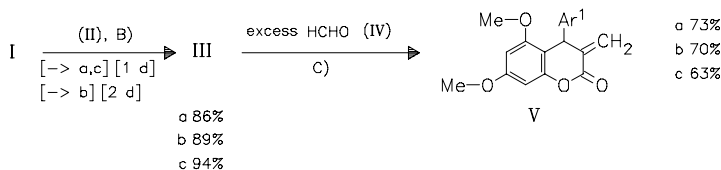
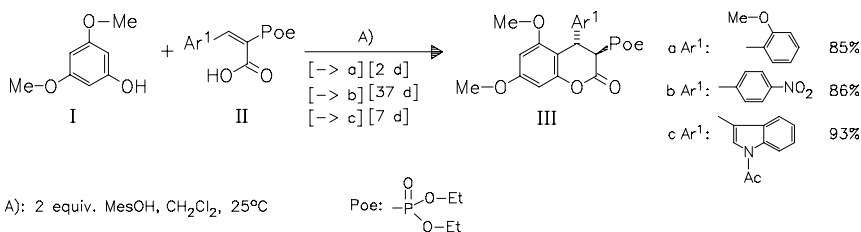


Benzopyran derivatives

R 0350

16- 147

Trifluoromethanesulfonic Acid Mediated Friedel—Crafts Reaction of (E)-3-Aryl-2-(diethoxyphosphoryl)acrylic Acids with Electron-Rich Hydroxyarenes. A Convenient Approach to α -Methylene- δ -valerolactones. — Generally, TfOH is found to be the more efficient agent in the preparation of the trans-coumarin skeleton, present in natural products and pharmaceutical substances. In the case of substrate (XIV), however, only MesOH causes the desired transformation (during several weeks). The process is completely regio- and stereoselective, which is especially of interest in the case of dihydroxynaphthalenes such as (X). Horner—Wadsworth—Emmons olefination of the products allows the facile preparation of α -methylene- δ -valerolactones. — (KRAWCZYK*, H.; ALBRECHT, L.; WOJCIECHOWSKI, J.; WOLF, W. M.; Tetrahedron 63 (2007) 51, 12583-12594; Inst. Org. Chem., Tech. Univ., PL-90-924 Lodz, Pol.; Eng.) — Lindner

B): 2 equiv. TfOH, CH₂Cl₂, 25°C

C): THF, 25°C, [90 min]

