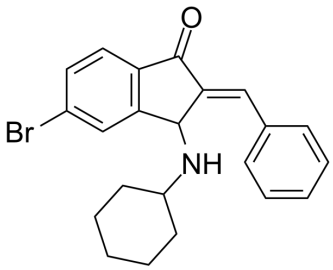
BCI-215

Cat. No.:	HY-121087
CAS No.:	1245792-67-9
Molecular Formula:	$C_{22}H_{22}BrNO$
Molecular Weight:	396.32
Target:	Phosphatase
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (84.10 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.83 mg/mL (2.09 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.83 mg/mL (2.09 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BCI-215 is a potent and tumor cell-selective dual specificity MAPK phosphatase (DUSP-MKP) inhibitor. BCI-215 has cytotoxicity for tumor cells but not normal cells[1][2].

IC_{50} & Target

DUSP-MKP[1]

In Vitro

BCI-215 concentration-dependently increases pERK levels in DUSP-overexpressing cells with IC_{50} value in the micromolar range[1].

BCI-215 (1-20 μM; 6 hours) retains fibroblast growth factor hyperactivating and cellular DUSP6/MKP-3 and DUSP1/MKP-1 inhibitory activity but is nontoxic to zebrafish embryos and an endothelial cell line[1].

BCI-215 inhibits survival and motility of MDA-MB-231 human breast cancer cells but does not affect viability of cultured

hepatocytes[2].
BCI-215 is completely devoid of hepatocyte toxicity up to 100 μM[2].
BCI-215 does not generate ROS in hepatocytes or in developing Zebrafish larvae.BCI-215 (22 μM) has antimigratory and proapoptotic activities in breast cancer cells that correlate with induction of ERK phosphorylation[2].
BCI-215 (20 μM; 1 hour) induces mitogenic and stress signaling in cancer cells without generating ROS[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis [2]

Cell Line:	MDA-MB-231 cells
Concentration:	22 μM
Incubation Time:	
Result:	Caused apoptotic cell death at concentrations that induce ERK phosphorylation.

Western Blot Analysis[2]

Cell Line:	MDA-MB-231 cells
Concentration:	20 μM
Incubation Time:	1 hour
Result:	Induced a stress response that is not dependent on oxidation.

CUSTOMER VALIDATION

- Molecules. 2022 Aug 25;27(17):5449.

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REFERENCES

[1]. Korotchenko VN, et al. In vivo structure-activity relationship studies support allosteric targeting of a dual specificity phosphatase. Chembiochem. 2014 Jul 7;15(10):1436-45.

[2]. Kaltenmeier CT, et al. A Tumor Cell-Selective Inhibitor of Mitogen-Activated Protein Kinase Phosphatases Sensitizes Breast Cancer Cells to Lymphokine-Activated Killer Cell Activity. J Pharmacol Exp Ther. 2017 Apr;361(1):39-50.

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