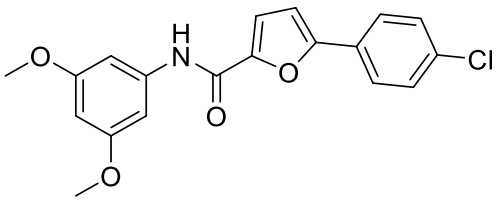
Product data sheet

MedKoo Cat#: 522388 Name: A-803467 CAS#: 944261-79-4 Chemical Formula: $C_{19}H_{16}ClNO_4$ Exact Mass: 357.07679 Molecular Weight: 357.79	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

A-803467 is a potent and selective blocker of NaV1.8 channels (IC50 values are 8, 2450, 6740, 7340 and 7380 nM for hNaV1.8, hNaV1.3, hNaV1.7, hNaV1.5 and hNaV1.2 channels respectively). A-803467 affects multiple biophysical characteristics of the canonical cardiac Nav1.5 channel and our data can be used to study potential applications of A-803467 as an antiarrhythmic drug. A-803467 attenuates spinal neuronal activity in neuropathic rats. A-803467 also attenuates neuropathic and inflammatory pain in the rat.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	45.70	127.73
DMF	30.0	83.85
Ethanol	7.48	20.91

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.79 mL	13.97 mL	27.95 mL
5 mM	0.56 mL	2.79 mL	5.59 mL
10 mM	0.28 mL	1.40 mL	2.79 mL
50 mM	0.06 mL	0.28 mL	0.56 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Anreddy N, Patel A, Zhang YK, Wang YJ, Shukla S, Kathawala RJ, Kumar P, Gupta P, Ambudkar SV, Wurpel JN, Chen ZS, Guo H. A-803467, a tetrodotoxin-resistant sodium channel blocker, modulates ABCG2-mediated MDR in vitro and in vivo. Oncotarget. 2015 Nov 17;6(36):39276-91. doi: 10.18632/oncotarget.5747. PMID: 26515463; PMCID: PMC4770772.
2. Han Z, Jiang Y, Xiao F, Cao K, Wang DW. The effects of A-803467 on cardiac Nav1.5 channels. Eur J Pharmacol. 2015 May 5;754:52-60. doi: 10.1016/j.ejphar.2015.02.019. Epub 2015 Feb 19. PMID: 25701724.

In vivo study

1. Brozmanova M, Svajdova S, Pavelkova N, Muroi Y, Undem BJ, Kollarik M. The voltage-gated sodium channel NaV1.8 blocker A-803467 inhibits cough in the guinea pig. Respir Physiol Neurobiol. 2019 Dec;270:103267. doi: 10.1016/j.resp.2019.103267. Epub 2019 Aug 6. PMID: 31398537.
2. McGaraughty S, Chu KL, Scanio MJ, Kort ME, Faltynek CR, Jarvis MF. A selective Nav1.8 sodium channel blocker, A-803467 [5-(4-chlorophenyl-N-(3,5-dimethoxyphenyl)furan-2-carboxamide], attenuates spinal neuronal activity in neuropathic rats. J Pharmacol Exp Ther. 2008 Mar;324(3):1204-11. doi: 10.1124/jpet.107.134148. Epub 2007 Dec 18. PMID: 18089840.

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7. Bioactivity

Biological target:

A 803467 is a selective Nav1.8 sodium channel blocker with an IC50 of 8 nM.

In vitro activity

A-803467 changed sodium current inactivation kinetics and reduced peak sodium currents in a dose-dependent manner. The current (normalized to cell size)–voltage curves in control (DMSO) and in the presence of A-803467 at various concentrations are shown in Fig. 1D. Similar to raw current traces, the higher the A-803467 concentration, the lower the peak current density. Fig. 1E plots the peak sodium current densities at different A-803467 concentrations recorded from mouse cardiomyocytes at −30 mV. Compared to the control condition, the blocking effect of A-803467 was statistically significant at 3 and 10 μM ($P<0.05$ for both).

Reference: Eur J Pharmacol. 2015 May 5;754:52-60. https://pubmed.ncbi.nlm.nih.gov/25701724/

In vivo activity

Systemic i.v. administration of A-803467 (10–30 mg/kg) attenuated both spontaneous and von Frey-evoked (10 g) firing of WDR neurons in SNL rats (Fig. 2). WDR responses to 10-g von Frey stimulation of the hindpaw was significantly ($p < 0.05$) decreased from baseline levels 5 min after injection of all doses. Spontaneous activity of WDR neurons was also significantly ($p < 0.05$) decreased 5 min after injection of the 20 and 30 mg/kg doses of A-803467 and remained significantly decreased for the rest of the recording period. Maximal effects were observed 35 min after injection, when 30 mg/kg of A-803467 reduced spontaneous firing by 97.7 ± 1.3% and decreased the evoked responses of WDR neurons by 94.4 ± 2.6%. At the 35-min time point, spontaneous and evoked WDR neuronal firing was also significantly ($p < 0.05$) attenuated by 20 mg/kg (66.2 ± 13.1% and 53.2 ± 18.4%, respectively) but not by 10 mg/kg A-803467.

Reference: J Pharmacol Exp Ther. 2008 Mar;324(3):1204-11 https://jpet.aspetjournals.org/content/324/3/1204.long

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.