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Dual role of anthranils as amination surrogates and transient directing group sources: Synthesis of 2-acyl acridines

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Epigallocatechin-3-gallate (EGCG) is the main and most investigated catechin in green tea. Despite good *in vivo* activity against HT-29 xenografts tumor growth, the clinical application of EGCG has been hindered due to its poor bioavailability and stability associated with the galloyl moiety (ring B) and galloyl moiety (ring D). In an attempt to improve the stability while keeping the anticancer activity, a total of seven EGCG analogs have been synthesized in 10 steps as shown in Scheme 1. Coupling of 1 and 2 using silica/sulfuric acid catalyst gave compound 3. Dihydroxylation of 3 with OsO4 resulted in the diol 4. Cyclization of 4 in the presence of trimethyl orthoacetate, pyridinium p-toluenesulfonate and boron trifluoride gave the cyclic compound 5. Dess-Martin oxidation of the alcohol 5 furnished ketone 6 followed by reduction of the ketone using L-Selectride giving compound 7 with inverted stereochemistry. Diversity was introduced by reacting alcohol 7 with different carboxylic acids using EDCI and DMAP. Thus, EGCG ring D was replaced with rings capable of forming hydrogen bonding interactions such as quinoline, 4-methoxy phenyl, pyridine etc… Ring B was replaced by a 4-hydroxy phenyl ring to avoid the instability problem and the two hydroxyl groups in ring A were converted into methoxy groups. Biological data of the compounds will be presented at the conference.

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