Pyridoclax

Cat. No.: HY-12527

CAS No.: 1651890-44-6

Molecular Formula: $C_{29}H_{22}N_4$

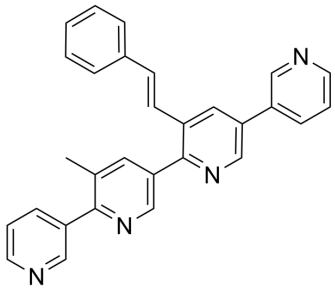
Molecular Weight: 426.51

Target: Bcl-2 Family

Pathway: 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 : 20 mg/mL (46.89 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3446 mL	11.7231 mL	23.4461 mL
	5 mM	0.4689 mL	2.3446 mL	4.6892 mL
	10 mM	0.2345 mL	1.1723 mL	2.3446 mL

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

BIOLOGICAL ACTIVITY

Description

Pyridoclax is a potential Mcl-1 inhibitor.

IC_{50} & Target

Mcl-1

In Vitro

Pyridoclax directly binds to Mcl-1. Without cytotoxic activity when administered as a single agent, Pyridoclax induces apoptosis in combination with Bcl-xL-targeting siRNA or with ABT-737 in ovarian, lung, and mesothelioma cancer cells[1]. Pyridoclax directly binds to Mcl-1, and hence sensitizes ovarian carcinoma cells to Bcl-xL-targeting strategies. Pyridoclax induces apoptosis in ovarian, and also in lung, and mesothelioma cancer cells when it is administrated in combination with Bcl-xL-targeting siRNA or Bcl-xL targeting molecules such as ABT-737 or its orally available derivative ABT-263[2].

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

PROTOCOL

Kinase Assay [1]	For donor saturating assays, Hela cells are seeded on 12-well plates and transfected with 200 ng/well of plasmid pRluc-BimL coding for BRET donor and an increasing quantity of BRET acceptor plasmids peYFP-Mcl-1 (or with pCMV-Mcl-1 as a control). Twenty-four hours after transfection, cells are trypsinized, reseeded into white flat bottom 96-well plates, and incubated for another day before measurements. A single donor/acceptor ratio (200/800) is used to carry out the drug treatment assay. After reseeding, cells are then subject to a 16 h Pyridoclax treatment. Light emission at 485 and 530 nm is measured consecutively using the Mithras fluorescence-luminescence detector LB 940 after adding the luciferase substrate, coelenterazine H, at a final concentration of 5 μM. BRET ratios are calculated[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Methods Mol Biol. 2018;1711:351-398.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Gloaguen C, et al. First evidence that oligopyridines, α-helix foldamers, inhibit Mcl-1 and sensitize ovarian carcinoma cells to Bcl-xL-targeting strategies. J Med Chem. 2015 Feb 26;58(4):1644-68.

[2]. Groo AC, et al. Comparison of 2 strategies to enhance Pyridoclax solubility: Nanoemulsion delivery system versus salt synthesis. Eur J Pharm Sci. 2017 Jan 15;97:218-226.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA