

Sensitizing Cancer Cells to DNA Targeted Therapies

Summary (1024-character limit)

Researchers at the National Cancer Institute have discovered small molecule inhibitors of Chk2 for the treatment of cancer.

NIH Reference Number

E-211-2005

Product Type

- Therapeutics

Keywords

- Chk2 Inhibitors, Anti-cancer Therapeutic, Sensitizing Agent for current DNA-damaging cancer therapeutics

Collaboration Opportunity

This invention is available for licensing and co-development.

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Description of Technology

Chk2 is a protein kinase activated in response to DNA double strand breaks. In normal tissues, Chk2 phosphorylates and thereby activates substrates that induce programmed cell death, or apoptosis, via interactions with p53, E2F1, PML proteins. In cancer tissues, where apoptosis is suppressed, Chk2 phosphorylates and inactivates cell cycle checkpoints (via interactions with Cdc25, phosphatases and Brca1 proteins), which allows cancer cells to repair and tolerate DNA damage. Hence, Chk2 inhibitors would be expected to protect normal tissues by reducing apoptosis, and to sensitize cancer cells to DNA-targeted agents. Researchers at the National Cancer Institute have discovered small molecule inhibitors of Chk2 for the treatment of cancer; they seek licensing and/or co-development research collaborations to further develop, evaluate, or commercialize this technology.

Potential Commercial Applications

- Combining Chk2 inhibitors with DNA targeted chemotherapeutic agents for the treatment of cancers

NCI Technology Transfer Center

<https://techtransfer.cancer.gov/pdf/e-211-2005.pdf>

- Single agents therapy for cancers with endogenously activated ("addicted to") Chk2

Competitive Advantages

- Selective enhancement of the antiproliferative and proapoptotic activities of DNA targeted chemotherapeutic agents in tumors with inactivated p53, while protection of normal tissues by blocking p53-mediated apoptosis ("side effects") induced by the DNA targeted agents

Inventor(s)

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Development Stage

- Discovery (Lead Identification)

Publications

Lountos et al. *J Struct Biol.* 2011 Aug;176:292-301

Jobson et al. *J Pharmacol Exp Ther.* 2009 Dec;331(3):816-26

Patent Status

- **U.S. Patent Issued:** U.S. Patent Number
- **U.S. Patent Filed:** U.S. Patent Application Number
- **Foreign Issued:** - Patent Number

Related Technologies

- [E-054-2008 - Sensitizing Cancer Cells to DNA Targeted Therapies](#)

Therapeutic Area

- Cancer/Neoplasm