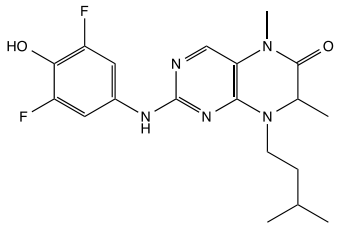
Catalog # 10-4791
BI-D1870

CAS# 501437-28-1

2-(3,5-Difluoro-4-hydroxyanilino)-5,7-dimethyl-8-(3-methylbutyl)-7H-pteridin-6-one

Lot # FBS1085



BI-D1870 is an inhibitor of p90 ribosomal S6 kinase (RSK; IC_{50}'s = RSK1, 31 nM; RSK2, 24 nM; RSK3, 18 nM; RSK4, 15 nM) with selectivity over other AGC kinases.[1] It protected mice from experimental autoimmune encephalomyelitis suggesting a new strategy to treat multiple sclerosis.[2] BI-D1870 has also been found to alter mTORC1 signaling in an RSK-independent manner.[3] BI-D1870 induced apoptosis in oral squamous cell carcinoma cells[4], suppressed growth and induced apoptosis in pediatric medulloblastoma cell lines as well as sensitizing these lines to Sonic hedgehog agents[5], and blocked cell proliferation and protein synthesis in dual BRAF and MEK inhibitor-resistant melanoma[6].

1) Gopal 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 (Pt 1)** 29
2) Takda *et al.* (2016), *The ribosomal S6 kinase inhibitor BI-D1870 ameliorated experimental autoimmune encephalomyelitis in mice*; Immunobiology **221** 188
3) Roffe et al. (2015), *Two widely used RSK inhibitors, BI-D1870 and SL0101, alter mTORC1 signaling in a RSK-independent manner;* Cell Signal. **27** 1630
4) Chiu et al. (2014), *Antitumor effects of BI-D1870 on human oral squamous cell carcinoma;* Cancer Chemother.Pharmacol. **73** 237
5) Pambid *et al.* (2014), *Overcoming resistance to Sonic hedgehog inhibition by targeting p90 ribosomal S6 kinase in pediatric medulloblastoma*; Pediatr.Blood Cancer **61** 107
6) Theodosakis *et al.* (2017), *p90RSK Blockade Inhibits Dual BRAF and MEK Inhibitor-Resistant Melanoma by Targeting Protein Synthesis*; J.Invest.Dermatol. **137** 2187

PHYSICAL DATA

Molecular Weight:	391.42
Molecular Formula:	$C_{19}H_{23}F_2N_5O_2$
Purity:	>98%
	NMR: (Conforms)
Solubility:	Soluble in DMSO (15 mg/ml)
Physical Description:	Off-white solid
Storage and Stability:	Store as supplied at -20º for up to 1 year from the date of purchase. Store solutions in DMSO at -20ºC for up to 1 month.

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