

Germination of *O Aegyptiaca* by Novel Synthetic Compounds

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Key words: *O Aegyptiaca*, Activities, Stabilities

Abstract: *Orobanche Aegytiaca* 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 Germianting 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 comosed 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 ($\text{PH} \cong 8.5$) were tested (*Orobanche* infested soils have $\text{PH} \cong 8.5-9$). 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 claming a lower activity for this compound, at the same conditions.

Compouds 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 hourse, 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 $\text{pH} \approx 8.5$, the hydrolysed products were isolated by acidification of the solution to $\text{pH} \approx 3$ 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°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

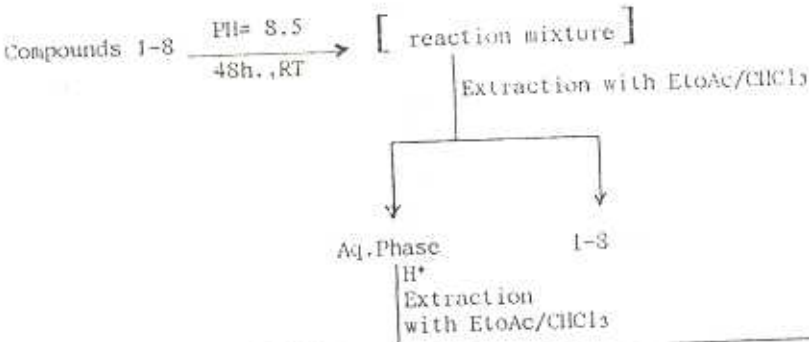
Group 5 : Reference (H_2O)

Group I Concentration 1mg/1 and 5mg/1
Group II Concentration 2mg/1

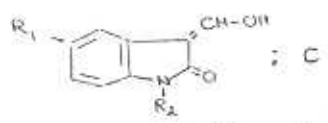
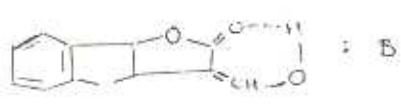
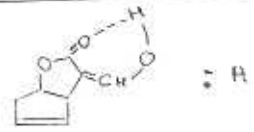
3) Comparison of the activity of the compounds versus concentrations is summarized in Table III.

Table III

| Compounds | 2 | 3 | 4 | 6 | 8 | H ₂ O (Blank) |
|---------------|-------------|------------|-------------|------------|-------------|--------------------------|
| Concentration | | | | | | |
| 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 |



