

polysulfated compounds such as the DS and HOE/BAY946.^{3,4a,12} Effectiveness of oral administration of mCDS 11 was suggested from the following ex vivo test. The HIV-1_{LAV.1}-induced CPE in MT-4 cells was completely inhibited by 50- and 160-fold diluted plasma which were prepared 2 h after giving 1 and 2 g/kg per os of mCDS 11 to male rats, respectively. On the basis of this result, the hydrophobic benzylthio groups, rigid cyclic skeleton, and the relatively small molecular size¹³ of mCDS 11 are being considered to facilitate the penetration to the intestinal membrane and prevent the hydrolytic destruction of the molecule in body.

The acute toxicity of mCDS 11 was not observed at 3 g/kg per os in mice.

The elucidation and characterization of the action mechanisms of mCDS and selection of the most suitable candidate for the treatment of AIDS patients and asymptomatic virus carriers are still in progress.

- (9) (a) Rushe, J. R.; Javaherian, K.; McDonal, C.; Petro, J.; Lynn, D. L.; Grimaila, R.; Langlois, A.; Gallo, R. C.; Arthur, L. O.; Fishinger, P. J.; Bolognesi, D. P.; Putney, S. D.; Matthews, T. J. Antibodies that inhibit fusion of human immunodeficiency virus-infected cells bind a 24-amino acid sequence of the viral envelope, gp120. *Proc. Natl. Acad. Sci. U.S.A.* 1988, 85, 3198-3202. (b) Katunuma, N.; Kido, H. Recent advances in research on tryptases and endogenous tryptase inhibitors. *Monogr. Allergy* 1990, 27, 1-16.
- (10) Cordonnier, A.; Montagnier, L.; Emerman, M. Single amino-acid changes in HIV envelope affect viral tropism and receptor binding. *Nature* 1989, 340, 571-574.
- (11) Ryu, S.-E.; Kwong, P. D.; Truneh, A.; Porter, T. G.; Arthos, J.; Rosenberg, M.; Dai, X.; Xuong, N.-h.; Axel, R.; Sweet, R. W.; Hendrickson, W. A. Crystal structure of an HIV-binding recombinant fragment of human CD4. *Nature* 1990, 348, 419-426.
- (12) Witvrouw, M.; Baba, M.; Balzarini, J.; Pauwels, R.; De Clercq, E. Establishment of a bioassay to determine serum levels of dextran sulfate and pentosan polysulfate, two potent inhibitors

Acknowledgment. We thank K. Saito, M. Asao, and H. Torio for their contributions. This work was supported by a grant from the Japan Health Sciences Foundation.

- of human immunodeficiency virus. *J. AIDS* 1990, 3, 343-347.
- (13) The molecular weight of the naked anion of mCDS 11 ($C_{68}H_{72}O_{80}S_{19}$)¹⁶⁻ is 2718 Da.
- (14) Lee, M. H.; Sano, K.; Morales, F. E.; Imagawa, D. T. Sensitive reverse transcriptase assay to detect and quantitate human immunodeficiency virus. *J. Clin. Microbiol.* 1987, 25, 1717-1721.
- (15) Nakashima, H.; Tochikura, T.; Kobayashi, N.; Matsuda, A.; Ueda, T.; Yamamoto, N. Effect of 3'-azido-2',3'-dideoxythymidine (AZT) and neutralizing antibody on human immunodeficiency virus (HIV)-induced cytopathic effects. *Virology* 1987, 159, 169-173.
- (16) Zucker, S.; Cathey, M. H. Control of heparin therapy. Sensitivity of the activated thromboplastin time for monitoring the antithrombotic effects of heparin. *J. Lab. Clin. Med.* 1969, 73, 320-326.

[†]Research Laboratory of Applied Biochemistry.

[‡]Organic Chemistry Research Laboratory.

[§]Osaka Prefectural Institute of Public Health.

**Tamon Moriya,^{*,†} Hironori Kurita,[‡] Kazuo Matsumoto,[†]
Toru Otake,[§] Haruyo Mori,[§] Motoko Morimoto,[§]
Noboru Ueba,[§] Nobuharu Kunita,[§]**

*Research Laboratory of Applied Biochemistry
Tanabe Seiyaku Co., Ltd.*

Osaka, Japan 532

Organic Chemistry Research Laboratory

Tanabe Seiyaku Co., Ltd.

Toda, Japan 335

Osaka Prefectural Institute of Public Health

Osaka, Japan 531

Received March 12, 1991

Additions and Corrections

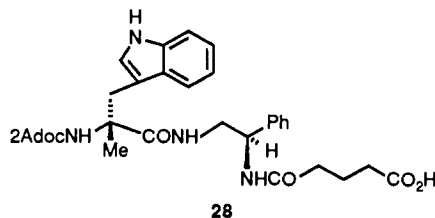
1991, Volume 34

David C. Horwell,* John Hughes, John C. Hunter, Martyn C. Pritchard, Reginald S. Richardson, Edward Roberts, and Geoffrey N. Woodruff: Rationally Designed "Dipeptoid" Analogues of CCK. α -Methyltryptophan Derivatives as Highly Selective and Orally Active Gastrin and CCK-B Antagonists with Potent Anxiolytic Properties.

Page 404. In Table I, the data for 10c was inadvertently omitted. The line should read: $C_{23}H_{28}N_2O_4$ (molecular formula), 202-210 °C (mp), and C,H,N (anal.).

Page 408. The last sentence in the right-hand column should read: CCK is known to coexist with GABA in some cortical interneurons,⁸ and agents that modify GABA may have utility as anxiolytic agents.⁹

Page 408. In Scheme IV the correct structure for 28 is as shown below:



Page 409. In ref 13, the correct name of the publication is *Proc. Natl. Acad. Sci.*