ejpmr, 2016,3(12), 564-572

SJIF Impact Factor 3.628

EUROPEAN JOURNAL OF PHARMACEUTICAL AND MEDICAL RESEARCH

www.ejpmr.com

Review Article

ISSN 2394-3211

EJPMR

A REVIEW ON CHALCONES

Vudumula Kotireddy* and K. Venkata Ramana

A.S.N. Pharmacy College, Burripalem Road, Tenali.

***Corresponding Author: Vudumula Kotireddy**

A.S.N Pharmacy College, Burripalem Road, Tenali.

Article Received on 22/10/2016 **Article Revised on 11/11/2016** **Article Accepted on 01/12/2016**

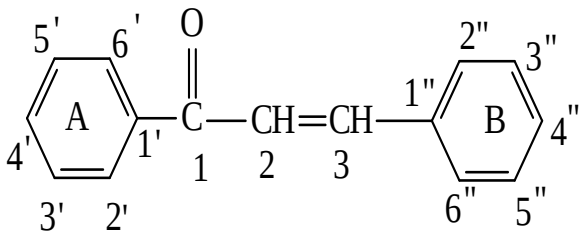
ABSTRACT

The chalcones are important intermediates in the synthesis of pyrazoles, isoxazoles, pyrimidines and other heterocyclic systems. The compounds with chalcone as backbone have been reported to possess varied biological and pharmacological activities, including antimicrobial, anti-inflammatory, analgesic, cytotoxic, antitumor, antimalarial, antitubercular, antiviral, anti-HIV, antiulcerative, antileishmanial, antioxidant, antiprotozoal, antihistaminic, antifedent, immunomodulatory, anticonvulsant, antihyperglycemic, antihyperlipidemic and antiplatelet activities. the review describes a brief account of various modifications reported on chalcones, which resulted in a variety of biological and pharmacological activities.

KEYWORDS: Chalcones, Pyrazoles, Isoxazoles, Pyrimidines.

INTRODUCTION

Chalcones[1], a group of compounds with two aromatic rings connected by a keto-vinyl chain, constitute an important class of naturally occurring flavonoids exhibiting a wide spectrum of biological activities. The presence of a reactive α,β-unsaturated keto functional group is partly responsible for their activity. Chalcones occur widely in nature particularly in colored flowers.

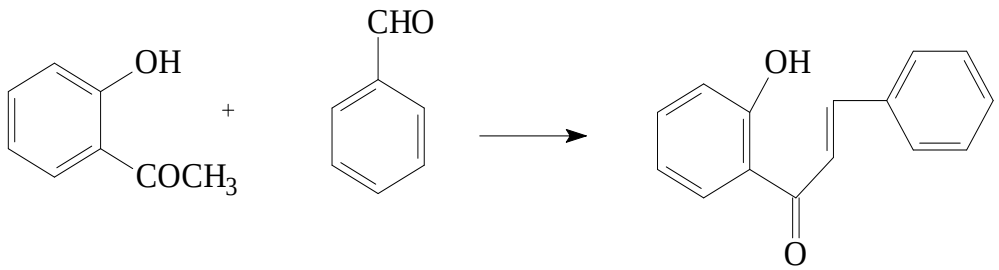


General Structure of Chalcone

All the chalcones give pink coloration with concentrated H_2SO_4 (positive Wilson test)[2] and violet coloration with alcoholic ferric chloride solution when substituted with a phenolic hydroxyl. Chalcones on heating with traces of iodine in dimethyl sulphoxide (DMSO) for 2 h give the corresponding flavones. Chalcones were converted to the corresponding flavonols by their oxidation using hydrogen peroxide in methanolic sodium hydroxide solution and these flavonols showed characteristic greenish yellow fluorescence in ethanolic solution as well as with concentrated sulphuric acid.[3]

