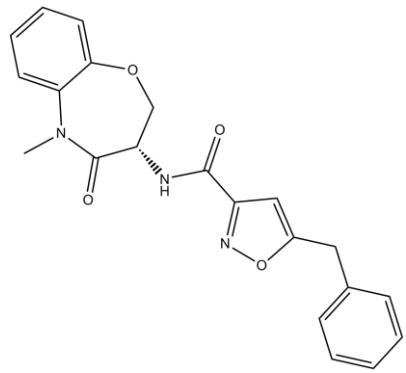
Product Name: GSK481

Revision Date: 6/30/2016

Product Data Sheet

Chemical Properties



Product Name:	GSK481
Cas No.:	1622849-58-4
M.Wt:	377.39
Formula:	C21H19N3O4
Chemical Name:	(S)-5-benzyl-N-(5-methyl-4-oxo-2,3,4,5-tetrahydrobenzo[b][1,4]oxazepin-3-yl)isoxazole-3-carboxamide
Canonical SMILES:	O=C(C1=NOC(CC2=CC=CC=C2)=C1)N[C@H]3COC4=CC=CC=C4N(C)C3=O
Solubility:	Soluble in DMSO
Storage:	Store at -20°C
General tips:	For obtaining a higher solubility , please warm the tube at 37° C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20° C for several months.
Shopping Condition:	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request

Biological Activity

Targets :	Apoptosis
Pathways:	TNF-α

Description:

IC50: 1.3 nM for RIP1
GSK481 is a receptor interacting protein kinase 1 (RIP1) inhibitor.
The role of RIP1 kinase in tumor necrosis factor mediated inflammation has resulted in its emergence as a promising target for the treatment of multiple inflammatory diseases.

In vitro: Previous study showed that GSK481 could not only trigger an increase in biochemical activity but also exhibit great translation in the U937 cellular assay with IC50 of 10 nM. Moreover, GSK481 also showed complete specificity for RIP1 kinase against all other tested kinases when profiled over both a P33 radiolabeled assay screen. In tight-binding ADP-Glo IC50 evaluation with increasing ATP concentration, GSK481 exhibited a shift to lower potency, which was corresponding to a competitive model. In addition, GSK481 was also found to be a potent inhibitor of S166 phosphorylation in wild-type human RIP1 but was ineffective at reducing S166 phosphorylation for wild-type mouse RIP1. GSK481 was also able to more potently inhibit Ser166 phosphorylation in all three tested mouse RIP1 mutants than in wild-type mouse [1].
In vivo: So far, there is no animal in vivo data reported for GSK481.
Clinical trial: Up to now, GSK481 is still in the preclinical development stage.

***Reference**:*

[1] Harris PA 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, 2016 Mar 10, 59(5):2163-78.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

ApexBio Technology

www.apexbt.com

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com