Product Datasheet

ML218

Catalog No. **BP-01213** · CAS **1346233-68-8** · Form **free base** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-04**

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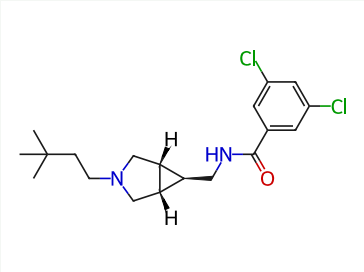
Target	Research area
Calcium Channel	**Neurological Disease**

Molecular formula	**$C_{19}H_{26}Cl_2N_2O$**
Molecular weight	**369.3290**

STRUCTURE



DESCRIPTION

ML218 is a potent, selective and orally active T-type Ca 2+ channels (Cav3.1, Cav3.2, Cav3.3) inhibitor with IC50s of 310 nM and 270 nM for Cav3.2 and Cav3.3, respectively. ML218 inhibits the burst activity in subthalamic nucleus (STN) neurons. ML218 has no significant inhibition of L- or N-type calcium channels, KATP or hERG potassium channels. ML218 can penetrate the blood-brain barrier .

BIOLOGICAL ACTIVITY

In Vitro
In plasma protein binding studies (equilibrium dialysis), ML218 possesses good free fraction in both rat and human. Intrinsic clearance experiments in liver microsomes indicated that ML218 is highly cleared in rat (CLint = 115 mL/min/kg), but low to moderately cleared in human liver microsomes (CLint = 12.7 mL/min/kg).

In Vivo
ML218 (0.03-30 mg/kg; oral administration; once; male Sprague-Dawley rats) treatment reverses cataleptic behavior in rats induced by a 0.75 mg/kg dose of haloperidol.

Free brain and plasma concentrations of ML218 increases in a dose proportional manner across the dose range (3 mg/kg: [plasma] = 98 nM, [brain] = 1.66 μM; 10 mg/kg: [plasma] = 282 nM, [brain] = 5.03 μM; 30 mg/kg: 1.2 μM, [brain] = 17.7 μM).

Noncompartmental pharmacokinetic analysis indicates ML218 (1 mg/kg, IV) has a mean residence time (MRT) of nearly 7 h, a value which is consistent with its terminal half-life (t1/2 = 7 h).

SOLUBILITY

soluble in DMSO

REFERENCES

[1]. Xiang Z, et al. The Discovery and Characterization of ML218: A Novel, Centrally Active T-Type Calcium Channel Inhibitor with Robust Effects in STN Neurons and in a Rodent Model of Parkinson's Disease. ACS Chem Neurosci. 2011 Dec 21;2(12):730-742.

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