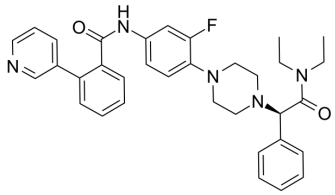
(R)-JNJ-31020028

Cat. No.:	HY-107479
CAS No.:	1094873-17-2
Molecular Formula:	$C_{34}H_{36}FN_5O_2$
Molecular Weight:	565.68
Target:	Neuropeptide Y Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

(R)-JNJ-31020028 is a high affinity, selective brain penetrant neuropeptide Y Y2 receptor antagonist, with pIC_{50} values of 8.07, 8.22 and 8.21 for human, rat, and mouse Y2 receptor, respectively. (R)-JNJ-31020028 shows >100-fold selective versus human Y1, Y4, and Y5 receptors. (R)-JNJ-31020028 has antidepressant like effects[1][2].

IC_{50} & Target

human Y_2 receptor	rat Y_2 receptor	mouse Y_2 receptor
8.07 (pIC_{50})	8.22 (pIC_{50})	8.21 (pIC_{50})

In Vivo

(R)-JNJ-31020028 (5.6 μg; chronic icv infusion; daily for 10 days) has antidepressant like effects in the olfactory bulbectomized rat (OBX) model[1].

Chronic icv administration of (R)-JNJ-31020028 at 5.6 μg/day using osmotic Alzet pump resulted in a significant decreases number of grooming events[1].

(R)-JNJ-31020028 treatment shows the C_{max}, T_{max} , AUC_{inf}, V_d, and $t_{1/2}$ were 4.35 μM, 0.5 hours, 7.91 h μM and 0.83 hours, respectively[1].

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

Animal Model:	Male Sprague Dawley rats weighing 150-170 (OBX model)[1]
Dosage:	5.6 μg
Administration:	chronic icv infusion; daily for 10 days
Result:	Reduced OBX rat immobility time.

Animal Model:	male Sprague-Dawley rat[2]
Dosage:	10 mg/kg
Administration:	s.c. (Pharmacokinetic Analysis)
Result:	The C_{max}, T_{max} , AUC_{inf}, V_d, and $t_{1/2}$ were 4.35 μM, 0.5 hours, 7.91 h μM and 0.83 hours, respectively.

REFERENCES

[1]. Shoblock JR, et al. In vitro and in vivo characterization of JNJ-31020028 (N-(4-{4-[2-(diethylamino)-2-oxo-1-phenylethyl]piperazin-1-yl}-3-fluorophenyl)-2-pyridin-3-ylbenzamide), a selective brain penetrant small molecule antagonist of the neuropeptide Y Y(2) receptor. Psychopharmacology (Berl). 2010 Feb;208(2):265-77.

[2]. Morales-Medina JC, et al. Chronic administration of the Y2 receptor antagonist, JNJ-31020028, induced anti-depressant like-behaviors in olfactory bulbectomized rat. Neuropeptides. 2012 Dec;46(6):329-34.

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