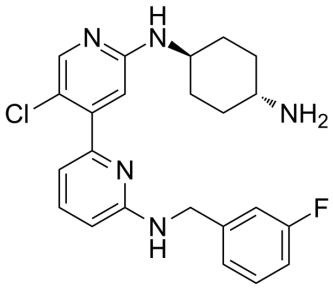
CDK9-IN-2

Cat. No.:	HY-16462
CAS No.:	1263369-28-3
Molecular Formula:	$C_{23}H_{25}ClFN_5$
Molecular Weight:	425.93
Target:	CDK
Pathway:	Cell Cycle/DNA Damage
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 : 50 mg/mL (117.39 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3478 mL	11.7390 mL	23.4780 mL
	5 mM	0.4696 mL	2.3478 mL	4.6956 mL
	10 mM	0.2348 mL	1.1739 mL	2.3478 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: ≥ 2.5 mg/mL (5.87 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.87 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.87 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CDK9-IN-2 is a special cyclin-dependent kinase 9 (CDK9) inhibitor, extracted from patent WO/2012131594A1, compound CDKI(8), has an IC_{50} of 5 nM and 7 nM in H929 multiple myeloma(MM) cell line (72 hours) and A2058 skin cell line (72 hours), respectively.

IC_{50} & Target

CDK9
5 nM (IC_{50}, H929 multiple myeloma cell line)

In Vitro	CDK9-IN-2 (200 nM) reduces the expression of MEPCE indicating that MEPCE is a pharmacodynamic (PD) marker for any CDK9 inhibitor. The expression of MCL1 protein is reduced 2 hours after treatment and is further reduced after 16 hour exposure to CDK9-IN-2 (500 nM)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	H929, A2058, A375, U87MG, and NCIH441 cell lines are treated with CDK9-IN-2 at 500 nM (high) or 200 nM (low) at different time points. Five cell lines are analyzed: NCI-H929, a multiple myeloma cell line; NCI-H441 , a lung papillary adenocarcinoma cell line; A375, a melanoma cell line; A2058, a melanoma cell line and U-87-MG, a glioblastoma cell line. Cell lines are grown in the medium recommended by ATCC and treated as follows: NCI-H929: 2 hours: DMSO, 200 nM CDK9-IN-2 or 500nM CDK9-IN-2. NCI-H441 and A375: 0 timepoint: Untreated, harvested when compound is added to the other plates. 2 hours: DMSO, 200 nM CDK9-IN-2 or 500 nM CDK9-IN-2 or 500 nM CKDI(7) (3 plates each, total 12 plates).8 hours: DMSO, 200 nM CDK9-IN-2 or 500 nM CDK9-IN-2 or 500 nM CKDI(7) (3 plates each, total 12 plates).16 hours: DMSO, 200 nM CDK9-IN-2 or 500 nM CDK9-IN-2 or 500 nM CKDI(7) (3 plates each, total 12 plates). A2058 and U-87-MG: 0 timepoint: Untreated, harvested when compound is added to the other plates (3 plates). 2 hours: DMSO, 500 nM CDK9-IN-2 (3 plates each, total 6 plates). 8 hours: DMSO, 500 nM CDK9-IN-2 (3 plates each, total 6 plates).16 hours: DMSO, 500 nM CDK9-IN-2 (3 plates each, total 6 plates). The IC_{50}s arethe analysed[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Host Microbe. 2017 Apr 12;21(4):507-517.e5.
- Cancer Discov. 2017 Mar;7(3):302-321.
- Nat Cancer. 2022 Oct;3(10):1211-1227.
- PLoS One. 2017 May 16;12(5):e0177871.
- SLAS Discov. 2018 Sep;23(8):850-861.

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REFERENCES

[1]. Michel Faure, et al. Pharmacodynamic markers associated with cyclin-dependent kinase inhibitors. From PCT Int. Appl. (2012), WO 2012131594A1

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