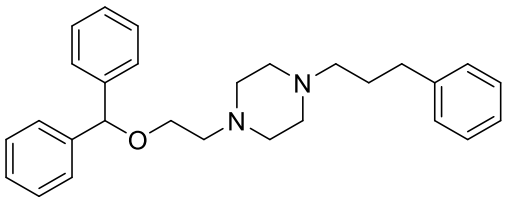
Product data sheet

MedKoo Cat#: 530916 Name: GBR-12935 free base CAS: 76778-22-8 (free base) Chemical Formula: $C_{28}H_{34}N_2O$ Exact Mass: 414.2671 Molecular Weight: 414.593	
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:

GBR-12935 is a piperazine derivative which is a potent and selective dopamine reuptake inhibitor. It was originally developed in its 3H radiolabelled form for the purpose of mapping the distribution of dopaminergic neurons in the brain by selective labelling of dopamine transporter proteins. This has led to potential clinical uses in the diagnosis of Parkinson's disease, although selective radioligands such as Ioflupane (^{123}I) are now available for this application. GBR-12935 is now widely used in animal research into Parkinson's disease and the dopamine pathways in the brain.

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
TBD	TBD	TBD

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.41 mL	12.06 mL	24.12 mL
5 mM	0.48 mL	2.41 mL	4.82 mL
10 mM	0.24 mL	1.21 mL	2.41 mL
50 mM	0.05 mL	0.24 mL	0.48 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. Sogawa C, Eguchi T, Tran MT, Ishige M, Trin K, Okusha Y, Taha EA, Lu Y, Kawai H, Sogawa N, Takigawa M, Calderwood SK, Okamoto K, Kozaki KI. Antiparkinson Drug Benztropine Suppresses Tumor Growth, Circulating Tumor Cells, and Metastasis by Acting on SLC6A3/DAT and Reducing STAT3. Cancers (Basel). 2020 Feb 24;12(2):523. doi: 10.3390/cancers12020523. PMID: 32102440; PMCID: PMC7072357.
2. Shirasaka Y, Lee N, Duan H, Ho H, Pak J, Wang J. Interspecies comparison of the functional characteristics of plasma membrane monoamine transporter (PMAT) between human, rat and mouse. J Chem Neuroanat. 2017 Oct;83-84:99-106. doi: 10.1016/j.jchemneu.2016.09.006. Epub 2016 Sep 15. PMID: 27641077; PMCID: PMC5352556.

In vivo study

1. Xiao C, Shao XM, Olive MF, Griffin WC 3rd, Li KY, Krnjević K, Zhou C, Ye JH. Ethanol facilitates glutamatergic transmission to dopamine neurons in the ventral tegmental area. Neuropsychopharmacology. 2009 Jan;34(2):307-18. doi: 10.1038/npp.2008.99. Epub 2008 Jul 2. PMID: 18596684; PMCID: PMC2676579.

7. Bioactivity

Product data sheet

Biological target:

GBR 12935 is a potent, and selective dopamine reuptake inhibitor.

In vitro activity

GBR-12935 at 20 µM significantly inhibited tumoroid growth (Figure 5A,B). In contrast, the NET inhibitor nisoxetine did not alter tumoroid growth as compared to the untreated control. The MAT inhibitor amitriptyline significantly inhibited tumoroid growth, suggesting that DAT inhibition, but not NET inhibition, was required for tumoroid inhibition. GBR-12935 lowered the cancer cell viability of preformed tumoroids in a concentration-dependent manner (Figure 5C). Thus, DAT inhibition is a common mechanism by which Benz and GBR-12935 inhibit tumor growth.

Reference: Cancers (Basel). 2020 Feb 24;12(2):523. https://pubmed.ncbi.nlm.nih.gov/32102440/

In vivo activity

As illustrated in Figure 7b, 20nM GBR12935 significantly and reversibly increased the frequency of sEPSCs recorded in VTA DA rat neurons (by 48±11%, *n*=6, *p*=0.01).

Reference: Neuropsychopharmacology. 2009 Jan;34(2):307-18. https://pubmed.ncbi.nlm.nih.gov/18596684/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.