A-803467

Cat. No.: HY-11079

CAS No.: 944261-79-4

Molecular Formula: $C_{19}H_{16}ClNO_4$

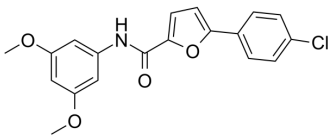
Molecular Weight: 357.79

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 : 50 mg/mL (139.75 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7949 mL	13.9747 mL	27.9494 mL
	5 mM	0.5590 mL	2.7949 mL	5.5899 mL
	10 mM	0.2795 mL	1.3975 mL	2.7949 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 (6.99 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.99 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

A-803467 is a potent and selective tetrodotoxin-resistant $Na_v1.8$ sodium channel blocker (IC_{50}=8 nM). A-803467 has shown significant anti-nociception in neuropathic and inflammatory pain models. A-803467 enhances the chemosensitivity of conventional anticancer agents through interaction with the ATP-binding cassette subfamily G member 2 (ABCG2) transporter[1][2].

IC_{50} & Target

$Na_v1.8$
8 nM (IC_{50})

In Vitro

A-803467 selectively and significantly reverses the ABCG2-mediated multidrug resistance. A-803467 (7.5 μM) significantly increases the cytotoxicity of mitoxantrone and topotecan in ABCG2-transfected cell lines. A-803467 (7.5 μM) significantly

	enhanced theintracellular [^{3}H]-MX accumulation in ABCG2-transfected cells. A-803467 (7.5 μM; 0~120 minutes) significantly blocks the intracellular [^{3}H]-MX efflux at different time periods from ABCG2-transfected cells. A-803467 stimulates the ATPase activity of ABCG2[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	A-803467 (35 mg/kg; p.o.) shows no noticeable toxicity in the male NCR nude mice[1]. A-803467 in combination with topotecan, significantly decreases the tumor growth in mice implanted with ABCG2 overexpressing H460/MX20 cells. A-803467 effectively restores the sensitivity of tumors overexpressing ABCG2 transporter to topotecan without having any significant effect on tumors lacking ABCG2 expression[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Nude mice[1]
Dosage:	35 mg/kg
Administration:	P.o.
Result:	Showed no noticeable toxicity in the male NCR nude mice.

CUSTOMER VALIDATION

- Front Pharmacol. 2020 Jul 31;11:1163.

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REFERENCES

[1]. Anreddy N, et al. A-803467, a tetrodotoxin-resistant sodium channel blocker, modulates ABCG2-mediated MDR in vitro and in vivo. Oncotarget. 2015;6(36):39276-39291.

[2]. Jarvis MF, et al. A-803467, a potent and selective Nav1.8 sodium channel blocker, attenuates neuropathic and inflammatory pain in the rat. Proc Natl Acad Sci U S A. 2007;104(20):8520-8525.

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