

Efficient Synthesis of Fused Imidazole Containing Ring Systems via Dual Oxidative Amination of C(sp³)-H bonds

Georgette M. Castanedo, Marie-Gabrielle Braun, James Crawford

Genentech, Inc, South San Francisco, CA, USA

Fused heterocyclic ring systems containing bridgehead nitrogens are valuable building blocks in medicinal chemistry and represent a persistent synthetic challenge. Existing methods suffer from several issues including lack of availability of starting materials, long synthetic routes and harsh reaction conditions. Herein we report a mild and robust method for their synthesis in a one-pot fashion from commercially available starting materials. Construction of a variety of poly-substituted fused 5,5 and 5,6 imidazole containing ring systems with wide functional group tolerance are described using this process. Moreover, some 5,5 systems that have not been previously reported in the literature can now be accessed.

