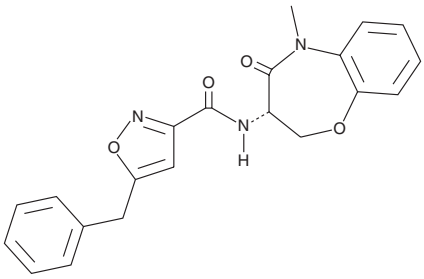
PRODUCT INFORMATION

GSK481

Item No. 20308

CAS Registry No.:	1622849-58-4
Formal Name:	5-(phenylmethyl)-N-[(3S)-2,3,4,5-tetrahydro-5-methyl-4-oxo-1,5-benzoxazepin-3-yl]-3-isoxazolecarboxamide
MF:	$C_{21}H_{19}N_3O_4$
FW:	377.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 238 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



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

Laboratory Procedures

GSK481 is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK481 in the solvent of choice, which should be purged with an inert gas. GSK481 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of GSK481 in ethanol and DMSO is approximately 30 mg/ml and approximately 25 mg/ml in DMF.

GSK481 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GSK481 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. GSK481 has a solubility of approximately 0.09 mg/ml in a 1:10 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

GSK481 is an inhibitor of receptor-interacting serine/threonine protein kinase 1 (RIP1 or RIPK1) that blocks autophosphorylation of Ser^{166} on wild-type human RIP1 with an IC_{50} value of 2.8 nM.[1] It is selective for RIP1 over a panel of over 450 other kinases, including RIP3.[1,2] GSK481 inhibits RIP1 from human and cynomolgus monkey but is at least 100-fold less potent against non-primate RIP1.[1]

References

1. Harris, P.A., King, B.W., Bandyopadhyay, D., *et al.* DNA-encoded library screening identifies benzo[b][1,4]oxazepin-4-ones as highly potent and monoselective receptor interacting protein 1 kinase inhibitors. *J. Med. Chem.* **59(5)**, 2163-2178 (2016).
2. Geserick, P., Wang, J., Schilling, R., *et al.* Absence of RIPK3 predicts necroptosis resistance in malignant melanoma. *Cell Death Dis.* **6**, e1884 (2015).

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