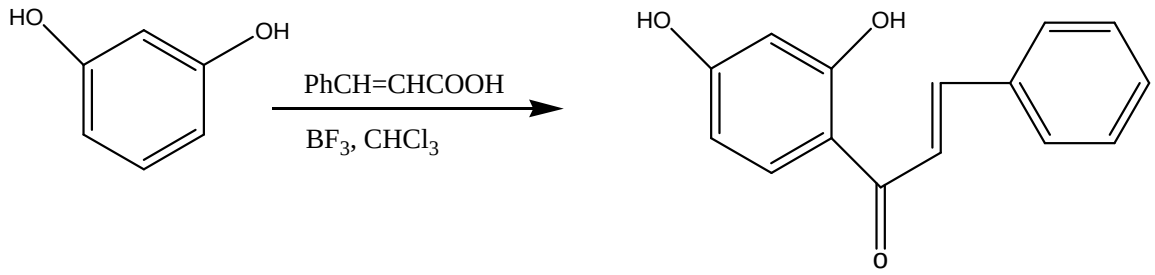
GENERAL METHODS OF SYNTHESIS

The chalcones are important intermediates in the synthesis of pyrazoles, isoxazoles, pyrimidines and other heterocyclic systems. They can be obtained by the acid or base catalyzed aldol condensation of 2-hydroxyacetophenones with benzaldehydes.[4-6] For example 2-hydroxyacetophenone and benzaldehyde react in the presence of 0.1M NaOH to give the chalcone[7] **(Scheme-1).**



Scheme-1

Cinnamic acid condenses with resorcinol in chloroform in the presence of boron trifluoride to yield the chalcone[8] **(Scheme-2)**.

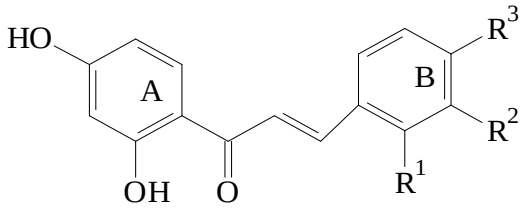
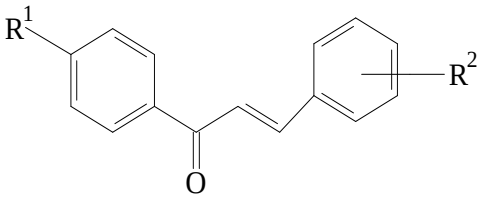
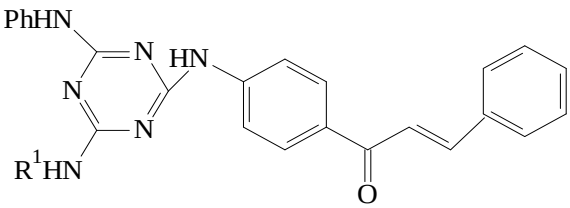


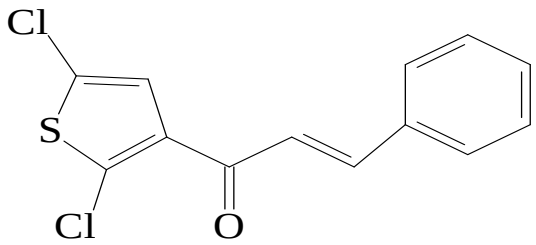
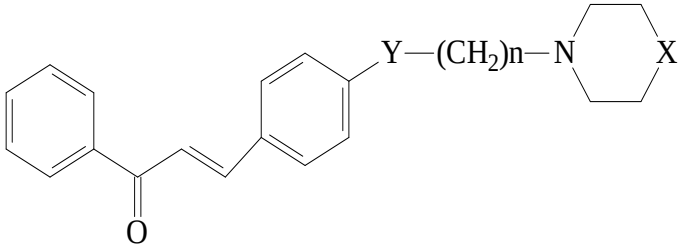
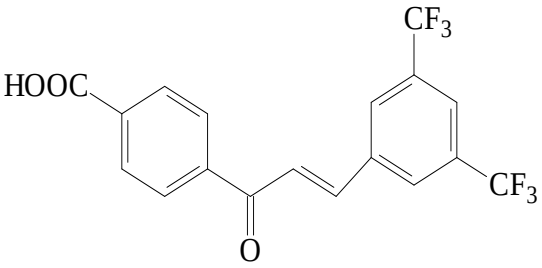
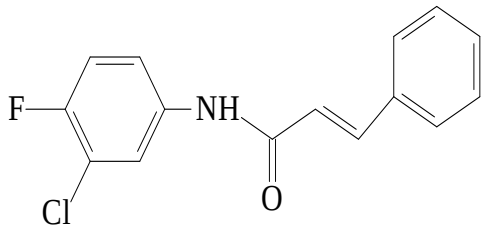
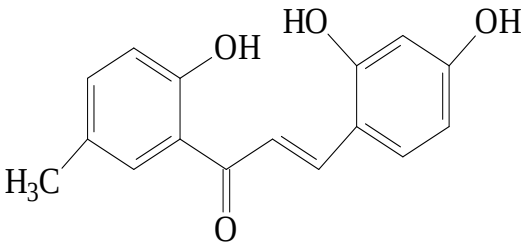
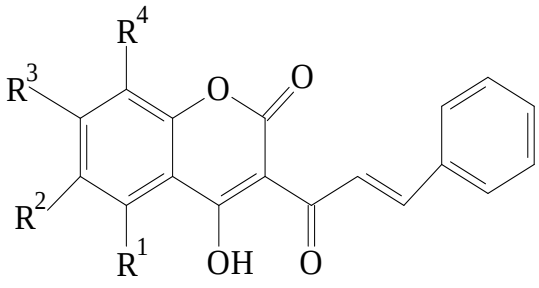
Scheme-2

