Available online at www.derpharmachemica.com

Scholars Research Library

Der Pharma Chemica, 2014, 6(5):166-170
(http://derpharmachemica.com/archive.html)

ISSN 0975-413X
CODEN (USA): PCHHAX

Synthesis and antifungal activity of some novel chalcones containing pyrazole moiety

J. J. Vora[#], Dharmesh M. Patel, Ketan C. Parmar*, Bhavesh M. Patel* and Sunil. B. Vasava

**Department of Chemistry, Sir P. T. Sarvajanik college of Science, Surat, Gujarat*
 # Department of Chemistry, Hemchandrachraya North Gujarat University, Patan, Gujarat, India

---

ABSTRACT

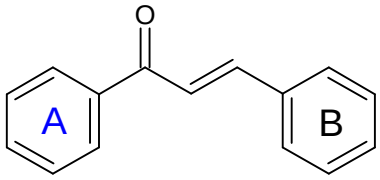
Various chalcone derivatives of 1-(4-methyl sulfonylphenyl)-3-(1,3-diphenyl-1H-pyrazol-4-yl)-2-propen-1-one were synthesized by condensation of 1,3-diphenyl-1H-pyrazole-4-carbaldehyde and 4-methyl sulfonylphenyl acetophenone. Chalcone derivatives were characterized by FT-IR, ^{1}H-NMR, Mass spectral analysis and elemental analysis. All the synthesized compounds have been screened for their antifungal activities by using cup-plate method.

Keywords: Pyrazole aldehyde, p-Sulfonyl Acetophenone, AntifungalActivity

---

INTRODUCTION

Chalcones are characterized by their possession of a structure in which two aromatic rings A and B are linked by an aliphatic three carbon chain.



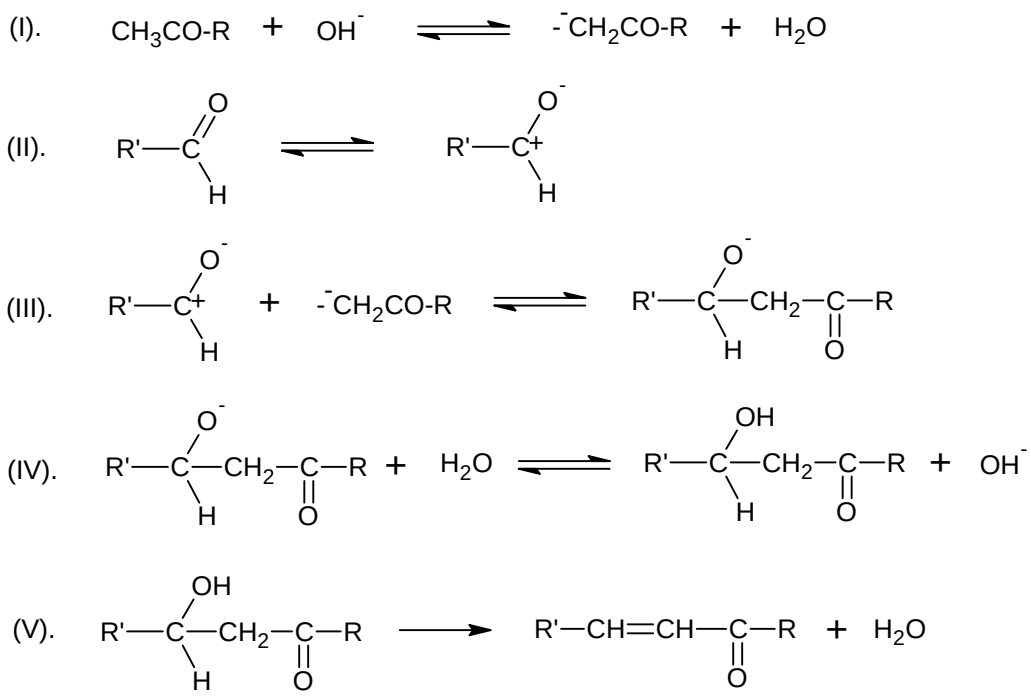
(1)

The alternative names given to chalcones are phenyl styryl ketones, benzalacetophenone, β-phenyl arylphenone, γ-oxo-α,γ-diphenyl-α-propylene and α-phenyl-β-benzoethylene.

Here we synthesized different pyrazole aldehyde**[1,2]** by using different acetophenone **[3]** and phenyl hydrazine**[4]**. These synthesized pyrazole aldehyde further condensed with acetophenone derivative to give different type of chalcone derivatives**[5]**.

