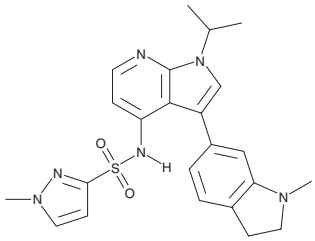
PRODUCT INFORMATION

GSK2795039

Item No. 33777

CAS Registry No.:	1415925-18-6
Formal Name:	N-[3-(2,3-dihydro-1-methyl-1H-indol-6-yl)-1-(1-methylethyl)-1H-pyrrolo[2,3-b]pyridin-4-yl]-1-methyl-1H-pyrazole-3-sulfonamide
MF:	$C_{23}H_{26}N_6O_2S$
FW:	450.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 234 nm
Supplied as:	A solid
Storage:	-20°C
Stability:	≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

GSK2795039 is supplied as a solid. A stock solution may be made by dissolving the GSK2795039 in the solvent of choice, which should be purged with an inert gas. GSK2795039 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of GSK2795039 in these solvents is approximately 30 mg/ml.

GSK2795039 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GSK2795039 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GSK2795039 has a solubility of approximately 0.20 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

GSK2795039 is an inhibitor of NADPH oxidase 2 (NOX2; IC_{50} = 0.269 µM).[1] It is selective for NOX2 over NOX1, -3, -4, and -5 (IC_{50}s = >1,000 µM for all), as well as xanthine oxidase and PKCβ (IC_{50}s = 28.8 and 10 µM, respectively). GSK2795039 inhibits NOX2-mediated production of reactive oxygen species (ROS) and NADPH depletion in cell-free assays (IC_{50}s = 0.537 and 0.251 µM, respectively). *In vivo*, GSK2795039 (100 mg/kg) reduces serum levels of amylase, a marker for pancreatic cell necrosis, in a $gp91^{phox}$ knockout mouse model of acute pancreatitis. GSK2795039 also inhibits brain NOX2 activity, decreases neurite shortening and apoptosis, and attenuates neurological deficits in a mouse model of weight drop-induced traumatic brain injury (TBI).[2]

References

1. Hirano, K., Chen, W.S., Chueng, A.L.W., *et al*. Discovery of GSK2795039, a novel small molecule NADPH oxidase 2 inhibitor. *Antioxid. Redox Signal*. **23(5)**, (2015).
2. Wang, M. and Luo, L. An effective NADPH oxidase 2 inhibitor provides neuroprotection and improves functional outcomes in animal model of traumatic brain injury. *Neurochem. Res*. **45(5)**, 1097-1106 (2020).

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