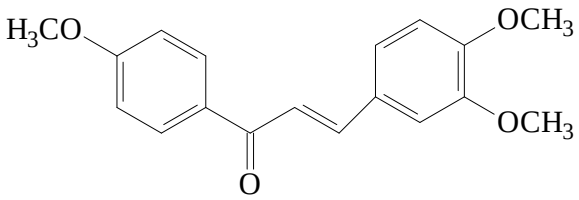
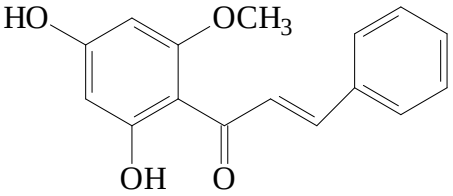
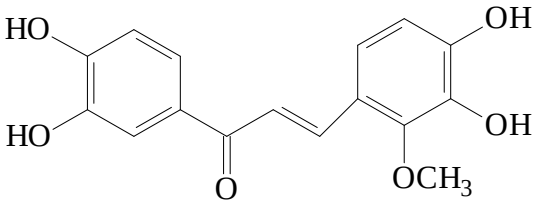
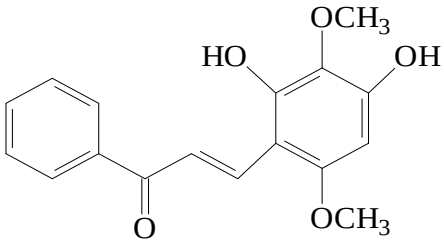
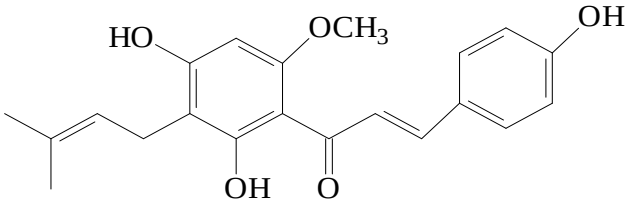
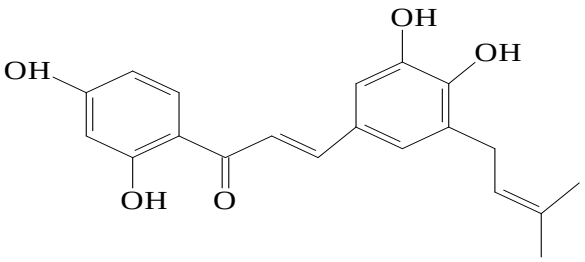
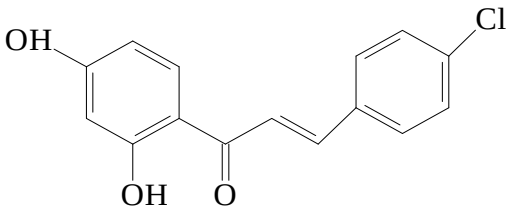
THERAPEUTIC POTENTIAL OF CHALCONES