MECHANISM

Chalcone formation proceeds through aldol type of condensation and the process is catalyzed by the presence of alkali. Following are the steps of the reaction mechanism.



The intermediate aldol type of products formed readily undergoes dehydration even under mild condition, particularly when R and R' are aryl groups.

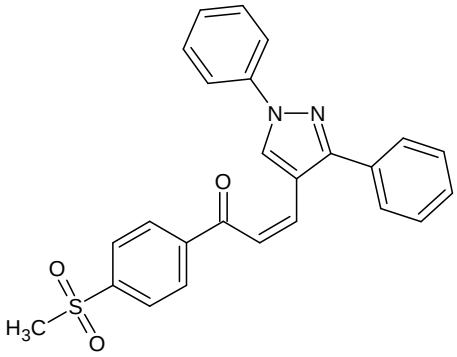
In recent years an increasing number of groups have become interested in chalcones and related compounds since they are finding extensive use in several medicinal and industrial fields.

Chalcones are potential biocides, some naturally occurring antibiotics and aminochalcones probably owe their biological activity to the presence of α,β-unsaturated carbonyl group.

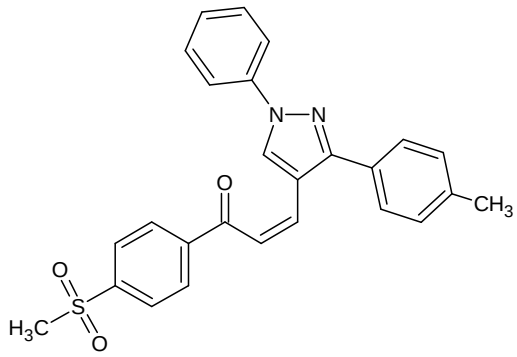
Insecticidal, Antiulcer, Fungicidal**[6,7]**, Bactericidal**[6,7,8]**, Antiinflammatory**[9]**, Antiviral, Antiallergic, Carboxygenase inhibitor, Antioxident **[10]**, Antitumor, Antimalarial, Anticancer, Antileshmanial, Cardiovascular, Anti-HIV

MATERIALS AND METHODS

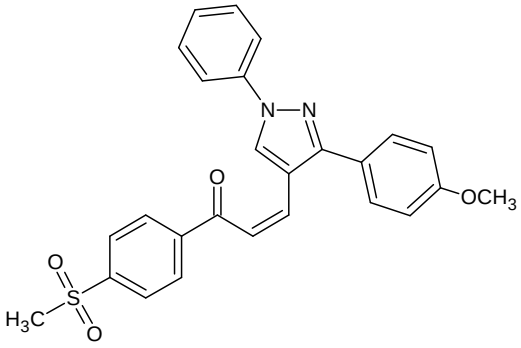
Following compound were synthesized according to Der Pharma Chemica, 2014,6(4): 367-372



