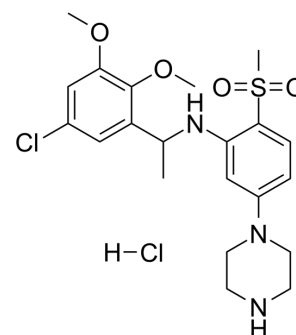


PRX-07034 hydrochloride

| | |
|---------------------------|--|
| Cat. No.: | HY-14559 |
| CAS No.: | 903580-39-2 |
| Molecular Formula: | C ₂₁ H ₂₉ Cl ₂ N ₃ O ₄ S |
| Molecular Weight: | 490.44 |
| Target: | 5-HT Receptor |
| Pathway: | GPCR/G Protein; Neuronal Signaling |
| Storage: | -20°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture) |



SOLVENT & SOLUBILITY

| | | | | | | |
|---|---|----------------------|-------------|-------------|-------------|--------------|
| In Vitro | DMSO : 31.25 mg/mL (63.72 mM; ultrasonic and warming and heat to 60°C) | | | | | |
| | H ₂ O : 4.76 mg/mL (9.71 mM; Need ultrasonic) | | | | | |
| | Preparing Stock Solutions | Solvent | Mass | 1 mg | 5 mg | 10 mg |
| | | Concentration | | | | |
| | | 1 mM | | 2.0390 mL | 10.1949 mL | 20.3899 mL |
| 5 mM | | | 0.4078 mL | 2.0390 mL | 4.0780 mL | |
| | 10 mM | | 0.2039 mL | 1.0195 mL | 2.0390 mL | |
| Please refer to the solubility information to select the appropriate solvent. | | | | | | |
| In Vivo | 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (4.24 mM); Clear solution | | | | | |
| | 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (4.24 mM); Clear solution | | | | | |
| | 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (4.24 mM); Clear solution | | | | | |

BIOLOGICAL ACTIVITY

| | | | | |
|-------------------------------------|---|---|---|---|
| Description | PRX-07034 hydrochloride is a highly selective and potent 5-HT ₆ receptor antagonist with a K _i = 4-8 nM and an IC ₅₀ of 19 nM. PRX-07034 can be used for the research of enhancing working memory and cognitive flexibility ^[1] . | | | |
| IC₅₀ & Target | 5-HT ₆ Receptor 4-8 nM (K _i) | 5-HT ₆ Receptor 19 nM (IC ₅₀) | 5-HT _{1B} Receptor 260 nM (K _i) | 5-HT _{1A} Receptor 420 nM (K _i) |
| | 5-HT _{1D} Receptor 2.8 μM (K _i) | 5-HT _{2A} Receptor 2.5 μM (IC ₅₀) | 5-HT _{2B} Receptor 2.5 μM (IC ₅₀) | 5-HT _{2C} Receptor 3.7 μM (IC ₅₀) |

| | Dopamine D3 Receptor 71 nM (Ki) | Opioid μ Receptor 0.45 μ M (Ki) | Histamine H2 Receptor 0.64 μ M (Ki) | | | | | | | | |
|-----------------|---|--|--|---------------|--|---------|--------------------|-----------------|--------------------------|---------|--|
| In Vitro | <p>PRX-07034 is both a potent and highly selective 5-HT6 receptor antagonist (≥ 100-fold selectivity for the 5-HT6 receptor compared to 68 other GPCRs, ion channels, and transporters). PRX-07034 inhibits 5-HT1A, 5-HT1B, 5-HT1D, Dopamine D3, Histamine H2, and Opioid μ receptor with K_is of 420 nM, 260 nM, 2.8 μM, 71 nM, 0.64 μM, and 0.45 μM, respectively. PRX-07034 inhibits 5-HT2A, 5-HT2B, and 5-HT2C receptors with IC_{50}s of 2.5 μM, 2.5 μM, and 3.7 μM, respectively^[1]. In functional assays, PRX-07034 demonstrates low antagonist activity for the dopamine D3 receptor ($IC_{50}=4.8 \mu$M) and very low agonistic activity for the opioid μ-receptor ($EC_{50}=19 \mu$M)^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> | | | | | | | | | | |
| In Vivo | <p>PRX-07034 (0.1, 1, or 3 mg/kg; i.p.; 30 min prior to delayed spontaneous alternation testing) selectively enhances a switch to a place discrimination^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tbody> <tr> <td>Animal Model:</td> <td>Male Long-Evans rats weighing approximately 350 g^[1]</td> </tr> <tr> <td>Dosage:</td> <td>0.1, 1, or 3 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Injected intraperitoneal</td> </tr> <tr> <td>Result:</td> <td>1 and 3 mg/kg (but not 0.1 mg/kg) significantly enhanced delayed spontaneous alternation. The drug at 1 and 3 mg/kg also enhanced switching between a place and response strategy, but did not affect initial learning of either a place or response discrimination.</td> </tr> </tbody> </table> | | | Animal Model: | Male Long-Evans rats weighing approximately 350 g ^[1] | Dosage: | 0.1, 1, or 3 mg/kg | Administration: | Injected intraperitoneal | Result: | 1 and 3 mg/kg (but not 0.1 mg/kg) significantly enhanced delayed spontaneous alternation. The drug at 1 and 3 mg/kg also enhanced switching between a place and response strategy, but did not affect initial learning of either a place or response discrimination. |
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| Dosage: | 0.1, 1, or 3 mg/kg | | | | | | | | | | |
| Administration: | Injected intraperitoneal | | | | | | | | | | |
| Result: | 1 and 3 mg/kg (but not 0.1 mg/kg) significantly enhanced delayed spontaneous alternation. The drug at 1 and 3 mg/kg also enhanced switching between a place and response strategy, but did not affect initial learning of either a place or response discrimination. | | | | | | | | | | |

REFERENCES

[1]. Eric G Mohler, et al. The effects of PRX-07034, a novel 5-HT6 antagonist, on cognitive flexibility and working memory in rats. *Psychopharmacology (Berl)*. 2012 Apr;220(4):687-96.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA