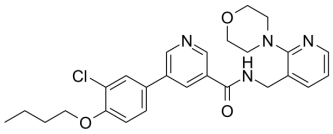
A-887826

Cat. No.:	HY-100080
CAS No.:	1266212-81-0
Molecular Formula:	$C_{26}H_{29}ClN_4O_3$
Molecular Weight:	480.99
Target:	Sodium Channel
Pathway:	Membrane Transporter/Ion Channel
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 : 250 mg/mL (519.76 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0790 mL	10.3952 mL	20.7905 mL
5 mM	0.4158 mL	2.0790 mL	4.1581 mL
10 mM	0.2079 mL	1.0395 mL	2.0790 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: ≥ 2.08 mg/mL (4.32 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

A-887826 is a potent, selective, oral bioavailable and voltage-dependent Na(v)1.8 sodium channel blocker with an IC_{50} of 11 nM . A-887826 attenuates neuropathic tactile allodynia in vivo[1].

IC_{50} & Target

$Na_V1.8$
1.1 nM (IC_{50})

In Vitro

A-887826 is approximately 3 fold less potent to block Na(v)1.2, approximately 10 fold less potent to block tetrodotoxin-sensitive sodium (TTX-S Na(+)) currents and is >30 fold less potent to block Na(v)1.5 channels[1].
A-887826 potently blocks tetrodotoxin-resistant sodium (TTX-R Na(+)) currents (IC_{50}=8 nM) from small diameter rat dorsal root ganglion (DRG) neurons in a voltage-dependent fashion[1].
A-887826 effectively suppresses evoked action potential firing when DRG neurons are held at depolarized potentials and reversibly suppresses spontaneous firing in small diameter DRG neurons from complete Freund's adjuvant inflamed rats[1].

A-887826 (100 nM) shifts the mid-point of voltage-dependent inactivation of TTX-R currents by approximately 4mV without affecting voltage-dependent activation and do not exhibit frequency-dependent inhibition[1].

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

In Vivo

A-887826 (3-30 mg/kg; p.o.; 1 hour pre-treatment) significantly attenuates tactile allodynia in a rat neuropathic pain model [1].

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

Animal Model:	Male Spraguee Dawley rats (200-300 g)[1]
Dosage:	3 mg/kg, 10 mg/kg, 30 mg/kg
Administration:	Oral administration; 1 hour before testing; fourteen days after L5-L6 spinal nerve ligation
Result:	Produced a dose-dependent attenuation of tactile allodynia in this experimental pain model.

REFERENCES

[1]. Zhang XF, et al. A-887826 is a structurally novel, potent and voltage-dependent Na(v)1.8 sodium channel blocker that attenuates neuropathic tactile allodynia in rats. Neuropharmacology. 2010 Sep;59(3):201-7.

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