GTS-21 dihydrochloride

Cat. No.: HY-14564A

CAS No.: 156223-05-1

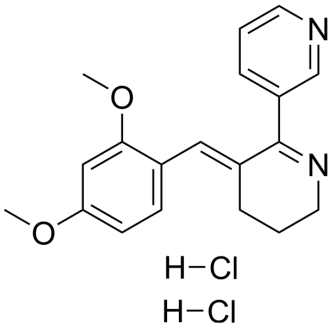
Molecular Formula: $C_{19}H_{22}Cl_2N_2O_2$

Molecular Weight: 381

Target: nAChR; 5-HT Receptor

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling; GPCR/G Protein

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 50 mg/mL (131.23 mM; Need ultrasonic)
DMSO : 16.5 mg/mL (43.31 mM; Need ultrasonic and warming)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6247 mL	13.1234 mL	26.2467 mL
5 mM	0.5249 mL	2.6247 mL	5.2493 mL
10 mM	0.2625 mL	1.3123 mL	2.6247 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 75 mg/mL (196.85 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.56 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.56 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.56 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GTS-21 dihydrochloride is a selective alpha7 nicotinic acetylcholine receptor (α7-nAChR) agonist with anti‐inflammatory and cognition‐enhancing activities. GTS-21 dihydrochloride is also a α4β2 (K_i=20 nM for humanα4β2) and 5-HT3A receptor (IC_{50}=3.1 μM) antagonist[1][2].

IC_{50} & Target	α7-nAChR	human α4β2 20 nM (Ki)	5-HT_{3A} Receptor 3.1 μM (IC_{50})

In Vitro	GTS-21 bound to human α4β2 nAChR (K_i=20 nM) 100-fold more potently than to humanα7-nAChR, and is 18- and 2-fold less potent than (-)-nicotine at human α4β2 and a7 nAChR, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	GTS 21 (4 mg/kg; i.p.; 1, 3, 7, 14 and 21 days) reduces radiation induced histological signs of pulmonary injury[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57BL6 mice were irradiated with 12 Gy to induce a mouse model of Radiation induced lung injury (RILI)[3]
Dosage:	4 mg/kg
Administration:	I.p.; 1, 3, 7, 14 and 21 days
Result:	Reduces lung inflammatory infiltrate and fibrosis in radiation treated mice.

CUSTOMER VALIDATION

- Biomed Pharmacother. May 2022, 112733.
- Cell Death Discov. 2022 Feb 8;8(1):54.
- Cell Death Discov. 2021 Mar 29;7(1):63.
- Diabetes Obes Metab. 2022 Jul;24(7):1255-1266.
- Front Pharmacol. 2021 Mar 17;12:593682.

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REFERENCES

[1]. Briggs CA, et al. Functional characterization of the novel neuronal nicotinic acetylcholine receptor ligand GTS-21 in vitro and in vivo. Pharmacol Biochem Behav. 1997;57(1-2):231-241.

[2]. Zhang R, et al. N-terminal domains in mouse and human 5-hydroxytryptamine3A receptors confer partial agonist and antagonist properties to benzylidene analogs of anabaseine. J Pharmacol Exp Ther. 2006;317(3):1276-1284.

[3]. Mei Z, et al. α7 nAChR agonist GTS 21 reduces radiation induced lung injury. Oncol Rep. 2018;40(4):2287-2297.

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