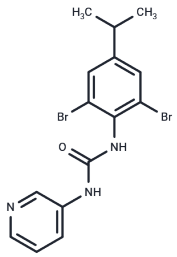
Data Sheet (Cat.No.T14844)

TargetMol

BX430

Chemical Properties



CAS No. : 688309-70-8

Formula: C15H15Br2N3O

Molecular Weight: 413.11

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	BX430 is used for chronic pain and cardiovascular disease and it is a potent and selective noncompetitive allosteric human P2X4 receptor channels antagonist with an IC50 of 0.54 μM. BX430 has species specificity.
Targets(IC50)	Calcium Channel,P2X Receptor
In vitro	BX430, with submicromolar potency (IC50 = 0.54 M). BX430 is highly selective, having virtually no functional impact on all other P2X subtypes, namely, P2X1-P2X3, P2X5, and P2X7, at 10-100 times its IC50.?Unexpected species differences were noticed, as BX430 is a potent antagonist of zebrafish P2X4 but has no effect on rat and mouse P2X4 orthologs.?The concentration-response curve for ATP on human P2X4 in the presence of BX430 shows an insurmountable blockade, indicating a noncompetitive allosteric mechanism of action.?Using a fluorescent dye uptake assay, we observed that BX430 also effectively suppresses ATP-evoked and ivermectin-potentiated membrane permeabilization induced by P2X4 pore dilation.?Finally, in single-cell calcium imaging, we validated its selective inhibitory effects on native P2X4 channels at the surface of human THP-1 cells that were differentiated into macrophages.?In summary, this ligand provides a novel molecular probe to assess the specific role of P2X4 in inflammatory and neuropathic conditions, where ATP signaling has been shown to be dysfunctional [1].

Solubility Information

Solubility	DMSO: 30 mg/mL (72.62 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 (4.84 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	2.4207 mL	12.1033 mL	24.2066 mL
5 mM	0.4841 mL	2.4207 mL	4.8413 mL
10 mM	0.2421 mL	1.2103 mL	2.4207 mL
50 mM	0.0484 mL	0.2421 mL	0.4841 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

Ase AR, et al. Identification and characterization of a selective allosteric antagonist of human P2X4 receptor channels. Mol Pharmacol. 2015 Apr;87(4):606-16.
Sophocleous RA, et al. Pharmacological and genetic characterisation of the canine P2X4 receptor. Br J Pharmacol. 2020 Feb 4.

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