

Product Name : JNJ-5207852 dihydrochloride

Synonyms : —

Cat No. : M22976

CAS Number : 1782228-76-5

Molecular Formula : C₂₀H₃₄Cl₂N₂O

Formula Weight : 389.4

Chemical Name : —

Description : JNJ-5207852 is a novel, non-imidazole histamine H₃ receptor antagonist, with high affinity at the rat (pK_i=8.9) and human (pK_i=9.24) H₃ receptor. JNJ-5207852 is a potent dibasic amine antagonist that binds potently to rat H₃ receptors (K_i=1.2? nm), and has good brain penetration. In ex vivo binding studies in mice, the compound had an ED₅₀ of 0.13?mg?kg⁻¹, subcutaneously. It promotes wakefulness in rodents at 10?mg?kg⁻¹ s.c. but not at 1?mg?kg⁻¹, and significantly, this effect was absent in H₃ receptor KO mice. JNJ-10181457 is also a dibasic amine antagonist that exhibits high-affinity binding for the rat H₃ receptor (K_i=7.1?nm), promoting wakefulness in rodents and reducing cataplectic attacks in narcoleptic dogs. JNJ-10181457 improved cognitive performance in SHR pups at 10?mg?kg⁻¹.

Pathway : GPCR/G Protein

Target : Histamine Receptor

Receptor : H₃ receptor

Solubility : —

SMILES : [H]Cl.[H]Cl.N1(CCCOC2=CC=C(CN3CCCCC3)C=C2)CCCCC1

Storage : (-20°C)

Stability : ≥ 2 years

Reference :

1. Esbenshade T A , Brownman K E , Bitner R S , et al. The histamine H₃ receptor: an attractive target for the treatment of cognitive disorders[J]. 2008, 154(6):1166-1181.