International Journal of ChemTech Research
CODEN (USA): IJCRGG, ISSN: 0974-4290, ISSN(Online):2455-9555
Vol.13 No.03, pp 132-139, 2020

# Design, Synthesis and In Vitro Biological Evaluation Of *N*-(2-Aminophenyl)-3-Quinolin-4-yl -Prop-2-enamide Derivatives As Novel Colon Anticancer Agents

**Sunita S. Gagare[1,2]*, Vishnu P. Choudhari[2,3], Ashish Jain[4]**

[1]Pharmaceutical Chemistry Department, Shri D. D. Vispute College of Pharmacy and Research Center, New Panvel, MH, India

[2]Quality Assurance Department, Maharashtra Institute of Pharmacy, MIT Campus, Kothrud, Pune -411 038, MH, India

[3]School of Pharmacy, Dr. VDK, MITWorld Peace University, MIT Campus, Kothrud, Pune -411 038, MH, India

[4]Shri D. D. Vispute College of Pharmacy and Research Center, New Panvel, MH, India

**Abstract:** Acetylation and deacetylation of histone proteins is regulated through two enzymes; acetylation is mediated through histone acetyl transferase (HAT) whereas deacetylation is mediated through histone deacetylase (HDAC). Histone deacetylase removes acetyl group of lysine residue on histone proteinthis makes negatively charged histone able to bind with DNA containing positive centers. In this way DNA remain in compact form with histone proteins. Therefore the transcription or replication enzymes and cofactors not able to bind such compact DNA structure. Histone deacetylase inhibition results into inhibition of various transcription activities which may get accelerated during cancer development. The aim of proposed study is to develop effective isoform selective Histone deacetylase inhibitor. Synthesis of *N*-(2-Aminophenyl)-3-Quinolin-4-yl-Prop-2-Enamide derivatives from isatin and its derivatives was achieved. Synthesis was carried out in two steps with good yield. 21 Compounds were synthesized and found to be effective with $IC_{50}$s in between 3.694 - 38.4µmol in human HCT-116, COLO 205 and COLO 320 DM colon cancer cells in vitro. For the cytotoxicity activity study MTT assay method was adopted. Docking studies were performed initially with HDAC8 enzyme using V-life MDS software for synthesized compounds and had selected best fit molecules for synthesis. The synthesized molecules are effective as colon anticancer agents.

**Keywords :** HDAC, Colon Cancer, MTT assay, Docking.

**Sunita S. Gagare et al /International Journal of ChemTech Research, 2020,13(3): 132-139.**

**DOI= http://dx.doi.org/10.20902/IJCTR.2019.130310**

*****