Short Approach Towards New Isocoumarins and Dihydroisocoumarins and Investigation of their Cytotoxic Activities

Isolde Wetzel, Franz Bracher, and Jürgen Krauss

Department Pharmazie – Zentrum für Pharmaforschung, Ludwig-Maximilians-Universität München, Butenandtstraße 5–13, 81377 München, Germany

Reprint requests to Dr. Jürgen Krauss. Fax: +49-89-2180 77171.
E-mail: hjkra@cup.uni-muenchen.de

Z. Naturforsch. 2009, 64b, 313–318; received November 25, 2008

3-Substituted isocoumarins were prepared in a short and efficient way from 2-iodobenzoic acid and terminal alkynes in a one-pot Sonogashira reaction. Catalytic hydrogenation gave the corresponding dihydroisocoumarins. The cytotoxic activities of the resulting compounds against human leukaemia cell line (HL 60) were determined in a MTT assay, and structure-activity relationships are discussed.

Key words: Isocoumarin, Dihydroisocoumarin, Sonogashira Reaction, Hydrogenation, Cytotoxic Activity