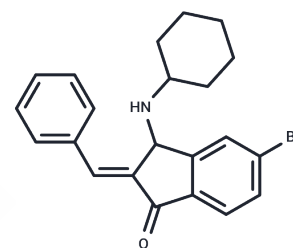


BCI-215

Chemical Properties

CAS No. : 1245792-67-9
 Formula: C₂₂H₂₂BrNO
 Molecular Weight: 396.32
 Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
 Actual storage temperature shall be subject to the COA.



Biological Description

Description	BCI-215 causes selective cancer cell cytotoxicity in part through non-redox-mediated activation of MAPK signaling
Targets(IC50)	Phosphatase
In vitro	In MDA-MB-231 human breast cancer cells, BCI-215 inhibited cell motility, caused apoptosis but not primary necrosis, and sensitized cells to lymphokine-activated killer cell activity. Mechanistically, BCI-215 induced rapid and sustained phosphorylation of extracellular signal-regulated kinase (ERK), p38, and c-Jun N-terminal kinase (JNK) in the absence of reactive oxygen species, and its toxicity was partially rescued by inhibition of p38 but not JNK or ERK. BCI-215 also hyperactivated MKK4/SEK1, suggesting activation of stress responses[1].
Cell Research	Peripheral blood mononuclear cells were obtained from healthy volunteers. Cells were cultured in RPMI 1640 supplemented with 10% fetal calf serum, 1% glutamine, and 1% penicillin/streptomycin, and stimulated with 6,000 IU of Interleukin 2 for 24 hours. After incubation, cells were washed with PBS and counted. In parallel, MDA-MB-231 cells were pretreated in a 384-well plate with vehicle or BCI-215 (3 μM). After 24 hours in culture, medium was replaced and peripheral blood mononuclear cells (PBMCs) added in 2-fold serial dilutions starting with a 50-fold excess of PBMCs in triplicate. After 24 hours of coculture, cells were fixed with formaldehyde/Hoechst 33342, washed twice with PBS, and imaged on the ArrayScan II. Cancer cells were identified by their larger nuclei compared with PBMCs, setting a size gate in the Hoechst channel. In experiments with chemotherapeutics, cells carrying a biosensor consisting of a mitochondrial targeting sequence derived from cytochrome c oxidase VIII linked to GFP that is a surrogate for cytochrome c release from mitochondria were pretreated for 24 hours with cisplatin (2 μM) or doxorubicin (400 nM), exposed to LAK, and cancer cells were identified and quantified by green fluorescence. Cell densities were normalized to those in the absence of PBMCs. Mean cell densities from multiple independent experiments were averaged and plotted in GraphPad Prism version 7.00[1].

Solubility Information

A DRUG SCREENING EXPERT

Solubility	DMSO: 3.97 mg/mL (10.02 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5232 mL	12.6161 mL	25.2321 mL
5 mM	0.5046 mL	2.5232 mL	5.0464 mL
10 mM	0.2523 mL	1.2616 mL	2.5232 mL
50 mM	0.0505 mL	0.2523 mL	0.5046 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Kaltenmeier C T , Vollmer L L , Verneti L A , et al. A Tumor Cell-Selective Inhibitor of Mitogen-Activated Protein Kinase Phosphatases Sensitizes Breast Cancer Cells to Lymphokine-Activated Killer Cell Activity[J]. Journal of Pharmacology and Experimental Therapeutics, 2017, 361(1):39-50.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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