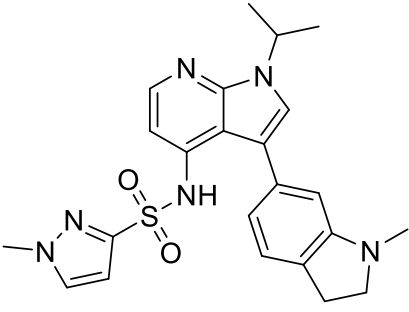


Product data sheet



MedKoo Cat#: 565076 Name: GSK2795039 CAS: 1415925-18-6 Chemical Formula: C ₂₃ H ₂₆ N ₆ O ₂ S Exact Mass: 450.1838 Molecular Weight: 450.561		
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:

GSK2795039 is the first small molecule NADPH oxidase 2 (NOX2) inhibitor.

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	30.0	66.58
DMSO	66.27	147.07
DMSO:PBS (pH 7.2) (1:4)	0.20	0.44
Ethanol	20.0	44.39

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.22 mL	11.10 mL	22.19 mL
5 mM	0.44 mL	2.22 mL	4.44 mL
10 mM	0.22 mL	1.11 mL	2.22 mL
50 mM	0.04 mL	0.22 mL	0.44 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. Hirano K, Chen WS, Chueng AL, Dunne AA, Seredenina T, Filippova A, Ramachandran S, Bridges A, Chaudry L, Pettman G, Allan C, Duncan S, Lee KC, Lim J, Ma MT, Ong AB, Ye NY, Nasir S, Mulyanidewi S, Aw CC, Oon PP, Liao S, Li D, Johns DG, Miller ND, Davies CH, Browne ER, Matsuoka Y, Chen DW, Jaquet V, Rutter AR. Discovery of GSK2795039, a Novel Small Molecule NADPH Oxidase 2 Inhibitor. *Antioxid Redox Signal*. 2015 Aug 10;23(5):358-74. doi: 10.1089/ars.2014.6202. PMID: 26135714; PMCID: PMC4545375.

In vivo study

1. Deng H, Zhang Y, Li GG, Yu HH, Bai S, Guo GY, Guo WL, Ma Y, Wang JH, Liu N, Pan C, Tang ZP. P2X7 receptor activation aggravates NADPH oxidase 2-induced oxidative stress after intracerebral hemorrhage. *Neural Regen Res*. 2021 Aug;16(8):1582-1591. doi: 10.4103/1673-5374.303036. PMID: 33433488; PMCID: PMC8323669.

2. Hu XF, Xiang G, Wang TJ, Ma YB, Zhang Y, Yan YB, Zhao X, Wu ZX, Feng YF, Lei W. Impairment of type H vessels by NOX2-mediated endothelial oxidative stress: critical mechanisms and therapeutic targets for bone fragility in streptozotocin-induced type 1 diabetic mice. *Theranostics*. 2021 Jan 30;11(8):3796-3812. doi: 10.7150/thno.50907. PMID: 33664862; PMCID: PMC7914348.

Product data sheet



7. Bioactivity

Biological target:

GSK2795039 is a NADPH oxidase 2 (NOX2) inhibitor with a mean pIC_{50} of 6 in different cell-free assays. GSK2795039 inhibits reactive oxygen species (ROS) production and NADPH consumption.

In vitro activity

GSK2795039 inhibited both the formation of ROS and the utilization of the enzyme substrates, NADPH and oxygen, in a variety of semirecombinant cell-free and cell-based NOX2 assays. It inhibited NOX2 in an NADPH competitive manner and was selective over other NOX isoforms, xanthine oxidase, and endothelial nitric oxide synthase enzymes.

Reference: Antioxid Redox Signal. 2015 Aug 10;23(5):358-74. <https://pubmed.ncbi.nlm.nih.gov/26135714/>

In vivo activity

Treatment with GSK2795039 significantly improved the neurological function score 72 hours after collagenase injection ($P < 0.05$ or $P < 0.01$; Figure 8E–G) and alleviated brain edema, both 24 and 72 hours after collagenase injection ($P < 0.01$ or $P < 0.001$; Figure 8H), compared with the mouse control groups. These results suggested that the inhibition of NOX2 attenuated P2X7R-mediated oxidative stress following ICH.

Reference: Neural Regen Res. 2021 Aug;16(8):1582-1591. <https://pubmed.ncbi.nlm.nih.gov/33433488/>

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.