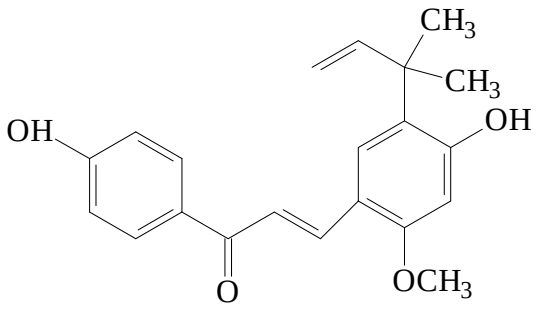
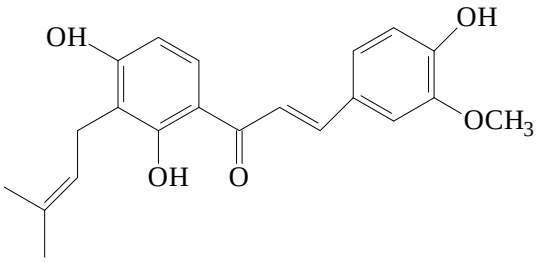
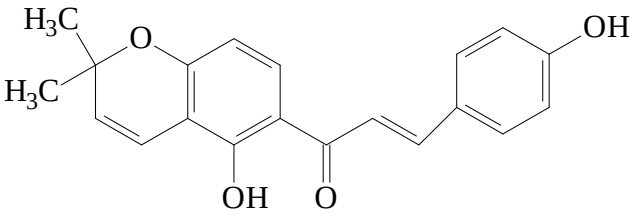
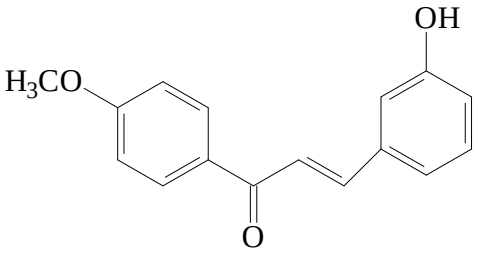
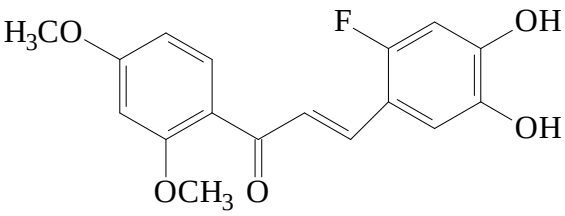
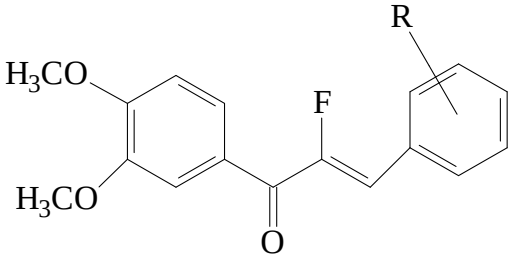
Chalcone is a unique template that is associated with several biological activities and is well known intermediates for synthesizing various heterocyclic compounds. They are secondary metabolites of terrestrial plants, precursors for the biosynthesis of flavonoids. The introduction of a halogen into the benzenoid part of these α,β-unsaturated ketones enhances their biological activity.

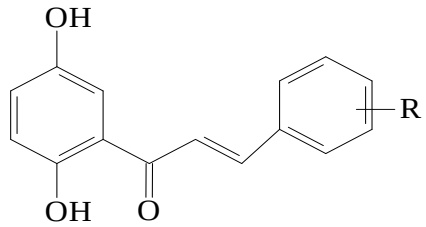
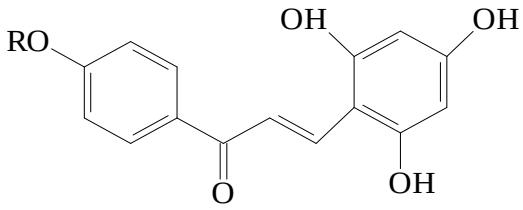
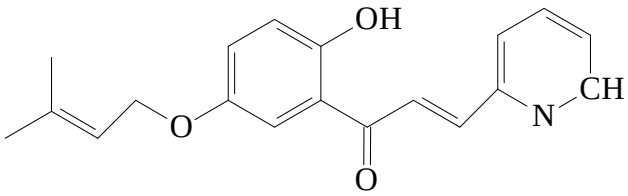
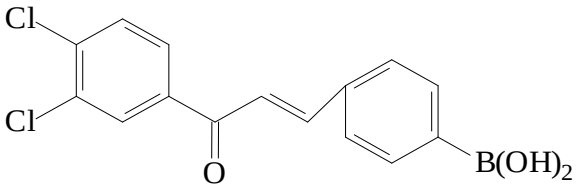
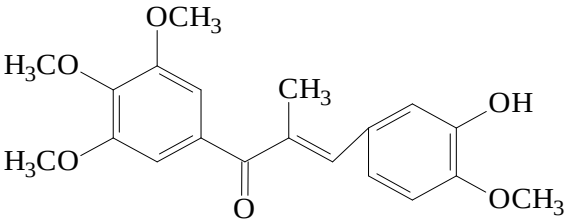
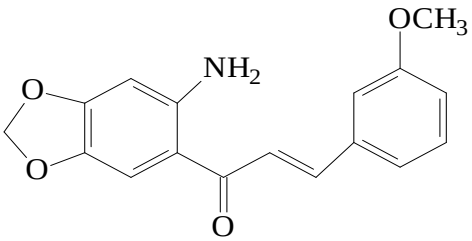
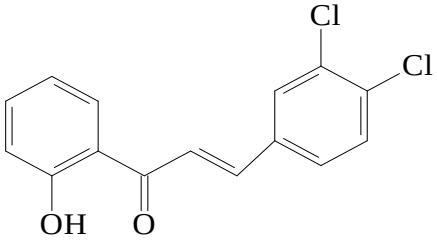
The compounds with chalcone as backbone have been reported to possess varied biological and pharmacological activities, including antimicrobial, anti-inflammatory, analgesic, cytotoxic, antitumor, antimalarial, antitubercular, antiviral, anti-HIV, antiulcerative, antileishmanial, antioxidant, antiprotozoal, antihistaminic, antifedent, immunomodulatory, anticonvulsant, antihyperglycemic, antihyperlipidemic and antiplatelet activities. Thus chalcones continue to attract considerable scientific attention because of their association with a variety of biological activities. Given below is a brief account of various modifications reported on chalcones, which resulted in a variety of biological and pharmacological activities.

