

Germination of *O Aegyptiaca* by Novel Synthetic Compounds

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Abstract: *Orobanche Aegyptiaca* is a parasitic weed which attacks many economically important crops throughout the world including Iran. (1,2) Possible control of this weed by pregerminating the parasitic seeds using two series of synthetic compounds is discussed. The activities of these compounds in relation to their chemical structures and their stabilities towards alkaline Soil are investigated.

Introduction: In this paper we report the effect of seven novel compounds of which six are active on parasitic seeds of the genera *Striga* and *Orobanche*. *O Aegyptiaca* is the main parasite in central and other parts of Iran, causing severe damage to the crops of tomatoes, potatoes, celery, melons, cucumbers, watermelons, tobacco etc. throughout this region. (3) By Germiating the *Orobanche* seeds prior to cultivation of the host plant, it is hoped to achieve a measure of control.

Results and Discussion: The compounds are classified as series I and II.

The first series is composed of strigol analogues labelled as 2, 3, 4 and 5 (Scheme I). Compounds 2, 3 and 4 except in One or two concentrations show very

high activity in germinating *O Aegyptiaca* in our Experiments (Tables I, II and III).

Compound 5 was in active.

The stabilities of these four compounds in an alkaline medium (PH \cong 8.5) were tested (*Orobanche* infested soils have PH \cong 8.5-9). It was shown that after 24 hours compounds 2, 3 and 4 remained largely intact. After 48 hours almost all of 2 and 3 had been hydrolysed, although as expected ~ 60% of compound 5 remained intact. Compound 4 was very promising, since it showed more activity than compounds 2 and 3 toward base, i.e. after 48 hours about 30% remained (Scheme II). As previously noted compound 5 which is more stable in base unfortunately does not show any activity. Compound 4 which is more stable than compounds 2 and 3 does show high activity at some concentrations.

The second series includes three compounds, 6, 7 and 8 (Scheme III). In these compounds a lactam has been substituted for lactone. Compound 6 shows very high activity on *O Aegyptiaca*, comparable to the compounds in the first series, especially at lower concentrations (Tables I, II and III). This is in contrast to the earlier report claiming a lower activity for this compound, at the same conditions.

Compounds 6, 7 and 8 were treated with alkaline aqueous solution as in the first series (Scheme IV). They were virtually stable for 24 hours, but after 48 hours, only 30% of starting material remained.

The results (except for compound 5 which was not active and compound 7 whose activity differed greatly

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from the others) were statistically calculated and tabulated (Tables I, II and III).

More Laboratory and field tests on these two series and some other compounds are in progress and results will be reported shortly.

By synthesising the 3, 5-di-tert-butyl analogue we hoped to avoid deactivation by hydrolysis by increasing steric hindrance. From the results it would appear either the intrinsic bulk of the tert-butyl groups, or a conformation imposed by them, results in total loss of activity. On the other hand, activity is not entirely dependent on the position of the alkyl groups, since moving the methyl groups from position 3 to 4 (Compounds 2 and 4) has only a moderate effect on reactivity (Table II).

In the second series, it is noteworthy that when a $-CH_3$ group was introduced (on the nitrogen of the lactam), the activity was reduced considerably (compound 7). Introduction of an electron withdrawing group such as $-NO_2$, resulted in, noticeably higher activity (compound 8) compensating partially for the effect of the $-CH_3$ group. Compound 7 showed only low activity and was omitted from the main table.

Experiments: Both series of compounds prepared according to the methods described in references 4, 5, 6, 7 and 8. The hydrolysis were carried out in aqueous alkaline solution of $pH \approx 8.5$, the hydrolysed products were isolated by acidification of the solution to $pH \approx 3$ and extraction into chloroform (first series), or ethylacetate (second series). They were isolated on column chromatography, (eluent: $CHCl_3$ for first and $CHCl_3$ /ethylacetate 30/60 for second series) and identified with regard to authentic samples.

