Chemistry of Seven-Membered Heterocycles, VI. Synthesis of Novel Bicyclic Heterocyclic Compounds as Potential Anticancer and Anti-HIV Agents

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Z. Naturforsch. 55b, 417–424 (2000); received November 2, 1999

Benzothiepino-pyridines, Bicyclic Sulfones, Heterocyclizations

Several new pyridines, pyridones and pyrans fused to benzothiepine or benzoheptene ring were synthesized as potential anticancer and anti-HIV agents. The fused-ring pyridines 6, 7 and 17–19 were obtained via the reaction of the seven-membered ring ketones 1, 2 and 3 with an arylidene-malononitrile and by treatment of the ylidenemalononitriles 14, 15 and 16 with aromatic aldehydes, respectively. The corresponding pyridones 11, 12 and 13 were prepared from the ketones 1, 2 and 3 and arylmethyleneacyanoacetamide. The synthesis of the fused-ring pyranes 8 and 9 involved the condensation of the ketones 4 and 5 with malononitrile under basic conditions. The rearrangement of 8 under acidic conditions gave the tetrahydropyridine 10. The pyran 20 was obtained from 15 and benzaldehyde. The screening tests showed that many of the compounds obtained exhibit good anticancer activity comparable to 5-fluorodeoxyuridine used as reference compound and moderate anti-HIV activity in comparison with AZT.