

Darigabat

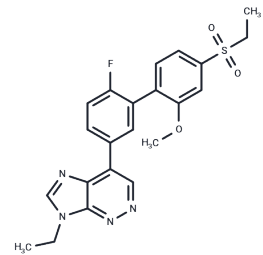
Chemical Properties

CAS No. : 1614245-70-3

Formula: C22H21FN4O3S

Molecular Weight: 440.49

Storage: Keep away from direct sunlight, Store at low temperature
 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	Darigabat (PF-06372865) is an orally active and selective GABAA receptor modulator that crosses the blood-brain barrier and exhibits anxiolytic activity, with K_i values of 2.9 nM, 21 nM, and 134 nM for α_2 , α_1 PAM, and α_2 PAM, respectively. Darigabat is used in the study of anxiety disorders and epilepsy.
Targets(IC50)	GABA Receptor
In vitro	PF-06372865 (Compound 34) co-applied with equimolar concentrations of GABA showed K_i values of 0.18 nM, 2.9 nM, 1.1 nM, and 18 nM for human GABAA $\alpha_1\beta_3\gamma_2$, $\alpha_2\beta_2\gamma_2$, $\alpha_3\beta_3\gamma_2$, and $\alpha_5\beta_2\gamma_2$, respectively[1].
In vivo	In the maximal electroshock seizure (MES) model in rats, PF-06372865 at both doses of 3 and 10 mg/kg significantly increased the hindlimb withdrawal latency. In the pentylenetetrazole (PTZ) seizure model in mice, PF-06372865 at all doses of 0.3, 3, and 10 mg/kg significantly increased the seizure onset latency[1].

Solubility Information

Solubility	DMSO: 30 mg/mL (68.11 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 (4.54 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	2.2702 mL	11.351 mL	22.702 mL
5 mM	0.454 mL	2.2702 mL	4.5404 mL
10 mM	0.227 mL	1.1351 mL	2.2702 mL
50 mM	0.0454 mL	0.227 mL	0.454 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

Owen RM, et al. Design and Identification of a Novel, Functionally Subtype Selective GABAA Positive Allosteric Modulator (PF-06372865). J Med Chem. 2019 Jun 27;62(12):5773-5796.

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