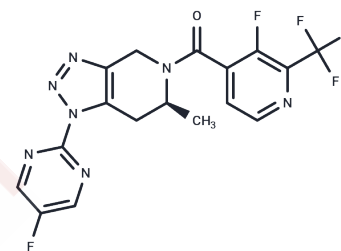


JNJ-55308942

Chemical Properties

CAS No. : 2166558-11-6
 Formula: C₁₇H₁₂F₅N₇O
 Molecular Weight: 425.32
 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	JNJ-55308942 is a selective and brain-penetrant antagonist of P2X7 functional with IC ₅₀ s of 10 and 15 nM and Kis of 7.1 and 2.9 nM for hP2X7 and rP2X7, respectively.
Targets(IC ₅₀)	P2X Receptor
In vitro	JNJ-55308942 shows pKis of 8.1 and 8.5 for recombinant hP2X7 and rP2X7. JNJ-55308942 attenuates IL-1 β release in a concentration-dependent manner in human blood and in mouse blood and microglia[2].
In vivo	JNJ-55308942 (5 mg/kg; p.o.) shows the F of 81%, V _{ss} of 1.7 L/kg, CL of 1.7 L/kg, C _{max} of 3.7 mL min/kg, and AUC of 1747 ng/mL[1]. In male C57/BL6j mice, JNJ-55308942 (30 mg/kg; p.o.) significantly attenuates the effect of LPS on FSC, CD45 surface expression, and CD11b surface expression. In a model of Bacillus Calmette-Guerin-induced depression, JNJ-55308942 (30mg/kg; orally) reverses the BCG-induced deficits of sucrose preference and social interaction (ED ₅₀ = 0.07mg/kg). The P2X7 antagonist (3mg/kg, orally) blocks Bz-ATP-induced brain IL-1 β release in conscious rats[2].

Solubility Information

Solubility	DMSO: 145 mg/mL (340.92 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: 4 mg/mL (9.4 mM),Sonication is recommended. <i>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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3512 mL	11.7559 mL	23.5117 mL
5 mM	0.4702 mL	2.3512 mL	4.7023 mL
10 mM	0.2351 mL	1.1756 mL	2.3512 mL
50 mM	0.047 mL	0.2351 mL	0.4702 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

Bhattacharya A, et al. Neuropsychopharmacology of JNJ-55308942: evaluation of a clinical candidate targeting P2X7 ion channels in animal models of neuroinflammation and anhedonia. *Neuropsychopharmacology*. 2018;43(13):2586-2596.

Chrovia CC, et al. A Dipolar Cycloaddition Reaction To Access 6-Methyl-4,5,6,7-tetrahydro-1H-[1,2,3]triazolo[4,5-c]pyridines Enables the Discovery Synthesis and Preclinical Profiling of a P2X7 Antagonist Clinical Candidate. *J Med Chem*. 2018;61(1):207-223.

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