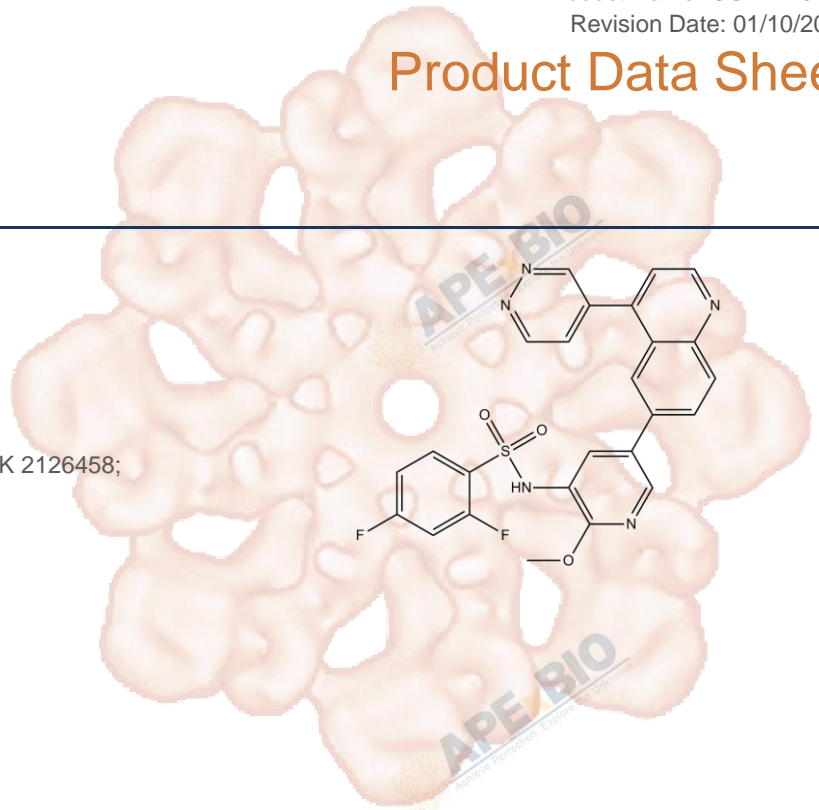


Product Data Sheet

GSK2126458

Cat. No.:	A8556
CAS No.:	1086062-66-9
Formula:	C ₂₅ H ₁₇ F ₂ N ₅ O ₃ S
M.Wt:	505.5
Synonyms:	GSK212; GSK-2126458; GSK 2126458; GSK-212; GSK 212
Target:	PI3K/Akt/mTOR Signaling
Pathway:	mTOR
Storage:	Store at -20°C



Solvent & Solubility

≥25.3 mg/mL in DMSO; insoluble in H₂O; ≥2.29 mg/mL in EtOH

In Vitro

Preparing Stock Solutions	Solvent		Mass		
	Concentration		1mg	5mg	10mg
	1 mM		1.9782 mL	9.8912 mL	19.7824 mL
	5 mM		0.3956 mL	1.9782 mL	3.9565 mL
	10 mM		0.1978 mL	0.9891 mL	1.9782 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary

PI3K/mTOR inhibitor

IC₅₀ & Target

0.019 nM(Ki) (p110α), 0.024 nM(Ki) (p110δ), 0.06 nM(Ki) (p110γ), 0.13 nM(Ki) (p110β), 0.18 nM(Ki) (mTORC1), 0.3 nM(Ki) (mTORC2)

In Vitro

Cell Viability Assay

Cell Line: NPC cell lines (CNE-2, 5-8F, and 6-10B)

Preparation method: The solubility of this compound in DMSO is >25.3mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored

		below -20°C for several months.
	Reacting conditions:	0.003 mmol/L
	Applications:	GSK2126458 decreased the viability of NPC cells at low nanomolar concentrations and in a concentration- and time-dependent manner. GSK2126458 inhibited migration and invasion of NPC cells. Treatment with GSK2126458 led to an increased percentage of cells in G1 phase.
In Vivo	Animal experiment	
	Animal models:	Female BALB/c-nu/nu nude mice bearing 5-8F cells
	Dosage form:	300 µg/kg, Intra-gastric administration once daily for 5 consecutive days each week.
	Applications:	The combination of IR with GSK2126458 resulted in >50% reduction in xenograft volume and tumor regrowth delay. Treatment with GSK2126458 or PKI-587 alone slightly inhibited tumor growth.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Smich, Joanna. "Radiation response and PI3K/mTOR targeting in canine melanoma." The University of Guelph.2016.09.06

See more customer validations on www.apexbt.com.

References

[1]. Liu T, Sun Q, Li Q, et al. Dual PI3K/mTOR inhibitors, GSK2126458 and PKI-587, suppress tumor progression and increase radiosensitivity in nasopharyngeal carcinoma[J]. Molecular cancer therapeutics, 2015, 14(2): 429-439.

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 APEX BIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term 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.

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