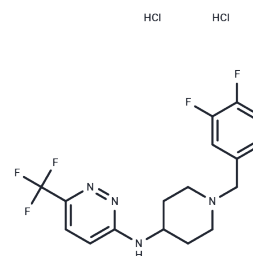


JNJ-37822681 dihydrochloride

Chemical Properties

| | |
|-------------------|---|
| CAS No. : | 2108806-02-4 |
| Formula: | C17H19Cl2F5N4 |
| Molecular Weight: | 445.26 |
| 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-37822681 dihydrochloride is a novel, highly selective dopamine D ₂ receptor antagonist characterized by a rapid dissociation rate from the dopamine D ₂ receptor. JNJ-37822681 dihydrochloride shows a moderate binding affinity for the dopamine D _{2L} receptor with a K _i of 158 nM. |
| Targets(IC50) | Dopamine Receptor |
| In vivo | JNJ-37822681 dihydrochloride occupied D ₂ receptors in rat brain at relatively low doses (ED ₅₀ = 0.39 mg/kg) and was effective in animal models of psychosis (e.g., inhibition of apomorphine-induced stereotypy or D-amphetamine/phencyclidine-induced hyperlocomotion)[4]. |

Solubility Information

| | |
|---------------------|--|
| Solubility | DMSO: 70 mg/mL (157.21 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 (4.49 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 | 2.2459 mL | 11.2294 mL | 22.4588 mL |
| 5 mM | 0.4492 mL | 2.2459 mL | 4.4918 mL |
| 10 mM | 0.2246 mL | 1.1229 mL | 2.2459 mL |
| 50 mM | 0.0449 mL | 0.2246 mL | 0.4492 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

- Langlois X, et al. Pharmacology of JNJ-37822681, a specific and fast-dissociating D2 antagonist for the treatment of schizophrenia. *J Pharmacol Exp Ther*. 2012 Jul;342(1):91-105.
- de Waal EJ, et al. Differential responses to JNJ-37822681, a specific and fast dissociating dopamine D2 receptor antagonist, in cynomolgus monkey and Sprague-Dawley rat general toxicology studies: clinical observations, prolactin levels, mammary histopathology findings and toxicokinetics. *J Appl Toxicol*. 2014 Sep;34(9):974-92.
- Daly EJ, et al. Metabolic and body mass parameters after treatment with JNJ-37822681, a novel fast-dissociating D2 receptor antagonist, vs olanzapine in patients with schizophrenia. *Ann Clin Psychiatry*. 2013 Aug;25(3):173-83.
- Hoeben E, et al. Population pharmacokinetics of JNJ-37822681, a selective fast-dissociating dopamine D₂-receptor antagonist, in healthy subjects and subjects with schizophrenia and dose selection based on simulated D₂-receptor occupancy. *Clin Pharmacokinet*. 2013 Nov;52(11):1005-15.

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