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Novel Therapeutic Inhibitors Having Sugar Moiety Targeting HER2/EGFR as Anticancer Agent

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Abstract

Several effective small-molecule inhibitors of HER2 or EGFR have been developed to treat metastatic cancer, and some of those drugs are dual HER2/EGFR inhibitors.1 To investigate the mechanism of the dual inhibition of the receptor tyrosine kinases HER2 and EGFR1, we carried out virtual screening for Benz imidazole derivatives having sugar moiety. Based upon virtual screening and HER2/EGR1 assays data simulation, we confirmed and chosen the Benz imidazole skeleton which NO2 functional groups as a key skeleton for the dual inhibition towards HER2/ EGFR1 (inhibition 8.81 μM, Figure 1) to design novel tyrosine kinases inhibitors.2,3,4 After selection of the core skeleton, we designed our novel inhibitors, targeting both HER2/ EGFR1 and having a Benz imidazole skeleton including a sugar moiety as aiming to balance their hydrophilic and hydrophobic properties and to increase cell penetration including the pharmacokinetics properties.5,6 We are investigating synthesis and biological evaluation of these agents of Benz imidazole with sugar moiety.

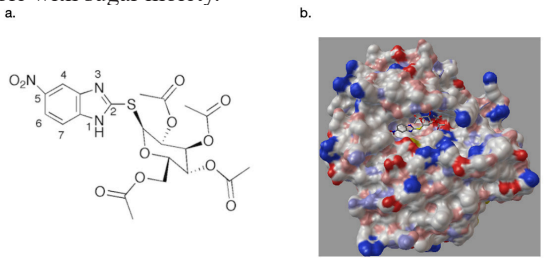

Figure 1: a. Benzimidazole sugar derivatives, b. Pictorial binding of Benz imidazole sugar derivatives at the binding site HER2/ EGFR1. The bromo glucose precursor of 2,3,4,6-tetra-O-acetvl-α-D-glucopyranosyl bromide 1H-NMR

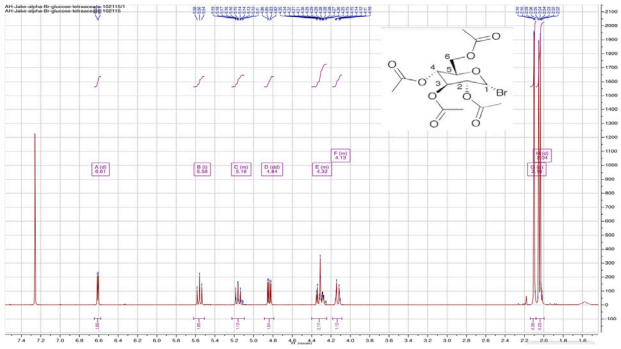

Figure 2: 1H-NMR spectroscopy 2,3,4,6-tetra-O-acetyl-α-D-glucopyranosyl bromide

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Significance of the work: Synthesis of pure bromo glucose precursor is still challenging for a lot of researchers, as to introduce the sugar moiety to study compound's biological properties as important roles (Part-1). Moreover, Benz imidazole nucleus is one of the bioactive heterocyclic compounds that exhibit various types of pharmacokinetics and pharmacodynamics properties. The conjugate of Benz imidazole derivatives and Sugar moiety at proper position of Benz imidazole is exploring greatly biological activities of this Benz imidazole skeleton including a sugar moiety (Part-2)

Biography

Dr. Abu Gafar Hossion's multidisciplinary research has been focused in bioorganic and medicinal Chemistry to interface between Chemistry and Biology: developing small molecule-based tools to manipulate biological processes as well as small molecule-based novel therapies (chemo- and immune-therapies) for human diseases. Dr. Hossion expertise are in divergent organic synthesis and their analysis, computer aided molecular design, cell culture and plate development, in vitro tyrosine kinase and enzymes assays and biological evaluation of small molecules in medicinal Chemistry for cancer and infectious diseases. He has pursued doctoral and postdoctoral study in multidisciplinary research with the common theme of using small molecules synthesis and in vitro evaluation of biological activity and in interdisciplinary teaching. In 2013, he was awarded the National Institute of Health IRACDA (Institutional Research Academic Career Development Award) fellowship at the University of Kansas, USA to develop undergraduate chemistry education and to assist the students from groups underrepresented. He had mentored and trained undergraduate/graduate research students at the University of Bridgeport, University of Kansas, Fort Hays State University and Cloud County Community College, USA. He discovered a promising cancer treatment of photo-unclick chemistry of visible light controlled release of anticancer drugs via singlet oxygen during his postdoctoral study, US2015/0165026A1. Dr. Hossion published numerous publications in high impact factor journals including Antiinfect Drug Discov. 2013, 8, 198; J. Med. Chem. 2011. 54, 3686; Bioorg. Med. Chem. Lett. 2010, 20, 5349 and PCT Int. Pat. Appl. WO 2011013735 (US2012/0202980A1); ACS Med. Chem. Lett. 2013, 4, 124; J. Med. Chem 2013, 56, 3936 and PCT Int. Pat. Appl. WO 2013163321A1 (US2015/0165026A1); Synthesis 2009, 16, 2689. Dr. Hossion currently serves as Chair in the Chemistry Department at University of Bridgeport, CT, USA and mentoring a number of research projects as Assistant professor of Chemistry to the undergraduate and graduate research students, which includes, i. Organic Synthesis and in vitro Cell Viability: Synthesis of various biological active small molecules to investigate MTT cell viability assay towards cancer and/or mammalian cells, ii. Small Molecules-Virtual Screening: Screening small molecules by using AutoDock 4.0 to observe binding energy and inhibition towards tyrosine kinases, HER2/EGFR receptors., iii. HPLC/UV-Fluorescence Spectroscopy and Analysis: Analysis of divergent natural extract are currently used for human diseases, observing the presence of possible chemical specification.

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