Licensing and Technology Transfer Opportunity: Manipal University

Title of Technology Available:
Method of preparing an analogue of Quercetin that specifically inhibits HDAC-8 enzyme.

Brief Description of Invention:
The present invention relates, to the method of preparing an analogue of Quercetin that specifically inhibits HDAC-8 enzymes and re-establishes the acetylation state of histone proteins. It plays a major role in cancer prevention and other genetic diseases etc.

Brief Background of Invention:
The blueprint of eukaryotic cells i.e. DNA is wrapped around proteins known as histones to form chromatin. The histone proteins play a critical role in the regulation of gene expression and cellular differentiation. There are five main classes of histones: H1, H2A, H2B, H3 and H4. Histone deacetylases (HDACs) are an important family of proteins responsible for specific post-translational modifications of histone proteins. Importantly, HDACs have been linked to cancer, as well as other health conditions. Till date, eleven major HDAC isoforms have been described and are categorized into four classes. Class I, II, III and IV. The currently available HDAC inhibitors in the market include Vorinostat and Romidepsin which are indicated for T-cell lymphoma and Farydak for multiple myeloma. These drugs are pan inhibitors showing very little specificity towards a particular subtype of HDACs leading to a number of side effects. Cancer which is the second most cause of death has various available modalities for its treatment including, chemotherapy, radiation therapy, surgery. These conventional treatment modalities have various drawbacks which provide prospects for new drug development. In the last decade lot of emphasis has been generated towards epigenetic for treatment and prevention of cancer. Numerous drugs are being developed which target various epigenetic enzymes which affects the two most widely studied phenomenon DNA methylation and Histone Modifications. The chemical entity, 2-(3, 4-Dihydroxyphenyl)-3, 5, 7-trihydroxy-4H-1-benzopyran-4-one also known as Quercetin is a naturally occuring flavonoid which has been widely studied for cancer prevention. Quercetin exhibits anticancer effect and a number of clinical trials has been performed evaluating its anticancer activity. Moreover, the ability of Quercetin to alter the epigenetic pathways has also been studied. However, a derivative of quercetin that can act as a selective HDAC inhibitor is not available in present state of art.

Describe the final product:
The present invention describes the method of preparing an analogue of Quercetin that specifically inhibits HDAC-8 enzyme. The method consists of two steps. In the first step synthesis of chalcone derivative by reacting 2-hydroxy acetopheone and 4-methylbenzaldedhyde takes place and in the second step cyclization of chalcone derivative using hydrogen peroxide takes place and finally the desired needle shaped crystal of Quercetin analogue is obtained.

Technological Domain (Keywords):
Life science

Proof of Concept:
We have carried out the experiments and the results of those are within our records.

Stage of Development: Ideation/Prototype/Advanced Prototype/Market Ready product:
Prototype

Provide Information on Competitors who manufacture and/or sell similar products:
Pharmaceutical Companies.

What are the unique advantages your innovation has compared to the competition:
The innovation reports a simple and convenient method of preparing an analogue of Quercetin that has the ability to specifically inhibit HDAC-8 enzyme.

Have you approached any company/industry to manufacture/license/and sell your invention?:
If yes, Provide details of the company/organization and the contact person
No

Any other information that might be useful:
Nil

Intellectual Property Status:
Indian Patent Application filed : Application No: 201741001295