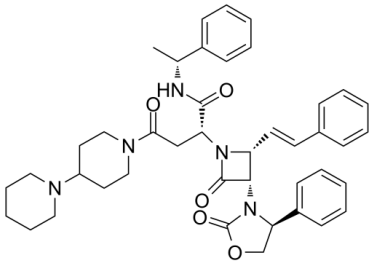
Data Sheet

Product Name:	SRX246
Cat. No.:	CS-0026445
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
Solubility:	DMSO : 100 mg/mL (142.07 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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]. IC50 & 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].

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.

CAIndexNames:

[1,4'-Bipiperidine]-1'-butanamide, γ-oxo-α-[(3S,4R)-2-oxo-3-[(4S)-2-oxo-4-phenyl-3-oxazolidinyl]-4-[(1E)-2-phenylethenyl]-1-azetidinyl]-N-[(1R)-1-phenylethyl]-, (αR)-

SMILES:

O=C(N1CCC(N2CCCCC2)CC1)C[C@H](C(N[C@@H](C3=CC=CC=C3)C)=O)N4[C@@H]([C@H](N5[C@@H](C6=CC=CC=C6)COC5=O)C4=O)/C=C/C7=CC=CC=C7

Tel: 610-426-3128 Fax: 888-484-5008 E-mail: sales@ChemScene.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA