

Go Green with Flavones: Eco-friendly Microwave Assisted Synthesis of some Substituted Flavones

Sayre S.L.^{1*} and Raghuwanshi P.B.²

¹Department of Chemistry, Govt. Polytechnic, Amravati, India

²Department of Chemistry, Brijlal Biyani Science College, Amravati, India
sayshu2@gmail.com

Available online at: www.isca.in, www.isca.me

Received 22nd March 2016, revised 15th May 2016, accepted 4th June 2016

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. Flavones synthesized are analyzed by spectra.

Keywords: Microwave, Chalcones, Flavones, spectral analysis.

Introduction

The flavones, as is well known, form a group of naturally-occurring chemical compounds widely distributed in the plant world. Flavones belongs to flavonoids family. Flavonoids are a group of low molecular weight compounds. Flavonoids are widely distributed among higher plants. They constitute most of yellow colour in flowers and fruits. In Latin flavus means yellow colour. The interaction of dietary flavonoids shows great medicinal value¹, even if act as chemo preventive agents against the development of cancer². The pharmaceutical effects³ including different disorders like viral infection, carcinogenic activity, so flavones acting as anti cancer agent, anti viral⁴ agent also anti oxidant⁵.

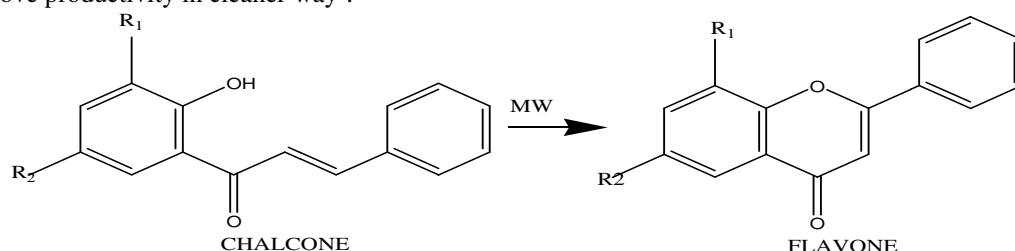
The industries always in need to prepare ecofriendly compounds in cleaner sense and microwave are the great option for it⁶. Recently, there is a surge to employ microwave in organic synthesis. Microwave synthesis offers advantages over conventional heating due to rapid heating and increased rate of reaction. Also, cleaner reactions together with improvement in yield and selectivity are mostly observed. This microwave synthesis really acting as ecofriendly as it reduces reaction time, wastage and improve productivity in cleaner way⁷.

Generally, flavones are synthesized by various methods like Auwer's method⁸, Wittig reaction⁹. The ring substitution on flavones decides its biological activity¹⁰, solute-solvent interactions¹¹, electron donating-accepting nature.

Various substituted chalcones were condensed with I₂/DMSO to afford the desired flavones 85-90% yield under microwave irradiation¹². This reaction was completed within 5 min.

Methodology

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 (1mmole) was suspended in (DMSO, 2ml) and to this solution Iodine (0.02mmole) 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.



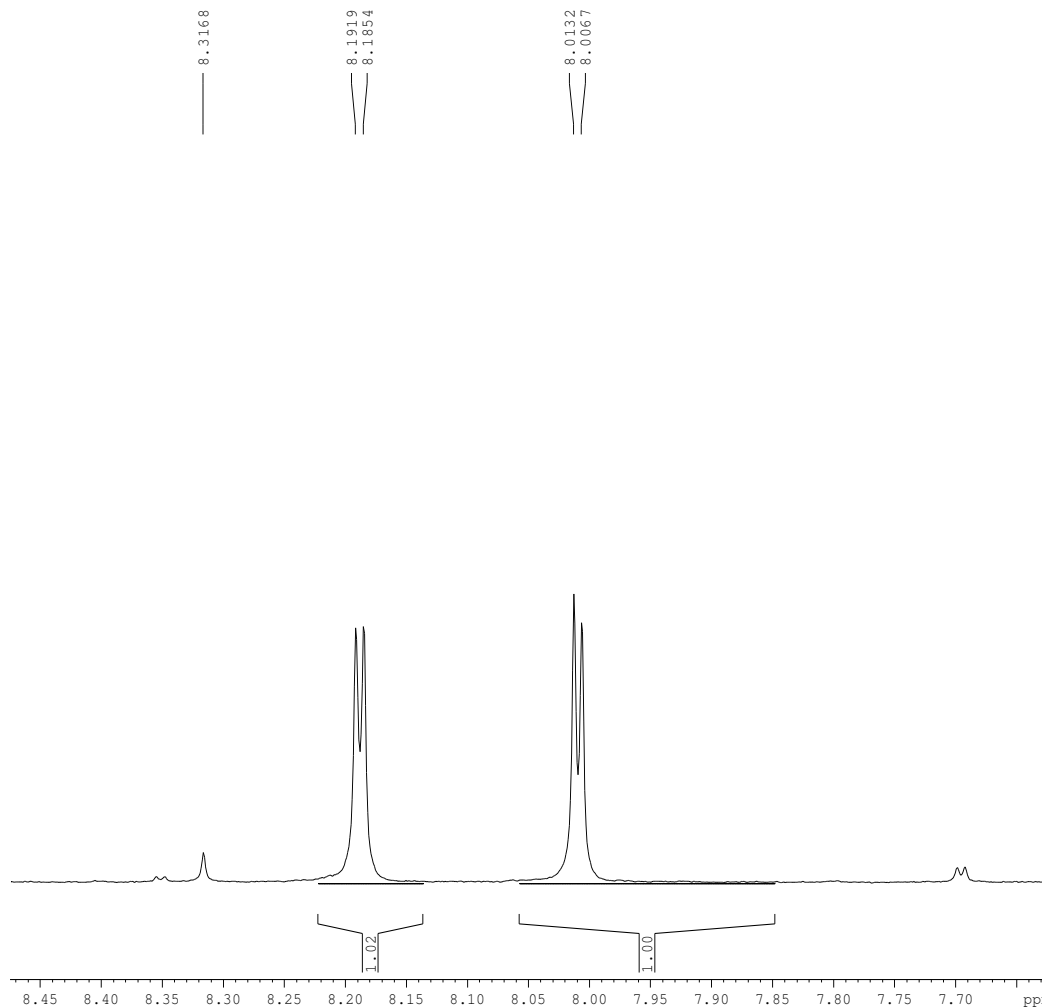
Where: R₁ = -H, -NO₂, -Br, R₂ = -CH₃, -Cl

