PRODUCT INFORMATION



GSK481

Item No. 20308

	0,	1622849-58-4	
Forma	al Name:	5-(phenylmethyl)-N-[(3S)-2,3,4,5-tetrahydro-	
		5-methyl-4-oxo-1,5-benzoxazepin-3-yl]-3-	
		isoxazolecarboxamide	
MF:		$C_{21}H_{19}N_{3}O_{4}$	N N O
FW:		377.4	О Н
Purity	/:	≥98%	
UV/V	is.:	λ _{max} : 238 nm	
Suppli	ied as:	A crystalline solid	
Storag	ge:	-20°C	
Stabili	ity:	≥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 Ser¹⁶⁶ on wild-type human RIP1 with an IC₅₀ 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).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

SAFETY DATA This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

uyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

Copyright Cayman Chemical Company, 10/12/2022

CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD ANN ARBOR, MI 48108 · USA PHONE: [800] 364-9897 [734] 971-3335 FAX: [734] 971-3640 CUSTSERV@CAYMANCHEM.COM WWW.CAYMANCHEM.COM