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Zuschläge

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SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

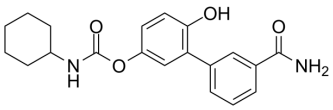
mail@szabo-scandic.com

www.szabo-scandic.com

linkedin.com/company/szaboscandic

URB937

Cat. No.:	HY-116477
CAS No.:	1357160-72-5
Molecular Formula:	$C_{20}H_{22}N_2O_4$
Molecular Weight:	354.4
Target:	FAAH
Pathway:	Metabolic Enzyme/Protease; Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (705.42 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8217 mL	14.1084 mL	28.2167 mL
	5 mM	0.5643 mL	2.8217 mL	5.6433 mL
	10 mM	0.2822 mL	1.4108 mL	2.8217 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: ≥ 2.08 mg/mL (5.87 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.87 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.87 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

URB937 is an orally active and peripherally restricted FAAH inhibitor (IC_{50}=26.8 nM) and increases anandamide levels. URB937 fails to affect FAAH activity in the brain (not penetrate the blood-brain barrier)[1].

IC_{50} & Target

IC50: 26.8 nM (FAAH)[1].

In Vitro

URB937 is actively extruded from the CNS by the ATP-binding cassette (ABC) membrane transporter, Abcg2[3].

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

In Vivo

URB937 (1 mg/kg, i.p.) administrated in mice increases anandamide levels in peripheral tissues, but not forebrain or hypothalamus[1].
URB937 (1 mg/kg, s.c.) suppresses pain responses elicited by i.p. injections of acetic acid[1].
URB937 in male rats (an oral dose 3 mg/kg, F = 36%) is absorbed at a moderate rate and displays a peak plasma concentration (C_{max}) of 159.47 ng/ml, which was achieved one hour after administration. URB937 exhibits $T_{1/2}$ of 60 min by an oral dose of 3 mg/kg[2].
URB937 produces a high degree of antinociception in female mice and rats in models of visceral and inflammatory pain. Moreover, the compound displayed a restricted access to placental and fetal tissues in pregnant mice and rats[3].
URB937 (1 mg/kg, every 2 days for 30 days) attenuates radiation-induced lung injury and increased endocannabinoid concentration in lung tissue[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Swiss Webster mice[1].
Dosage:	1 mg/kg.
Administration:	S.C.
Result:	Suppressesd pain responses elicited by i.p. injections of acetic acid.

Animal Model:	Adult Sprague Dawley male and female rats (250-300 g)[2].
Dosage:	0.3, 1, 3, 10 mg/kg (Pharmacokinetic Analysis).
Administration:	Single oral dose.
Result:	Inhibited liver FAAH activity with a median effective dose (ED_{50}) of 0.9 mg/kg. Inhibits FAAH in peripheral tissues and identify a possible biomarker for target engagement.

REFERENCES

[1]. Jason R Clapper, et al. Anandamide suppresses pain initiation through a peripheral endocannabinoid mechanism. Nat Neurosci. 2010 Oct;13(10):1265-70.

[2]. Valentina Vozella, et al. Pharmacokinetics, pharmacodynamics and safety studies on URB937, a peripherally restricted fatty acid amide hydrolase (FAAH) inhibitor, in rats. J Pharm Pharmacol. 2019 Dec;71(12):1762-1773.

[3]. G Moreno-Sanz, et al. Pharmacological characterization of the peripheral FAAH inhibitor URB937 in female rodents: interaction with the Abcg2 transporter in the blood-placenta barrier. Br J Pharmacol. 2012 Dec;167(8):1620-8.

[4]. Rui Li, et al. The Fatty Acid Amide Hydrolase Inhibitor URB937 Ameliorates Radiation-Induced Lung Injury in a Mouse Model. Inflammation. 2017 Aug;40(4):1254-1263.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA