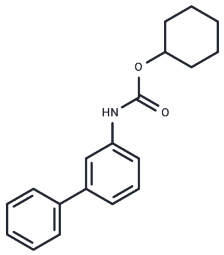
Data Sheet (Cat.No.T3591)

TargetMol

URB602

Chemical Properties



CAS No. : 565460-15-3

Formula: C19H21NO2

Molecular Weight: 295.38

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	URB602 is a specific monoacylglycerol lipase (MGL) inhibitor, which inhibits rat brain MGL (IC50: 28±4 μM) through a noncompetitive mechanism.
Targets(IC50)	Antibacterial,Lipase
In vitro	The apparent Km of MGL for 2-AG is 24±1.7 μM and the Vmax is 1814±51 nmol/min/mg protein; with URB602, the Km is 20±0.4 μM and the Vmax is 541±20 nmol/min/mg protein (n=4). When organotypic slice cultures of rat forebrain are incubated with URB602 (100 μM), both baseline and Ca2+-ionophore-stimulated 2-arachidonoylglycerol (2-AG) concentrations are increased[1]. URB602 weakly inhibits recombinant MGL (IC50: 223±63 μM) through a rapid and noncompetitive mechanism.
In vivo	URB602 (20-40 mg/kg) can reduce upper GI transit and slow colonic propulsion. In whole gut transit, URB602 dose-dependently inhibits transit (P<0.05) compared with the vehicle control group. The inhibitory action of URB602 (40 mg/kg) on whole gut transit is absent in these mice, demonstrating CB1 receptor involvement in the inhibitory action [3]. During the early phase of the formalin test, URB602 decreases the AUC of pain behavior for JZL184 (ED50: 0.06±0.028 μg) and for URB602 (ED50: 120±51.3 μg) in adult male Sprague-Dawley rats. Both MGL inhibitors also suppress pain behavior during the late phase of formalin pain for JZL184 (ED50: 0.03±0.011 μg) and for URB602 (ED50: 66±23.9 μg).
Kinase Assay	Samples containing either URB602 (300 μM), MGL (1.4 pM), or both URB602 and MGL are incubated at 37°C for 30 min in assay buffer. At various time points, the reaction is stopped with an equal volume of ice-cold methanol and directly analyzed in positive ionization mode by LC/MS. A SB-CN column (150×2.1 mm i.d., 5 μm) eluted is used with a linear gradient of methanol in water containing 0.25% acetic acid and 5 mM ammonium acetate (from 60% to 100% of methanol in 8 min) at a flow rate of 0.5 mL/min with column temperature at 50°C. Capillary voltage is set at 4 kV and fragmentor voltage is 100V. Nebulizer pressure is set at 60 psi. N2 is used as drying gas at a flow rate of 13 liters/min and a temperature of 350°C. ESI is in the positive mode and a full scan spectrum is acquired from m/z 100 to 600. Extracted ion chromatograms are used to quantify URB602 ([M+H]+, m/z 296)[2].

Solubility Information

Solubility	DMSO: 55 mg/mL (186.2 mM),Sonication is recommended. 10% DMSO+90% Corn Oil: 2.5 mg/mL (8.46 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.3855 mL	16.9273 mL	33.8547 mL
5 mM	0.6771 mL	3.3855 mL	6.7709 mL
10 mM	0.3385 mL	1.6927 mL	3.3855 mL
50 mM	0.0677 mL	0.3385 mL	0.6771 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Hohmann AG, et al. An endocannabinoid mechanism for stress-induced analgesia. Nature. 2005 Jun 23;435(7045):1108-12.

King AR, et al. URB602 inhibits monoacylglycerol lipase and selectively blocks 2-arachidonoylglycerol degradation in intact brain slices. Chem Biol. 2007 Dec;14(12):1357-65.

Duncan M, et al. Distribution and function of monoacylglycerol lipase in the gastrointestinal tract. Am J Physiol Gastrointest Liver Physiol. 2008 Dec;295(6):G1255-65.

Guindon J, et al. Peripheral antinociceptive effects of inhibitors of monoacylglycerol lipase in a rat model of inflammatory pain. Br J Pharmacol. 2011 Aug;163(7):1464-78.