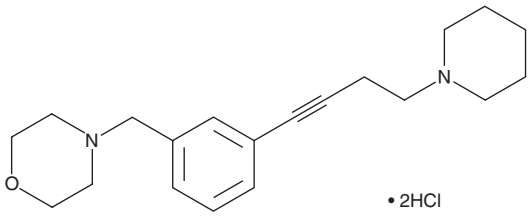
PRODUCT INFORMATION

JNJ-10181457 (hydrochloride)

Item No. 11997

CAS Registry No.:	544707-20-2
Formal Name:	4-[[3-[4-(1-piperidinyl)-1-butyn-1-yl]phenyl]methyl]-morpholine, dihydrochloride
Synonym:	RWJ 662733
MF:	$C_{20}H_{28}N_2O \bullet 2HCl$
FW:	385.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 240, 251 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



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

Laboratory Procedures

JNJ-10181457 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the JNJ-10181457 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. JNJ-10181457 (hydrochloride) is soluble in DMSO. JNJ-10181457 (hydrochloride) is also soluble in water. We do not recommend storing the aqueous solution for more than one day.

Description

JNJ-10181457 is an antagonist of histamine H_3 receptors (K_is = 1.17 and 7.08 nM for human and rat receptors, respectively).[1] It increases extracellular norepinephrine and acetylcholine levels in the frontal cortex of rats when administered subcutaneously at a dose of 10 mg/kg. JNJ-10181457 (1.25-10 mg/kg, p.o.) reduces the number of cataplectic attacks and time spent in cataplexy in familial narcoleptic Dobermans. In mice, JNJ-10181457 (10 mg/kg) decreases the time spent in the open areas of the elevated zero maze, as well as increases locomotor activity in an open field test.[2] It also inhibits LPS-induced increases in time spent immobile in the tail suspension test in mice.[3]

References

1. Bonaventure, P., Letavic, M., Dugovic, C., *et al*. Histamine H_3 receptor antagonists: From target identification to drug leads. *Biochem. Pharmacol.* **73(8)**, 1084-1096 (2007).
2. Mohsen, A., Yoshikawa, T., Miura, Y., *et al*. Mechanism of the histamine H_3 receptor-mediated increase in exploratory locomotor activity and anxiety-like behaviours in mice. *Neuropharmacology* **81**, 188-194 (2014).
3. Iida, T., Yoshikawa, T., Kárpáti, A., *et al*. JNJ10181457, a histamine H3 receptor inverse agonist, regulates *in vivo* microglial functions and improves depression-like behaviours in mice. *Biochem. Biophys. Res. Commun*. **488(3)**, 534-540 (2017).

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