URB-597

Cat. No.: HY-10864

CAS No.: 546141-08-6

Molecular Formula: $C_{20}H_{22}N_2O_3$

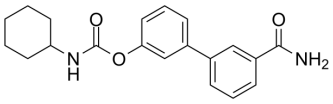
Molecular Weight: 338.4

Target: FAAH; Autophagy; Mitophagy

Pathway: Metabolic Enzyme/Protease; Neuronal Signaling; Autophagy

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 : ≥ 100 mg/mL (295.51 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9551 mL	14.7754 mL	29.5508 mL
5 mM	0.5910 mL	2.9551 mL	5.9102 mL
10 mM	0.2955 mL	1.4775 mL	2.9551 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: 10 mg/mL (29.55 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.39 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.39 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

URB-597 (KDS-4103) is an orally bioavailable and selective FAAH inhibitor. URB-597 inhibits FAAH activity with an IC_{50}s of approximately 5 nM in rat brain membranes, 0.5 nM in intact rat neurons, 3 nM in human liver microsomes. Antidepressant-like effects. Analgesic activity[1].

In Vitro

URB-597 (KDS-4103) prevents the FAAH-catalyzed hydrolysis of [^{3}H]anandamide by primary cultures of rat cortical neurons

with an IC_{50} value of ~0.50 nM[1].

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

In Vivo

URB-597 (KDS-4103) inhibits rat brain FAAH activity after intraperitoneal (i.p.) administration with a median inhibitory dose (ID_{50}) of 0.15 mg/kg in wild-type mice (+/+) or FAAH-null mice (-/-)[1].

KDS-4103 (0.1-0.3 mg/kg, i.p.) elicits significant, anxiolytic-like, antidepressant-like and analgesic effects, which are prevented by treatment with CB1 receptor antagonists in rats and mice[1].

KDS-4103 is orally available in rats and cynomolgus monkeys[1].

URB-597 inhibits FAAH in the brain rapidly (1 h), sustains at >90% through 12 h and >60% through 24 h after an oral dose of 10 mg/kg.

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

Animal Model:	Wistar rats[1]
Dosage:	250, 500, 750, 1000, 1250 mg/kg (Pharmacokinetic Analysis)
Administration:	Oral administration
Result:	Absorbed at a moderate rate with peak plasma concentrations (C_{max}) achieved at 1.2 h after treatment. The oral elimination half-life was approximately 2 h.

CUSTOMER VALIDATION

- J Neuroimmune Pharmacol. 2024 Jan 12;19(1):1.
- Eur J Pharmacol. 2023 Aug 10;175982.
- Cereb Cortex. 2020 Dec 21;bhaa363.
- Oxid Med Cell Longev. 2022 May 12;2022:4139330.

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REFERENCES

[1]. Daniele Piomelli, et al. Pharmacological profile of the selective FAAH inhibitor KDS-4103 (URB597). CNS Drug Rev. Spring 2006;12(1):21-38.

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