BIOASSAYS:

a) Preparation of *O.* seeds:

This was done by leaving the seeds in 10% NaOCl and then washing them thoroughly with distilled water. The dried seeds were then transferred to sterile Petri dishes containing filter paper using 100 seeds per dish. Water was added to each Petri dish to keep them moist.

b) Treatment of the seeds:

After 10 days to 2 weeks, 5ml of each of the known concentrations of compounds were added to the petri dishes containing parasitic seeds. They were then incubated at $24^\circ C$ and relative humidity of 90-95%.

The concentrations of the compounds tested were chosen as 1, 2 and 5 mg/l and the experiments were performed in triplicate.

The results show that substitution of electron donating group, i.e. $-CH_3$ on nitrogen diminishes the activity of the above mentioned compounds on *O. Aegyptiaca*. Substitution of electron withdrawing group on the ring increases this activity. In this paper we have also show the degradation of these active analogues in the PH comparable to the PH of the infested soil for the first time, and higher stabilities for lactam substituted analogues was established. The assay showed very high activities for most of the compounds and they were tabulated according to the rate of their activities.

1) By using Table II, compounds are classified as follows:

Group 1 : Compounds 2 and 3

Group 2 : Compound 6

Group 3 : Compound 4

Group 4 : Compound 7

Group 5 : Reference (H_2O)

Group I Concentration 1mg/l and 5mg/l

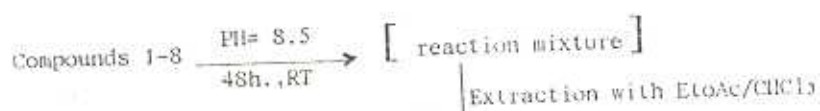
3) Comparison of the activity of the compounds versus

Group II Concentration 2mg/l

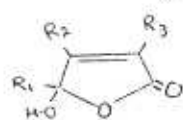
concentrations is summarized in Table III.

Table III

Compounds	2	3	4	6	8	H ₂ O (Blank)
1	91.67 a	92.33 a	68.67 e	91.33 a	50.33 fg	1.67 h
2	89.67 ab	93.33 a	50.33 fg	91.00 a	48.67 g	3.00 h
3	91.33 a	85.33 c	86.00 bc	72.67 d	52.66 f	1.67 h



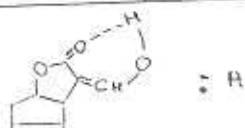
Aq. Phase
 H^+
 Extraction
 with EtOAc/CHCl₃



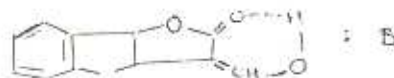
+

A-C

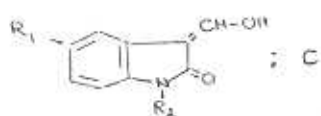
Compounds	R ₁	R ₂	R ₃	A-C
2	H	H	Me	A
3	H	H	Me	B
4	H	Me	H	A
5	+	H	+	A
6	H	H	Me	C ₁
8	H	H	Me	C ₂



