

Product data sheet



MedKoo Cat#: 406662 Name: GSK2578215A CAS: 1285515-21-0 Chemical Formula: C ₂₄ H ₁₈ FN ₃ O ₂ Exact Mass: 399.13831 Molecular Weight: 399.4254	
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:

GSK2578215A is a potent and highly selective LRRK2 kinase inhibitor. GSK2578215A induces protective autophagy in SH-SY5Y cells: involvement of Drp-1-mediated mitochondrial fission and mitochondrial-derived ROS signaling. Mutations in the leucine-rich repeat kinase 2 (LRRK2) gene have been associated with Parkinson's disease, and its inhibition opens potential new therapeutic options. GSK2578215A induces mitochondrial fragmentation of an early step preceding autophagy. GSK2578215A induced oxidative stress as evidenced by the accumulation of 4-hydroxy-2-nonenal in SH-SY5Y cells.

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	10.0	25.04
DMSO	44.74	112.0
DMSO:PBS (pH 7.2) (1:1)	0.5	1.25
Ethanol	1.0	2.50

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.50 mL	12.52 mL	25.04 mL
5 mM	0.50 mL	2.50 mL	5.01 mL
10 mM	0.25 mL	1.25 mL	2.50 mL
50 mM	0.05 mL	0.25 mL	0.50 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

- Saez-Atienzar S, Bonet-Ponce L, Blesa JR, Romero FJ, Murphy MP, Jordan J, Galindo MF. The LRRK2 inhibitor GSK2578215A induces protective autophagy in SH-SY5Y cells: involvement of Drp-1-mediated mitochondrial fission and mitochondrial-derived ROS signaling. *Cell Death Dis.* 2014 Aug 14;5(8):e1368. doi: 10.1038/cddis.2014.320. PMID: 25118928; PMCID: PMC4454299.
- Reith AD, Bamborough P, Jandu K, Andreotti D, Mensah L, Dossang P, Choi HG, Deng X, Zhang J, Alessi DR, Gray NS. GSK2578215A; a potent and highly selective 2-arylmethoxy-5-substituent-N-arylbenzamide LRRK2 kinase inhibitor. *Bioorg Med Chem Lett.* 2012 Sep 1;22(17):5625-9. doi: 10.1016/j.bmcl.2012.06.104. Epub 2012 Jul 7. PMID: 22863203; PMCID: PMC4208292.

In vivo study

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1. Reith AD, Bamborough P, Jandu K, Andreotti D, Mensah L, Dossang P, Choi HG, Deng X, Zhang J, Alessi DR, Gray NS. GSK2578215A; a potent and highly selective 2-arylmethoxy-5-substituent-N-arylbenzamide LRRK2 kinase inhibitor. *Bioorg Med Chem Lett.* 2012 Sep 1;22(17):5625-9. doi: 10.1016/j.bmcl.2012.06.104. Epub 2012 Jul 7. PMID: 22863203; PMCID: PMC4208292.

7. Bioactivity

Biological target:

GSK2578215A is a potent and highly selective LRRK2 inhibitor, which exhibits IC₅₀s of around 10 nM against both wild-type LRRK2 and the G2019S mutant.

In vitro activity

GSK2578215A induced oxidative stress as evidenced by the accumulation of 4-hydroxy-2-nonenal in SH-SY5Y cells.

Reference: *Cell Death Dis.* 2014 Aug 14;5(8):e1368. <https://pubmed.ncbi.nlm.nih.gov/25118928/>

In vivo activity

Based on these pharmacokinetic properties, pharmacodynamic experiments examining inhibition of LRRK2 Ser910/Ser935 phosphorylation were conducted after intraperitoneal injection with 100 mg/kg of GSK2578215A to normal mice. This study observed complete Ser910 and Ser935 dephosphorylation of LRRK2 in the kidney and spleen, which also demonstrated similar potency relative to LRRK2-IN-1 (Fig. 5).

Reference: *Bioorg Med Chem Lett.* 2012 Sep 1;22(17):5625-9. <https://pubmed.ncbi.nlm.nih.gov/22863203/>

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.