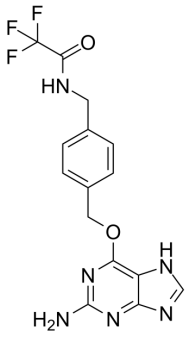
PIN1 inhibitor API-1

Cat. No.:	HY-116716
CAS No.:	680622-70-2
Molecular Formula:	$C_{15}H_{13}F_3N_6O_2$
Molecular Weight:	366.3
Target:	MicroRNA
Pathway:	Epigenetics
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 : 250 mg/mL (682.50 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7300 mL	13.6500 mL	27.3000 mL
	5 mM	0.5460 mL	2.7300 mL	5.4600 mL
	10 mM	0.2730 mL	1.3650 mL	2.7300 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: ≥ 2.17 mg/mL (5.92 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.17 mg/mL (5.92 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.17 mg/mL (5.92 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PIN1 inhibitor API-1 is a specific Pin1 (peptidyl-prolyl cis-trans isomerase NIMA-interacting 1) inhibitor (API-1) with an IC_{50} of 72.3 nM. PIN1 inhibitor API-1 directly and specifically binds to the Pin1 peptidyl-prolyl isomerase (PPIase) domain and potently inhibits Pin1 cis-trans isomerizing activity. PIN1 inhibitor API-1 retains the active conformation of pXPO5 and restores the ability of pXPO5 to transport pre-miRNAs from nucleus to cytoplasm, thus up-regulating the anticancer miRNA biogenesis to suppress both in vitro and in vivo hepatocellular carcinoma development[1].

IC_{50} & Target

IC50: 72.3 nM (Pin1)[1]

In Vitro	PIN1 inhibitor API-1 obviously inhibits SK-Hep-1, SNU-423, and Hep3B cell proliferation with low IC_{50} values (IC_{50}=0.683-4.16 μM)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PIN1 inhibitor API-1 suppresses tumor growth in mice by up-regulating mature miRNA biogenesis[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Pu W, et al. Targeting Pin1 by inhibitor API-1 regulates microRNA biogenesis and suppresses hepatocellularcarcinoma development. Hepatology. 2018 Aug;68(2):547-560.

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