PURDUE INNOVATES | Office of Technology Commercialization

2,3-Disubstituted pyrido[3,4-b]pyrazine-containing Compounds as Kinase Inhibitors

A low-cost, versatile paper-based microfluidic device with uniform colorimetric sensors enables rapid, accurate, and portable detection of multiplexed targets in applications like food safety and infectious disease testing, using mobile phone compatibility for fast readout.

Purdue University researchers have synthesized kinase inhibitors that display potent anti-proliferative effects when dosed into lung, pancreatic, and colon cancer cells. Overactive kinases are a primary driver of cancer cell proliferation. Accordingly, many chemotherapeutic regimens contain kinase inhibitors; however, current kinase targeting compounds are not effective in treating aggressive forms of lung, pancreatic, and colon cancers. Purdue University researchers have optimized previously identified kinase inhibitors to achieve a higher potency against many lung, pancreatic, and colon cancer cell lines. These compounds were tested against the NCI-60 cancer cell panel and have low sub micromolar GI50 values. For example, the GI50 against some colon cancers are as low as 5 nM. These compounds also have IC50 values of 25 nM against proliferation of the MiaPaCa-2 pancreatic cancer cell line. The potency of the compounds toward multiple aggressive cancer cell lines makes them promising cancer therapeutic candidates for future development.

Technology Validation: The compounds were tested against the NCI-60 cancer cell line panel and exhibit nanomolar GI50 values in some cell lines.

Advantages

-Inhibits Growth of Multiple Cancer Cell Lines

-Increased Potency versus Previously Identified Molecules

Applications

-Cancer Therapies

-Cancer Relapse

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Category
Pharmaceuticals/Other
Pharmaceuticals/Research Tools & Assays

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-Kinase Inhibitors

Related publications:

Targeting RET Solvent-Front Mutants with Alkynyl Nicotinamide-Based Inhibitors

https://doi.org/10.1158/1535-7163.MCT-22-0629

TRL: 3

Intellectual Property:

Provisional-Patent, 2020-06-24, United States | PCT-Patent, 2021-06-24, WO | NATL-Patent, 2021-06-24, Europe | NATL-Patent, 2021-06-24, Canada | NATL-Patent, 2022-12-08, United States

Keywords: kinase inhibitors, anti-proliferative, lung cancer, pancreatic cancer, colon cancer, cancer cell proliferation, chemotherapeutic regimens, NCI-60 cancer cell panel, GI50, IC50, cancer therapeutic candidates