hSMG-1 inhibitor 11e

Cat. No.: HY-124760

CAS No.: 1402452-10-1

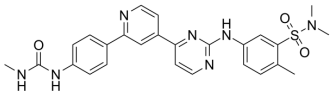
Molecular Formula: $C_{26}H_{27}N_7O_3S$

Molecular Weight: 517.6

Target: mTOR; PI3K; CDK

Pathway: PI3K/Akt/mTOR; Cell Cycle/DNA Damage

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (96.60 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9320 mL	9.6600 mL	19.3199 mL
	5 mM	0.3864 mL	1.9320 mL	3.8640 mL
	10 mM	0.1932 mL	0.9660 mL	1.9320 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: ≥ 5 mg/mL (9.66 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 5 mg/mL (9.66 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

hSMG-1 inhibitor 11e is a potent and selective hSMG-1 kinase inhibitor with an IC_{50} of <0.05 nM. hSMG-1 inhibitor 11e shows >900-fold selectivity over mTOR (IC_{50} of 45 nM), PI3Kα/γ (IC_{50}s of 61 nM and 92 nM) and CDK1/CDK2 (IC_{50}s of 32 μM and 7.1 μM)[1].

IC_{50} & Target

hSMG-1 <0.05 nM (IC_{50})	mTOR 45 nM (IC_{50})	PI3Kα 61 nM (IC_{50})	PI3Kγ 92 nM (IC_{50})
CDK1 32 μM (IC_{50})	CDK2 7.1 μM (IC_{50})		

In Vitro

hSMG-1 kinase plays a dual role in a highly conserved RNA surveillance pathway termed nonsense-mediated RNA decay

(NMD) and in cellular genotoxic stress response. Since deregulation of cellular responses to stress contributes to tumor growth and resistance to chemotherapy, hSMG-1 is a potential target for cancer treatment[1].

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

REFERENCES

[1]. Ariamala Gopalsamy, et al. Identification of pyrimidine derivatives as hSMG-1 inhibitors. Bioorg Med Chem Lett. 2012 Nov 1;22(21):6636-41.

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