PURDUE INNOVATES | Office of Technology Commercialization

5/5-5/6-BISARYL COMPOUNDS AS TRANSFORMING GROWTH FACTOR-Î² ACTIVATED KINASE, TAK1, INHIBITORS

Highly selective and potent, orally bioavailable bisaryl compounds have been developed as TAK1 inhibitors for the treatment of cancer and inflammatory diseases.

Protein phosphorylation plays a crucial role in cellular signaling pathways. A disruption of tightly regulated phosphorylation can often result in numerous diseases, including inflammatory disorders, cancer, and autoimmune diseases. As a result, targeting disease-associated kinases with specific inhibitors has emerged as an appealing strategy for drug development. While there are over 500 protein kinases in the cell, only a handful (less than 10%) have been successfully drugged in the clinic Transforming growth factor-Î²-activated kinase 1 (TAK1), a serine/threonine kinase, plays pathological roles in cancer and inflammatory diseases, but a clinical candidate specifically developed against this kinase is lacking.

Researchers at Purdue University have developed 5/5-5/6-bisaryl compounds that are inhibitors of transforming growth factor-Î² activated kinase (TAK1) which can be used to treat cancer and inflammatory disease. Moreover, the compound can be administered orally, intravenously, intramuscularly, dermally, rectally, nasally, otically, or ocularly.

Technology Validation:

At sub-micromolar concentrations, such as 200 nM, the compounds were able to inhibit the proliferation of MPC-11. Compounds were able to inhibit the proliferation of another MM line, RPMI8226, in a mouse model. The chemical compound is currently being tested in different cancer models. In sum, in-vivo efficacy and oral bioavailability have been demonstrated.

Advantages:

-Orally bioavailable

Technology ID

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Category

Digital Health & Medtech/Analytical & Diagnostic Instrumentation
Pharmaceuticals/Small Molecule Therapeutics
Pharmaceuticals/Drug Delivery & Formulations

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-These are highly selective and potent TAK1 inhibitors

Applications:

-Cancer

-Arthritis

TRL: 4

Intellectual Property:

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