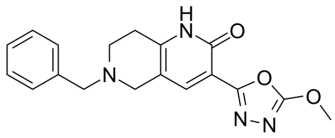
SX-3228

Cat. No.:	HY-100291
CAS No.:	156364-04-4
Molecular Formula:	$C_{18}H_{18}N_4O_3$
Molecular Weight:	338.36
Target:	GABA Receptor
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	SX-3228 is a selective benzodiazepine1 (BZ1) receptor agonist with an IC_{50} of 17 nM.
IC_{50} & Target	IC50: 17 nM (BZ1 receptor)[1]
In Vitro	SX-3228 is a selective ligand for the BZ1 receptor. Among the BZ-receptor subtypes, SX-3228 preferentially binds to the BZ1 receptor (IC_{50}=17 nM). It has very weak affinity for the BZ2 receptor (spinal cord: IC_{50}=127 nM), and virtually no affinity for the peripheral type BZ receptor (kidney: IC_{50}>10000 nM). A compound with similar selectivity, SX-3228 has been shown to bind to BZ receptors, but not to dopamine (D_1, D_2), serotonin (5-HT_1, 5-HT_2 and 5-HT_3 subtypes), noradrenaline (α_1, α_2, β), GABA or acetylcholine (muscarinic) subtypes[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Administration of 0.5-2.5 mg/kg SX-3228 to rats during the light phase induces a significant reduction of rapid-eye-movement sleep (REMS) (P<0.05) during the third recording hour. Administration of SX-3228 (0.5-2.5 mg/kg) at the beginning of the dark period significantly and dose-dependently reduces waking (W) and increases slow wave sleep (SWS) during the 6-h recording period (P<0.05-0.01); however, significant changes during the last recording hour are restricted to the 2.5 mg/kg dose (P<0.01)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Rats[1] Twelve male Wistar rats (350-380 g) are used. Subcutaneous (sc) injections are given in a final volume of 1.0 mL/kg. All rats are given the corresponding volume of control solution (saline+Tween-80) in the control sessions. Following sc injection, a 6-h sleep recording is started at approximately 8:00 a.m. At least 4 days are allowed to elapse between injections to avoid long-lasting and rebound effects on sleep. The effects of SX-3228 0.5-2.5 mg/kg are studied in one group of animals (N=6) during the light phase of the 12-h light:12-h dark cycle, starting 1 h after the beginning of the light period. Polysomnographic recordings are started immediately after control solution or drug administration. Each rat receives all four treatments (control, and 0.5, 1.0, 2.5 mg/kg SX-3228). MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Alvariño F, et al. Effect of SX-3228, a selective ligand for the BZ1 receptor, on sleep and waking during the light-dark cycle in the rat. Braz J Med Biol Res. 1999 Aug;32(8):1007-14.

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