

Small Molecules

BI-D1870

90 kDa ribosomal S6 kinase (RSK) inhibitor

Catalog # 72712
72714

1 mg
10 mg



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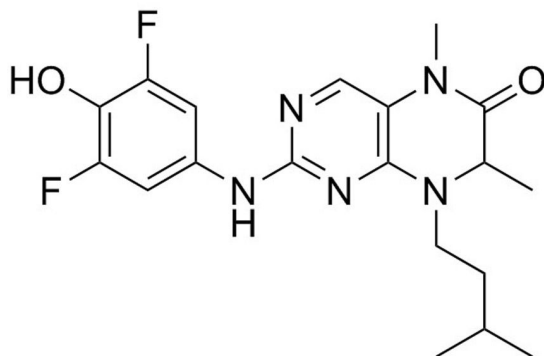
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Product Description

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 IC₅₀ = 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 ₂ N ₅ O 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. For product expiry date, please contact techsupport@stemcell.com.
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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