

研究论文

1-[5-(1,3-二氧-4,5,6,7-四氢-1H-异吲哚-2-基)苯基]-3-取代脲衍生物的合成与除草活性研究

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摘要 为了寻找高效低毒的原卟啉原氧化酶抑制剂(protox)类除草剂,设计并合成了一系列1-[5-(1,3-二氧-4,5,6,7-四氢-1H-异吲哚-2-基)苯基]-3-取代脲衍生物**4a~4d**和**5a~5g**.化合物**4a~4d**经异氰酸酯法合成,收率、纯度高;

化合物**5a~5g**利用固体光气一锅法合成,反应时间短.所得化合物结构经<sup>1</sup>H NMR, IR, 质谱和元素分析表征.

初步生物活性测试表明:化合物**4c**, **5a**, **5b**, **5c**在有效成分75 g/hm<sup>2</sup>剂量下对苘麻、马刺苋、凹头苋等双子叶杂草表现出90%以上的防效.

关键词 [原卟啉原氧化酶抑制剂](#) [1-\[5-\(1,3-二氧-4,5,6,7-四氢-1H-异吲哚-2-基\)\]-3-取代脲](#) [固体光气](#) [合成](#)  
[除草活性](#)

分类号

**Synthesis and Herbicidal Activity of 1-[5-(1,3-Dioxo-4,5,6,7-tetra- hydro-1H-isoindol-2-yl)phenyl]-3-substituted Urea Derivatives**

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**Abstract** In order to discover novel herbicides inhibiting protoporphyrinogen oxidase (protox) with high activity and low toxicity, a series of 1-(5-(1,3-dioxo-4,5,6,7-tetrahydro-1H-isoindol-2-yl)phenyl)-3-substituted urea derivatives **4a~4d** and **5a~5g** were designed and synthesized. Compounds **4a~4d** were prepared by the reaction of substituted phenyl amine with aryl isocyanate in high purity and yield, and **5a~5g** were obtained by the reaction of substituted phenyl amine with triphosgene and alkyl amine in one pot for a short time. Their structures were confirmed by <sup>1</sup>H NMR, IR, MS spectra and elemental analyses. The primary bioassay results showed that compounds **4c**, **5a**, **5b** and **5c** exhibited control efficacy of more than 90% against *Abutilon avicennae*, *Portulaca oleracea*, and *Amaranthus spinosus* at 75 g/hm<sup>2</sup>.

**Key words** [protox inhibitor](#) [1-\[5-\(1,3-dioxo-4,5,6,7-tetrahydro-1H-isoindol-2-yl\)-phenyl\]-3-substituted urea](#)  
[triphosgene](#) [synthesis](#) [herbicidal activity](#)

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