

SYNTHESIS OF BENZO-FUSED NEOLIGNANS AS POTENTIAL ANTI-INFLAMMATORY AGENTS

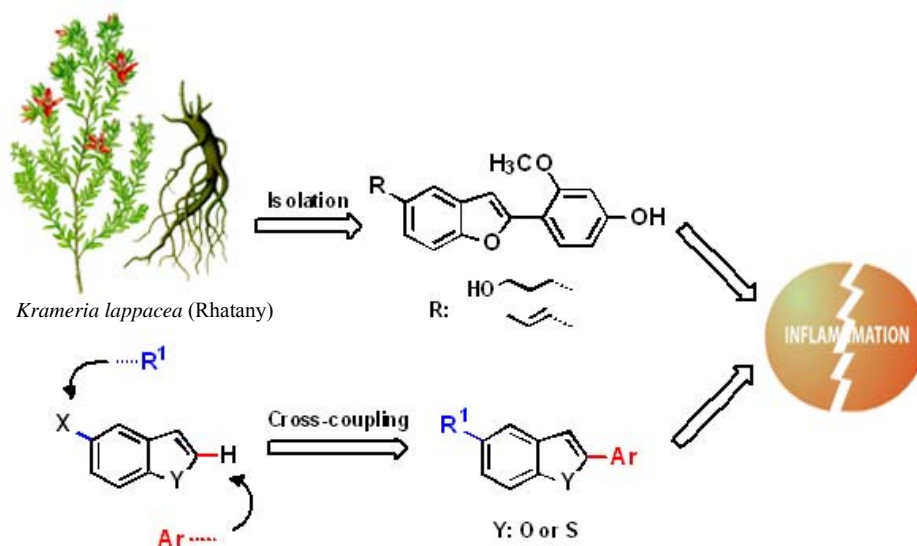
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Krameria lappacea (Rhatany) was used by native people in South America to clean and strengthen teeth. Neolignans isolated from Rhatany root extract are active constituent.^[1] In this study, a synthetic approach to those neolignans has been developed using coupling reactions.^[2] A scope of new benzo-fused neolignans was prepared and tested for biology activities as anti-inflammatory agents. Benzothiophene based neolignans showed good LXR- β activation activity while benzo[*b*]furan derivatives containing either hydroxylpropyl or phenolic group performed impressive NF- κ B inhibition activity with low IC₅₀ value.



[1] (a) Baumgartner, L.; Schwaiger, S.; Stuppner, H. *J Pharm Biomed Anal* **2011**, 56, 546-552. (b) Baumgartner, L.; Sosa, S.; Atanasov, A. G.; Bodensieck, A.; Fakhrudin, N.; Bauer, J.; Del Favero, G.; Ponti, C.; Heiss, E. H.; Schwaiger, S.; Ladurner, A.; Widowitz, U.; Della Loggia, R.; Rollinger, J. M.; Werz, O.; Bauer, R.; Dirsch, V. M.; Tubaro, A.; Stuppner, H. *J. Nat. Prod.* **2011**, 74, 1779-1786.

[2] (a) Dao-Huy, T.; Haider, M.; Glatz, F.; Schnuerch, M.; Mihovilovic, M. D. *Eur. J. Org. Chem.* **2014**, 2014, 8119-8125. (b) Thimmaiah, M.; Fang, S. *Tetrahedron* **2007**, 63, 6879-6886.