Small Molecules

BI-D1870

90 kDa ribosomal S6 kinase (RSK) inhibitor

Catalog #	72712	1 mg
	72714	10 mg

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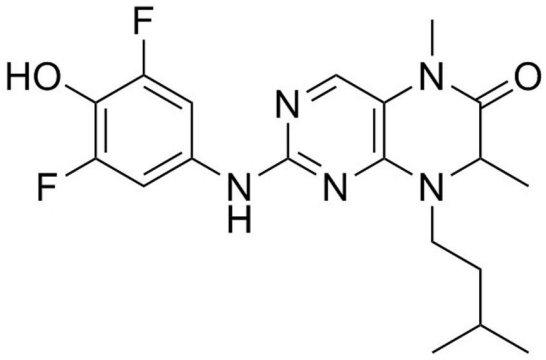
Product Description

BI-D1870 inhibits the 90 kDa ribosomal S6 kinases (RSKs), which are serine/threonine kinases involved in diverse cellular processes including growth, survival, and motility (Romeo et al.). BI-D1870 is a cell-permeable, ATP-competitive inhibitor of the four vertebrate isoforms of RSK (RSK1 - 4; IC_{50} = 31, 24, 18, and 15 nM, respectively; Sapkota et al.). At 100 nM, it also significantly inhibits polo-like kinase 1, Aurora B, maternal embryonic leucine zipper kinase, and mammalian STE20-like kinase 2 (Bain et al.; Sapkota et al.).

Molecular Name:	BI-D1870
Alternative Names:	Not applicable
CAS Number:	501437-28-1
Chemical Formula:	$C_{19}H_{23}F_2N_5O_2$
Molecular Weight:	391.4 g/mol
Purity:	≥ 95%
Chemical Name:	2-(3,5-difluoro-4-hydroxyanilino)-5,7-dimethyl-8-(3-methylbutyl)-7H-pteridin-6-one
Structure:	



Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect from prolonged exposure to light. Stable as supplied for 12 months from date of receipt.
Solubility:	· DMSO ≤ 38 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 1 mg in 255 µL of fresh DMSO. Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application. Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

MAINTENANCE AND SELF-RENEWAL
· Reduces neural stem cell proliferation and self-renewal in vitro (Karelina et al.).
CANCER RESEARCH
· Inhibits growth of breast cancer cell lines (Stratford et al.; Dhillon et al.).

References

Bain J et al. (2007) The selectivity of protein kinase inhibitors: a further update. Biochem J 408(3): 297–315.
Dhillon J et al. (2010) The expression of activated Y-box binding protein-1 serine 102 mediates trastuzumab resistance in breast cancer cells by increasing CD44+ cells. Oncogene 29(47): 6294–300.
Karelina K et al. (2014) Ribosomal S6 kinase regulates ischemia-induced progenitor cell proliferation in the adult mouse hippocampus. Exp Neurol 253: 72–81.
Romeo Y et al. (2012) Regulation and function of the RSK family of protein kinases. Biochem J 441(2): 553–69.
Sapkota GP et al. (2007) BI-D1870 is a specific inhibitor of the p90 RSK (ribosomal S6 kinase) isoforms in vitro and in vivo. Biochem J 401(1): 29–38.
Stratford AL et al. (2012) Targeting p90 ribosomal S6 kinase eliminates tumor-initiating cells by inactivating Y-box binding protein-1 in triple-negative breast cancers. Stem Cells 30(7): 1338–48.

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