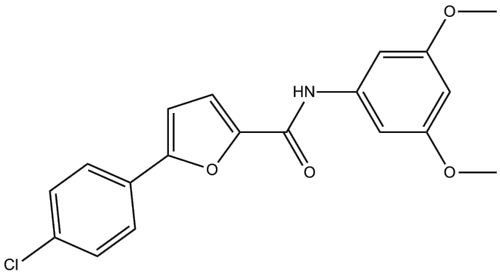
Product Data Sheet

Product Name: A-803467
Cat. No.: GC15701

Chemical Properties

Cas. No.	944261-79-4		
Chemical Name	5-(4-chlorophenyl)-N-(3,5-dimethoxyphenyl)furan-2-carboxamide		
SMILES	COC1=CC(=CC(=C1)NC(=O)C2=CC=C(O2)C3=CC=C(C=C3)Cl)OC		
Formula	C19H16ClNO4	M.Wt	357.79
Solubility	≥ 13.95mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

Cell experiment [1]:

Cell lines HEK293

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Preparation Method	ABCG2-transfected cells were established by transfecting HEK293 cell with pcDNA3.1 vector containing a full-length ABCG2, and then ABCG2-transfected cells were incubated with [^{3}H]-MX (a kind of substrate of ABCG2), with or without 7.5μM A-803467 for 2h.
Reaction Conditions	7.5μM; 2h
Applications	A-803467 significantly enhanced the intracellular [^{3}H]-MX accumulation in ABCG2-transfected cells.

Animal experiment [2]:

Animal models	Male Sprague-Dawley rats
Preparation Method	Unilateral inflammation of rats was induced by injecting CFA (complete Freund's adjuvant), A-803467 was injected 90min after CFA injection, the behavioral testing for thermal hyperalgesia was conducted 2d later.
Dosage form	10, 30, 100mg/kg; i.p.
Applications	A-803467 potently reduced thermal hyperalgesia in the CFA model of inflammatory pain.

Caution: Product has not been fully validated for medical applications. For research use only.
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[1] Anreddy N, Patel A, Zhang Y K, et al. A-803467, a tetrodotoxin-resistant sodium channel blocker, modulates ABCG2-mediated MDR *in vitro* and *in vivo* [J]. Oncotarget, 2015, 6(36): 39276-39291.
[2] Jarvis M F, Honore P, Shieh C C, et al. A-803467, a potent and selective $Na_V1.8$ sodium channel blocker, attenuates neuropathic and inflammatory pain in the rat [J]. Proceedings of the National Academy of Sciences of the United States of America, 2007, 104(20): 8520-8525.

Background

A-803467 is a tetrodotoxin-resistant $Na_V1.8$ sodium channel blocker which can block human $Na_V1.8$ with an IC_{50} of 8nM [1, 2]. A-803467 can effectively block experimental inflammatory and neuropathic pain caused by the $Na_V1.8$ channel [1].

A-803467 (7.5μM; 2h) enhanced the intracellular [^{3}H]-MX accumulation in ABCG2-transfected HEK293 cells [2]; A-803467 (7.5μM; 0, 30, 60, 120min) significantly blocked the intracellular [^{3}H]-MX efflux from ABCG2-transfected cells [2]; A-803467 (100nM) caused significant current blocking in HEK-293 cells expressing the human $Na_V1.8$ sodium channel [1]; A-803467 (30nM) shortened action potential in mouse ventricular myocytes at slow frequency (0.1Hz) stimulus current [3]; A-803467 (30nM; 15min) reduced frequency of diastolic Ca^{2+} waves in human atrial cardiomyocytes from patients with sinus rhythm [4].

A-803467 (10, 30, 100mg/kg; i.p.) potently reduced thermal hyperalgesia in the complete Freund's adjuvant (CFA) Sprague-Dawley (SD) rat model of inflammatory pain [1]; A-803467 (10, 30, 100mg/kg; i.p.) reduced mechanical allodynia in the L5/L6 spinal nerve injury model of SD rats [1]; A-803467 (10, 30, 100mg/kg; i.p.) reduced mechanical allodynia in the chronic constriction injury of the sciatic nerve model of SD rats [1]; A-803467 (10mg/rat; i.a.) reduced joint pain of male Wistar rats which induced by monoiodoacetate (MIA) [5].

References:
[1] Jarvis M F, Honore P, Shieh C C, et al. A-803467, a potent and selective Nav1.8 sodium channel blocker, attenuates neuropathic and inflammatory pain in the rat [J]. Proceedings of the National

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Academy of Sciences of the United States of America, 2007, 104(20): 8520-8525.
[2] Anreddy N, Patel A, Zhang Y K, et al. A-803467, a tetrodotoxin-resistant sodium channel blocker, modulates ABCG2-mediated MDR *in vitro* and *in vivo* [J]. Oncotarget, 2015, 6(36): 39276-39291.
[3] Yang T, Atack T C, Stroud D M, et al. Blocking Scn10a channels in heart reduces late sodium current and is antiarrhythmic [J]. Circulation research, 2012, 111(3): 322-332.
[4] Pabel S, Ahmad S, Tirilomis P, et al. Inhibition of $Na_V1.8$ prevents atrial arrhythmogenesis in human and mice [J]. Basic research in cardiology, 2020, 115(2): 20.
[5] Schuelert N, McDougall J J. Involvement of $Na_V1.8$ sodium ion channels in the transduction of mechanical pain in a rodent model of osteoarthritis [J]. Arthritis research & therapy, 2012, 14(1): R5.