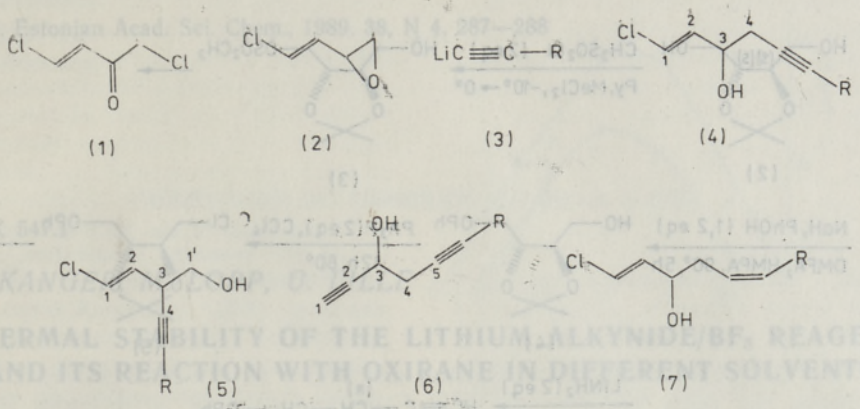

(1) (2) (3) (4) (5) (6) (7)

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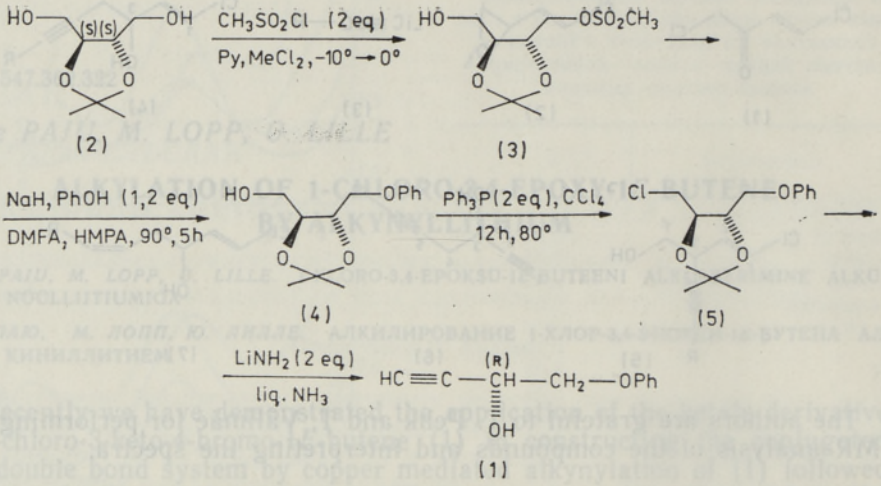
SYNTHESIS OF (R)-(-)-4-PHENOXY-3-HYDROXY-1-BUTYNE FROM TARTARIC ACID DERIVATIVES

Piret NIIDAS, T. KANGER, M. LOPP, Ü. LILLE. (R)-(-)-4-FENOKSÜ-3-HÜDROKSÜ-1-BUTÜÜNI SÜNTEES VIINHAPPE DERIVAATIDEST

Пиpет НИЙДАС, Т. КАНГЕР, М. ЛОПП, Ю. ЛИЛЛЕ. СИНТЕЗ (R)-(-)-4-ФЕНОКСИ-3-ГИДРОКСИ-1-БУТИНА ИЗ ПРОИЗВОДНЫХ ВИННОЙ КИСЛОТЫ

Tartaric acid is a readily available chiral natural product which can be used as a source of chiral building blocks for synthesis [1]. We have synthesized (R)-(-)-4-phenoxy-3-hydroxy-1-butyne (1), a ω-chain precursor in prostaglandin synthesis [2], starting from 2,3-O-isopropylidene-1.2(*S*), 3(*S*), 4- butane-tetraol (2) [3]. Monomesylate (3) was alkylated with sodium phenylate in DMFA to give phenoxy substituted butanol (4) (65%; $[\alpha]_D^{25} = -11.3°$, c 8.23 $CHCl_3$). After the chlorination by $CCl_4 - Ph_3P$ according to [4] (83%) and the elimination according to [5] (85%),



(R)-(-)-4-phenoxy-3-hydroxy-1-butyne (1) $[\alpha]_D^{25} = -27°$, c 7.02 $CHCl_3$ was obtained. HPLC, IR and UV spectra of the compound (1) were identical to those of the racemic compound (1) (synthesized according to [2]). The optical purity of alkynol (1) determined by HPLC using the Mosher [6] and O-methoxymandelate [7] ester was found to exceed 99%.

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