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Electrophilic Halogen-Induced Spirocyclization of 2-Alkynolylaryloate Esters

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Abstract : Selective synthesis of gem-dihalo spiroisobenzofuran and spiroisocoumarin can be performed via halogenative double cyclization of methyl 2-(hydroxyalk-1-yn-1-yl) benzoates in the presence of either N-chlorosuccinimide (NCS) or N-bromosuccinimide (NBS) and chlorotrimethylsilane (TMSCl). The combination of NCS and TMSCl led to the generation of electrophilic chlorine in situ, which activated the alkyne functional group of the substrate leading to the cyclization via either 5-exo-dig or 6-endo-dig mode of cyclization to produce the target compounds in moderate yields. The protocol could be carried on a broad scope of substrates under mild conditions (0 °C to rt). The parent compounds showed good antiparasitic activity compared to standard drug albendazole. Further investigation of the scope of the reaction and their antiparasitic activities is underway.

Keywords : antiparasitic activities, halogenative annulation, spirocycles, spirocyclization
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