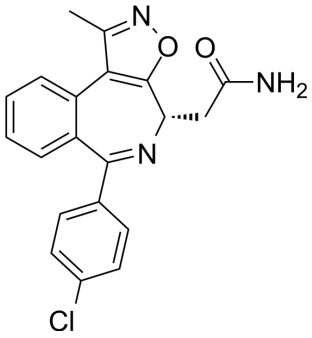
Pelabresib



Cat. No.:	HY-12863
CAS No.:	1380087-89-7
Molecular Formula:	$C_{20}H_{16}ClN_3O_2$
Molecular Weight:	365.81
Target:	Epigenetic Reader Domain
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (273.37 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7337 mL	13.6683 mL	27.3366 mL
	5 mM	0.5467 mL	2.7337 mL	5.4673 mL
	10 mM	0.2734 mL	1.3668 mL	2.7337 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: ≥ 2.08 mg/mL (5.69 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.69 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.69 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Pelabresib (CPI-0610) is a potent, selective, orally active and cell-active BET inhibitor. Pelabresib inhibits BRD4-BD1 with an IC_{50} of 39 nM, and with an EC_{50} value of 0.18 μM for MYC[1].

IC_{50} & Target

BRD4-BD1
39 nM (IC_{50})

In Vitro

Pelabresib (0-1500 nM; 72 hours; Multiple myeloma cell lines and primary MM cells) treatment reduces the viability of MM

cells in a dose-dependent manner[2].
Pelabresib (800 nM; 72 hours; INA6 and MM.1S cells) treatment leads to G1 cell cycle arrest[2].
Pelabresib (800 nM; 72 hours; INA6 and MM.1S cells) treatment significantly increases apoptosis in MM cells after 72 hours[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[2]

Cell Line:	Multiple myeloma (MM) cell lines and primary MM cells
Concentration:	0 nM, 200 nM, 400 nM, 600 nM , 800 nM, 1000 nM, 1200 nM, or 1500 nM
Incubation Time:	72 huors
Result:	Decreased viability of MM cells in a dose-dependent manner.

Cell Cycle Analysis[2]

Cell Line:	INA6 and MM.1S cells
Concentration:	800 nM
Incubation Time:	72 hours
Result:	Indeced G1 cell cycle arrest.

Apoptosis Analysis[2]

Cell Line:	INA6 and MM.1S cells
Concentration:	800 nM
Incubation Time:	72 hours
Result:	MM cells apoptosis was increased after 72 hours.

In Vivo

Pelabresib (30-60 mg/kg; oral administration; for 28 days; MV-4-11 mouse xenograft model) treatment results in substantial suppression of tumor growth over the time period examined (41%, 80%, and 74% tumor growth inhibition, respectively), without any significant body weight loss in the animals[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	MV-4-11 mouse xenograft model[1]
Dosage:	30 mg/kg once daily, 30 mg/kg twice daily, or 60 mg/kg once daily
Administration:	Oral administration; for 28 days
Result:	Suppressed of tumor growth, without any significant body weight loss in the animals.

REFERENCES

[1]. Albrecht BK, et al. Identification of a Benzoisoxazoloazepine Inhibitor (CPI-0610) of the Bromodomain and Extra-Terminal (BET) Family as a Candidate for Human Clinical Trials. J Med Chem. 2016 Feb 25;59(4):1330-9.

[2]. Siu KT, et al. Preclinical activity of CPI-0610, a novel small-molecule bromodomain and extra-terminal protein inhibitor in the therapy of multiple myeloma. Leukemia. 2017 Aug;31(8):1760-1769.

Caution: Product has not been fully validated for medical applications. For research use only.

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