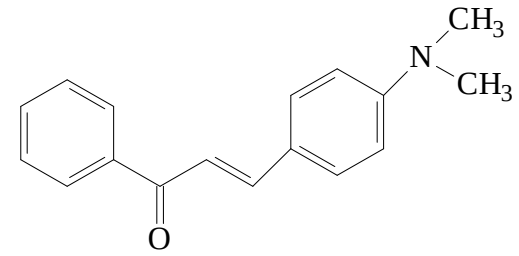
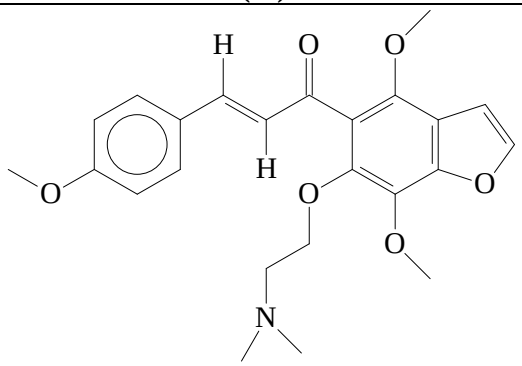
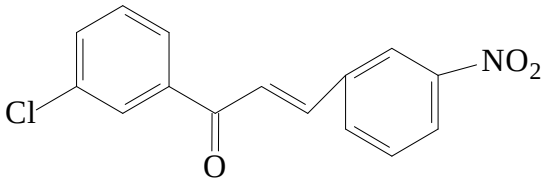
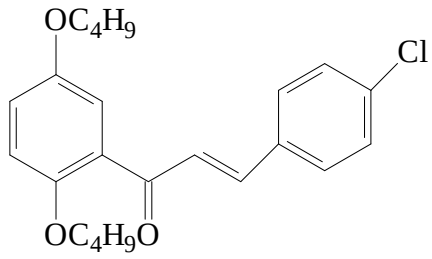
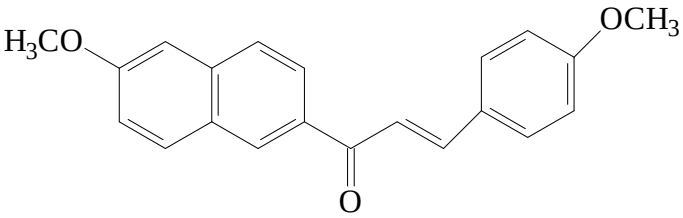
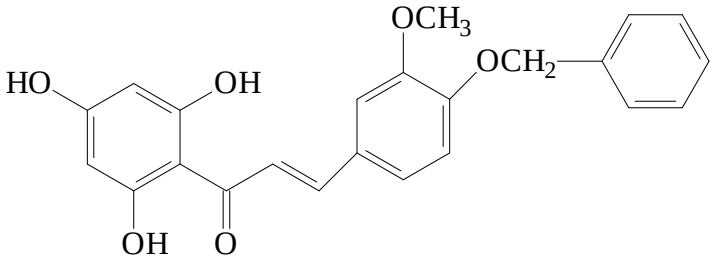
Compound	Pharmacological profile	Reference
 **(1)**	Antibacterial activity	Ref.[20]
 **(2)**	Antibacterial activity	Ref.[21]
 **(3)**	Antibacterial activity	Ref.[22]

