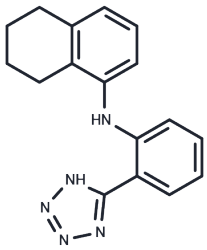
Data Sheet (Cat.No.T14666)

TargetMol

BL-1249

Chemical Properties



CAS No. : 18200-13-0

Formula: C17H17N5

Molecular Weight: 291.35

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	BL-1249 is a selective and potent non-steroidal potassium channel activator with anti-inflammatory activity that activates K2P2.1 (TREK-1) and K2P10.1 (TREK-2).
Targets(IC50)	Potassium Channel
In vitro	Evaluated by a decrease in fluorescence of the voltage-sensitive dye bis(1,2-dibutylbarbituric acid)trimethine oxonol (EC50 = 1.26 +/- 0.6 μM) or direct electrophysiological measurements (EC50 = 1.49 +/- 0.08 μM), BL-1249 demonstrates concentration-dependent membrane depolarization in cultured human bladder smooth muscle cells[2]. Patch clamp experiments indicate that, akin to a diverse range of other TREK subfamily gating signals, BL-1249 stimulates a selective screening 'C-type' gate that controls K2P functionality. BL-1249 exhibits selectivity within the TREK subfamily, activating K2P2.1 (TREK-1) and K2P10.1 (TREK-2) approximately 10 times more actively than K2P4.1 (TRAAK). Studies on mutants and K2P2.1 (TREK-1)/K2P4.1 (TRAAK) chimeras highlight the critical role of the C-terminal tail in the action of BL-1249, and identify the M2/M3 transmembrane helix interface as a key site of BL-1249 selectivity[1].
In vivo	In an anesthetized rat model, BL-1249 (1 mg/kg i.v.) decreased the number of isovolumic contractions, without significantly affecting blood pressure. Thus, BL-1249 behaves as a potassium channel activator that exhibits bladder versus vascular selectivity both in vitro and in vivo[2].

Solubility Information

Solubility	DMSO: 30 mg/mL (102.97 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (6.86 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.4323 mL	17.1615 mL	34.323 mL
5 mM	0.6865 mL	3.4323 mL	6.8646 mL
10 mM	0.3432 mL	1.7161 mL	3.4323 mL
50 mM	0.0686 mL	0.3432 mL	0.6865 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Pope L, et al. Protein and Chemical Determinants of BL-1249 Action and Selectivity for K2P Channels. ACS Chem Neurosci. 2018 Dec 19;9(12):3153-3165.

Tertyshnikova S, et al. BL-1249 [(5,6,7,8-tetrahydro-naphthalen-1-yl)-[2-(1H-tetrazol-5-yl)-phenyl]-amine]: a putative potassium channel opener with bladder-relaxant properties. J Pharmacol Exp Ther. 2005 Apr;313(1):250-9.

Iwaki Y, et al. Towards a TREK-1/2 (TWIK-Related K+ Channel 1 and 2) dual activator tool compound: Multi-dimensional optimization of BL-1249. Bioorg Med Chem Lett. 2019 Jul 1;29(13):1601-1604.

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481