| 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 |



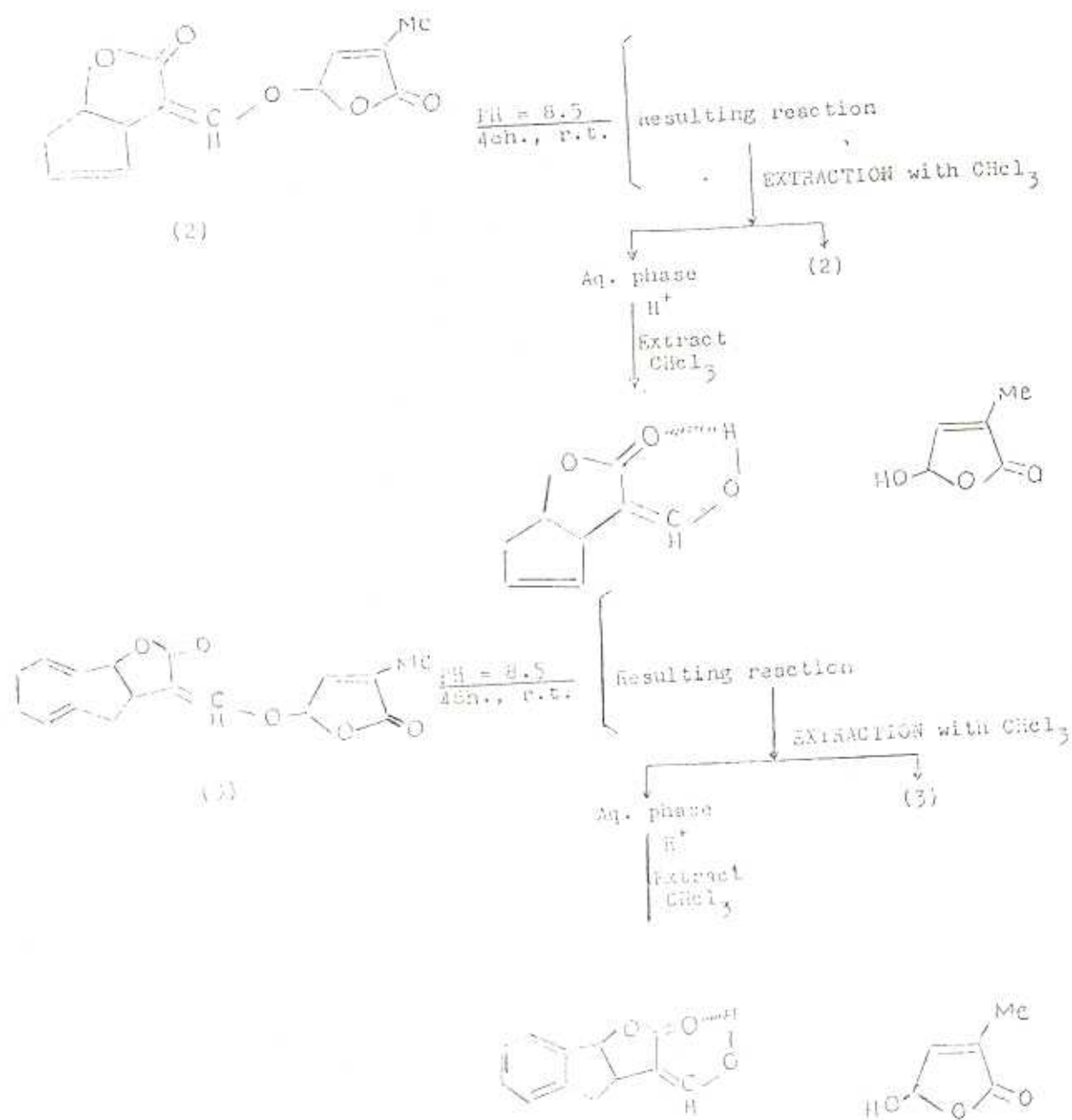
C₁ ==> R₁=H R₂=H
C₂ ==> R₁=NO₂ R₂=CH₃

Table I (Three variable table)

