PURDUE INNOVATES | Office of Technology Commercialization

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

Potent kinase inhibitors effectively target aggressive lung, pancreatic, and colon cancers, providing a high-potency therapeutic option to inhibit tumor growth and prevent relapse.

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

-Kinase Inhibitors

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Pharmaceuticals/Research Tools & Assays

Authors
Neetu Dayal
Delmis Hernandez
Elizabeth Larocque
Herman O Sintim

Further information
Joe Kasper
JRKasper@prf.org

Nathan Smith
nesmith@prf.org

View online

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

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