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Design and synthesis of fluorescent symmetric *bis*-triazolylated-1,4-dihydropyridines as potent antibreast cancer agents

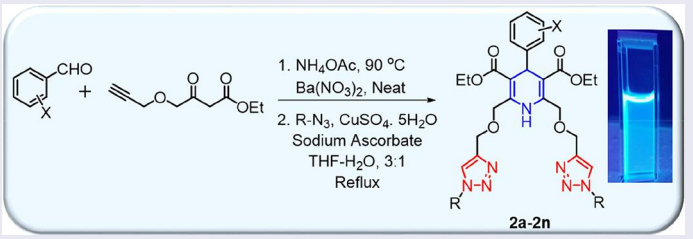
Rakesh Kumar[a], Parveen Gahlyan[a], Abhishek Verma[a], Ruchi Jain[a], Sharmistha Das[b], Rituraj Konwar[b], and Ashok K. Prasad[a]

[a]Bioorganic Laboratory, Department of Chemistry, University of Delhi, Delhi, India; [b]Division of Endocrinology, CSIR-Central Drug Research Institute, Lucknow, India

ABSTRACT

Herein, we report the synthesis of fluorescent 1,4-dihydropyridine-linked *bis*-triazoles (**2a**–**2n**) through Hantzsch synthesis by the condensation of *o/m*-chloro-substituted benzaldehyde, ethyl 3-oxo-4 (prop-2-yn-1-yloxy)butanoate, and ammonium acetate in the presence of $Ba(NO_3)_2$ as a catalyst followed by the click reaction of resultant Hantzsch product (**1**) with various aromatic as well as aliphatic azides. All the synthesized compounds were well characterized by ^{1}H-NMR, ^{13}C-NMR, FTIR, and HRMS spectral techniques. Antibreast cancer evaluation of all the synthesized derivatives revealed that the compounds **2f** ($IC_{50} = 7 \pm 0.02$ μM) and **2g** ($IC_{50} = 5 \pm 0.03$ μM) showed better anticancer activity (lower IC_{50}) than the standard drug tamoxifen ($IC_{50} = 11.2 \pm 0.01$ μM) against breast carcinoma (MDA-MB-231) cell line. The synthesized compounds were also screened against normal human embryonic kidney (HEK-293) cell line and found to be nontoxic. The fluorescent nature and cytotoxicity assay of these newly synthesized hybrids recommend their utility in tumor cell imaging.

GRAPHICAL ABSTRACT



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1,4-Dihydropyridine; anticancer agents; bis-triazoles; fluorescent

Introduction

The exploration of novel N-containing heterocycles having potential biological and pharmacological properties has attracted immense interest in the present era. Among them,

CONTACT Rakesh Kumar rakeshkp@email.com Bioorganic Laboratory, Department of Chemistry, University of Delhi, Delhi 110 007, India.
Color versions of one or more of the figures in the article can be found online at www.tandfonline.com/lsyc.
Supplemental data (^{1}H NMR and ^{13}C NMR spectra and full experimental details for compounds **1a**–**1b** and **2a**–**2n** and general procedure for biological evaluation and fluorescence measurements) can be accessed on the publisher's website.