

## Universelle metodiek vir die sintese van flavonoïede en benzo[b]furane

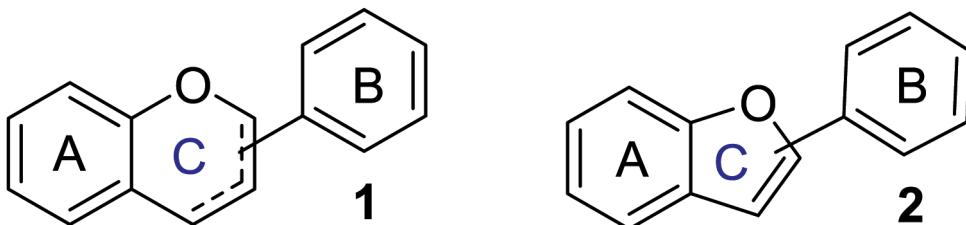
M Visser, T Pieterse, L Twigge, C Marais, BCB Bezuidenhoudt

Department Chemie, Universiteit van die Vrystaat, Suid-Afrika

Korresponderende outeur: BCB Bezuidenhoudt **E-pos:** BezuidBC@ufs.ac.za

**Universal methodology for the synthesis of flavonoids and benzo[b]furans:** The discovery and development of metathesis into a practical synthetic tool not only allowed the construction of several new compounds, but also improved methodology for the preparation of many molecules. As a result, ring-closing metathesis (RCM) was identified as a key step in the preparation of the basic skeletons of flavonoids and the different subclasses of benzo[b]furans.

Sedert die ontdekking van olefinmetatese in die 1950's vervul dit 'n onontbeerlike rol in sintetiese organiese chemie. Hierdie ontdekking het innoverende vooruitgang in chemiese biologie, medisinale chemie en materiaalwetenskappe tot gevolg gehad (Hoveyda & Zhugralin 2007). Flavonoïede en benzo[b]furane, wat slegs in klein hoeveelhede in die natuur voorkom, is bekend vir verskeie biologiese eienskappe (Kumar & Pandey 2013), insluitend anti-malaria- (Dos Santos et al. 2009), antibakteriese, antikanker- en antidepressant-aktiwiteite (Fan et al. 2012). Alhoewel baie studies fokus op die uitwerking van biologies aktiewe verbindings tot moontlike menslike voordeel, word die isolasie van aktiewe verbindings vanuit plante gekenmerk deur die omslagtige skeiding van ekstrakte en lae opbrengste. Die ontdekking en ontwikkeling van metatese tot 'n praktiese sintetiese hulpmiddel het nie net die sintese van verskeie nuwe verbindings moontlik gemaak nie, maar ook tot verbeterde metodologie vir die bereiding van verskeie molekules geleid. Verskeie flavonoïede en benzo[b]furane bevat 'n dubbelbinding in die heterosikliese ring en hierdie verbindings is dus ideale substrate vir metatese-gebaseerde sintese. Ringsluitingsmetatese (RSM) is voorheen in die sintese van ongesubstitueerde chromene (Van Otterlo et al. 2003, 2005) en benzofurane (Tsai et al. 2004) gedemonstreer en is dus in hierdie navorsing as sleutelreaksie in die sintese van die basiese skelette van alle flavonoïed- (**1**) [flavonoïde, isoflavonoïde en neoflavonoïde] en benzo[b]furaansubklasse (**2**) [2-arielbenzo[b]furane, 3-arielbenzo[b]furane en 2,3-diariebenzo[b]furane] geïdentifiseer.



Figuur 1: Die basiese flavonoïed- (**1**) en benzo[b]furaanskelette (**2**)

## Verwysings

- Dos Santos, D.A.P., De C Braga, P.A., Da Silva, M.F., et al., 2009, Anti-African trypanocidal and antimarial activity of natural flavonoids, dibenzoylmethanes and synthetic analogues, *Journal of Pharmacy and Pharmacology* 61(2), 257-266. <https://doi.org/10.1211/jpp.61.02.0017>.
- Fan, Z.Z., Zhao, W.H., Guo, J., et al., 2012, Antidepressant activities of flavonoids from Glycyrrhiza uralensis and its neurogenesis protective effect in rats, *Yao Xue Xue Bao* 47(12), 1612-1617.
- Hoveyda, A.H., Zhugralin, A.R., 2007, The remarkable metal-catalysed olefin metathesis reaction, *Nature* 450(7167), 243-251. <https://doi.org/10.1038/nature06351>.
- Kumar, S., Pandey, A.K., 2013, Chemistry and biological activities of flavonoids: An overview, *The Scientific World Journal* 2013, 162750. <https://doi.org/10.1155/2013/162750>.
- Tsai, T.W., Wang, E.C., Huang, K.S., et al., 2004, Synthesis of benzofurans from isovanillin via C-propenylation-O-vinylation and ring-closing metathesis, *Heterocycles* 63, 1771-1781. <https://doi.org/10.3987/COM-04-10074>.
- Van Otterlo, W.A.L., Ngidi, E.L., De Koning, C.B., 2003, Sequential isomerization and ring-closing metathesis: masked styryl and vinyloxyaryl groups for the synthesis of benzo-fused heterocycles, *Tetrahedron Letters* 44, 6483-6486. [https://doi.org/10.1016/S0040-4039\(03\)01545-4](https://doi.org/10.1016/S0040-4039(03)01545-4).
- Van Otterlo, W.A.L., Morgans, G.L., Madeley, L.G., et al., 2005, An isomerization-ring-closing metathesis strategy for the synthesis of substituted benzofurans, *Tetrahedron* 61, 7746-7755. <https://doi.org/10.1016/j.tet.2005.05.090>.

**Nota:** 'n Seleksie van referaatopsommings: Studentesimposium in die Natuurwetenskappe, 28–29 Oktober 2021, Noordwes-Universiteit. Reelingskomitee: Prof Rudi Pretorius (Departement Geografie, Universiteit van Suid-Afrika); Dr Hertzog Bisset (Suid-Afrikaanse Kernenergie-korporasie); Prof Cornie van Sittert (Navorsingsfokusarea: Chemiese Hulpbronveredeling, Noordwes-Universiteit).