: A



: B



: C

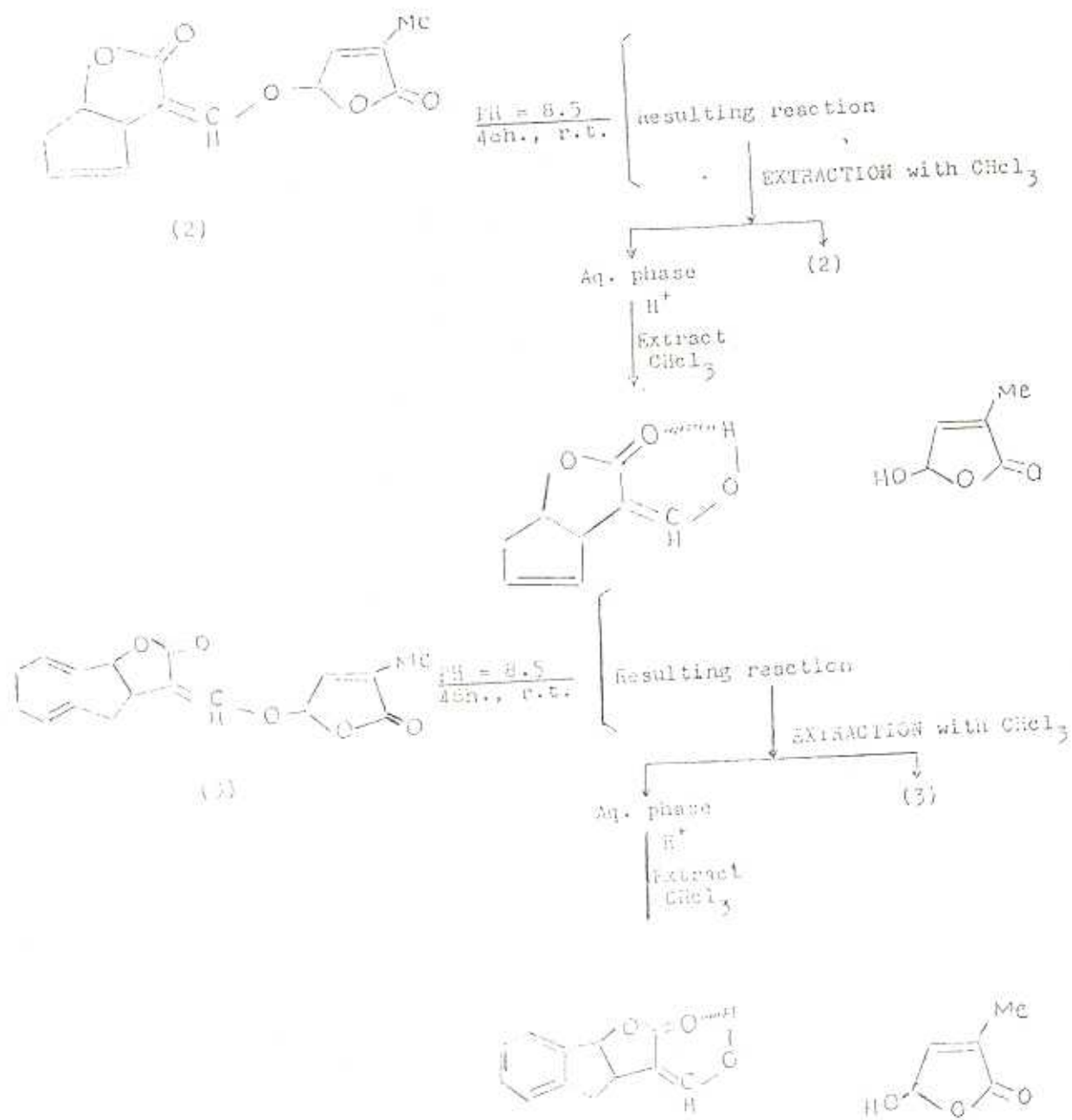
C₁ ==> R₁=H R₂=HC₂ ==> R₁=NO₂ R₂=Cl₃

Table I (Three variable table)

