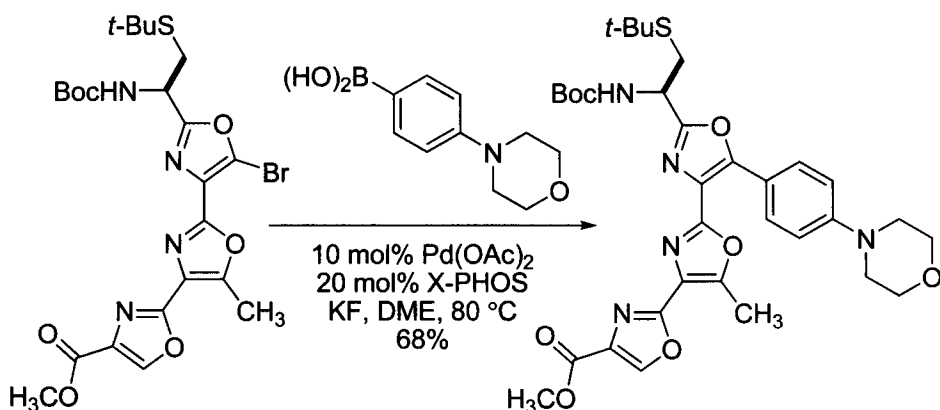


Aside from preparing analogues of the anti-proliferative telomestatin through Stille coupling as described previously, Doi, Takahashi and co-workers prepared a number of telomestatin derivatives through Suzuki coupling. Several aryl and heteroaryl substituents were incorporated in this manner.⁷⁷



Liebeskind and Srogl have prepared a series of heteroaryl thioethers, which are useful starting materials in the Suzuki reaction with a variety of electron-rich and electron-poor aryl and heteroaryl boronic acids.⁸² The use of the thioether starting material allows the reaction to be run base-free by adding stoichiometric copper(I) thiophene-2-carboxylate (CuTc). After oxidative addition of palladium to the carbon-sulfur bond, the copper is proposed to coordinate with sulfur and the carboxylate oxygen atom coordinates with boron. This facilitates a six-membered cyclic transmetalation step to transfer the boronic acid aryl group to palladium. Reductive elimination gives the Suzuki coupling product. Benzoxazoles, as well as benzothiazoles, pyridines, thiophenes, and other heteroaromatic thioethers, give good yields in the Suzuki reaction described.

