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论文

3-(5′-乙氧基-1,3,4-噻二唑-2′-亚甲氨基)-2-氰基-3-取代-丙烯酸酯类化合物的合成、晶体结构及生物活性

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摘要:

采用氰基丙烯酸酯与5-乙氧基-1,3,4-噻二唑-2-甲氨在乙醇中加热回流的方法合成了一系列3-(5′-乙氧基-1,3,4-噻二唑-2′-亚甲氨基)-2-氰基-3-取代-丙烯酸酯类化合物. 目标化合物结构均经^{1}H NMR和元素分析确证. 生物活性测试结果表明, 部分化合物对双子叶杂草油菜和苋菜显示出较好的除草活性及良好的选择性, 用化合物6j在600 g/ha剂量下对油菜和苋菜茎叶进行处理, 抑制率仍达100%和95.2%, 与对照样B相当; 氰基丙烯酸酯3位取代基体积对除草活性影响较大, 3位为异丙基时活性最高.

关键词: 5-乙氧基-1,3,4-噻二唑-2-甲氨; 氰基丙烯酸酯; 除草活性

Synthesis, Crystal Structure and Bioactivities of 2-Cyanoacrylates Containing 5-Ethoxy-1,3,4-thiadiazol-2-methylamine Moiety

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Abstract:

Herbicidal activity of cyanoacrylates has been the subject of intense interest for the past decades. A detailed study revealed that cyanoacrylates are inhibitors of photosystem Ⅱ (PS Ⅱ) electron transportation. In this paper, a series of novel 2-cyanoacrylates containing 5-ethoxy-1,3,4-thiadiazol-2-methylamine moiety were synthesized from 5-ethoxy-1,3,4-thiadiazol-2-methanamine and 2-cyanoacrylates in refluxing ethanol. Their structures were confirmed by ^{1}H NMR and elemental analysis. Biological activity tests showed that some compounds exhibited good herbicidal activities and excellent selectivity against dicotyledonous weeds such as rape and amaranth pigweed. Compound 6j show the highest herbicidal activity at the dose of 600 g/ha with 100% and 95.2% inhibition activity against rape and amaranth pigweed in postemergency treatment. It show the same herbicidal level as the contrast compound B. A appropriate size group at the 3-position of acrylates is essential for high herbicidal activity. 2-Cyanoacrylates containing 5-ethoxy-1,3,4-thiadiazol-2-methylamine moiety have the research potency, further study is underway.

Keywords: 5-Ethoxy-1,3,4-thiadiazol-2-methylamine; Cyanoacrylate; Herbicidal activity

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