Scheme-1
Preparation of Flavone

Table-1
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

SLS-1



BRUKER
AVANCE II 400 NMR
Spectrometer
SAIF
Panjab University
Chandigarh

Current Data Parameters
NAME Aug24-2015
EXPNO 70
PROCNO 1

F2 - Acquisition Parameters
Date_ 20150824
Time 16.19
INSTRUM spect
PROBHD 5 mm PABBO BB-
PULPROG zg30
TD 65536
SOLVENT CDCl3
NS 8
DS 2
SWH 12019.230 Hz
FIDRES 0.183399 Hz
AQ 2.7263477 sec
RG 912
DW 41.600 usec
DE 6.00 usec
TE 297.4 K
D1 1.00000000 sec
TD0 1

===== CHANNEL f1 =====
NUC1 1H
P1 10.90 usec
PL1 -3.00 dB
SFO1 400.1324710 MHz

F2 - Processing parameters
SI 32768
SF 400.1300077 MHz
WDW EM
SSB 0
LB 0.30 Hz
GB 0
PC 1.00

manishkumarmanu1986@gmail.com

Figure-1
NMR Spectra-6 chloro flavones

SLS-4

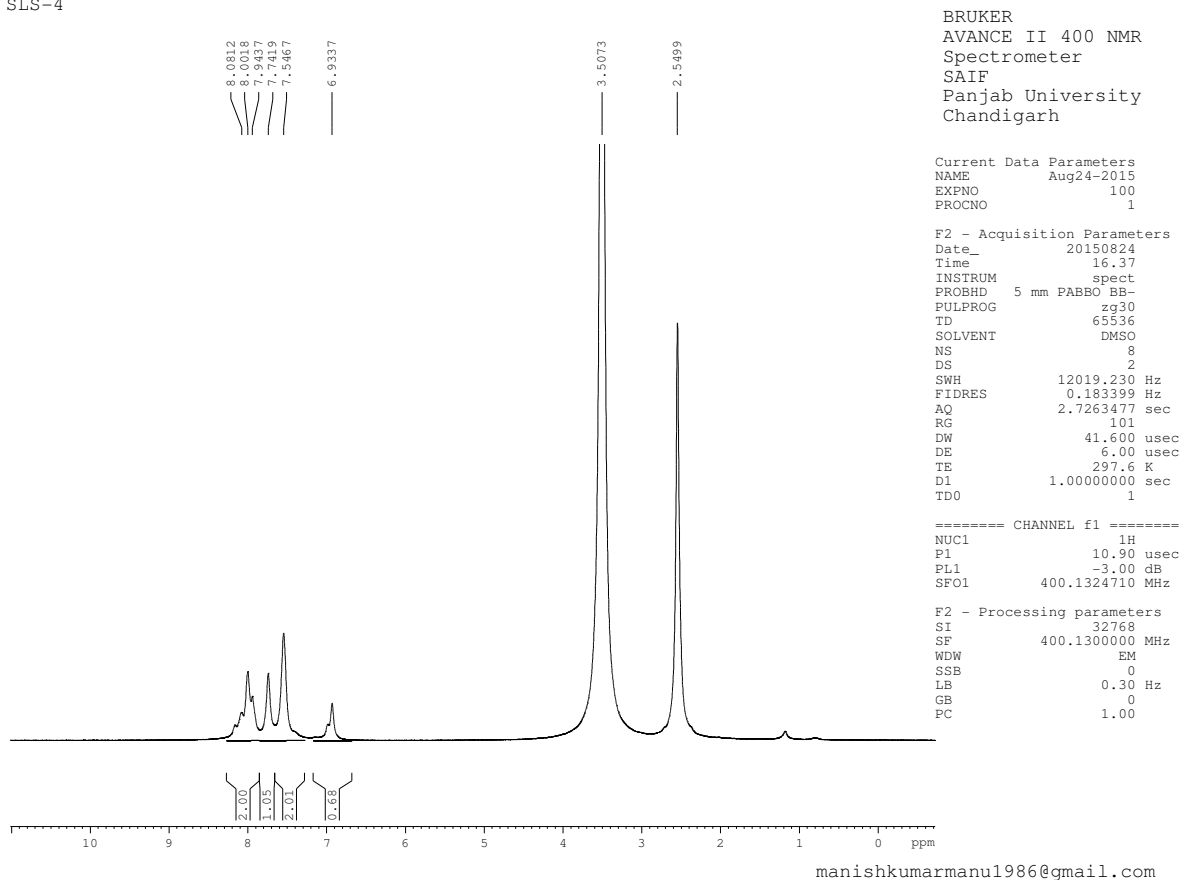


Figure-2
NMR Spectra 6 methyl flavone

Results and Discussion

Spectral data of parent compounds: i. 6-Chloroflavone (SLS4) 6.85 (s,1H), 7.56 (m,4H), 7.66 (dd, 1H, J=2.5,8.8Hz), 7.92 (m,2H), 8.2 (d,1H,J=2.6Hz) ii. 6-Methylflavone (SLS1), 2.46 (s,3H), 6.83 (s,1H), 7.48 (m,5H), 7.93 (m,2H), 8.04 (s,1H).

In the present investigation some new substituted flavones were successfully synthesized using microwave method. Flavones were synthesized from substituted chalcone in I₂/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, eco-friendly and convenient than other reported methods.

Conclusion

With reference to Table-1, Figure-1,2 the following novel compounds of substituted flavones prepared by cleaner way which reduces chemical wastage, time consumption, more yield

productivity. The spectral data shows the substituent on flavones ring which describes its medicinal value also.