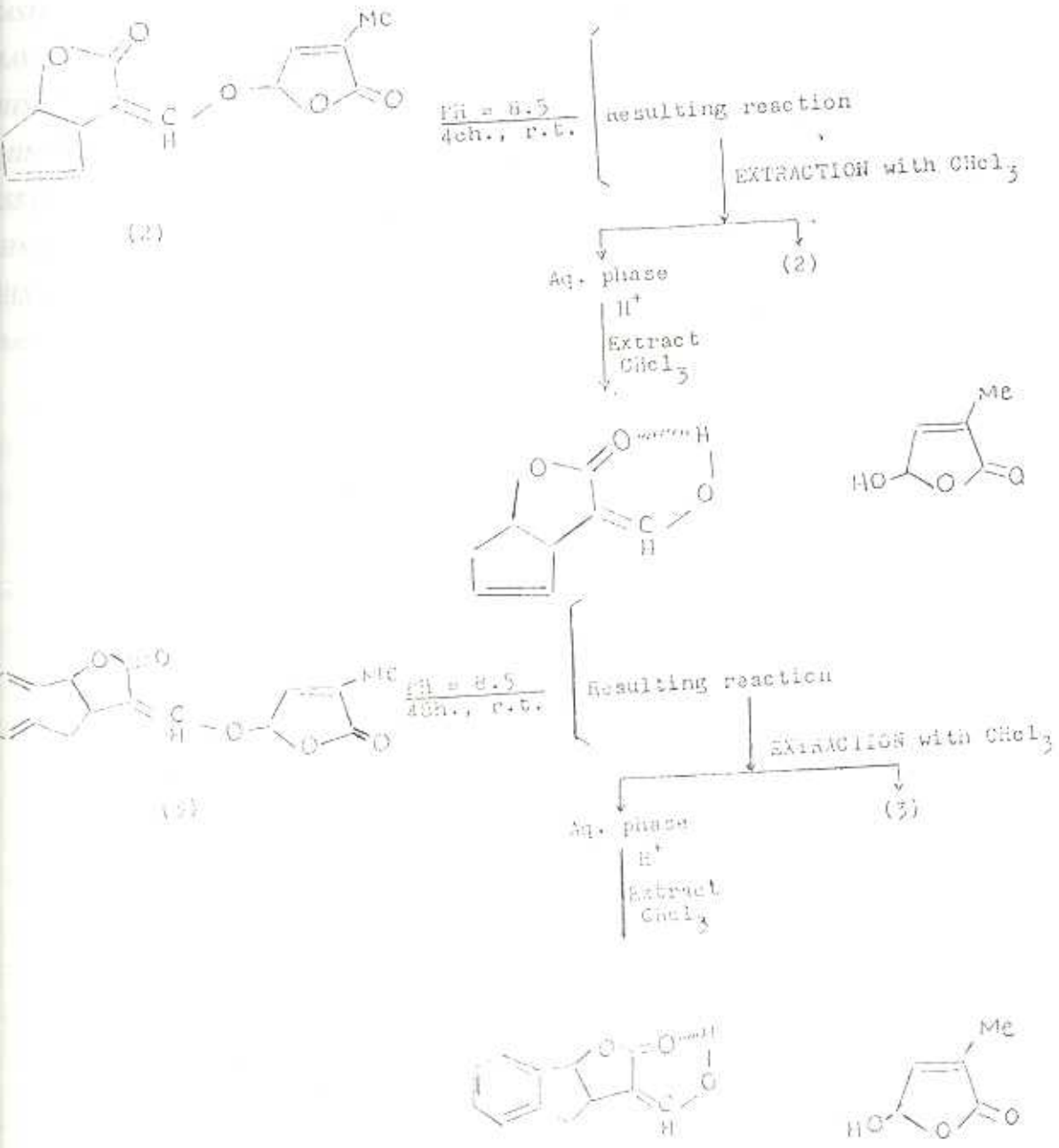
| Compounds | (2) | | | | | (3) | | | | | (4) | | | | | (6) | | | | | (8) | | | | | Reference H ₂ O | | | | | Total |
|-----------|-----|----|----|----|----|-----|----|----|----|----|-----|----|----|----|----|-----|---|---|---|---|-----|---|---|---|---|----------------------------|---|---|---|---|-------|
| | 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 | 1 | 2 | 5 | |
| I | 92 | 85 | 89 | 95 | 95 | 89 | 67 | 49 | 89 | 90 | 91 | 73 | 48 | 51 | 50 | 2 | 4 | 2 | | | | | | | | | | | | | |
| II | 91 | 93 | 94 | 89 | 91 | 85 | 71 | 52 | 85 | 92 | 91 | 71 | 50 | 48 | 53 | 2 | 2 | 1 | | | | | | | | | | | | | 1161 |
| III | 92 | 91 | 91 | 93 | 94 | 82 | 68 | 50 | 84 | 92 | 91 | 74 | 53 | 47 | 55 | 1 | 3 | 2 | | | | | | | | | | | | | 1161 |
| Total | 818 | | | | | 813 | | | | | 615 | | | | | 765 | | | | | 455 | | | | | 19 | | | | | 1163 |

Table II (Two variable table)

