DS-1971a

Cat. No.: HY-131182

CAS No.: 1450595-86-4

Molecular Formula: $C_{20}H_{21}ClFN_5O_3S$

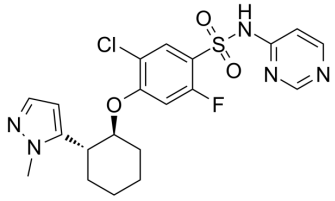
Molecular Weight: 465.93

Target: Sodium Channel

Pathway: Membrane Transporter/Ion Channel

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 : 100 mg/mL (214.62 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1462 mL	10.7312 mL	21.4625 mL
5 mM	0.4292 mL	2.1462 mL	4.2925 mL
10 mM	0.2146 mL	1.0731 mL	2.1462 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: ≥ 2.5 mg/mL (5.37 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.37 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.37 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

DS-1971a is a potent, selective, and orally active NaV1.7 inhibitor, with IC_{50}s of 22.8 and 59.4 nM for hNaV1.7 and mNaV1.7, respectively. DS-1971a exerts analgesic effects[1].

IC_{50} & Target

$hNa_V1.7$ 22.8 nM (IC_{50})	$mNa_V1.7$ 59.4 nM (IC_{50})

In Vivo

DS-1971a exhibits a favorable toxicological profile[1].

DS-1971a (0.1-1 mg/kg; p.o.) shows mitigated thermal hyperalgesia in a dose-dependent manner in partial sciatic nerve ligation (PSL) mice[1].

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

Animal Model:	Male Slc:ddY mice (PSL model)[1]
Dosage:	0.1, 0.3, and 1 mg/kg
Administration:	P.o.
Result:	A significant dose-dependent suppression of thermal hyperalgesiain 0.3 and 1 mg/kg administered groups. The ED_{50} of DS-1971a at the peak efficacy was 0.32 mg/kg.

REFERENCES

[1]. Shinozuka T, et al. Discovery of DS-1971a, a Potent, Selective NaV1.7 Inhibitor [published online ahead of print, 2020 May 26]. J Med Chem. 2020;10.1021/acs.jmedchem.0c00259.

Caution: Product has not been fully validated for medical applications. For research use only.

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