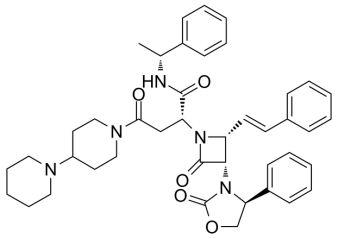
# SRX246

| | |
|---|---|
| **Cat. No.:** | HY-105685 |
| **CAS No.:** | 512784-93-9 |
| **Molecular Formula:** | $C_{42}H_{49}N_5O_5$ |
| **Molecular Weight:** | 703.87 |
| **Target:** | Vasopressin Receptor |
| **Pathway:** | GPCR/G Protein |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 2 years<br>-20°C 1 year |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 100 mg/mL (142.07 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 1.4207 mL | 7.1036 mL | 14.2072 mL |
| | 5 mM | 0.2841 mL | 1.4207 mL | 2.8414 mL |
| | 10 mM | 0.1421 mL | 0.7104 mL | 1.4207 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: ≥ 2.5 mg/mL (3.55 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.55 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

SRX246 is a potent, CNS-penetrant, highly selective, orally bioavailable vasopressin 1a (V1a) receptor antagonist ($K_i$=0.3 nM for human V1a). SRX246 has no interaction at V1b and V2 receptors. SRX246 also displays negligible binding at 64 others receptors classes, including 35 G-proteincoupled receptors. SRX246 can be used for treatment of stress-related disorders[1].

**$IC_{50}$ & Target**

Ki: 0.3 nM (human vasopressin 1a receptor)[1]

**In Vivo**

SRX246 (2 mg/kg; i.v.) treatment shows that the $C_{max}$, $AUC_{0-\infty}$ and $t_{1/2}$ values are 953 ng/mL, 1141 ng ⊠h/mL, and 6.02 hours, respectively, in plasma pharmacokinetics. Following an oral administration (dose 20 mg/kg), The $C_{max}$, $AUC_{0-\infty}$ and $t_{1/2}$ values are 98.4 ng/mL, 624 ng ⊠h/mL and 2.38 hours, respectively[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|---|---|
| Animal Model: | Male Sprague-Dawley rats[1] |
| Dosage: | 2 mg/kg (20 mg/kg for p.o.) |
| Administration: | i.v. (Pharmacokinetic Analysis) |
| Result: | Following i.v. administration, the plasma concentration declined steadily with a half-life ($t_{1/2}$) of 6 hours. The $C_{max}$ and $AUC_{0-\infty}$ values are 953 ng/mL, 1141 ng·h/mL, 6.02 hours. Following an oral administration, the $C_{max}$, $AUC_{0-\infty}$ and $t_{1/2}$ values 98.4 ng/mL, 624 ng·h/mL and 2.38 hours, respectively. |

## REFERENCES


[1]. Guillon CD, et al. Azetidinones as vasopressin V1a antagonists. Bioorg Med Chem. 2007 Mar 1;15(5):2054-80.

[2]. Fabio KM, et al. Pharmacokinetics and metabolism of SRX246: a potent and selective vasopressin 1a antagonist. J Pharm Sci. 2013 Jun;102(6):2033-2043.





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