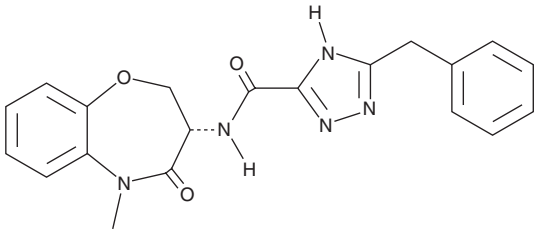
PRODUCT INFORMATION

GSK2982772

Item No. 29230

CAS Registry No.:	1622848-92-3
Formal Name:	3-(phenylmethyl)-N-[(3S)-2,3,4,5-tetrahydro-5-methyl-4-oxo-1,5-benzoxazepin-3-yl]-1H-1,2,4-triazole-5-carboxamide
MF:	$C_{20}H_{19}N_5O_3$
FW:	377.4
Purity:	≥98%
Supplied as:	A 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

GSK2982772 is supplied as a solid. A stock solution may be made by dissolving the GSK2982772 in the solvent of choice, which should be purged with an inert gas. GSK2982772 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of GSK2982772 in these solvents is approximately 5, 15, and 10 mg/ml, respectively.

GSK2982772 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GSK2982772 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GSK2982772 has a solubility of approximately 0.16 mg/ml in a 1:5 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

GSK2982772 is an inhibitor of receptor interacting serine/threonine kinase 1 (RIPK1; IC_{50}s = 16 and 2,500 nM for the human and mouse enzymes, respectively).[1] It is greater than 10,000-fold selective for RIPK1 over a panel of 339 kinases at 10 µM. GSK2982772 inhibits necrotic cell death induced by a combination of TNF-α and the caspase inhibitor QVD-OPh in U937 human monocytic and L929 murine fibrosarcoma cells (IC_{50}s = 6.3 and 1,300 nM, respectively). GSK2982772 (3-300 nM) decreases IL-1β and IL-6 levels in intestinal mucosa tissue isolated from patients with ulcerative colitis. It increases survival in a mouse model of TNF-α-induced lethal shock when administered at doses of 3, 10, and 50 mg/kg.

Reference

1. P.A., H., Berger, S.B., Jeong, J.U., *et al.* Discovery of a first-in-class receptor interacting protein 1 (RIP1) kinase specific clinical candidate (GSK2982772) for the treatment of inflammatory diseases. *J. Med. Chem.* **60(4)**, 1247-1261 (2017).

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