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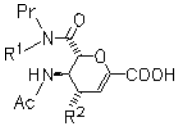
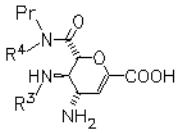
Sialidase Inhibitors Related to Zanamivir. Further SAR Studies of 4-Amino-4H-pyran-2-carboxylic Acid-6-propylamides. — SAR investigations of the 4- and 5-positions of a series of 4-amino-4H-pyran-2-carboxylic acid 6-carboxamides (I), (II) are reported. Potent inhibitors of influenza A sialidase with marked selectivity over the influenza B enzyme are obtained when the basic 4-amino substituent is replaced by a hydroxyl or even deleted. Modifications at the 5-position exhibit a tight steric requirement, with trifluoroacetic acid being optimal. — (WYATT, PAUL G.; COOMBER, BARRY A.; EVANS, DEREK N.; JACK, TORQUIL I.; FULTON, HEATHER E.; WONACOTT, ALAN J.; COLMAN, PETER; VARGHESE, JOSE; Bioorg. Med. Chem. Lett. 11 (2001) 5, 669-673; Dep. Med. Chem., GlaxoWellcome Med. Res. Cent., Stevenage, Hertfordshire SG1 2NY, UK; EN)



I*

a R^1: —Pr ; R^2: —NH—Me
b R^1: —$(CH_2)_2$—Ph ; R^2: —OH
c R^1: —$(CH_2)_2$—Ph ; R^2: —H



II*

a R^3: —Ac ; R^4: —Pr
b R^3: —CO—iPr ; R^4: —Pr
c R^3: —CO—CF_3 ; R^4: —Pr
d R^3: —SO_2—Me ; R^4: —Pr
e R^3: —CO—Pr ; R^4: —$(CH_2)_2$—Ph
f R^3: —Ac ; R^4: —$(CH_2)_2$—Ph
g R^3: —CO—CF_3 ; R^4: —$(CH_2)_2$—Ph