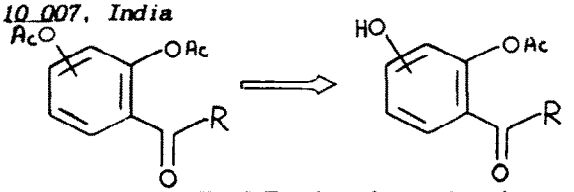
GRAPHICAL ABSTRACTS

BioMed. Chem. **1994**, *2*, 1015

BIOTRANSFORMATIONS IN THE REGIOSELECTIVE DEACETYLATION OF POLYPHENOLIC PERACETATES IN ORGANIC SOLVENTS

Kirpal S. Bisht, Om D. Tyagi, Ashok K. Prasad, Nawal K. Sharma, Suman Gupta and Virinder S. Parmar*
Department of Chemistry, University of Delhi, Delhi-110 007, India

The polyacetoxy aromatic ketones undergo PPL-and CCL- catalysed deacetylation of acetoxy groups at positions *para* and *meta* to the carbonyl (ketonic) group in preference to the one at the *ortho* position.



R = CH_3, C_2H_5, C_3H_7, phenyl or styryl

BioMed. Chem. **1994**, *2*, 1021

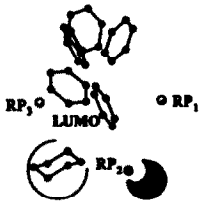
The Specific Inhibition of Crystal Growth of Monohydrogen Potassium L-Tartarate by *d*-Catechin

Seiichi Imajo, Masaji Ishiguro*, Kyoko Ishiguro†, Tokiko Murashima†, Koichiro Isoi†, Hirohumi Tanahashi‡, and Haruo Nishino‡
Institute for Biomedical Research and ‡Research Institute for Enology, Suntory Ltd., Osaka 618, †School of Pharmacy, Mukogawa Women's University, Nishinomiya 663 Japan

Crystal growth of monohydrogen potassium L-tartrate in an ethanolic aqueous solution was specifically inhibited by *d*-catechin. 3D-structure similarity search of *d*-catechin with two molecules of the tartrate and docking study of *d*-catechin with the crystal model of the tartrate suggested that *d*-catechin mimics a structure consisted of the two tartrate molecules in the inhibition.

BioMed. Chem. **1994**, *2*, 1029

MOLECULAR DETERMINANTS OF RECOGNITION AND ACTIVATION AT THE CEREBELLAR BENZODIAZEPINE RECEPTOR, Laura T. Schove*, Juan J. Perez, and Gilda H. Loew,
Molecular Research Institute, 845 Page Mill Rd, Palo Alto, CA 94304



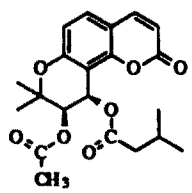
Semiempirical quantum mechanical and molecular mechanics calculations were carried out to identify and characterize the steric and electronic properties that modulate ligand recognition and activation of the cerebellar $GABA_A$/Benzodiazepine (BDZ) receptor. The stereoelectronic requirements for recognition are the presence of at least two of three proton accepting centers and a lipophilic aromatic ring, in a specific spatial relationship. The requirement for activation is the presence of an electron accepting aromatic ring in a specific geometric arrangement with respect to the components of recognition.

BioMed. Chem. **1994**, *2*, 1051

SUKSDORFIN: AN ANTI-HIV PRINCIPLE FROM *LOMATIUM SUKSDORFII*, ITS STRUCTURE-ACTIVITY CORRELATION WITH RELATED COUMARINS, AND SYNERGISTIC EFFECTS WITH ANTI-AIDS NUCLEOSIDES

Thomas Tung-Ying Lee,[a,c] Yoshiki Kashiwada,[a] Li Huang,[a] James Snider,[b] Mark Cosentino[b] and Kuo-Hsiung Lee[a,*]
[a]*Natural Products Laboratory, Division of Medicinal Chemistry and Natural Products, School of Pharmacy, University of North Carolina, Chapel Hill, NC 27599;* [b]*Biotech Research Laboratories, Rockville, MD 20850;* [c]*Summer student participant from the School of Medicine, University of North Carolina, Chapel Hill, NC 27599*

Abstract: Suksdorfin (**1**), which is isolated from the fruit of *Lomatium suksdorfii*, was found to be able to inhibit HIV-1 replication in the T cell line, H9, with an average EC_{50} value of 2.6 ± 2.1 μM. In addition, suksdorfin was also suppressive during acute HIV-1 infections of peripheral blood mononuclear cells, monocyte/macrophages and the promonocytic cell line, U937. Structure-activity correlation of **1** with related coumarins as well as synergistic effects with Anti-AIDS nucleosides are also reported.

