Latin American Journal of Pharmacy
(formerly *Acta Farmacéutica Bonaerense)*
Lat. Am. J. Pharm. **36** (2): 380-5 (2017)

Regular article
Received: September 3, 2016
Revised version: October 13, 2016
Accepted: October 19, 2016

Semisynthesis of Some Novel Urea, Thiourea, Carbamimidothioic Acid and Dihydrooxazole Derivatives as a New Class of Anticancer Agents

Mostafa M. GHORAB [1,2] *, Mansour S. ALSAID [1],
Saleh I. ALQASOUMI [1] & Maged S. ABDEL-KADER [3,4]

[1] *Department of Pharmacognosy, College of Pharmacy, King Saud University, P.O. Box 2457, Riyadh 11451, Kingdom of Saudi Arabia*
[2] *Department of Drug Radiation Research, National Center for Radiation Research and Technology, Atomic Energy, Authority, P.O. Box 29, Nasr City, Cairo, Egypt*
[3] *Department of Pharmacognosy, College of Pharmacy, Salman Bin Abdul Aziz University, P.O. Box 173, Al-Kharj 11942, Kingdom of Saudi Arabia*
[4] *Department of Pharmacognosy, College of Pharmacy, Alexandria University, Alexandria 21215, Egypt*

SUMMARY. The natural alkaloid 2-amino-l-phenylpropan-1-ol (**1**) was utilized in the synthesis of some novel urea (**3-5**), thiourea (**8, 9**), carbamimidothioic acids (**12, 13**) and 4, 5-dihydrooxazoles (**14, 15**). The structures of the semisynthesized compounds were characterized on the basis of analytical and spectral data. The synthesized compounds were evaluated *in vitro* for anticancer activity against the human breast (MCF-7), human liver (HEPG2) and human colon (HCT116) cancer cell lines. Compounds **3**, **5** and **8** were the most active against all the cell lines compared with doxorubicin as reference drug. Also, compound **14** exhibited higher activity against human liver (HEPG2) and human colon (HCT116) cancer cell lines when compared with doxorubicin as positive control.

RESUMEN. El alcaloide natural 2-amino-l-fenilpropan-1-ol (**1**) se utilizó en la síntesis de algunos nuevos derivados de urea (**3-5**), tiourea (**8, 9**) ácidos carbamimidotioicos (**12, 13**) y 4,5-dihydrooxazoles (**14, 15**). Las estructuras de los compuestos semisintetizados se caracterizaron sobre la base de datos analíticos y espectrales. La actividad de los compuestos sintetizados se evaluó *in vitro* contra líneas celulares de cáncer de mama (MCF-7), hígado (HepG2) y colon humanas (HCT116). Los compuestos **3**, **5** y **8** fueron los más activos contra todas las líneas celulares en comparación con la doxorrubicina, medicamento de referencia. Además, el compuesto **14** exhibió una mayor actividad contra hígado humano (HepG2) y líneas celulares de cáncer de colon humano (HCT116) cuando se compara con doxorrubicina como control positivo.

KEY WORDS: anticancer activity, carbamimidothioic acid, dihydrooxazole, l-norephedrine, thiourea, urea.

* Author to whom correspondence should be addressed. *E-mail:* mmsghorab@yahoo.com

ISSN 0326 2383 (printed ed.)
ISSN 2362-3853 (on line ed.)