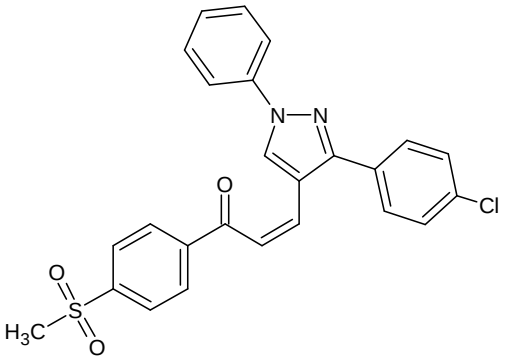
Ia



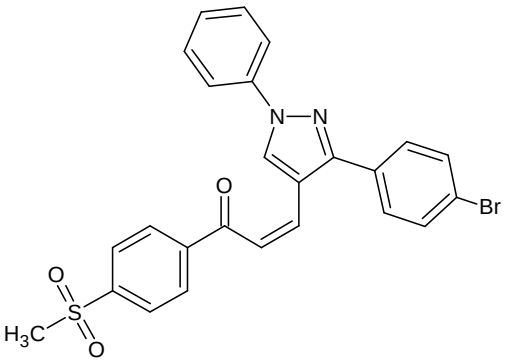
Ib



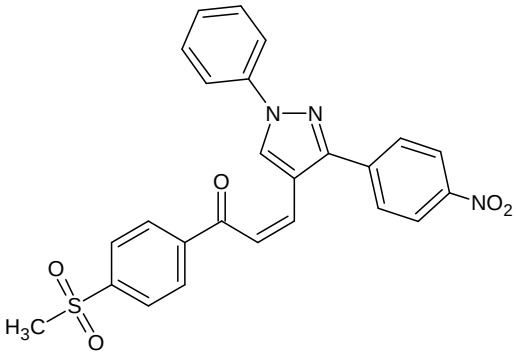
Ic



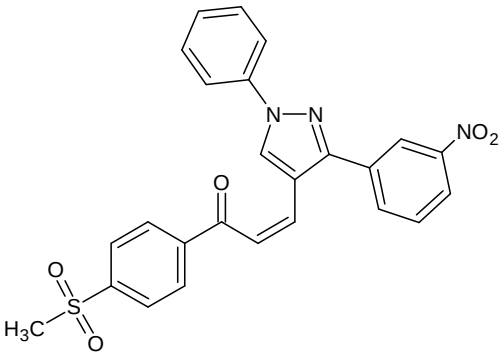
Id



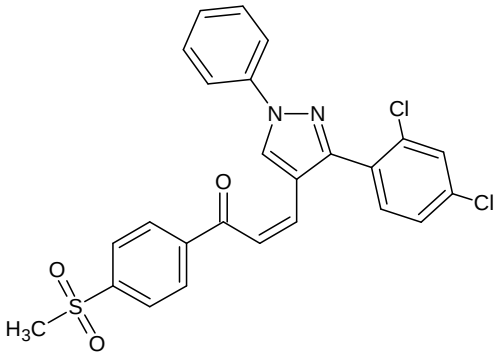
Ie



If



Ig



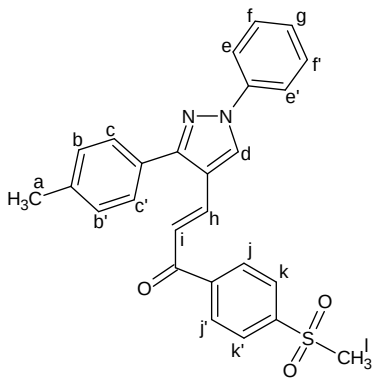
Ih

SPECTRAL STUDY OF 1-(4-METHYL SULFONYL PHENYL)–3-(1–PHENYL-3-(4-METHYL PHENYL)-1H-PYRAZOL –4-YL)–2-PROPEN–1-ONE (I_b)

Interpretation of IR at a glance:- Aromatic C-H str. 3125.8 cm^{-1}, C=C str. 1504.8 cm^{-1}, C-H i.p. def. 1089.9 cm^{-1}, C-H o.o.p. def. 830.0 cm^{-1}; Chalcone C=O str., 1659.2 cm^{-1}, CH = CH str. 3125.8 cm^{-1} (overl.); Pyrazole moiety, C=N str. 1585.2 cm^{-1}, C-N str. 1213.3 cm^{-1}, -SO_2-CH_3. 1310.5 cm^{-1} (overl.), 1178.4 cm^{-1}

Internal Standard : TMS ; Solvent : $CDCl_3$; Instrument : BRUKER Spectrometer (400 MHz)

Interpretation of ^{1}H NMR at a glance



Signal No.	Signal Position (δ ppm)	No. of Protons	Multiplicity	Inference
1	2.442	3H	singlet	Ar-C$\underline{H}_{3(a)}$
2	3.096	3H	singlet	Ar-$SO_2C\underline{H}_{3(l)}$
3	7.261 – 7.350	2H	doublet	C-$\underline{H}_{(e)}$
4	7.369 – 7.390	1H	triplet	C-$\underline{H}_{(g)}$
5	7.489 – 7.529	2H	triplet	C-$\underline{H}_{(f)}$
6	7-577 – 7.597	2H	doublet	C-$\underline{H}_{(b)}$
7	7.793 – 7.817	1H	doublet	-C$\underline{H}_{(h)}$=CH-
8	7.913 – 7.952	1H	doublet	-CH=C$\underline{H}_{(i)}$-
9	8.048 – 8.070	2H	doublet	C-$\underline{H}_{(j)}$
10	8.091 – 8.112	2H	doublet	C-$\underline{H}_{(k)}$
11	8.381	1H	singlet	C-$\underline{H}_{(d)}$

MASS SPECTRUM : m/z = 442.13

RESULTS AND DISCUSSION

The characterized heterocyclic compounds containing pyrazole ring were subjected for antifungal screening with gram +ve ; gram –ve bacteria. The results suggested that for antifungal activity, the synthetic chalcone derivatives were uneffective at low concentrations unlike standard drugs. At higher concentrations, antifungal action was observed. When halogens replaced alkyl or alkoxyl group at 4 aryl position, the activity increased. Out of nitro phenyl derivatives, nitro group at 3 position has slight lower activity against *Aspergillus niger*. The presence of two halogens in the aromatic ring decreases the activity slightly. In comparision to phenyl substitution, 4-methyl phenyl and 4-methoxy phenyl substituted derivatives were slightly more effective against *Aspergillus niger*.

