Novel Choline Kinase Inhibitors for Cancer Imaging and Therapy

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Technology description

Novel compounds allowing for optical detection and targeting of choline kinases for cancer treatment.

Problem

Choline Kinase (ChoK) deregulation is associated with oncogenesis and tumor progression in a number of human cancers including glioblastoma, breast and lung cancers. In breast cancer elevated ChoK activity is correlated with histological tumor grade, resistance to antiestrogen therapies, and poor prognosis. Overexpression of ChoK alone is sufficient to induce malignant transformation.

Solution

The Delikatny lab at UPenn has developed and synthetized novel cancer theranostics – therapeutics that can also serve as diagnostics – molecules based on ChoK Inhibitors. These small fluorescent molecules used at low doses can be effective as agents to image the status of tumor choline metabolism, at higher doses they can serve as inhibitors of tumor growth.

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Novel Choline Kinase Inhibitors for Cancer Imaging and Therapy

Novel compounds allowing for optical detection and targeting of choline kinases for cancer treatment.

Inventor
Edward Delikatny

STAGE OF DEVELOPMENT

- 12 compounds synthesized and prototype compounds tested *in vitro*
- In vivo studies performed on lead compound
- Medicinal chemistry studies are underway
- A number of in assays evaluating activity of ChoK based on ^{14}C phosphorylation, magnetic resonance spectroscopy, nearinfrared optical imaging have been developed

INTELLECTUAL PROPERTY

Pending PCT application
WO2014165216A1

REFERENCE MEDIA

Arlauckas, S. P., et.al. 2014. Mol. Cancer Ther. 13(9). 2149-58.

Kumar M., et.al. 2015. Mol. Cancer Ther. 14(4). 899-908.

DESIRED PARTNERSHIPS

- License
- Co-development

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Problem

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Applications

- diagnostic: fluorescent detection of ChoK in animal models of cancer and human patients
- therapeutic: inhibition of ChoK in ChoK overexpressing tumors
- measurement of response to therapy in ChoK overexpressing tumors
- tumor imaging agent that could be used for intraoperative imaging during cancer surgeries

Advantages

- Lower toxicity and higher selectivity compared to existing ChoK inhibitors
- Fluorescence in the NIR range is suitable for detection of ChoK *in vivo*
- Fluorescence in the NIR range has lower light scattering interference from hemoglobin, fat and water
- Quantitative detection of ChoK reflecting tumor aggressiveness

Application area

diagnostic: fluorescent detection of ChoK in animal models of cancer and human patients

therapeutic: inhibition of ChoK in ChoK overexpressing tumors
measurement of response to therapy in ChoK overexpressing tumors
tumor imaging agent that could be used for intraoperative imaging during cancer surgeries

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Quantitative detection of ChoK reflecting tumor aggressiveness

Institution

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