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Organic Chemistry

Elixir Org. Chem. 43 (2012) 6987-6989

ISSN: 2229-712X

Insect antifeedant potent 5-methyl-2-furyl chalcones

G. Thirunarayanan[1,*], G. Vanangamudi[2] and M. Subramanian[3]

[1]Department of Chemistry, Annamalai University, Annamalainagar-608002, India.

[2]Department of Chemistry, Government Arts College, C-Mutlur, Chidambaram-608102, India.

[3]Departmentof Chemistry, Government Arts College, Virdhachalam-606001, India.

ARTICLE INFO

Article history:

Received: 28 November 2011;

Received in revised form:

6 February 2012;

Accepted: 22 February 2012;

Keywords

5-Methyl-2-furyl chalcones;

Insect antifeedant activity;

4th Instar larvae;

Castor leaf discs.

ABSTRACT

A series of substituted styryl 5-methyl-2-furyl ketones have been synthesized by closed-aldol reaction. The purities of these chalcones were checked by their physical constants and spectral data published earlier in literature. The insect antifeedant activities of these ketones were studied using 4th instar larvae *Achoea Janata L* with castor leaf discs.

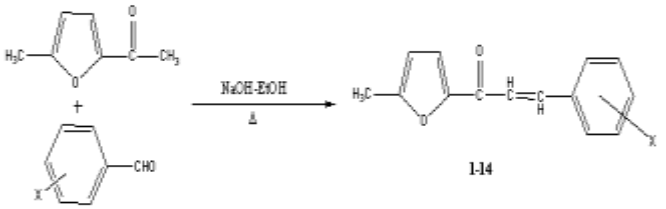
Introduction

The 2*E* chalcones are α,β-unsaturated ketones possess methylene structural moieties and they belongs to biomolecules. Many alkyl-alkyl, alkyl-aryl and aryl-aryl categories of chalcones were synthesized [1] and extracted from natural plants[2] by organic chemists. Various methods available for synthesizing chalcones such as Aldol, Crossed-Aldol, Claisen-Schmidt, Knovenagal, Greener methods- Grinding of reactants, solvent free and oxides of nanoparticle with microwave heating. Due to C-C single bond rotation [3] of carbonyl and alkene carbons, they exist as *Es-cis* and *s-trans* and *Zs-cis* and *s-trans* conformers. This structural conformers of chalcones were confirmed by NMR and IR spectroscopy. These chalcones possess various multipronged activities [4]. Keto, alkene and the polar substituents in aryl or styryl phenyl moieties in the chalcones are responsible for their biological activities. The various biological activities of chalcones are antibacterial[5], antifungal[6], antioxidant[7], antiviral[8], antimalarial[9], antiplasmodial[10], antituberclosis[11], antiproliferative[12], antileshmanial[13], anti-inflammatory[14], antianalgesic and sedative[15], andinsect antifeedants[16]. Halogenated chalcones possess insect antifeedant activities [16,17]. There is no report available for the study of antifeedant of these chalcones in literature in the past. Therefore, in the present study, the authors wish to report the insect antifeedant activities of some substituted styryl 5-methyl-2-furyl ketones.

Experimental

Synthesis of substituted styryl 5-methyl-2-furyl ketones[1a]

An appropriate equimolar quantity of 2-acetyl-5-methyl-furon (0.01mol), various substituted benzaldehydes (0.01mol), 0.5g of sodium hydroxide and 20 ml of ethanol were warmed in a 50 ml corning conical flask and shaken occasionally(Scheme 1).The obtained solid was filtered at the pump, washed with cold water and crystallized from ethanol afford the respective chalcones as glittering pale yellow solid. The purities of these chalcones were checked by their physical constants, IR, ^{1}H and ^{13}C NMR and Mass spectral data published earlier in literature [1a].



