BTdCPU

Cat. No.: HY-118266

CAS No.: 1257423-87-2

Molecular Formula: $C_{13}H_8Cl_2N_4OS$

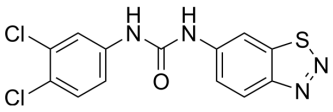
Molecular Weight: 339.2

Target: Phosphatase; Apoptosis

Pathway: Metabolic Enzyme/Protease; Apoptosis

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 : 125 mg/mL (368.51 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9481 mL	14.7406 mL	29.4811 mL
5 mM	0.5896 mL	2.9481 mL	5.8962 mL
10 mM	0.2948 mL	1.4741 mL	2.9481 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: ≥ 2.17 mg/mL (6.40 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.13 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BTdCPU is a potent heme regulated inhibitor kinase (HRI) activator that promotes eIF2α phosphorylation and induces apoptosis in Dexamethasone (HY-14648) (Dex)-resistant cancer cells. BTdCPU can be used in the study of cancers such as multiple myeloma and Dex-resistant multiple myeloma[1][2].

IC_{50} & Target

HRI[1][2].

In Vitro

BTdCPU (10 μM; 4, 8 h) BTdCPU induces phosphorylation of eIF2α and promotes cell death in MM cells[1].
BTdCPU (10 μM; 4, 8 h) shows cytotoxic for dex-resistant primary MM cells with relative sparing of normal cells perhaps[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	MM1.S and MM1.R cells
Concentration:	10 μM
Incubation Time:	0, 4, 8 h
Result:	Induced phosphorylation of eIF2α and upregulated mRNA and protein levels of the pro-apoptotic protein CHOP.

Western Blot Analysis[1]

Cell Line:	Bone marrow mononuclear cells (MNC) from multiple myeloma (MM) patient or healthy donor
Concentration:	10 μM
Incubation Time:	0, 4, 8 h
Result:	Induced early expression of CHOP in MM patient cells, but not in healthy bone marrow mononuclear cells (MNC).

In Vivo

BTdCPU (175 mg/kg; i.p.; single daily for 21 days) inhibits tumor growth without toxicity in mice xenograft breast tumors model[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female nude mice (mice xenograft breast tumors model)[2].
Dosage:	175 mg/kg
Administration:	Intraperitoneal injection; single daily for 21 days
Result:	Led to complete tumor stasis,which persisted for the remainder of the 3-week study Showed good safety in mice.

CUSTOMER VALIDATION

- PLoS Negl Trop Dis. 2021 Jan 25;15(1):e0009072.

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REFERENCES

[1]. Burwick N, et al. The eIF2-alpha kinase HRI is a novel therapeutic target in multiple myeloma. Leuk Res. 2017 Apr;55:23-32.

[2]. Chen T, et al. Chemical genetics identify eIF2α kinase heme-regulated inhibitor as an anticancer target. Nat Chem Biol. 2011 Jul 17;7(9):610-6.

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