Acknowledgement

Authors are grateful principal, Brijlal Biyani Science College, Amravati. Head of the Department of Chemistry, Brijlal Biyani Science College, Amravati for constant inspiration and guidance.

References

1. Naqvi A. and Pandey A. (2015). Assay method for quality control and stability studies of a new anti-diabetic and anti-dyslipidemic flavones (S002-853). *Pharmacognosy m.*, 11 (53-59).
2. M.J. Chan-Bacab and L.M. Petia-Rodriguez (2001). Flavones as an anti Cancer. *Nat. Prod. Prep.* 18, 674.
3. Harborne J.B. (1999). Flavone: Natural product with pharmaceutical value. *Nat. Prod. Rep.*, 16, 509
4. Balsubraniyan Kardele. V. (2015). Flavonoies as antioxidants. *Asian J.Chem.*, 8, 399-406.

5. Amić D., Davidović-Amić D., Beslo D., Rastija V., Lucić B. and Trinajstić N. (2007). SAR and QSAR of the antioxidant activity of flavonoids. *Curr Med Chem.*, 14(7), 827-845.
6. Lokhande D., Sakate S., Taksande N. and Navghare (2005). Flavones: Anti microbial activity. B. *Tetrahedron Lett.*, 46, 1573.
7. Kabalka W. and Mereddy R. (2005). Zaw novel flavonoid compounds. *Tetrahedron Lett.*, 46, 6315.
8. Li J. and Corey E.J. (2005). Name Reactions in Heterocyclic Chemistry. John Wiley and Sons, NewYork, 262.
9. Muthukrishnan M., Patil S., More V. and Joshi A. (2005). Synthetic approach of flavones. *Mendeleev Commun.*, 15, 100.
10. Kalai T., Kulcsar G., Osz E., Jeko J., Sumegi B., Hidega K. (2004). Quinolyl flavones: Medicinal value. *ARKIVOC*, 7, 266.
11. Zhou C., Dubrovsky A.V. and Larock R.C. (2006). Organic letter. *J. Org. Chem.*, 71, 1626.
12. Pinto J. and Silva V.L. (2015). Ecofriendly synthesis of heterocycles. *Molecules*, 20, 11418-11431.