

# Tropical Journal of Natural Product Research

Available online at <https://www.tjpn.org>

## Original Research Article

### Click Chemistry Based Synthesis of Novel 1,2,3-Triazole Derivatives and Cytotoxic Activity on Breast and Prostate Cancer Cell Lines

Hamsa H. Al-hujaj<sup>1</sup>, Faiza A. Almarshi<sup>2\*</sup>, Angel T. Kadum<sup>2</sup>, Mohammad K. Mohammed<sup>1</sup>, Kawthar Al-Hussein<sup>1</sup>, Ahmed M. Jassim<sup>1</sup>

<sup>1</sup>Department of Chemistry, College of Education for Pure Sciences, University of Basra, Basra, Iraq  
<sup>2</sup>The General Company for the Manufacture of Antibiotics, Al-Umariyah, Basra, Iraq

#### ARTICLE INFO

Article History:

Received: 27 Mar 2023

Received: 14 June 2023

Accepted: 10 July 2023

Published online: 01 August 2023

#### ABSTRACT

Breast and prostate cancers are a major cause of death each year. Most available anticancer drugs are not very effective and can cause side effects. Identifying a safe and effective alternative drug with fewer side effects for long-term anticancer therapy is therefore necessary. The present study was aimed at synthesizing 1,2,3-triazole derivatives and evaluating their activity against human breast cancer (MCF-7) and prostate cancer (PC-3) cell lines. Novel series of three 1,2,3-triazole derivatives ( $T_1$ ,  $T_2$ , and  $T_3$  compounds) were synthesized. The compounds were produced by the Cu(I)-catalyzed Huisgen 1,3-dipolar cycloaddition process. They were subsequently subjected to IR,  $^1\text{H}$  NMR, and ESI-MS spectroscopic analyses. An *in vitro* cytotoxicity assay was conducted on each newly synthesized compound against MCF-7 and PC-3 cells. The results showed that most of the  $T_1$ ,  $T_2$ , and  $T_3$  compounds exhibited significant cytotoxic action. The principal characteristics of  $T_1$  and  $T_3$  are the compounds with the most promising cytotoxic activity. Furthermore, when compared to the standard doxorubicin, the IC<sub>50</sub> values for the compounds  $T_1$ ,  $T_2$ , and  $T_3$  against the PC-3 cell line were 273.917, 106.523, and 31.1368  $\mu\text{M}$ , respectively, while they were 91.54, 132.651, and 116.132 against breast cancer cells when compared to the standard drug doxorubicin. The findings of this study demonstrated that the novel synthesized compounds could be used as potential anticancer drugs.

**Keywords:** 1,2,3-Triazole, Anticancer, Human cancer, Click chemistry, Prostate cancer.

#### Introduction

Breast and prostate cancer are prevalent malignancies that claim many lives each year. In recent years, many anticancer drugs have been developed. However, most of the anticancer drugs developed are not very effective, and side effects may occur at the same time as therapeutic importance. Therefore, it is necessary to discover a safe and effective alternative drug with fewer side effects for long-term anticancer therapy.<sup>1</sup> The basic building block of many medicinal drugs is 1,2,3-triazole (Figure 1), and these analogs have attracted interest in medicinal and pharmaceutical chemistry. Researchers are interested in lead compounds made of 1,2,3-triazoles with bioactivities because they have a variety of biological properties, including being antimicrobial, antiseptic, antidiabetic, antineoplastic, antiviral, anticonvulsant, and anti-inflammatory agents,<sup>2</sup> and are HIV.<sup>3</sup>

3-Bromine as heterocyclic compounds with excellent yield, and this reaction is valuable because arynes and alkynes are simple to assemble into a stable structure. The one-pot copper (I)- and carbonyl-alkyne catalyzed cycloaddition (CuAAC) (Scheme 1) is demonstrated by its use in various fields of material and life science, such as drug discovery,<sup>4</sup> DNA labeling,<sup>5</sup> and oligonucleotide synthesis.<sup>6</sup>

The click reaction of arynes derivatives and alkynes can easily form 1,2,3-triazoles. Recently, various synthetic methods have been reported for the synthesis of triazole scaffolds, demonstrating advancements in click chemistry. The click reaction is crucial for numerous processes, including the synthesis of 1,2,3-triazole motifs, click cycloaddition, and polymer grafting, according to reviews.

The aim of the present study was to synthesize 1,2,3-triazole derivatives and evaluate their cytotoxic activity against the MCF-7 breast cancer cell line and PC-3 prostate cancer cells.



Figure 1: 1,2,3-triazole containing drugs.

\*Corresponding author: Email: [tjpn@uob.edu.iq](mailto:tjpn@uob.edu.iq); Tel: 009647717563117

Citation: Al-hujaj HH, Almarshi FA, Kadum AT, Mohammed MK, Hussein KA, Jassim AM. Click Chemistry-Based Synthesis of Novel 1,2,3-Triazole Derivatives and Cytotoxic Activity on Human Breast and Prostate Cancer Cell Lines. *Trop J Nat Prod Res.* 2023; 7(7):3306-3313. <http://www.tjpn.org/10.2425/tjpn.v7i7.3313>

Official Journal of Natural Product Research Group, Faculty of Chemistry, University of Basra, Basra City, Iraq.