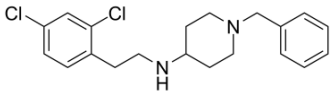
NAE-IN-M22

Cat. No.:	HY-115537
CAS No.:	864420-54-2
Molecular Formula:	$C_{20}H_{24}Cl_2N_2$
Molecular Weight:	363.32
Target:	Apoptosis
Pathway:	Apoptosis

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 : 250 mg/mL (688.10 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7524 mL	13.7620 mL	27.5239 mL
5 mM	0.5505 mL	2.7524 mL	5.5048 mL
10 mM	0.2752 mL	1.3762 mL	2.7524 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 (5.72 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.72 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.72 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NAE-IN-M22 is a potent, selective and reversible inhibitor of NEDD8 activating enzyme (NAE), with potency in micromolar range. NAE-IN-M22 inhibits multiple cancer cell lines and induces apoptosis in A549 cells. NAE-IN-M22 also can inhibit tumor growth in vivo[1].

IC_{50} & Target

NEDD8 activating enzyme (NAE)[1]

In Vitro

M22 (0.37-90 μM; 24 h) blocks neddylation pathway selectively and suppresses degradation of CRL substrates in A549 cells[1].

M22 (0.1-100 μM; 48 h) inhibits A549 cell proliferation completely at 30 μM (GI_{50}=5.5 μM, GI_{90}=19.3 μM)[1].
M22 (15-30 μM; 36 h) promotes apoptosis in A549 cell line[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	A549 cells
Concentration:	0.37, 1.11, 3.33, 10, 30, 90 μM
Incubation Time:	24 hours
Result:	Inhibited formations of Uba3-NEDD8 and Ubc12-NEDD8. Resulted in a corresponding decrease in the abundance of Cullins-NEDD8. Decreased the degradations of p27 and CDT1. Prevented p53 from degradation.

In Vivo

M22 (60 mg/kg; i.p. once daily for 14 d) inhibits tumor growth in nude mice bearing AGS xenografts[1].
M22 (0.36-90 μM) has low acute toxicity in zebrafish model[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Lu P, et, al. Discovery of a novel NEDD8 Activating Enzyme Inhibitor with Piperidin-4-amine Scaffold by Structure-Based Virtual Screening. ACS Chem Biol. 2016 Jul 15;11(7):1901-7.

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