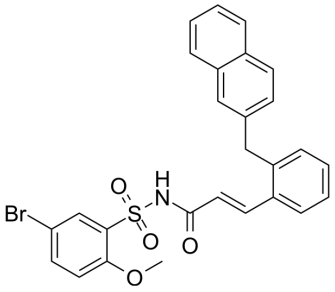
L-798106

Cat. No.:	HY-15274
CAS No.:	244101-02-8
Molecular Formula:	$C_{27}H_{22}BrNO_4S$
Molecular Weight:	536.44
Target:	Prostaglandin Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 10 mg/mL (18.64 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8641 mL	9.3207 mL	18.6414 mL
	5 mM	0.3728 mL	1.8641 mL	3.7283 mL
	10 mM	0.1864 mL	0.9321 mL	1.8641 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

L-798106 is potent and highly selective prostanoid EP_3 receptor antagonist (K_i=0.3 nM), it also has micromolar activities at the EP_4, EP_1 and EP_2 receptors with K_i values of 916 nM, >5000 nM and >5000 nM, respectively[1].

IC_{50} & Target	EP3	EP4	EP1	EP2
	0.3 nM (IC_{50})	916 nM (IC_{50})	>5000 nM (IC_{50})	>5000 nM (IC_{50})

In Vitro

L-798106 (200 nM) inhibits electrical field stimulation-induced contractile responses[2].
L-798106 (10 μM) inhibits electrical field stimulation-evoked ACh release[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[2]

Cell Line:	Guinea-pig vas deferens
Concentration:	200 nM
Incubation Time:	

Result:	Showed an apparent pA2 of 7.48±0.25.

Cell Viability Assay[2]

Cell Line:	Guinea-pig tracheal smooth muscle
Concentration:	10 μM
Incubation Time:	
Result:	Attenuated significantly the inhibitory effect of all agents tested (in % inhibition of EFS-induced release: 8-iso-PGE1 from 56.9 to 8.6; 8-iso-PGE2 from 51.6 to 9.2; PGE2 from 61.2 to 2.9; sulprostone from 55.9 to 18.8).

In Vivo

L-798106 (oral gavage; 50 and 100 μg/kg; once daily; 8 w) suppresses systemic insulin resistance and AT inflammation in db/db mice[3].

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

Animal Model:	Male db/db mice[3]
Dosage:	50 and 100 μg/kg
Administration:	Oral gavage; 50 and 100 μg/kg; once daily; 8 weeks
Result:	Suppressed the increased fasting blood glucose levels in the db/db mice. Suppressed increased proinflammatory gene expressions in the adipocytes isolated from the epididymal AT of the db/db mice.

REFERENCES

[1]. Deborah L Clarke, et al. E-ring 8-isoprostanes inhibit ACh release from parasympathetic nerves innervating guinea-pig trachea through agonism of prostanoid receptors of the EP3-subtype. Br J Pharmacol. 2004 Feb;141(4):600-9.

[2]. Pei-Chi Chan, et al. Importance of adipocyte cyclooxygenase-2 and prostaglandin E2-prostaglandin E receptor 3 signaling in the development of obesity-induced adipose tissue inflammation and insulin resistance. FASEB J. 2016 Jun;30(6):2282-97.

[3]. Juteau H, et al. Structure-activity relationship of cinnamic acylsulfonamide analogues on the human EP3 prostanoid receptor. Bioorg Med Chem. 2001 Aug;9(8):1977-84.

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

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