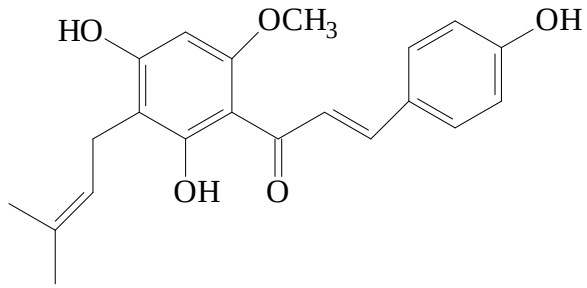
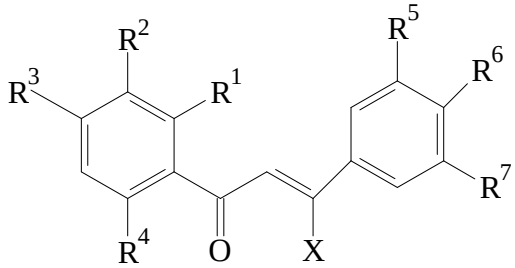
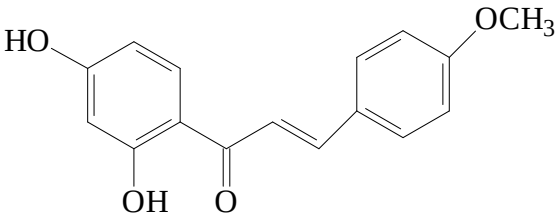
 **(4)**	Antimicrobial activity	Ref.[23]
 Y=S, O n= 4,5,6 X=CH-CH_2, O, NH **(5)**	Antimicrobial activity	Ref.[24]
 **(6)**	Antibacterial activity	Ref.[25]
 **(7)**	Antifungal activity	Ref.[26]
 **(8)**	Antifungal activity	Ref.[27]
 **(9)**	Antiviral activity	Ref.[28]

 **(10)**	Antiviral activity	Ref.[29]
 **(11)**	Anti-HIV activity	Ref.[30]
 **(12)**	Anti-HIV activity	Ref.[31]
 **(13)**	Mollusicidal activity	Ref.[32]
 **(14)**	Antiplasmodial activity	Ref.[33]
 **(15)**	Antimalarial activity	Ref.[34]
 **(16)**	Antimalarial activity	Ref.[35]

 **(17)**	Antimalarial activity	Ref.[36]
 **(18)**	Antimalarial activity	Ref.[37]
 **(19)**	Antileishmanial activity	Ref.[38]
 **(20)**	Antimycobacterial activity	Ref.[39]
 **(21)**	Anticancer activity	Ref.[40]
 **(22)**	Antimitotic activity	Ref.[41]

 **(23)**	Anticancer activity	Ref.[43]
 **(24)**	Anticancer activity	Ref.[42]
 **(25)**	Anticancer activity	Ref.[44]
 **(26)**	Anticancer activity	Ref.[45]
 **(27)**	Cytotoxic activity	Ref.[46]
 **(28)**	Cytotoxic activity	Ref.[47]
 **(29)**	Anticancer activity	Ref.[48]

 **(30)**	Analgesic activity	Ref.[49]
 **(31)**	Anti-inflammatory activity	Ref.[50, 51]
 **(32)**	Anti-inflammatory activity	Ref.[52]
 **(33)**	Anti-inflammatory activity	Ref.[53]
 **(34)**	Leukotriene B4 inhibitory activity	Ref.[54]
 **(35)**	Antiplatelet activity	Ref.[55]

 **(36)**	Antioxidant activity	Ref.[56]
 **(37)**	Antiulcer activity	Ref.[57]
 **(38)**	Aldose reductase inhibitor activity	Ref.[58]

