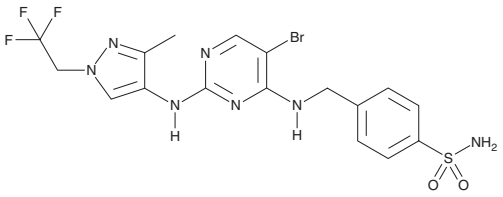
PRODUCT INFORMATION

GSK8612

Item No. 28813

CAS Registry No.:	2361659-62-1
Formal Name:	4-[[[5-bromo-2-[[3-methyl-1-(2,2,2-trifluoroethyl)-1H-pyrazol-4-yl]amino]-4-pyrimidinyl]amino]methyl]-benzenesulfonamide
MF:	$C_{17}H_{17}BrF_3N_7O_2S$
FW:	520.3
Purity:	≥95%
UV/Vis.:	λ_{max}: 228 nm
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

GSK8612 is supplied as a solid. A stock solution may be made by dissolving the GSK8612 in the solvent of choice, which should be purged with an inert gas. GSK8612 is soluble in organic solvents such DMSO and dimethyl formamide. The solubility of GSK8612 in these solvents is approximately 5 and 10 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of GSK8612 can be prepared by directly dissolving the solid in aqueous buffers. The solubility of GSK8612 in PBS (pH 7.2) is approximately 0.3 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

GSK8612 is an inhibitor of TANK-binding kinase 1 (TBK1; IC_{50} = 158 nM).[1] It is selective for TBK1 over a panel of 285 kinases. GSK8612 inhibits IFN-α release in isolated human peripheral blood mononuclear cells (PBMCs) stimulated with polyinosinic-polycytidylic acid (poly(I:C); IC_{50} = 794 nM). It also inhibits IFN-β secretion in THP-1 cells stimulated with dsDNA-containing baculovirus or cGAMP (IC_{50}s = 1,258 and 501 nM, respectively). GSK8612 (5 mg/kg) reduces tumor volume and increases the number of tumor-infiltrating $CD8^+$ T cells in immunocompetent, but not immunodeficient, mice in a model of carbon tetrachloride-induced hepatocellular carcinoma (HCC).[2]

References

1. Thomson, D.W., Poeckel, D., Zinn, N., *et al*. Discovery of GSK8612, a highly selective and potent TBK1 inhibitor. *Med. Chem. Lett.* **10(5)**, 780-785 (2019).
2. Jiang, Y., Chen, S., Li, Q., *et al*. TANK-binding kinase 1 (TBK1) serves as a potential target for hepatocellular carcinoma by enhancing tumor immune infiltration. *Front. Immunol.* **12**, 612139 (2021).

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