# **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			$\bigcap$
Synonym:	RWJ 662733			
MF:	C <sub>20</sub> H <sub>28</sub> N <sub>2</sub> O • 2HCl			$//\sim$
FW:	385.4		$\checkmark$	
Purity:	≥98%			
UV/Vis.:	λ <sub>max</sub> : 240, 251 nm	٥́		• 2HCI
Supplied as:	A crystalline solid	$\sim$	$\sim$	• 2001
Storage:	-20°C			
Stability:	≥4 years			
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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<sub>i</sub>s = 1.17 and 7.08 nM for human and rat receptors, respectively).<sup>1</sup> 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.<sup>2</sup> It also inhibits LPS-induced increases in time spent immobile in the tail suspension test in mice.<sup>3</sup>

#### References

- 1. Bonaventure, P., Letavic, M., Dugovic, C., et al. Histamine H<sub>3</sub> 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<sub>3</sub> receptor-mediated increase in exploratory locomotor activity and anxiety-like behaviours in mice. Neuropharmacology 81, 188-194 (2014).
- 3. lida, 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).

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

#### SAFFTY DATA

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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