

Ecofriendly Microwave Assisted Synthesis Of Some Substituted Flavones

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Abstract : An ecofriendly, economic, easy and greener chemical pathway used to prepare pharmaceutically important substituted flavones on oxidative cyclization of substituted chalcones by microwave irradiation in DMSO/I₂ media. Microwave synthesis offers advantages over conventional heating by time, extent of chemicals used and by yield .

Keywords: Microwave, Chalcones, Flavones.

INTRODUCTION

Flavones belongs to flavonoids family. Flavonoids are group of aromatic oxygen-bearing heterocyclic pigments widely distributed among higher plants. They constitute most of yellow, red and blue colour in flowers and fruits. In Latin flavus means yellow colour. It is observed that even high intake of plant based dietary flavonoids is safe and not associated with any adverse health effect. In addition, the interaction of dietary flavonoids with the gut has numerous implications for human health and flavonoid in the diet may act as chemopreventive agents against the development of cancer. It showed significant role in pharmaceutical effects [1] including leishmanicidal activity, oviposition stimulant phytoalexins, anti-HIV, vasodilator, antiviral, antioxidants [2], bactericidal, DNA cleavage, anti-inflammatory [3], antimutagenic and anticancer.

Recently, there is a surge to employ microwave in organic synthesis. Microwave synthesis offers advantages over conventional heating due to rapid heating and increased rate of reaction. Also, cleaner reactions together with improvement in yield and selectivity are mostly observed. The utilization of

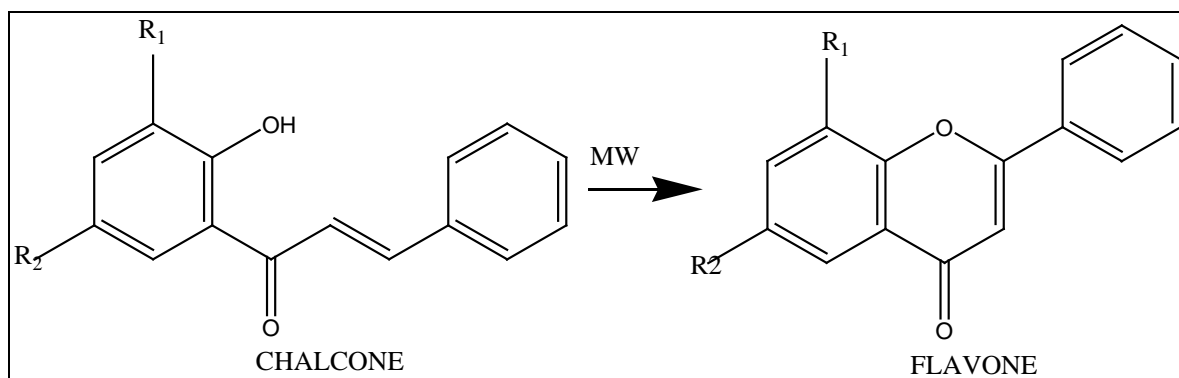
green chemistry techniques is dramatically reducing chemical waste and reaction times as has recently been proven in several synthesis and chemical transformations.

In general, the flavones are synthesized by oxidative cyclization of 2'-hydroxy chalcones [4], by the cyclodehydration of 1-(2-hydroxyphenyl)-3-phenyl-1,3-propanedione [5], by Auwers methods [6] and via intermolecular Wittig reaction [7]. It has been observed that the substitution five or six member heterocyclic group in C-2 position instead of phenyl group improves the biological activity of flavones [8-10]. Various substituted chalcones were condensed with I₂/DMSO to afford the desired flavones 85-90% yield under microwave irradiation [11]. The reaction was completed within 3-5 min.

EXPERIMENTAL

The melting points reported are uncorrected and were taken in open capillaries, characterized by IR, NMR spectra. The reaction was carried out in domestic microwave oven.

The chalcone (1 mmole) was suspended in (DMSO, 2 ml) and to this solution Iodine (0.02 mmole) was added. The mixture was subjected to microwave irradiations for 2 minutes at level 5. The mixture was diluted with water excess and extracted with diethyl ether. The organic layer was washed with aq. 20% sodium thiosulphate, water and dried over anhydrous sodium sulphate. The crude solid obtained was subjected to column chromatography over silica gel using hexanes, recrystallized by ethyl alcohol, to get 85-90% yield.



Where $R_1 = \text{H}, -\text{NO}_2, -\text{Br}$

$R_2 = -\text{CH}_3, -\text{Cl}$

RESULTS AND DISCUSSION

Table: Physical data of Flavones

Compound	M.P. ($^{\circ}\text{C}$)	Reaction time(conv)in min	Reaction time (microwave) in min.	%yield (conv)	%yield (microwave)
6-methyl flavones	124	30-40	2	70	90
6-methyl-8-nitro flavones	141	35-45	3	72	87
6-methyl-8-bromo flavones	133	30-40	2	68	82
6-chloro flavones	172	30-40	2	75	92
6-chloro-8-nitro flavones	180	35-45	3	70	88
6-chloro-8-bromo flavones	174	30-40	3	70	86

In the present investigation some new substituted flavones were successfully synthesized using microwave method. Flavones were synthesized from substituted chalcone in I_2/DMSO media. By conventional method this reaction requires about 2-3 hrs whereas in microwave method they have been synthesized within 5-7 minutes with appropriate power setting and time setting. Thus, microwave synthesis of flavones are found to be undoubtedly more economic, efficient, ecofriendly and convenient than other reported methods.

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