

Product Data Sheet

JNJ-5207787

Cat. No.: HY-107732 CAS No.: 683746-68-1 Molecular Formula: $C_{32}H_{38}N_4O_2$ Molecular Weight: 510.67

Target: Neuropeptide Y Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Powder -20°C 3 years

In solvent -80°C 6 months

-20°C 1 month

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BIOLOGICAL ACTIVITY

Description

JNJ-5207787 is a nonpeptidic, selective and penetrate the blood-brain barrier neuropeptide Y Y_2 receptor (Y_2) antagonist.

JNJ-5207787 inhibits the binding of peptide YY (PYY) with pIC₅₀s of 7.0 and 7.1 for human Y_2 receptor and rat Y_2 receptor, respectively. JNJ-5207787 is >100-fold selective versus human Y_1 , Y_4 , and Y_5 receptors^[1].

 IC_{50} & Targethuman Y_2 receptorrat Y_2 receptor7.0 (pIC_{50})7.1 (pIC_{50})

In Vitro JNJ-5207787 (0.01, 0.1, 1, 10 μM) has antagonistic properties and inhibits the PYY-stimulated [35S]GTPγS binding to basal

level with a p IC_{50} corr of 7.20^[1].

JNJ-5207787 (10 μ M; 15 min) inhibits [125 I]PYY labeling in lateral septum, cerebellum, ventral tegmental area, substantia

nigra, hippocampus, septum, amygdala, and hypothalamus $^{[1]}$.

 $\label{eq:mce} \mbox{MCE has not independently confirmed the accuracy of these methods. They are for reference only.}$

In Vivo JNJ-5207787 (i.p.; 30 mg/kg) penetrates into the brain (C_{max}=1351 ng/ml at 30 min) and occupies Y₂ receptor binding sites^[1].

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Animal Model:	Sixteen female Sprague-Dawley Rats (approximately 300 g of body weight) $^{[1]}$	
Dosage:	30 mg/kg	
Administration:	IP	
Result:	Penetrated into the brain (C_{max} =1351 ng/ml at 30 min) and occupied Y_2 receptor binding sites.	

REFERENCES

[1]. Bonaventure P, et al. Characterization of N-(1-Acetyl-2,3-dihydro-1H-indol-6-yl)-3-(3-cyano-phenyl)-N-[1-(2-cyclopentyl-ethyl)-piperidin-4yl]acrylamide (JNJ-5207787), a small molecule antagonist of the neuropeptide YY2 receptor. J Pharmacol Exp Ther. 2004

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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