REFERENCES

1. Maayan, S., Ohad, N. and Soliman, K., *Bioorg, Med. Chem*., 2005; 13: 433.
2. C.W. Wilson., *J. Asian chem. Soc*., 1938; 61: 2303.
3. Algar, J. and Flynn, J.P., *Proc. Roy. Irish. Acad*., 1937; 42B: 1.
4. Claisen, L. and Claparede, A., *Ber*., 1881; 14: 2463.
5. Van Kostanekki, S.T. and Szabranki, W., *Ber*., 1904; 37: 2634.
6. Datta, S.C., Murthi, V.V.S. and Seshadri, T.R., *Indian J.Chem.*, 1971; 9: 614.
7. Reichel, L. and Muller, K., *Ber.*, 1941; 74: 1741.
8. Shindo, J. and Sato, S., *J. Pharm. Soc. Japan.*, 1928; 48: 791.
9. Mabry, T.J., Markham, K.R. and Thomas, M.B., in: *The systematic identification of flavonoids, Springer-Verlag,* New York., 1970; 227.
10. Hegert, H.L. and Kurth, E.F., *J. Am. Chem. Soc.*, 1953; 75: 1622.
11. Dhar, D.N. and Gupta, V.N., *Indian J.Chem.*, 1971; 9: 818.
12. Mabry, T.J., Markham, K.R. and Thomas, M.B., in : *The systematic identification of flavonoids, Springer-Verlag,* New York, 1970; P.267.
13. Pelter, A., Ward, R.S. and Ian Greg, T., *J. Chem. Soc., Perkin Trans.*, 1976; 1: 2475.
14. J.B.Sthothers., in: ^{13}C NMR Spectroscopy, Academic Press, New York, 1972.
15. Hu, N., Tu, Y.P., Liu, Y., Jiang, K. and Pan, Y., *J. Org. Chem*., 2008; 73: 3369.
16. Royane, J., Williams, D.H. and Bowie, J.H., *J. Amer. Chem. Soc*., 1966; 88: 4980.
17. Van de Sande, C., Serum, J.W. and Vandervalle, M., *Org.Mass Spectrometry*., 1972; 6: 1333.
18. Grutzmacher, H.-F., *Org. Mass Spectrom*, 1993; 28: 1375.
19. Tai, Y., Pei, S., Wan, J., Cao, X. and Pan, Y., *Rapid commun. Mass spectrom*., 2006; 20: 994.
20. Debattista, N.B., Devia, C.M. and Pappano, N.B., *Rev. Micrebiol*, 1998; 29: 307.
21. Kromann, H., Nielsen, S.F., Boesen, T., Larsen, M. and Schonning, K., *Bioorg, Med. Chem*., 2004; 12: 3047.
22. Solankee, A. and Patel, J., *Ind. J. Chem*., 2004; 43B: 1580.
23. Bhattacharjee. G., Tomar, V. and Kumar, A., *Bioorg. Med. Chem. Lett*., 2007; 17: 5321.
24. Nowakowaska, Z., Kedzia, B. and Schroeder, G., *Eur. J. Med. Chem.*, 2008; 43: 707.
25. Nielsen, S.F., Boensen, T., Larsen, M. and Kromann, H., *Bioorg, Med. Chem*., 2004; 12: 3047.
26. Rao, N.R., Rao, G.S and Mukkanti, P., *The Pharma Review*., 2004; 117.
27. Suchiya. T.H., Sato, M., Akagiri, M., Takagi, N., Tanaka, T. and Liuma, M., *Pharmazie.*, 1994; 10: 756.
28. Shah, A.K., Yogesh, N.T., Sudhir, J.K., Trivedi, J.C., Jitender, B.B. and Upadhyay., *Tetrahedron letters.*, 2007; 48: 8472.

