2000 carbohydrates

carbohydrates

U 0500 41 - 166 New Preparative Routes to Isosorbide 5-Mononitrate. — Three novel methods for the synthesis of isosorbide 5-mononitrate (VII), a very potent vasodilating agent, are presented. The first method involves regioselective enzyme-catalyzed acylation of position 2 of isosorbide (I) followed by nitration of position 5 and subsequent 2-O-deacylation. The other two methods utilize selective removal of the 2-nitro group of dinitroisosorbide (VIII), either by PtO₂-catalyzed hydrogenation or by cobalt phthalocyanine-catalyzed reduction with NaBH4. All three methods are efficient, cost-effective, and industrially applicable. — (BROWN, CHRIS; MARSTON, RICHARD W.; QUIGLEY, PAUL F.; ROBERTS, STANLEY M.; Perkin 1 (2000) 12, 1809-1810; Archimica Lab., Sandycroft, Flintshire CH5 2PX, UK; EN)

HO H
$$_{OH}$$
 $_{O-Ac}$ (II), CHCl $_{3}$ $_{HO-Ac}$ $_{O-Ac}$ $_{HO-Ac}$ $_{H$

$$I* \xrightarrow{H_2C \circlearrowleft O} P_r \ (V), \ C) \xrightarrow{HO} \xrightarrow{H} O \xrightarrow{O} O$$

$$VI* \ 62\%$$

$$1. [nitration] O_2N-O_1 \xrightarrow{H} O_2N-O_2 \xrightarrow{H} O_1 \xrightarrow{O} O_2N-O_1 \xrightarrow{H} O_1 \xrightarrow{O} O_2N-O_2 \xrightarrow{H} O_2 \xrightarrow{O} O_2N-O_2 \xrightarrow{H} O_1 \xrightarrow{O} O_2N-O_2 \xrightarrow{H} O_2N-O_2 \xrightarrow{O} O_2N-O_2 \xrightarrow{H} O_1 \xrightarrow{O} O_2N-O_2 \xrightarrow{O} O_2N-O$$

$$\begin{array}{c} O_2N-O \\ H \\ O-NO_2 \\ \hline \\ VIII* \\ D): \ H_2, \ PtO_2 \ (cat.) \\ E): \ NaBH_4, \ Co(pc) \ (cat.) \\ \end{array} \begin{array}{c} MeOH \\ D) \ or \ E) \\ \end{array} \\ \begin{array}{c} MeOH \\ D) \ or \ E) \\ \end{array}$$

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