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 diaralkylthiourea 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 $IC_{50}$ 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 a-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 a-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 a-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-

bisarylthio-5,8-dimethoxy-1,4-naphthoquinones

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2-Arylthio-, 2-arylthio-5-methoxy-, 2,3-bisarylthio-juglones and 2,3-bisarylthio-5,8-dimethoxy-1,4-naphthoquinones were newly systhesized for the evaluation of antifungal activities. These derivatives were prepared by methylation of juglone and 2,3-dichloro-5,8-dihydroxy-1,4-naphthoquinone, and by resioselective nucleophilic subsitution with arylthiols. All compounds were tested in vitro for their growth inhibitory activities against pathogenic fungi by the standard method. The MIC values were determined by comparison to flucytosine as a fungicidal standard agent. In general, most juglone derivatives shows in vitro antifungal activities. Among them, 2-arylthio-5-methoxy-juglones showed most potent antifungal activities against all pathogenic fungi.

[PD1-36] [ 10/17/2002 (Thr) 09:30 - 12:30 / Hall C ]

Synthesis of Selenoflavonoid and Selenoisoflavonoid.

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Synthesis of Selenoflavonoid and Selenoisoflavonoid.

Heterocyclic compounds with oxygen atoms are known to have potent biological effect.
The flavonoids, isoflavonoids, and coumarins which form the bulk of these compounds are very polar and have limited use as drugs which have to pass through membranes.
The non-polar property is increased by exchange oxygen to selenium as a part of heterocyclic compound.
Our group is focused on synthesizing selenoheterocyclic compound with the above property.

[PD1-37] [ 10/17/2002 (Thr) 09:30 - 12:30 / Hall C ]

Synthesis of Benzoquinoxalines

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We have previously reported the synthesis and cytotoxic activities of a series of azaanthraquinone derivatives on the model of doxorubicin(Dox). Dox is known to intercalate into DNA and to inhibit topoisomerase II activity. But in the case of quinone compounds like Dox, its use is limited because of systemic toxicities, primarily cardiotoxicity and myelosuppression. In this study, we describe the synthesis of benzoquinoxaline derivatives as DACA analogue. DACA has a neutral chromophore and acridine moiety and poisons both topoisomerases I and II with DNA intercalating activity. In order to delineate the SAR of benzoquinoxaline derivatives, an efficient synthetic rout to the target compounds without quinone group. Various attempted removal of quinone from benzoquinoxalinedione was unsuccessful. Diels-Alder rout applied for the synthesis of the target compounds will be discussed.

[PD1-38] [ 10/17/2002 (Thr) 09:30 - 12:30 / Hall C ]

Design and Synthesis of Chromenone derivatives as Potential Antioxidants

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