29. Onyilagha, J.C., Malhotra, B., Elder, M. and Towers, G.H.N., *Can.J.Plant Pathol*., 1997; 19: 133.
30. Tewtrakul, S., Subhadhirasakul, S., Puripattanavong, J. and Panphadung, T., *J. Sci. Technol*., 2003; 25: 503.
31. Uchiumi, F., Hatano, T., Ito, H., Yoshida, T. and Tanuma., S.*Antiviral Res*., 2003; 58: 89.
32. Masadufer, A. and Ouma, J.H., *Phytochemistry.*, 1978; 17: 823.
33. Frolich, S., Schubert, C., Bienzle, U.C and Jeneet-siems, K., *Journal of Antimicrobial Chemotherapy.*, 2005; 55: 883.
34. Yenesew, A., Duli, M., Derese, S., Midiwo, J.O and waters, N.C., *Phytochemistry*., 2004; 65: 3029.
35. Go, M.L., Liu, M., Wilairat, P., Rosenthal, P.J. and Kirk, K., *Antimicrob. Agents Chemother*., 2004; 48: 3241.
36. Chen, M., Theander, T.G., Christensen, S.B., Zhai, H.L. and Kharazmi, A., *Antimicrob. Agents Chemother*., 1994; 38: 1470.
37. Narender, T., Tanvir, K., Srinivasa Rao, M., Srivastava, K. and Puri, S.K., *Bioorg. Med. Chem. Lett*., 2005; 15: 2453.
38. Narender, T. and Gupta, S., *Bioorg. Med. Chem. Lett*., 2004; 14: 3913.
39. Siva Kumar, P.M., Sreenivasan, S.P., Kumar, V. and Mukesh, D., *Bioorg. Med. Chem., Lett*., 2007; 17: 1695.
40. Miyataka,H., Nakamura, C., Kawasaki, N., Jayachandran, E., Kim, I.H., .Kirk, K.L., Taguchi, T., Takeuchi, Y., Hori, H. and Satoh, T., *Bioorg. Med. Chem., Lett*., 2002; 10: 699.
41. Lawrence, J.N., Patterson, R.P., Li-ling, O., Cook, D. and Ducki, S., *Bioorg. Med. Chem., Lett*., 2006; 16: 5844.
42. Nam, N.H., You, Y.J., Kim. Y., Hong, D.H., Kim, H.M. and Ahn, B.Z., *Arch. Pharm. Res*., 2002; 25: 590.
43. Bois, F., Boumendjal, A., Mariotte, A.M., Conseil, G and Di petro, A., *Bioorg. Med. Chem.*, 1999; 7: 2691.
44. De Vincenzo., R, Ferlini., C., Distefano, M., Gaggini, C., Riva., A. and Scambia, G., *Cancer Chemother . Pharmacol*., 2000; 46: 305.
45. Kumar, S.K., Hager, E., Pettit, C., Gurulingappa, H., Davidson, N.E. and Khan, S.R., *J.Med. Chem*., 2003; 46: 2813.
46. Ducki, S., Forest, R., Hadfield, J.A., Kendall, A., Lawrence, N.J., Mc Gown, A.T. and Rennison, D., *Biorg Med. Chem. Lett*., 1998; 8: 1051.
47. Xia, Y., Yang, Z.Y., Xia, P., Bastow, K.F. and Lee, K.H., *Biorg Med. Chem. Lett*., 2000; 10: 699.
48. Won, S.j., Liu, C.T., Sao, L.T., Weng, J.R., Ko, H.H., Wang, J.R. and Lin, C.N., *Eur. J. Med. Chem*., 2005; 40: 103.
49. Kalirajan, R., Palanivelu, M., Rajamanickam,V ., Vinothapooshan, G. and Anandarajagopal, K., *Int. J. Chem. Sci*., 2007; 5: 73.
50. Pourias, B. and Friedrich, F., *Eut. J. Pharmacol.*, 1978; 49: 203.
51. Organesyan, E.T. and Yakanenko. V.I., *Khim-Farm. Zh*., 1986; 20: 696.
52. Liming, N., Kimberly, W.J., Weingarten, M. and James, S.A., PCT *Int. Appl*., 2003; 411.
53. Chung, M.I., Weng, J.R., Wang, J.P., Teng, C.M. and Lin, C.N., *Planta Med*., 2002; 68: 25.
54. Deshpande, A.M., Narshinha, P.A., Arvind, A.N. and Joseph, E., *Bioorg. Med. Chem*., 1999; 7: 1237.
55. Li ming, Z., Hai Shan, J., Liang Peng, S., Hu Ri, P. and Zhe Shan, Q., *Bioorg. Med. Chem. Lett*., 2005; 15: 5027.
56. Miranda, C.L., Aponso, G.L.M., Stevens, J.F., Deinzer, M.L. and Buhler, D.R., *J. Agric. Food Chem*., 2000; 48: 3876.
57. Komazawa, Y., Takeda, S., Hosaka, K., Mitsuhashi, H. and Watanabe, T., PCT *Int. Appl*., 1988; 256.
58. Saveri, F., Benvenutim S., Costantino, L., Vampa, G., Melegari, M. and Antolini, L., *Eur. J. Med. Chem*., 1998; 33: 859.
59. Andrews, J.M., *Journal of Antimicrobial Chemotherapy*, 2001; 48: 5.