

Product data sheet



MedKoo Cat#: 500405 Name: BI-D1870 CAS#: 501437-28-1 Chemical Formula: C ₁₉ H ₂₃ F ₂ N ₅ O ₂ Exact Mass: 391.18198 Molecular Weight: 391.41	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

BI-D1870 is a potent and specific RSK inhibitor (the p90 ribosomal S6 kinase), which inhibits RSK1, RSK2, RSK3 and RSK4 in vitro with an IC₅₀ of 10⁻⁸–30 nM. BI-D1870 exhibited a dose-responsive antiproliferative effect on OSCC cells with relative sparing of normal human oral keratinocytes. The compound inhibited the downstream RSK target YB-1 and caused apoptosis. In addition, BI-D1870 also induced G2/M arrest by modulating the expression of p21 and other cell cycle regulators. BI-D1870 may be of useful in oral squamous cell carcinoma therapy.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	32.67	83.47
DMSO:PBS (pH 7.2) (1:2)	0.3	0.77
DMF	10.0	25.55

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.55 mL	12.77 mL	25.55 mL
5 mM	0.51 mL	2.55 mL	5.11 mL
10 mM	0.26 mL	1.28 mL	2.55 mL
50 mM	0.05 mL	0.26 mL	0.51 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

- Chae HD, Dutta R, Tiu B, Hoff FW, Accordi B, Serafin V, Youn M, Huang M, Sumarsono N, Davis KL, Lacayo NJ, Pigazzi M, Horton TM, Kornblau SM, Sakamoto KM. RSK inhibitor BI-D1870 inhibits acute myeloid leukemia cell proliferation by targeting mitotic exit. *Oncotarget*. 2020 Jun 23;11(25):2387-2403. doi: 10.18632/oncotarget.27630. PMID: 32637030; PMCID: PMC7321696.
- Chiu CF, Bai LY, Kapuriya N, Peng SY, Wu CY, Sargeant AM, Chen MY, Weng JR. Antitumor effects of BI-D1870 on human oral squamous cell carcinoma. *Cancer Chemother Pharmacol*. 2014 Feb;73(2):237-47. doi: 10.1007/s00280-013-2349-9. Epub 2013 Nov 16. PMID: 24241211.

In vivo study

- Takada I, Yogiashi Y, Makishima M. The ribosomal S6 kinase inhibitor BI-D1870 ameliorated experimental autoimmune encephalomyelitis in mice. *Immunobiology*. 2016 Feb;221(2):188-92. doi: 10.1016/j.imbio.2015.09.008. Epub 2015 Sep 8. PMID: 26386981.

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2. Sapkota GP, Cummings L, Newell FS, Armstrong C, Bain J, Frodin M, Grauert M, Hoffmann M, Schnapp G, Steegmaier M, Cohen P, Alessi DR. BI-D1870 is a specific inhibitor of the p90 RSK (ribosomal S6 kinase) isoforms in vitro and in vivo. *Biochem J.* 2007 Jan 1;401(1):29-38. doi: 10.1042/BJ20061088. PMID: 17040210; PMCID: PMC1698666.

7. Bioactivity

Biological target:

BI-D1870 is a cell permeable and brain penetrated inhibitor of RSK isoforms, with IC50s of 31 nM/24 nM/18 nM/15 nM for RSK1/RSK2/RSK3/RSK4, respectively.

In vitro activity

BI-D1870 inhibited cellular viability in a dose-dependent manner with IC50 of 1.62, 1.91, and 2.52 μ M for MOLM-13, MV-4-11, and HL60 cell lines, respectively (Supplementary Figure 2A), while normal human hematopoietic cells demonstrated no significant decrease in colony formation for up to 10 μ M of BI-D1870 (Supplementary Figure 2B).

Reference: *Oncotarget.* 2020 Jun 23; 11(25): 2387–2403. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7321696/>

In vivo activity

BI-D1870 administration protected mice from EAE by reducing the infiltration of TH1 and TH17 cells into the CNS and decreasing mRNA levels of Ccr6 in TH17 cells.

Reference: *Immunobiology.* 2016 Feb;221(2):188-92. <https://pubmed.ncbi.nlm.nih.gov/26386981/>

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.