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Copper fluorapatite assisted synthesis of new 1,2,3-triazoles bearing a benzothiazolyl moiety and their antibacterial and anticancer activities †

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Abstract

A series of new 2-(4-((1-phenyl-1*H*-1,2,3-triazol-4-yl)methoxy)phenyl)benzo[*d*]thiazoles and 2-(4-((4-(benzo[*d*]thiazol-2-yl)phenoxy)methyl)-1*H*-1,2,3-triazol-1-yl)-*N*-phenylacetamides (**5a–t**) have been synthesized *via* a copper fluorapatite (CuFAP) catalysed click reaction. The compounds (**5a–t**) were synthesized using freshly prepared 2-aryl-4-hydroxybenzothiazole (**1**) as a starting material. 2-Aryl-4-hydroxybenzothiazole (**1**) was condensed with propargyl bromide (**2**) in *N*,*N*-dimethylformamide in the presence of potassium carbonate to obtain a key intermediate, benzothiazolyl phenoxymethylalkyne (**3**). This alkyne (**3**) was then separately subjected to subsequent click chemistry with freshly prepared aryl/benzyl azides and substituted 2-azido-*N*-phenylacetamides (**4a–t**) in the presence of copper fluorapatite (CuFAP) and triethyl amine and good to excellent yields of the title compounds (**5a–t**) were obtained. All the newly synthesized compounds were characterized by IR, ^{1}H NMR, ^{13}C NMR and HRMS analyses. All the synthesized compounds were found to be effective against human breast carcinoma (MCF-7) cells. Among them, compounds **5e**, **5h**, **5j**, **5o** and **5p** were found to be strong inhibitors for the growth of MCF-7 cells with IC_{50} values of 10.14, 9.84, 10.06, 10.13 and 9.19 μg mL^{-1}, respectively. In addition, compounds **5a**, **5c**, **5d**, **5e**, **5f**, **5k**, **5n**, **5o** and **5q** have shown activity against a multidrug resistant pathogenic strain of *E. coli* with MIC values of 7.99, 8.44, 8.11, 8.06, 8.54, 9.40, 8.02, 9.25 and 10.62 μg mL^{-1}, respectively.

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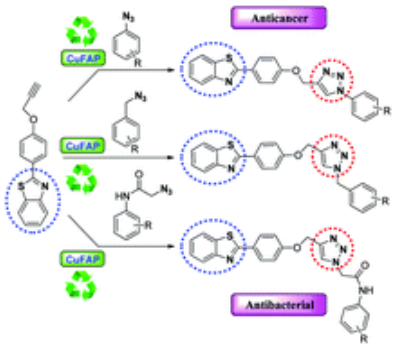

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