

Poster Session III

Respiratory Viruses, Hepadnaviruses, Togaviruses, Others

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Synthesis and Properties of 8-Benzyl-4-dimethylaminoimidazo[1,5- α]-1,3,5-triazines, Isosters of Antirhinoviral Purines.

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Recently reported potent antirhinovirus activity of certain 9-benzyl-6-dimethylaminopurines prompted us to synthesize the ring isomers of these compounds, derivatives of imidazo[1,5- α]-1,3,5-triazine (7,9-dideaza-5,8-diazapurine), to determine if they might also have antiviral activity. Cyclization - rearrangement of 5-acylamino-5-benzyl-6-imino-2-thioxo-1,2,5,6-tetrahydropyrimidin-4(3H)-ones (1) on treatment with chlorotrimethylsilane and hexamethyldisilazane in pyridine afforded the corresponding 8-benzyl-2(1H)-thioxoimidazo[1,5- α]-1,3,5-triazin-4(3H)-ones (2) which on Raney nickel desulfurization gave hypoxanthine analogues (3). The latter compounds were thionated with Lawesson reagent; the resulting 4-thiones (4) reacted smoothly with methyl iodide/potassium carbonate in methanol to give S-methyl derivatives (5) which were undergoing nucleophilic displacement with great ease already in methylation milieu at RT to form 4-methoxy derivatives (6). Treatment of either 5 or 6 with methanolic dimethylamine at RT yielded 8-benzyl-4-dimethylaminoimidazo[1,5- α]-1,3,5-triazines (7). The preferred tautomeric forms of 3 and 4 were deduced from their ^{13}C NMR data.