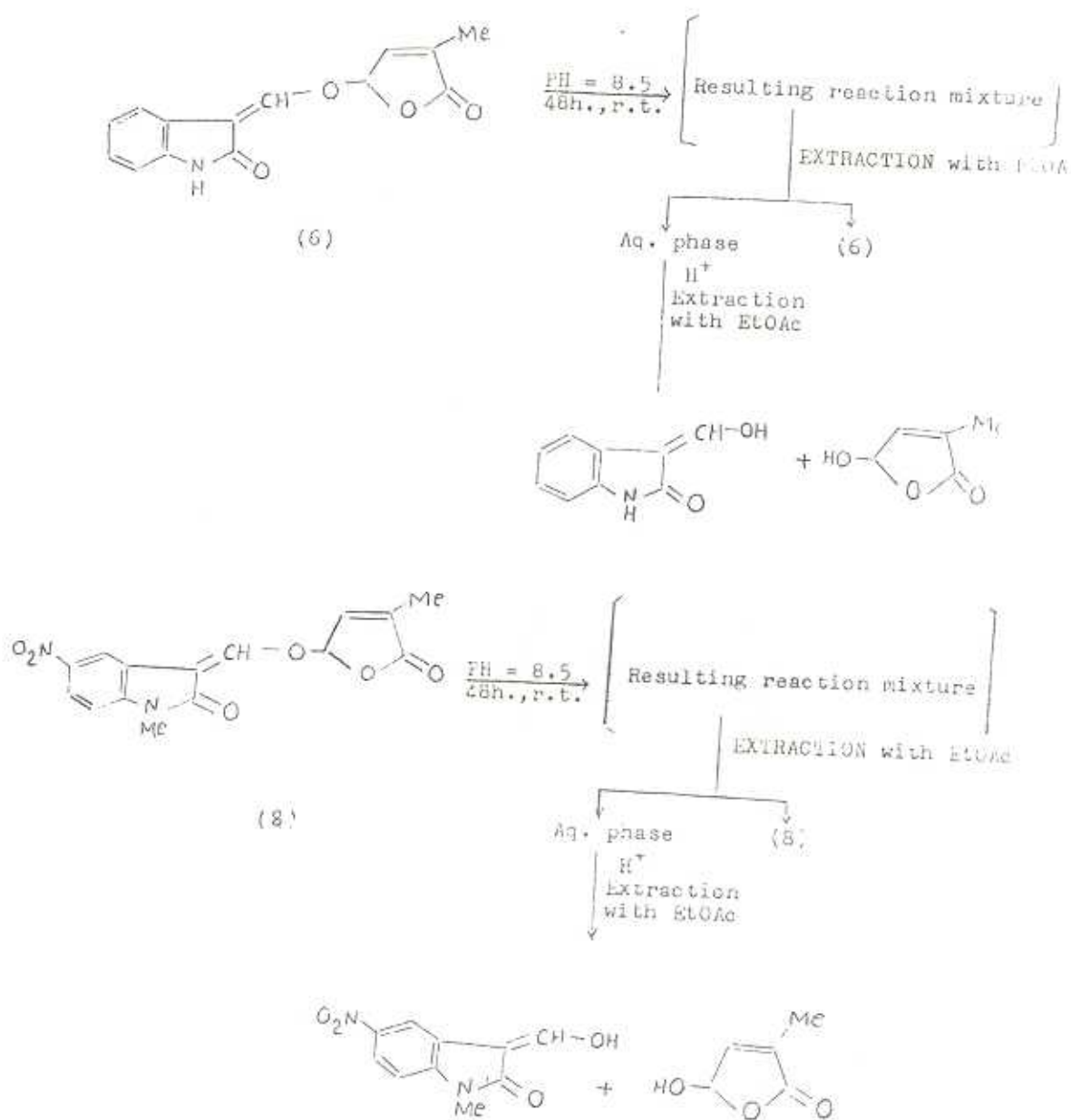
| Compounds | (2) | | (3) | | (4) | | (6) | | (8) | | Reference H ₂ O | | Total | | Average | |
|-----------|-------|-------|-------|-------|-------|-------|-------|-------|-------|------|----------------------------|-----|-------|------|---------|------|
| | 1 | 2 | 3 | 4 | 5 | 6 | 7 | 8 | 9 | 10 | 11 | 12 | 13 | 14 | 15 | 16 |
| I | 275 | 277 | 280 | 256 | 813 | 90.33 | 68.30 | 85.00 | 50.55 | 2.11 | | | | | | |
| 2 | 269 | 274 | 274 | 273 | 218 | 765 | 455 | 151 | 146 | 158 | 5 | 19 | 1188 | 1128 | 1169 | 3485 |
| 5 | 274 | 274 | 274 | 274 | 218 | 765 | 455 | 151 | 146 | 158 | 5 | 19 | 1188 | 1128 | 1169 | 3485 |
| Total | 818 | 818 | 813 | 813 | 813 | 813 | 813 | 813 | 813 | 813 | 813 | 813 | 813 | 813 | 813 | 813 |
| Average | 90.89 | 90.89 | 90.33 | 68.30 | 85.00 | 50.55 | 2.11 | | | | | | | | | |



(Figure: 11)



(Figure II)



(Figure IV)

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