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A series of cinchona alkaloid-derived dimeric quaternary ammonium salts were prepared as chiral phase-transfer catalysts by the introduction of various functional groups on the phenyl ligand. Among them, the 2-F-substituted derivative 21 showed the highest enantioselectivity in the alkylation of the glycine anion equivalent 1 (97~>99 % ee).

[PD1-47] [04/18/2003 (Fri) 13:30 - 16:30 / Hall P]

Synthesis of (+)-4β-Hydroxyhernandulcin

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(+)-Hernandulcin and (+)-4β-Hydroxyhernandulcin was isolated as a sweet bisabolane sesquiterpene constituent of the Mexican plant Lippia dulcis Trev. (Verbenaceae) and has shown to be 1,000-1,500 times as sweet as sucrose. Natural (+)-hernandulcin was nontoxic when administered orally to mice and it did not induce bacterial mutation. From several investigations, it was found that the tertiary alcohol at C-1' and the carbonyl group at C-1 was important to sweetness. Furthermore, the double bond between carbon atom C-4' and C-5' was also essential in the binding of the compound to the sweet receptor. The sweetness potency of (+)-4 β-Hydroxyhernandulcin relative to sucrose was not determined because of the small amount isolated. (+)-4β-Hydroxyhernandulcin is significant in being only the second naturally occurring or synthetic hernandulcin analog reported to have a sweet taste.
A synthesis of (+)-4β-hydroxyhernandulcin from 3-methylanisole is reported here in. Birch reduction of 3-methylanisole with Li, NH3, t-BuOH followed by asymmetric dihydroxylation afforded the desired diol enol ether. Hydrolysis of the enol ether was accomplished with catalytic oxalic acid to give the ketone diol. Dehydration of the ketone diol and aldol condensation provided (+)-4β-hydroxyhernandulcin.

[PD1-48] [04/18/2003 (Fri) 13:30 - 16:30 / Hall P]

A facile synthesis of simple alkaloids - Synthesis of 2,3-polymethylene-4(3H)-quinazolinones and related alkaloids -

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The 2,3-trimethylene-4(3*H*)-quinazolinone and 2,3-tetramethylene-4(3*H*)-quinazolinone are not the only alkaloids isolated from plants, but are the part of a family of intriguing alkaloids including the bronchodilator vasicinone, anti-endotoxic isaindigotone, cytotoxic luotonins, antibiotic tryptanthrin, and antiinflammatory rutacearpine as well as related alkaloids.
As a part of our research on biologically important natural products, we herein describe a modified procedure for the efficient preparation of these simple alkaloids by the reaction of lactam-HCl salts with $POCl_3$ followed by cyclization with methyl anthranilate. Such a procedure was applicable towards the synthesis of related alkaloids, luotonin A, tryptanthrin, and rutaecarpine.