their anti-MRSA activities, we have synthesized 20 derivatives and screened their anti-MRSA activities.
We herein present the syntheses and anti-MRSA activities of the mansonone F derivatives, prepared to probe the minimal structural requirements for anti-MRSA activities, and SAR at C-3 substituents (mansonone numbering)

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

Design, Synthesis and Biological Activities of Novel Vanilloid Receptor Antagonists

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Advances in understanding of pain and analgesia have been made. Over the past few years, we have designed and synthesized a series of VR agonists, based on the structures of 12-HPETE and capsaicin, the natural VR agonist. But for the development of analgesic drugs, these synthetic VR agonists had problems like burning sensation, hypothermia, etc. So our recent studies have focused on designs and syntheses of VR antagonists based on the structure of capsaicin(natural VR agonist), and capsazepine(synthetic VR antagonist).
In particular, we focused on the lipophilic region. The derivatives which have benzene rings in lipophilic regions showed better activities than alkyl chain derivatives. So, we here present the designes and syntheses of the VR antagonists, which have benzene ring moieties in lipophilic regions.

[PD1-31] [04/18/2003 (Fri) 13:30 - 16:30 / Hall P]

Synthesis of Novel Alkyl α-Anilinophenylacetate Derivatives Using Hydrolysis of Hydantoin Ring and Its O-Alkylation

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For the development of new synthetic method for unnatural amino acid esters, alkyl α-anilinophenylacetates were synthesized through base-catalyzed hydrolysis of 1,5-diphenylhydantoins in methanol and O-alkylation of sodium α-anilinophenylacetate with alkyl halides in DMF. Even though hydrolysis of hydantoin ring was undertaken under about 30-40℃ in methanol, the hydantoic acid sodium salt was continuously converted to the sodium α-anilinophenylacetate. We used sodium hydroxide as base for the clear one-pot reaction, because various intermediate such as hydantoic acid and ureas were isolated by using triethylamine.
Hydantoin compounds with diaryl substituted moiety at 1- and 5-position decreased resistance toward hydrolysis even though opposite results reported in the literature.
All synthetic process from hydantoin to alkyl α-anilinophenylacetates could be carried out in one-pot without isolation of intermediates. Hydrolysis with concentrated aqueous and alcoholic alkali is an important synthetic method, gives unnatural α-amino acids.