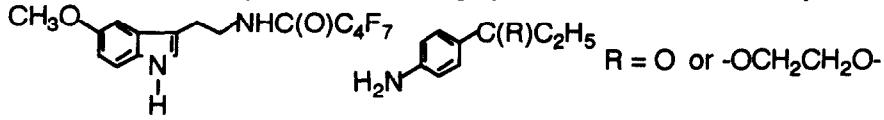


1 (suksdorfin)

BioMed. Chem. **1994**, *2*, 1057

POTENTIAL RADIOPROTECTIVE AGENTS. 5. MELATONIN ANALOGS. ORAL ACTIVITY OF p-AMINOPROPIOPHENONE AND ITS ETHYLENE KETAL, R. T. Blickenstaff*, Shailaja Reddy and Robert Witt, *VA Medical Center, Indianapolis, IN 46202*

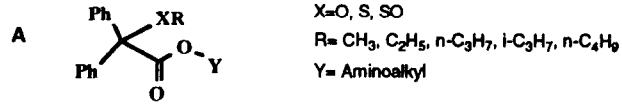
Abstract: The heptafluorobutyramide of 5-methoxytryptamine is moderately active as a radioprotective agent in mice, while analogous aryl amides are less active. p-Aminopropiophenone and its ethylene ketal are highly active when tested orally.



BioMed. Chem. **1994**, *2*, 1061

DIALKYLAMINOALKYL ESTERS OF 2,2-DIPHENYL-2-ALKYLTHIO-ACETIC ACIDS: A NEW CLASS OF POTENT AND FUNCTIONALLY SELECTIVE MUSCARINIC ANTAGONISTS, S. Scapecchi,° P. Angeli,^ S. Dei,° F. Gualtieri,° G. Marucci,^ R. Moriconi,^ F. Paparelli,^ M. N. Romanelli,° E. Teodori,° °Dipartimento di Scienze Farmaceutiche, Università di Firenze, ^Dipartimento di Scienze Chimiche, Università di Camerino, Italy

Abstract: The synthesis of a serie of compounds of general structure A and their antimuscarinic activity are reported. Some of the compounds, (X=S), show remarkable subtype selectivity on functional tests.

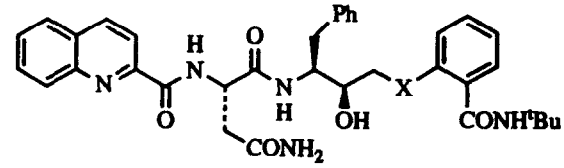


BioMed. Chem. **1994**, *2*, 1075

The Synthesis of Novel HIV-Protease Inhibitors.

Viyyoor M. Girijavallabhan,* Frank Bennett,* Naginbhai M. Patel, Ashit K. Ganguly, Bimalendu Dasmahapatra,* Nancy Butkiewicz and Andrea Hart. Schering-Plough Research Institute, 2015 Galloping Hill Road, Kenilworth, New Jersey 07033 USA.

The syntheses and enzyme and antiviral activities of potent HIV-protease inhibitors containing novel β-hydroxy ether and thioethers (e. g. 2) based on the transition state mimetic concept are discussed.

