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Synthesis of (Benzimidazol-2-yl)aniline derivatives as glycogen phosphorylase inhibitors

Shadia A. Galal, Muhammad Khattab, Fotini Andreadaki, Evangelia D. Chrysina, Jean-Pierre Praly, Fatma A.F. Ragab, Hoda I. El Diwani

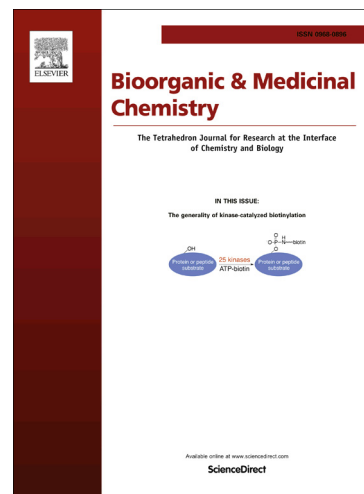
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SYNTHESIS OF (BENZIMIDAZOL-2-YL)ANILINE DERIVATIVES AS GLYCOGEN PHOSPHORYLASE INHIBITORS

Shadia A. Galal,^a Muhammad Khattab,^a Fotini Andreadaki,^b Evangelia D. Chrysina,^b Jean-Pierre Praly,^c Fatma A.F. Ragab,^d Hoda I. El Diwani,^a

^a *Department of Chemistry of Natural and Microbial Products, Division of Pharmaceutical and Drug Industries, National Research Centre, Dokki, 12622, Cairo, Egypt.*

^b *Institute of Biology, Medicinal Chemistry & Biotechnology, National Hellenic Research Foundation, 48 Vassileos Constantinou Avenue, Athens, GR-11635, Greece.*

^c *Institut de Chimie et Biochimie Moléculaires et Supramoléculaires, Laboratoire de Chimie Organique 2 – Glycochimie, UMR 5246, CNRS, Université Claude Bernard Lyon 1, 43 Boulevard du 11 Novembre 1918, F-69622 Villeurbanne, France.*

^d *Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Cairo University, Cairo, Egypt.*

Abstract

A series of (benzimidazol-2-yl)-aniline (**1**) derivatives has been synthesized and evaluated as glycogen phosphorylase (GP) inhibitors. Kinetics studies revealed that compounds displaying a lateral heterocyclic residue with several heteroatoms (series 3 and 5) exhibited modest inhibitory properties with IC₅₀ values in the 400-600 μM range. Arylsulfonyl derivatives **7** (Ar: phenyl) and **9** (Ar: *o*-nitrophenyl) of **1** exhibited the highest activity (series 2) among the studied compounds (IC₅₀ 324 μM and 357 μM, respectively) with stronger effect than the *p*-tolyl analogue **8**.

Keywords: Benzimidazole ; Heterocycles ; glycogen phosphorylase ; enzyme inhibition

Corresponding authors:

E-mail: sh12galal@hotmail.com, sh12galal@yahoo.com

E-mail: echrysina@eie.gr, echry@tee.gr

E-mail: jean-pierre.praly@univ-lyon1.fr

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