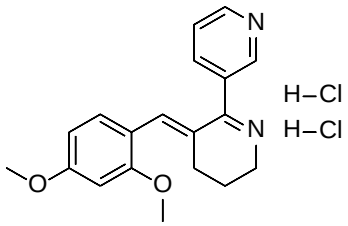
Product data sheet

MedKoo Cat#: 522507 Name: GTS-21 HCl CAS#: 156223-05-1 (HCl) Chemical Formula: $C_{19}H_{22}Cl_2N_2O_2$ Exact Mass: 308.1525 Molecular Weight: 381.30	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
GTS-21, also known as DMBX-A, is a derivative of the natural product anabaseine that acts as a partial agonist at neural nicotinic acetylcholine receptors. It binds to both the α4β2 and α7 subtypes, but activates only the α7 to any significant extent. Both GTS-21 itself and its demethylated active metabolite 4-OH-GTS-21 display nootropic and neuroprotective effects, and GTS-21 is being investigated for the treatment of Alzheimer's disease, nicotine dependence, and, most significantly, for schizophrenia.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
Water	50.0	131.13
DMSO	12.52	32.84
DMF	1.0	2.62
Ethanol	1.0	2.62
PBS (pH 7.2)	10.0	26.23

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.62 mL	13.11 mL	26.23 mL
5 mM	0.52 mL	2.62 mL	5.25 mL
10 mM	0.26 mL	1.31 mL	2.62 mL
50 mM	0.05 mL	0.26 mL	0.52 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Wang H, Cai D, Chen Z, Wang Y. GTS-21 Promotes α7 nAChR to Alleviate Intestinal Ischemia-Reperfusion-Induced Apoptosis and Inflammation of Enterocytes. Med Sci Monit. 2020 May 17;26:e921618. doi: 10.12659/MSM.921618. PMID: 32417847; PMCID: PMC7251968.

In vivo study

1. Mei Z, Tian X, Chen J, Wang Y, Yao Y, Li X, Yang C, Zhang S, Xie C. α7-nAchR agonist GTS-21 reduces radiation-induced lung injury. Oncol Rep. 2018 Oct;40(4):2287-2297. doi: 10.3892/or.2018.6616. Epub 2018 Aug 1. PMID: 30106431.
2. Kong W, Kang K, Gao Y, Liu H, Meng X, Cao Y, Yang S, Liu W, Zhang J, Yu K, Zhao M. GTS-21 Protected Against LPS-Induced Sepsis Myocardial Injury in Mice Through α7nAChR. Inflammation. 2018 Jun;41(3):1073-1083. doi: 10.1007/s10753-018-0759-x. PMID: 29680908.

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7. Bioactivity

Biological target: GTS-21 dihydrochloride is an alpha7 nicotinic acetylcholine receptor (α7-nAChR) agonist.

In vitro activity

Whether GTS-induced alpha7 nAChR can alleviate ischemia-reperfusion-induced intestinal injury was investigated using intestinal epithelial cells (IEC-6). Results showed that the expression of TNF-alpha, IL-1ß, and IL-6 was enhanced when the IEC-6 cells were cultured under OGD/R (oxygen glucose deprivation/reoxygenation) conditions. However, after treatment with GTS-21, the levels of these proinflammatory factors were suppressed. In addition, the levels of ROS and MDA were also inhibited and the expression of SOD was promoted after GTS-21 treatment. The ratios of apoptotic cells also declined after GTS-21 treatment.

Reference: Med Sci Monit. 2020 May 17;26:e921618. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7251968/

In vivo activity

Whether the nicotinic acetylcholine receptor subtype-7 (α7-nAChR) agonist GTS-21 has a protective effect against RILI (radiation-induced lung injury) was evaluated. C57BL6 mice were irradiated with 12 Gy to induce a mouse model of RILI. Some of the mice received an i.p. injection of 4 mg/kg GTS-21 for three days with or without radiation treatment. The results showed that GTS-21 treatment significantly relieved RILI by decreasing TNF-α, IL-1β and IL-6 production in serum via inhibition of NF-κB activation and downregulation of TLR-4 and HMGB1 expression in the lungs. In addition, GTS-21 inhibited NOX-1 and NOX-2 expression, which subsequently reduced ROS levels and Hif-1α expression in RILI. However, GTS-21 showed little effect on lung tissue without radiation exposure. The protective effect of GTS-21 against RILI is partly attributed to inhibition of the HMGB1/TLR4/NF-κB pathway and ROS production.

Reference: Oncol Rep. 2018 Oct;40(4):2287-2297. https://www.spandidos-publications.com/or/40/4/2287