

The Synthesis and Herbicidal Activity of Some Novel 1-Heterocycl-2,4,5-tetrasubstituted Benzenes

Bin Li

Shenyang Research Institute of Chemical Industry, Shenyang (110021), PR China
E-mail: libinjia@yahoo.com.cn

The protoporphyrinogen-IX oxidase inhibitors are structurally very diverse, ranging from diphenylethers (Figure I) to 1-heterocycl-2,4,5-tetrasubstituted benzenes (Figure II). High resistance to soil leaching, low toxicity to birds, fish, and mammals, and slow development of weed resistance have made the 1-heterocycl-2,4,5-tetrasubstituted benzenes a class of important herbicides.

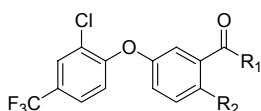


Figure I

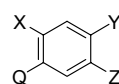
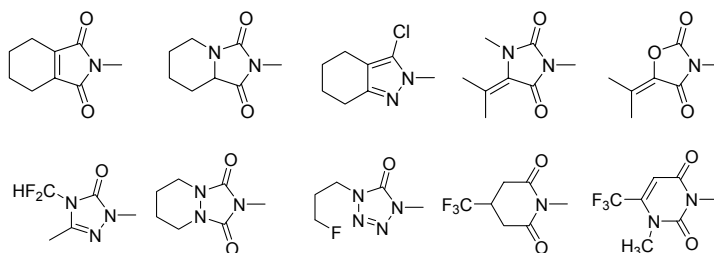


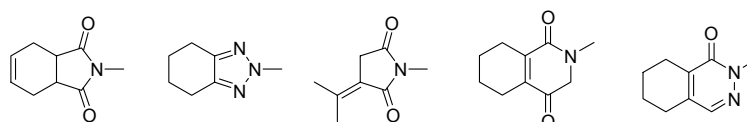
Figure II

The lead generation and lead optimization on 1-heterocycl-2,4,5-tetrasubstituted benzene has been carrying out since 1970s. The literature shows that the better substitution pattern for X,Y and Z is 2-F-4-Cl-5-propargyloxy. And numerous heterocycles have been introduced on position 1 of the benzene skeleton. Some typical heterocycle variation (Q group in Figure II, where X=F, Y=Cl, and Z=propargyloxy) and their herbicidal activity are as follows:

High herbicidal activity (application rate: 5-50 g/ha):



Low herbicidal activity (application rate: 100-1000 g/ha):



A number of novel 1-heterocycl-2,4,5-tetrasubstituted benzenes were designed in author's group. The synthesis, herbicidal activity, and the SAR of the novel compounds will be presented.