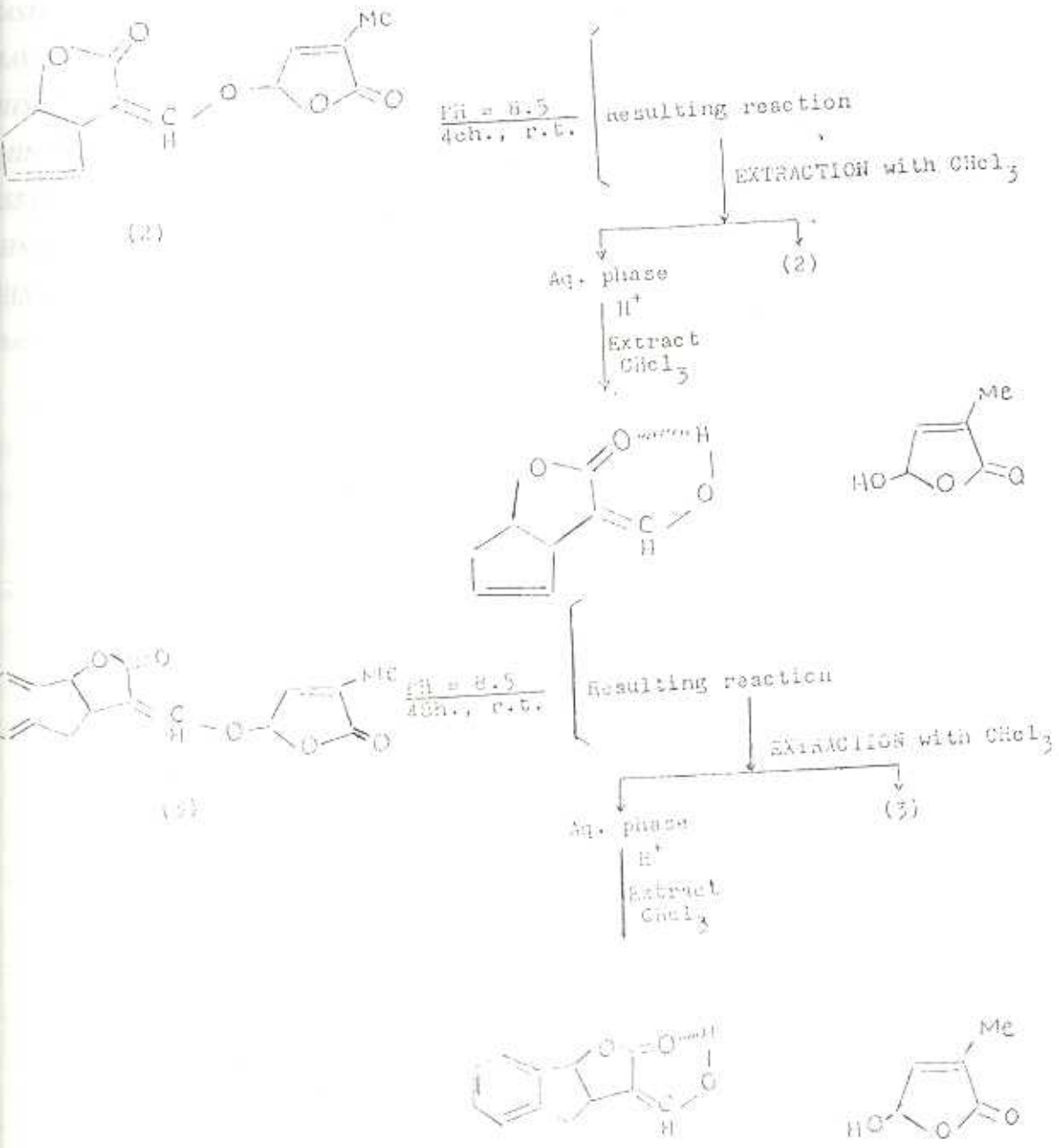
Compounds	(2)					(3)					(4)					(6)					(8)					Reference H ₂ O					Total
	1	2	5	1	2	5	1	2	5	1	2	5	1	2	5	1	2	5	1	2	5	1	2	5	1	2	5				
I	92	85	89	95	95	89	67	49	89	90	91	73	48	51	50	2	4	2	2	4	2	2	4	2	2	4	2				
II	91	93	94	89	91	85	71	52	85	92	91	71	50	48	53	2	2	1	2	2	1	2	1	2	1	2	1				
III	92	91	91	93	94	82	68	50	84	92	91	74	53	47	55	1	3	2	1	3	2	1	3	2	1	3	2				
Total	818					813					615					765					455					19					1161

Table II (Two variable table)

