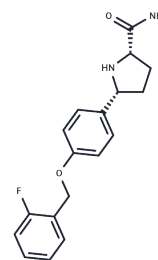


Raxatrigine

Chemical Properties

CAS No. :	934240-30-9
Formula:	C ₁₈ H ₁₉ N ₂ O ₂
Molecular Weight:	314.35
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	Raxatrigine (CNV 1014802) has been investigated for the treatment of Bipolar Disorder and Bipolar Depression.
Targets(IC50)	Sodium Channel
Kinase Assay	Binding or activity of EVP-6124 is measured at 10 μM in a selectivity panel according to standard validated protocols under conditions defined by the contractor. For the 5-HT _{2A} receptor binding assay, membranes are prepared from HEK293 cells expressing the human recombinant 5-HT _{2A} receptor. For 5-HT _{2B} and 5-HT _{2C} receptor binding assays, membranes are prepared from CHO cells expressing the human recombinant 5-HT _{2B} or 5-HT _{2C} receptor. Affinity is determined by incubating different concentrations of EVP-6124 in binding buffer for 1 h. For 5-HT _{2A} binding, the incubation is at 22°C in the presence of 0.5 nM [³ H]-ketanserin; for 5-HT _{2B} , at 22°C in the presence of 2 nM [³ H]-mesulergine; and for 5-HT _{2C} , at 37°C in the presence of 1 nM [³ H]-mesulergine. Nonspecific binding is determined in the presence of 1 μM ketanserin, 10 μM mesulergine, or 10 μM RS-102221 for 5-HT _{2A} , 5-HT _{2B} , or 5-HT _{2C} , respectively. All measurements are performed in triplicate. EVP-6124 is also tested in the 5-HT _{2B} rat gastric fundus tissue response assay. Briefly, inhibition of α-methyl serotonin-induced contraction is isometrically measured. All measurements are performed in duplicate[1].

Solubility Information

Solubility	DMSO: 50 mg/mL (159.06 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 (6.36 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	3.1812 mL	15.9058 mL	31.8117 mL
5 mM	0.6362 mL	3.1812 mL	6.3623 mL
10 mM	0.3181 mL	1.5906 mL	3.1812 mL
50 mM	0.0636 mL	0.3181 mL	0.6362 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

Large CH, et al. J Pharmacol Exp Ther. 2011 Jul;338(1):100-13.

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