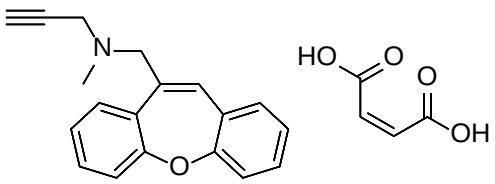
Product data sheet

MedKoo Cat#: 525782 Name: Omigapil maleate CAS: 200189-97-5 (maleate) Chemical Formula: $C_{23}H_{21}NO_5$ Molecular Weight: 391.4165	
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:

Omigapil maleate is a drug that was developed by Novartis and tested in clinical trials for its ability to help treat Parkinson's disease (PD) and amyotrophic lateral sclerosis (ALS). The development for PD and ALS have been terminated due to lack of benefit, but Santhera Pharmaceuticals bought the compound for development for the treatment of congenital muscular dystrophy (CMD).

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
DMF	20.0	51.10
DMSO	36.38	92.94
Ethanol	0.5	1.28
PBS (pH 7.2)	0.5	1.28
Water	3.91	10.0

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.55 mL	12.77 mL	25.55 mL
5 mM	0.51 mL	2.55 mL	5.11 mL
10 mM	0.26 mL	1.28 mL	2.55 mL
50 mM	0.05 mL	0.26 mL	0.51 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. Wägner AM, Cloos P, Bergholdt R, Boissy P, Andersen TL, Henriksen DB, Christiansen C, Christgau S, Pociot F, Nerup J. Post-translational protein modifications in type 1 diabetes: a role for the repair enzyme protein-L-isoaspartate (D-aspartate) O-methyltransferase? Diabetologia. 2007 Mar;50(3):676-81. doi: 10.1007/s00125-006-0556-1. Epub 2007 Jan 10. PMID: 17216280.
2. Waldmeier PC, Spooren WP, Hengerer B. CGP 3466 protects dopaminergic neurons in lesion models of Parkinson's disease. Naunyn Schmiedebergs Arch Pharmacol. 2000 Dec;362(6):526-37. doi: 10.1007/s002100000300. PMID: 11138845.

In vivo study

1. Godfrey WH, Hwang S, Cho K, Shanmukha S, Gharibani P, Abramson E, Kornberg MD. Therapeutic potential of blocking GAPDH nitrosylation with CGP3466b in experimental autoimmune encephalomyelitis. Front Neurol. 2023 Jan 24;13:979659. doi: 10.3389/fneur.2022.979659. PMID: 36761918; PMCID: PMC9902867.
2. Liang F, Shi L, Zheng J, Chen S, Wang Y, Zhang J. Neuroprotective Effects of CGP3466B on Apoptosis Are Modulated by Protein-L-isoaspartate (D-aspartate) O-methyltransferase/Mst1 Pathways after Traumatic Brain Injury in Rats. Sci Rep. 2017 Aug 23;7(1):9201. doi: 10.1038/s41598-017-08196-3. PMID: 28835703; PMCID: PMC5569064.

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7. Bioactivity

Biological target:

Omigapil maleate is an orally bioavailable GAPDH nitrosylation inhibitor.

In vitro activity

CGP 3466 or its hydrogen maleate salt, CGP 3466B, at concentrations between 10(-11) M and 10(-7) M, protected rat embryonic mesencephalic dopaminergic neurons in free-floating or dispersed cell culture from death inflicted by treatment with 1-methyl-4-phenyl pyridinium ion (MPP+) as measured by different readouts such as dopamine uptake, tyrosine hydroxylase activity, and counts of tyrosine hydroxylase-positive cells.

Reference: Naunyn Schmiedebergs Arch Pharmacol. 2000 Dec;362(6):526-37. https://pubmed.ncbi.nlm.nih.gov/11138845/

In vivo activity

This study demonstrates that the multifunctional protein glyceraldehyde-3-phosphate dehydrogenase (GAPDH) is robustly nitrosylated in the CNS in the experimental autoimmune encephalomyelitis (EAE) mouse model of MS. GAPDH nitrosylation is blocked in vivo with daily administration of CGP3466b, a CNS-penetrant compound with an established safety profile in humans. Consistent with the known role of nitrosylated GAPDH (SNO-GAPDH) in neuronal cell death, blockade of SNO-GAPDH with CGP3466b attenuates neurologic disability and reduces axonal injury in EAE independent of effects on the immune system.

Reference: Front Neurol. 2023 Jan 24;13:979659. https://pubmed.ncbi.nlm.nih.gov/36761918/

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.