1998

pyran derivatives

R 0340 27 - 153 Dihydropyrancarboxamides Related to Zanamivir: A New Series of Inhibitors of Influenza Virus Sialidases. Part 1. Discovery, Synthesis, Biological Activity, and Structure–Activity Relationships of 4-Guanidino- and 4-Amino-4H-pyran-6-carboxamides. — The title compounds are new inhibitors of influenza virus sialidases, are synthesized. Some of the most active derivatives are (VI)–(VIII). — (SMITH, P. W.; ET AL.; J. Med. Chem. 41 (1998) 6, 787-797; Dep. Med. Chem., Glaxo Res. Dev. Ltd., Stevenage, Hertfordshire SG1 2NY, UK; EN)

$$IV* \begin{array}{c} 1. \ \text{NaIO}_4, \ \text{MeOH/H}_2\text{O} \\ \hline 2. \ \text{cyclohexene/NaClO}_2/\text{KH}_2\text{PO}_4, \ \text{tBuOH/H}_2\text{O} \\ \hline \\ V* \ 70\% \\ \end{array} \\ \begin{array}{c} \text{HOOC}_{\bullet} \\ \text{Ac-NH} \\ \hline \\ \text{Boc-NH} \\ \hline \\ \text{V}^* \ 70\% \\ \end{array}$$

$$V* \xrightarrow{[3 \text{ steps}]} Pr \xrightarrow{N-1} COOH$$

$$H_2N$$

$$VI*$$

$$We \xrightarrow{N-1} O$$

$$Pr \xrightarrow{N-1} O$$

$$Ac-NH \xrightarrow{N-1} COOH$$

$$HN$$

$$H_2N$$

$$VII*$$

$$VII*$$

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