

Synthesis and Herbicidal Activities of N'-(substituted pyrimidin-2-yl)-N-Substituted Phenoxyacetyl Thiourea Derivatives

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Abstract: Fifteen N'-(substituted pyrimidin-2-yl)-N-substituted phenoxyacetyl thioureas were synthesized and tested for biological activities. All of them are new compounds and their structures were confirmed by IR, ^1H NMR, MS and elemental analysis. Some of the target compounds showed excellent inhibitory activities against root and stalk of dicotyledon plant (such as radish), and selective on monocotyledon plant (such as rice).

Keywords: 4-Nitrophenoxyacetyl thiourea, 2,4-dichlorophenoxyacetyl thiourea, synthesis, 2-chlorophenoxyacetyl thiourea, 2-amino pyrimidine, herbicidal activity.

Acylthiourea derivatives are well known for a wide range of biological activities like bactericidal, fungicidal, herbicidal, insecticidal action and regulating activity for plant growth^{1,2,3}. In recent years, substituted phenoxyacetic acid derivatives have been reported as highly efficient herbicides⁴. In this paper, a series of substituted phenoxyacetyl thiourea containing substituted pyrimidine ring **5a-5o** were synthesized and tested for their biological activities. All of them are new compounds and their structures have been confirmed by IR, ^1H NMR, MS and elemental analysis. The concurrence of pyrimidine, thiourea and phenoxyacetyl in these compounds is expected for high efficient pesticidal activities.

The title compounds were prepared by the method in **scheme 1**.

Scheme 1

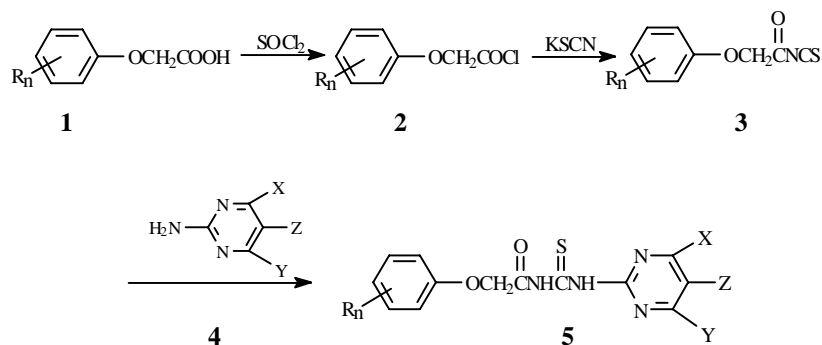


Table 1 The Substituents of Compound **5**

Compd.	substituents				Compd.	substituents			
	Rn	X	Y	Z		Rn	X	Y	Z
5a	4-NO ₂	CH ₃	CH ₃	H	5i	2-Cl	OCH ₃	CH ₃	H
5b	2,4-Cl ₂	CH ₃	CH ₃	H	5j	4-NO ₂	CH ₃	OC ₂ H ₅	H
5c	2-Cl	CH ₃	CH ₃	H	5k	2,4-Cl ₂	CH ₃	OC ₂ H ₅	H
5d	4-NO ₂	OCH ₃	OCH ₃	H	5l	2-Cl	CH ₃	OC ₂ H ₅	H
5e	2,4-Cl ₂	OCH ₃	OCH ₃	H	5m	4-NO ₂	OH	CH ₃	CH ₂ ph
5f	2-Cl	OCH ₃	OCH ₃	H	5n	2,4-Cl ₂	OH	CH ₃	CH ₂ ph
5g	4-NO ₂	OCH ₃	CH ₃	H	5o	2-Cl	OH	CH ₃	CH ₂ ph
5h	2,4-Cl ₂	OCH ₃	CH ₃	H					

General procedures for the preparation of compounds **5a~5o** were as follows:

To a solution of potassium thiocyanate in a suitable solvent, equimolar quantity of substituted phenoxyacetyl chloride **2** was added dropwise. After refluxed for 0.5 h, the reaction mixture was cooled and filtered off to yield an orange-red solution of compounds **3**. Then equimolar quantity of 2-amino pyrimidine was added and refluxed for several hours. The solvent was distilled off and washed by aqueous solution of sodium bicarbonate. The resulting precipitate was collected by filtration and recrystallized from N,N-dimethylformamide-ethanol-water to yield compounds **5** as crystals with good yields. The preliminary herbicidal activities of the title compounds **5a~5o** have been determined. **5b,5c,5d,5g,5n** showed excellent inhibitory activities against root and stalk of dicotyledon (such as radish) but selective on monocotyledon plant (such as rice).

Table 2 The Inhibition Percentage of Some Compounds **5** to Rice and Radish

compd.	rice				radish			
	stalk		root		stalk		root	
	1×10^{-5}	1×10^{-4}	1×10^{-5}	1×10^{-4}	1×10^{-5}	1×10^{-4}	1×10^{-5}	1×10^{-4}
5b	-12.9	5.9	70.4	85.2	76.9	98.9	76.9	100
5g	8.24	9.6	-8.6	3.7	46.2	53.6	68.1	72.3
5e	-0.6	12.9	18.5	65.5	30.8	85.6	92.8	100
5n	-15.3	-2.0	-3.7	40.7	69	9.2	84.3	100

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