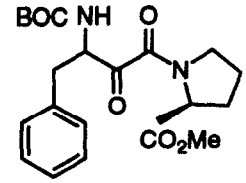


BioMed. Chem. **1994**, *2*, 1085

α-Ketoamide Phe-Pro Isostere as a new Core Structure for the Inhibition of HIV Protease

Benito Munoz[1], Chou-Zen Giam[2], and Chi-Huey Wong[1*]

[1]Department of Chemistry, The Scripps Research Institute
10666 North Torrey Pines Road, La Jolla, CA, 92037
[2]Division of Infectious Diseases
Department of Medicine, Case Western Reserve University
10900 Euclid Avenue, Cleveland, Ohio, 44106



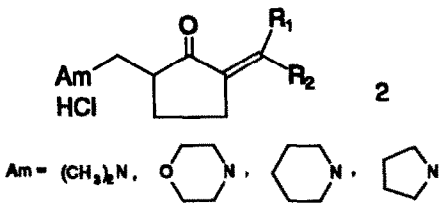
K_i = 6μM

BioMed. Chem. **1994**, *2*, 1091

SYNTHESIS AND ANTI-CANCER ACTIVITY OF 2-ALKYLAMINO-METHYL-5-(E)-ALKYLIDENE CYCLOPENTANONE HYDROCHLORIDES

Haitao Chen[†‡*], Zhizhong Ji[†], Lan K. Wong[‡], Jerome F. Siuda[‡*] and Ven L. Narayanan[#]
[†]Shenyang College of Pharmacy, Shenyang, P.R. China; [‡]School of Pharmacy, University of Pittsburgh, Pittsburgh, Pennsylvania, 15261; [#]Drug Synthesis & Chemistry Branch, National Cancer Institute, NIH, Bethesda, MD 20205

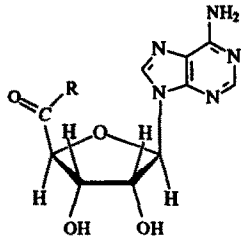
Alkylidene cyclopentanone Mannich bases, **2** were synthesized as masked analogs of α-methylenecyclopentanone. Most of the substances were found to be active toward various human cell cancer lines.



BioMed. Chem. **1994**, *2*, 1099

A NEW CLASS OF COMPOUNDS, PEPTIDYL DERIVATIVES OF ADENOSINE-5'-CARBOXYLIC ACID, INCLUDES INHIBITORS OF ATP-RECEPTOR-MEDIATED RESPONSES.

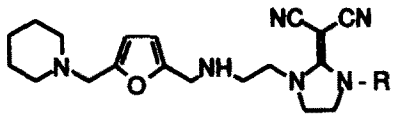
A. Uri,[a,b] L. Järlebark,[a] I. von Kügelgen,[c] T. Schönberg,[a] A. Undén[a] and E. Heilbronn[a*] [a]*Dept of Neurochem & Neurotox, Stockholm Univ, S-106 91 Stockholm, Sweden,* [b]*Present address: Inst of Chem Physics, Tartu Univ, EE 2400 Tartu, Estonia,* [c]*Dept of Pharmacol & Toxicol, Albert-Ludwigs-Univ, D-79104 Freiburg, Germany*



A new type of ligand for P_2-purinergic receptor (P_2R) subtypes was synthesized by joining nucleotide chemistry and solid phase peptide synthetic techniques. The aspartic acid derivatives of adenosine-5'-carboxylic acid, $AdoAsp_3$ and $AdoAsp_4$, acted as weak agonists at P_2Rs on C6 glioma cells. $AdoAsp_4$ was also found to inhibit (IC_{50} = 80 μM) ATP-induced cytosolic [Ca^{2+}] transients. The glycine derivative, AdoGly, increased evoked release of noradrenaline from mouse vas deferens slices by blocking presynaptic inhibitory P_2R activity.

BioMed. Chem. **1994**, *2*, 1107

SYNTHESIS OF 2-IMIDAZOLIDINYLIDENE PROPANEDINITRILE DERIVATIVES AS STIMULATORS OF GASTROINTESTINAL MOTILITY. PART 2.



14: R = Bzl; **15**: R = 4-F-Bzl

Setsuya Sasho, Hiroyuki Obase,* Hiroyuki Harakawa, Shunji Ichikawa, Takio Kitazawa, Nobuyuki Kishibayashi, Toshihide Yokoyama, Hiromi Nonaka, Rika Yoshizaki, Akio Ishii, and Katsuichi Shuto

Pharmaceutical Research Laboratories, Kyowa Hakko Kogyo Co., Ltd.,Shimotogari, Nagaizumi, Shizuoka, Japan. 411

Abstract: Compounds 14 and 15 were effective in the enhancement of gastrointestinal motility in anesthetized rabbits.