ASN007

Cat. No.: HY-136579

CAS No.: 2055597-12-9

Molecular Formula: $C_{22}H_{25}ClFN_7O_2$

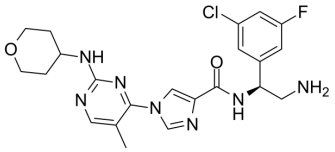
Molecular Weight: 473.93

Target: ERK

Pathway: MAPK/ERK Pathway; Stem Cell/Wnt

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 : 100 mg/mL (211.00 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1100 mL	10.5501 mL	21.1002 mL
	5 mM	0.4220 mL	2.1100 mL	4.2200 mL
	10 mM	0.2110 mL	1.0550 mL	2.1100 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 0.5% Methyl cellulose/0.5% Tween-80 in Saline water
   Solubility: 6.67 mg/mL (14.07 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.39 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.39 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.39 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ASN007 (ERK-IN-3) is a potent and orally active inhibitor of ERK. ASN007 inhibits ERK1/2 with low single-digit nM IC_{50} values. ASN007 can be used for the research of cancers driven by RAS mutations[1].

IC_{50} & Target	ERK1	ERK2

In Vitro	ASN007 (ERK-IN-3) inhibits the phosphorylation of ERK1/2 substrates such as RSK1, FRA1, and Elk1 in various cell lines[1]. ASN007 showes single-digit nanomolar antiproliferative activity that is selective for MAPK-pathway dependent cancer cell lines[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	ASN007 (ERK-IN-3) (daily p.o.) inhibits tumor growth in multiple BRAF and KRAS mutant xenograft models in mice and was well tolerated at efficacious doses[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Sanjeeva PR, et, al. Abstract B150: ASN007, a novel oral ERK inhibitor, shows robust antitumor activity in RAS mutant cancer models. Molecular Cancer Therapeutics. 2018 Jan; 17(1).

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