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产品名称：Pyridoclax
产品别名：MR-29072

生物活性：

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].
Solvent&Solubility	***In Vitro:*** **DMSO : 20 mg/mL** (**46.89 mM;** Need ultrasonic) **H_2O : < 0.1 mg/mL** (insoluble)

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

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.

实验参考：

Kinase Assay	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].
	[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.

References	[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.