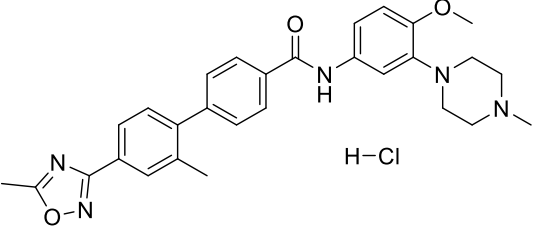


Product data sheet



MedKoo Cat#: 531851 Name: GR 127935 HCl CAS: 148642-42-6 Chemical Formula: C ₂₉ H ₃₂ ClN ₅ O ₃ Exact Mass: 533.2194 Molecular Weight: 534.0570	
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:

GR 127935 HCl is a potent and selective 5-HT_{1B/1D} receptor antagonist (pK_i values are 8.5 for both guinea pig 5-HT_{1D} and rat 5-HT_{1B} receptors). GR 127935 HCl displays > 100-fold selectivity over 5HT_{1A}, 5-HT_{2A}, 5-HT_{2C} receptors and other receptor types.

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
DMSO	1.0	1.87
DMSO:PBS (pH 7.2) (1:1)	0.25	0.47
Water	49.76	93.17

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.87 mL	9.36 mL	18.72 mL
5 mM	0.37 mL	1.87 mL	3.74 mL
10 mM	0.19 mL	0.94 mL	1.87 mL
50 mM	0.04 mL	0.19 mL	0.37 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. Jin X, Li H, Li B, Zhang C, He Y. Knockdown and inhibition of hydroxytryptamine receptor 1D suppress proliferation and migration of gastric cancer cells. *Biochem Biophys Res Commun.* 2022 Sep 10;620:143-149. doi: 10.1016/j.bbrc.2022.06.088. Epub 2022 Jun 28. PMID: 35785570.

In vivo study

1. Suprongsinchai W, Hoffmann J, Akerman S, Goadsby PJ. KCl-induced repetitive cortical spreading depression inhibiting trigeminal neuronal firing is mediated by 5-HT_{1B/1D} and opioid receptors. *Cephalalgia.* 2022 Jul 13:3331024221112998. doi: 10.1177/03331024221112998. Epub ahead of print. PMID: 35833238.
2. Hiraki Y, Araki R, Fujiwara H, Ago Y, Tanaka T, Toume K, Matsumoto K, Yabe T. Kamiuntanto increases prefrontal extracellular serotonin levels and ameliorates depression-like behaviors in mice. *J Pharmacol Sci.* 2019 Feb;139(2):72-76. doi: 10.1016/j.jphs.2018.11.008. Epub 2018 Nov 30. PMID: 30545726.

7. Bioactivity

Biological target:

Product data sheet



GR127935 hydrochloride is a potent and orally active 5-HT_{1D} and 5-HT_{1B} receptor antagonist with pK_is of 8.5 for both isoforms.

In vitro activity

Treatment of the AGS and SGC7901 GC cell lines with the HTR1D inhibitor GR127935 significantly and dose-dependently reduced the expression of HTR1D protein (Fig. S4) as well as cell growth (Fig. 3A), the number of colonies (Fig. 3B), and cell migration (Fig. 3C). Furthermore, treatment of cells with GR127935 showed consistent results with those of the HTR1D knockdown experiments. Moreover, the flow cytometry assay showed that the mechanism by which GR127935 inhibited GC cell proliferation was mediated through cell cycle arrest of AGS and SGC7901 cells in the G1 phase (Fig. 3D).

Reference: Biochem Biophys Res Commun. 2022 Sep 10;620:143-149. <https://pubmed.ncbi.nlm.nih.gov/35785570/>

In vivo activity

GR127935 significantly antagonized the effects of CSD-induced inhibition of the dural-evoked neuronal responses 10 minutes after injection (GR127935, $t_{13} = 2.504$, $P = 0.026$; Figure 4A), while the effect of naloxone was seen at 20 minutes ($t_{13} = 3.471$, $P = 0.004$, Figure 4B) respectively, when compared with inhibition of TCC neuronal firing in the control rat group.

Reference: Cephalalgia. 2022 Jul 13;3331024221112998. <https://pubmed.ncbi.nlm.nih.gov/35833238/>

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.