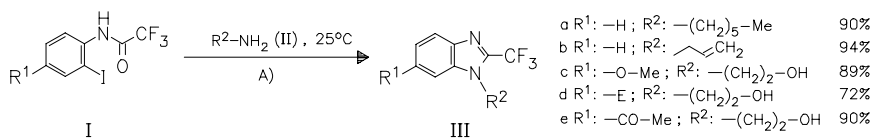


## Benzimidazole derivatives

R 0200

29- 112

**Synthesis of 1,2-Disubstituted Benzimidazoles by a Cu-Catalyzed Cascade Aryl Amination/Condensation Process.** — The copper-catalyzed amination of o-haloacetanilides proceeds by domino aryl amination—cyclization to furnish a wide variety of benzimidazole derivatives in good yields. Key feature is the ortho-substituent effect of the NH-CO-R group which promotes the amination step. A diversity of substituents can be introduced at positions 1 and 2 by variation of amine and N-acyl group. The bulkiness of the amine substituent influences the cyclization step: in some cases, the ring closure proceeds spontaneously [cf. (III)], while heating or acid mediation is necessary in most cases. — (ZOU, B.; YUAN, Q.; MA\*, D.; *Angew. Chem., Int. Ed.* 46 (2007) 15, 2598-2601; State Key Lab. Bioorg. Nat. Prod. Chem., Shanghai Inst. Org. Chem., Acad. Sin., Shanghai 200032, Peop. Rep. China; Eng.) — Mischke

A): K<sub>2</sub>CO<sub>3</sub>, CuI/L-proline (cat.), DMSO

E: -CO-O-Me

