

SEPTEMBER
23-27TH
2024

19TH BMO S

BRAZILIAN MEETING
ON ORGANIC SYNTHESIS
BENTO GONÇALVES, RS - BRAZIL

BAICALEIN DERIVATIVES AS PROMISING ANTICANCER AGENTS

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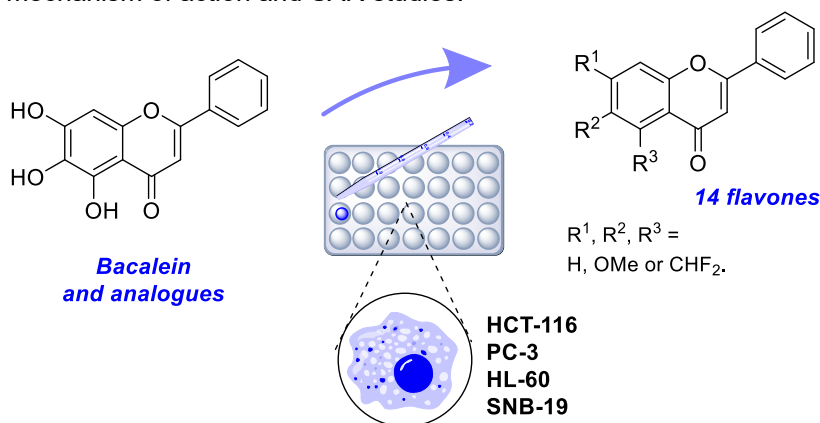
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Keywords: medicinal chemistry, anticancer, baicalein derivatives

ABSTRACT

Baicalein is a natural flavone from *Scutellaria baicalensis* that exhibits antiproliferative activity in the micromolar range against human colon adenocarcinoma cell lines (SW480, HT-29, DLD-1)^{1,2}. Thus, baicalein presents a promising scaffold for the design of new flavones with different substitution patterns for the evaluation of anticancer activity and structure-activity relationship (SAR) studies. The method consisted of modifications of baicalein and its analogues in different methoxylated and difluoromethylated patterns in the flavone A ring^{3,4}. Fourteen baicalein derivatives were synthesized with yields ranging from 40 to 99%. These compounds will be evaluated for potential anticancer activity in HCT-116, PC-3, HL-60 and SNB-19 cell lines and investigation of the mechanism of action and SAR studies.



ACKNOWLEDGEMENTS

CNPq, FAPERJ and CAPES.

REFERENCES

- (1) Mutha, R.E., Tatiya, A.U. & Surana, S.J. Flavonoids as natural phenolic compounds and their role in therapeutics: an overview. *Futur J Pharm Sci* **2021**, 7, 25.
- (2) Wang, S. H.; Chen, C.H.; Lo, C. Y.; Feng, J. Z.; Lin, H. J.; Chang, P. Y. Wu, J. Y. Synthesis and biological evaluation of novel 7-O-lipophilic substituted baicalein derivatives as potential anticancer agents. *MedChemComm* **2015**, 6, 10, 1864–1873.
- (3) Zafrani, Y.; Sod-Moriah, G.; Segall, Y. Diethyl Bromodifluoromethylphosphonate: A Highly Efficient and Environmentally Benign Difluorocarbene Precursor. *Tetrahedron* **2009**, 65 (27), 5278–5283.
- (4) Sipos, Z.; Kónya, K. Synthesis of Benzopyran-Fused Flavone Derivatives via Microwave-Assisted Intramolecular C–H Activation. *Synthesis (Stuttg)* **2018**, 50 (08), 1610–1620.