PRODUCT INFORMATION



JNJ-10397049

Item No. 14139

CAS Registry No.: 708275-58-5

Formal Name: N-(2,4-dibromophenyl)-N'-

[(4S,5S)-2,2-dimethyl-4-phenyl-

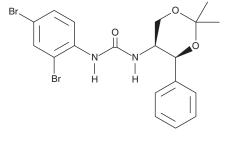
1,3-dioxan-5-yl]-urea

MF: $C_{19}H_{20}Br_2N_2O_3$

FW: 484.2 **Purity:** ≥98% UV/Vis.: λ_{max} : 251 nm Supplied as: A crystalline solid

Storage: -20°C Stability: ≥2 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.



Laboratory Procedures

JNJ-10397049 is supplied as a crystalline solid. A stock solution may be made by dissolving the JNJ-10397049 in the solvent of choice, which should be purged with an inert gas. JNJ-10397049 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of JNJ-10397049 in ethanol and DMSO is approximately 30 mg/ml and approximately 50 mg/ml in DMF.

JNJ-10397049 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, JNJ-10397049 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. JNJ-10397049 has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

JNJ-10397049 is a potent, selective, and bioavailable antagonist of the orexin-2 receptor (OX2R) $(K_R = 4.5 \text{ nM for OX2R } \text{versus } 1.1 \text{ } \mu\text{M} \text{ for OX1R}).^{1-3} \text{ It has no significant affinity for over 50 other}$ neurotransmitters or neuropeptide receptors. Applied subcutaneously to rats, JNJ-10397049 decreases the latency to persistent sleep and increases persistent sleep time. This compound produces a widespread attenuation of D-amphetamine-induced relative cerebrovascular signal, with prominent cortical involvement, in rat brains assessed by functional magnetic resonance imaging.⁴

References

- 1. Dugovic, C., Shelton, J.E., Aluisio, L.E., et al. Blockade of orexin-1 receptors attenuates orexin-2 receptor antagonism-induced sleep promotion in the rat. J. Pharmacol. Exp. Ther. 330(1), 142-151 (2009).
- Faedo, S., Perdonà, E., Antolini, M., et al. Functional and binding kinetic studies make a distinction between OX1 and OX2 orexin receptor antagonists. Eur. J. Pharmacol. 692(1-3), 1-9 (2012).
- Tran, D.T., Bonaventure, P., Hack, M., et al. Chimeric, mutant orexin receptors show key interactions between orexin receptors, peptides and antagonists. Eur. J. Pharmacol. 667(1-3), 120-128 (2011).
- Gozzi, A., Turrini, G., Piccoli, L., et al. Functional magnetic resonance imaging reveals different neural substrates for the effects of orexin-1 and orexin-2 receptor antagonists. PLoS One 6(1), e16406 (2011).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD ANN ARBOR, MI 48108 · USA PHONE: [800] 364-9897

[734] 971-3335

FAX: [734] 971-3640 CUSTSERV@CAYMANCHEM.COM WWW.**CAYMANCHEM**.COM