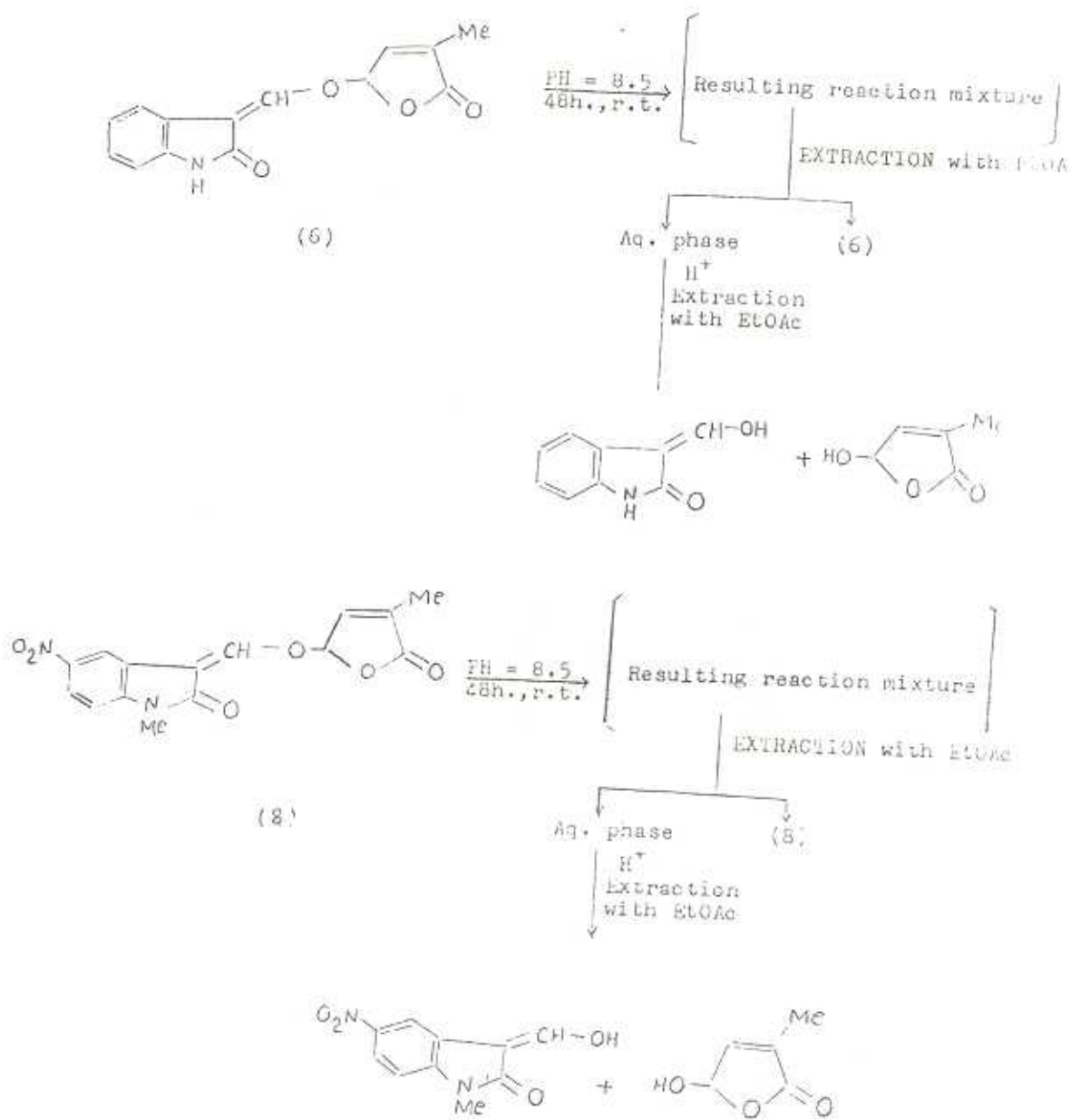
Compounds	2		3		4		6		8		Reference H ₂ O		Total		Average	
	1	2	1	2	1	2	1	2	1	2	5	9	1188	1128	66.00	62.66
I	275	277	277	277	206	206	274	274	151	151	5	5	1188	1188	66.00	66.00
2	269	280	280	280	151	151	273	273	146	146	9	9	1128	1128	62.66	62.66
5	274	256	256	256	258	258	218	218	158	158	5	5	1169	1169	64.94	64.94
Total	818	813	813	813	615	615	765	765	455	455	19	19	3485	3485		
Average	90.89	90.33	90.33	90.33	68.30	68.30	85.00	85.00	50.55	50.55	2.11	2.11				



(Figure: II)



(Figure II)



(Figure IV)

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