COMPARATIVE ANTIFUNGAL ACTIVITY OF 1-(4-METHYL SULFONYLPHENYL)-3-(1-PHENYL-3-ARYL 1H-PYRAZOL-4-YL)-2-PROPEN-1-ONES I_{a-h} (Minimum inhibition Concentration in µg/ml)

Antifungal activity (Zones of inhibition in mm)															
Compd. No.	R	*A. niger* MTCC-282							*C. albicans* MTCC-227						
		5	10	25	50	100	250	500	5	10	25	50	100	250	500
Ia	C_6H_5	-	-	16	17	20	21	22	-	-	18	18	22	23	24
Ib	4-CH_3-C_6H_4	-	-	17	18	20	21	22	-	-	18	18	21	22	23
Ic	4-OCH_3-C_6H_4	-	-	17	18	20	20	22	-	-	16	19	22	22	24
Id	4-Cl-C_6H_4	-	-	17	18	21	21	23	-	-	18	20	23	22	24
Ie	4-Br-C_6H_4	-	-	17	17	20	22	23	-	-	18	19	21	23	24
If	4-NO_2-C_6H_4	-	-	17	18	20	21	23	-	-	19	18	20	23	24
Ig	3-NO_2-C_6H_4	-	-	16	18	20	21	22	-	-	18	19	22	22	24
Ih	2,4-Cl-C_6H_3	-	-	15	17	20	21	23	-	-	18	19	21	23	24
Comparative activity of (I_{a-h}) with known chosen standard drug															
Standard drug		**Antifungal activity**													
Griseofulvin		19	22	23	25	25	28	28	18	19	21	22	22	24	26

N.B. (-) : No Activity

CONCLUSION

Eight pyrazole derivatives were synthesized and characterized for their possible structure. Spectra and chemical analyses supported the expected structural formula. These compounds were subjected to antifungal screening. Overall, the antifungal activities were less compared to the standard drugs. However, there are certain points which indicate that proper structure modification may lead to increased antifungal activity.

REFERENCES

[1] K. C. Parmar, J. J Vora and S. B. Vasava, *Journal of Chemical and Pharmaceutical Reaserch*, **2014**, Vol-6, Issue-4, page-1259-1263.
[2] K. C. Parmar, J. J Vora and S. B. Vasava, *Journal of Chemical and Pharmaceutical Reaserch*, **2013**, Vol-5, Issue-9, page-222-226.
[3] J. J Vora and S. B. Vasava, K. C. Parmar**,** S. K. Chauhan, S. S. Sharma, *E- Journal Of Chemistry***, 2009,** 6(4), 1205-1210.
[4] J. J. Vora, S. B. Vasava, S. K. Chauhan, K.C.Parmar,J. A. Patel and M.P. Brahmbhatt., *International Juornal of Chemical Science***, 2009,** 7(1), 405-414.
[5] D. M. Patel, Ph.D., *Thesis*-**2009**, Hem. North Gujarat University, Patan, Gujarat, India.
[6] Kulathooran S., Selvakumar B., and Dhamodaran M., *Der Pharma Chemica*, **2014**, 6(3), 240-249.

[7] N.V Kavitha, Kalpana Divekar, Brahmani Priyadarsh, S. Gajanan. M. Manjunath, *Der Pharma Chemica***, 2011**, 3 (4), 55-62.
[8] J. J. Vora, D. M. Patel, Ketan C. Parmar, Bhavesh. M. Patel and S.B. Vasava, *Der Pharma Chemica***, 2014**,6(4): 367-372.
[9] Monica Kachroo, Rakesh Panda and Yadavendra Yadav, *Der Pharma Chemica***, 2014**, 6(2), 352-359.
[10] C. G. Darshan Raj, B. K. Sarojini, E. S. Sindhu Priya, M T. H. Khan, *Der Pharma Chemica***, 2013**, 5(3):305-317.