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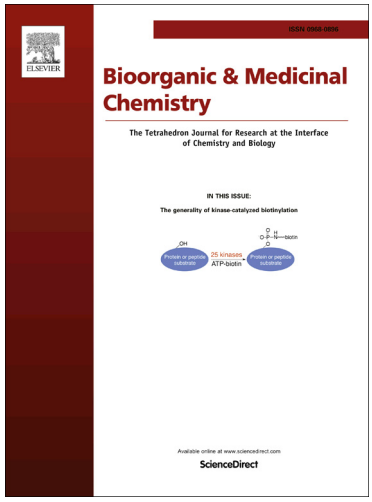

Synthesis of (Benzimidazol-2-yl)aniline derivatives as glycogen phosphorylase inhibitors

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

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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 IC_{50} 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 (IC_{50} 324 µM and 357 µM, respectively) with stronger effect than the *p*-tolyl analogue **8.**

Keywords: Benzimidazole ; Heterocycles ; glycogen phosphorylase ; enzyme inhibition

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