Where X= H, 3-Br, 4-Br, 2-Cl, 3-Cl, 4-Cl, 4-F, 2-OCH_3, 3-OCH_3, 4-OCH_3, 4-CH_3, 2-NO_2, 3-NO_2, 4-NO_2

Scheme 1

Insect antifeedant activity

Chalcones possess various multipronged and Biological activities. Generally compounds which are possess halo ketones along with polar groups, they possess insect antifeedant activities. Therefore the author wish to examine the insect antifeedant activity of these chalcones and found to be they are active as insect antifeedants. This test was performed with a 4th instar larva *Achoea Janata* L against castor *semilooper*,were reared as described on the leaves of caster *RiclmusCammunls* in the laboratory at the temperature range of 26°C ±1°C and a relative humidity of 75-85%. The leaf – disc bioassay method[18] was used against the 4th instar larvae to measure the antifeedant activity. The 4th instar larvae were selected for testing because the larvae at this stage feed very voraciously.

Measurement of insect antifeedant activity of chalcones

Castor leaf discs of a diameter of 1.85cm were punched and intact with the petioles. All synthesized chalcones were dissolved in acetone at a concentration of 200 ppm dipped for 5 minutes. The leaf discs were air-dried and placed in one liter beaker containing little water in order to facilitate translocation of water. Therefore the leaf discs remains fresh throughout the duration of the rest, 4th instar larvae of the test insect, which had

Tele: 04144220015

E-mail address: drgtnarayanan@gmail.com

been preserved on the leaf discs of all chalcones and allowed to feed on them for 24 hours. The area of the leaf disc consumes were measured by Dethlers[18]method. The observed antifeedant activity of chalcones were presented in Table 1.

The results of the antifeedant activity of chalcones presented in Table1 reveals that all compounds were found to reflect satisfactory antifeedants. This test is performed with the insects which ate only two-leaf disc soaked under the solution of this compound. Compound 4 showed enough antifeedant activity but lesser than 3. Further compound 3 was subjected to measure the antifeedant activity at different 50, 100, 150 ppm concentrations and the observation reveals that as the concentrations decreased, the activity also decreased. It is observed from the results in Table 2 and that the chalcones 3 [4-Bromostyryl-5-methyl-2-furylketone] showed an appreciable antifeedant activity at 150 ppm concentration.

Acknowledgement

The authors thank to the Head, NMR Lab, Madurai Kamaraj University, Madurai for recording NMR spectra of all chalcones.

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Table 1.Insect antifeedant activities of substituted styryl-5-methyl-2-furyl ketones

Entry	R	4-6 pm	6-8 pm	8-10 pm	10-12 pm	12am-6am	6-8 am	8am-12Nn	12Nn-2pm	2-4 pm	Total leaf disc consumed in 24 hrs
1	H	0.5	1	0.5	0.5	0.5	0	0	0	0	3
2	3-Br	0.5	0.25	0.25	0.5	0.5	0.5	1	1	0.5	0.5
3	4-Br	0.5	0.5	0.25	1	0.5	0.5	0.25	0.25	0.25	0.4
4	2-Cl	0.25	0.25	0.25	1	0	0.25	0	0	0	2
5	3-Cl	0.25	1	0	0.25	1	0	0.25	0.25	0	3
6	4-Cl	0.5	1	0.5	1	0	1	0	1	1	6
7	4-F	2	0	1	0	1	0	1	0	0	5
8	2-OCH_3	1	0.5	0.5	1	1	0	1	1	1	9
9	3-OCH_3	0.5	0.5	0.5	2	2	1	1	1	1	9
10	4-OCH_3	1	2	1	1	0	0	0	0	1	6
11	4-CH_3	1	2	1	1	0	1	0	0	1	5
12	2-NO_2	1	2	1	1	0	0	0	0	1	6
13	3-NO_2	1	2	1	1	0	1	1	0	1	10
14	4-NO_2	1	2	2	1	1	1	0	0	1	11

Number of leaf discs consumed by the insect (Values are mean + SE of five).

Table 2. Insect antifeedant activity of compound 3 [4-Bromostyryl-5-methyl-2-furyl ketones] at 3 different concentrations

ppm	4-6 pm	6-8 pm	8-10 pm	10-12 pm	12am-6am	6-8 am	8am-12Nn	12Nn-2pm	2-4 pm	Total leaf disc consumed in 24 hrs
50	0	0.25	0.5	0	0	0	0	0	0	0.75
100	0	0.25	0	0.25	0	0	0	0	0	0.50
150	0	0.2	0	0.2	0	0	0	0	0	040