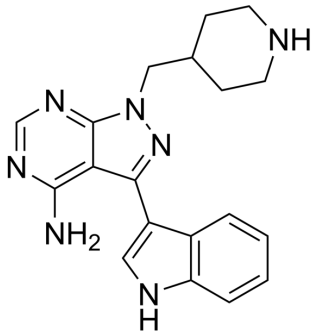
Protein kinase D inhibitor 1

Cat. No.:	HY-151372
CAS No.:	2489320-03-6
Molecular Formula:	$C_{19}H_{21}N_7$
Molecular Weight:	347.42
Target:	PKD
Pathway:	Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Protein kinase D inhibitor 1 (compound 17m) is a pan-PKD inhibitor, with IC_{50} values ranging from 17 to 35 nM. Protein kinase D inhibitor 1 inhibits PKD-dependent cortactin phosphorylation[1].

IC_{50} & Target

PKD3	PKD2	PKD1
17 ± 8 nM (IC_{50})	35 ± 5 nM (IC_{50})	35 ± 10 nM (IC_{50})

In Vitro

Protein kinase D inhibitor 1 (compound 17m) displays excellent PKD2 inhibition with almost no remaining kinase activity at 1 μM[1].

Protein kinase D inhibitor 1 displays only weak antiproliferative activity, and does not significantly affect PANC-1 cell proliferation at a concentration of 5 μM[1].

Protein kinase D inhibitor 1 (0-100 μM, 72 h) shows antitumor activity[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	LN-229, Capan-1, DND-41, HL-60, Z-138, NCI-H460, HCT-116, K-562
Concentration:	0-100 μM
Incubation Time:	72 h
Result:	Inhibited of tumor cell growth of LN-229, Capan-1, DND-41, HL-60, Z-138, NCI-H460, HCT-116, and K-562, with IC_{50} values of 80.6 ± 7, 40.7 ± 14.5, 42.7 ± 4.9, 17.9 ± 4.1, 35.3 ± 10.4, >95.8, >100, and >100, respectively.

REFERENCES

[1]. Gilles P, et al. Design, synthesis and biological evaluation of pyrazolo[3,4-d]pyrimidine-based protein kinase D inhibitors. Eur J Med Chem. 2020 Nov 1;205:112638.

Caution: Product has not been fully validated for medical applications. For research use only.

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