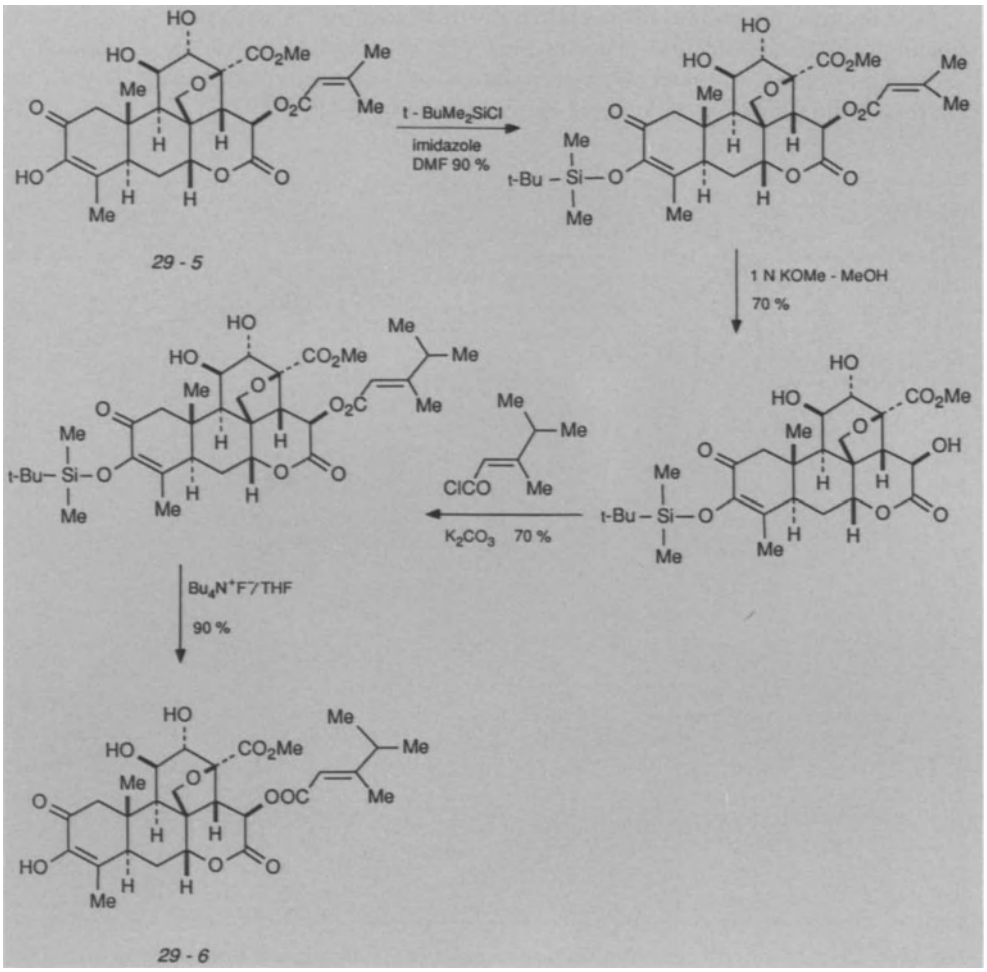

Fig. 29.3. Synthesis of bruceantin from brusatol

29.3 Pharmacology

The most important biological activity of some of the quassinoid bitter principles isolated from *Brucea* spp. is their antileukemic efficiency. Bruceantin was first isolated from *B. antidysenterica* and structurally identified by Kupchan et al. [13, 14]; it was first isolated from *B. javanica* by Darwish et al. [15]. Bruceantin is the bruceolide ester most intensely investigated for antileukemic activity.

In studies on experimental tumors in vitro and in vivo, bruceantin was active in a series of tumor systems including cells derived from human carcinoma of the nasopharynx, Walker 256 carcinoma in rats, and P388 lymphocytic leukemia in mice [13, 14, 16]. The T/C value (survival time) of bruceantin against P388 lymphocytic leukemia in mice was 200% [17]. A subline of P388 leukemia cells resistant to adriamycin did not show significant inhibition of nucleic acid synthesis after a dose