ASN007 benzenesulfonate

Cat. No.: HY-136579A

CAS No.: 2055597-39-0

Molecular Formula: $C_{28}H_{31}ClFN_7O_5S$

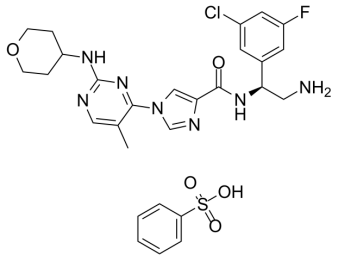
Molecular Weight: 632.11

Target: ERK

Pathway: MAPK/ERK Pathway; Stem Cell/Wnt

Storage: -20°C, sealed storage, away from moisture

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 320 mg/mL (506.24 mM; Need ultrasonic)

H_2O : 100 mg/mL (158.20 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.5820 mL	7.9100 mL	15.8200 mL
5 mM	0.3164 mL	1.5820 mL	3.1640 mL
10 mM	0.1582 mL	0.7910 mL	1.5820 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (158.20 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 8 mg/mL (12.66 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 8 mg/mL (12.66 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 8 mg/mL (12.66 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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

IC_{50} & Target	ERK1	ERK2

In Vitro	ASN007 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 (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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