

methoxybenzyl group.

Since we have developed the novel synthetic methods for N-protected allylic amines from allyl ether using chlorosulfonyl isocyanate (CSI) and investigated its mechanism, we have found a novel technique for comparing directly the stability of carbocations in the solution phase and have established the stability order of the various carbocations under our reaction conditions.

Herein, we now report the extension of CSI under new reaction condition for the cleavage of various benzyl and p-methoxybenzyl protecting groups of alcohols and phenols in the presence of other functional groups

[PD1-33] [10/17/2002 (Thr) 09:30 – 12:30 / Hall C]

Diaralkylthiourea Derivatives as a Novel Vanilloid Receptor Antagonist

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A series of diarakylthiourea derivatives was prepared and tested for its antagonistic activity against vanilloid receptor. In this study we explored the possibility of selected compound type (I) with tetrahydronaphthyl group as rigid pendant moiety. Our premise for antagonistic activity of molecules was modeled on the capsazepine, the first antagonist for vanilloid receptor. These compounds (I) showed less potent antagonistic activity than that of capsazepine, but they were devoid of agonistic activity. Low activities were perceived to be originating from their limited degree of freedom in rigid pendant moiety, therefore it was necessary to change the structure of compound (I) to get increased activity. In order to improve their flexibility, tetrahydronaphthyl group of compound (I) was transformed into substituted benzyl or phenethyl group. The calcium uptake antagonistic IC₅₀ values of compound type (II) were 0.1 ~ 1 μM which is comparable to that of capsazepine. Discussion on their structure activity relationships was also described.

[PD1-34] [10/17/2002 (Thr) 09:30 – 12:30 / Hall C]

The Versatile Conversion of Acyclic Amides to α-Alkylated Amines

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The reaction of N-acyliminium ion with a variety of nucleophiles is one of the powerful method to introduce various substituents at the α-carbon of an amine. Particularly this type of inter and intramolecular C-C bond formation can be effectively applied to the synthesis of the bioactive natural or unnatural compounds as well as many bioactive peptidomimetics. Accordingly, much attention has been devoted to the practical and efficient methods for the generation of acyliminium ion precursors though there are many important aspects in the reaction involving N-acyliminium ions.

The use of α-alkoxy carbamates and amides as precursors for N-acyliminium ions is well reviewed, and these versatile systems arise from the partial reduction of cyclic imides, addition of amides or carbamates to aldehydes, or oxidation of the hydrocarbon under electrochemical or transition metal-mediated conditions. Among them, partial reduction of the carbonyl in imides or acylamides has been considered as the best procedure in terms of the reaction efficiency and the substrate diversity. However, this method has a limitation that it can be applicable only to the cyclic systems, and so few are reported for the acyclic ones.

We have been continuously interested in the functionalization of cyclic and acyclic amide carbonyl with regards to the syntheses of natural alkaloids. Herein we report a novel and general method for the preparation of the stable N,O-acetal TMS ethers, the excellent precursors of linear acyliminium ions, and also describe their reactivities and reaction scopes.

[PD1-35] [10/17/2002 (Thr) 09:30 – 12:30 / Hall C]

Antifungal activities of 2-arylthio-, 2-arylthio-5-methoxy-, 2,3-bisarylthio-juglones and 2,3-