acid.

Yields varied from 66-82%

The 4-(2-hydroxyethyl)amino-N-alkyl-1,8-naphthalimides were formed by heating the corresponding 4-chloroimide with ethanolamine as solvent.

Yields varied from 23-64%

We have begun preliminary examination of the coupling of the sulfonate with the conjugate base of 1:2:3:4-di-O-isopropylidene-D-galactopyranose, generated from the alcohol and excess sodium hydride in anhydrous ether.

The product was purified by preparative T.L.C.

We will complete the synthesis of the required monosaccharide derivatives of both galactose (6-deoxy-6-alkoxy-D-galactose) and glucose (3-deoxy-3-alkoxy-D-glucose)

- Both fluorescent monosaccharide derivatives will be coupled with biologically important primary amines (nystatin will serve as a model) to give the corresponding conjugates.
- The labeled amines will be tested for normal activity and for fluorescence detectability.

Acknowledgments

The financial support of the UW-Eau Claire Office of Research and Sponsored Programs is gratefully acknowledged.