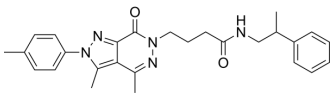
Deltazinone 1

Cat. No.:	HY-108436
CAS No.:	894554-89-3
Molecular Formula:	$C_{27}H_{31}N_5O_2$
Molecular Weight:	457.57
Target:	Phosphodiesterase (PDE)
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Deltazinone 1, a pyrazolopyridazinone, is a highly selective PDEδ inhibitor with a K_D of 8 nM. Deltazinone 1 inhibits the PDEδ-Ras interaction. Deltazinone 1 shows a dose-dependent inhibitory response on proliferation in oncogenic KRas-dependent cell lines[1][2].
IC_{50} & Target	PDEδ 8 nM (Kd)

In Vitro

Deltazinone 1 (0.375-24 μM; 0-120 h) inhibits cell growth in a dose-dependent manner[1].
Deltazinone 1 (20 μM; 1 h) reduces S6P phosphorylation in the KRas-dependent Panc-Tu-I cells[1].
Deltazinone 1 (10 μM) shows cell death for oncogenic KRas-dependent Panc-Tu-I cells but not for oncogenic KRas-independent PANC-1 cells[1].

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

Cell Viability Assay[1]

Cell Line:	Panc-Tu-I, MIA PaCa-2, Capan-1 cells
Concentration:	0.375, 0.75, 1.5, 3, 6, 12, 24 μM
Incubation Time:	0-120 h
Result:	Inhibited cell growth in a dose-dependent manner already observable at sub-μM concentrations. At doses higher than 3 μM decreasing cell indices indicated cell death ⊠30 h in Panc-Tu-I cells and after ⊠40 h in MIA PaCa-2. Doses up to 24 μM led to strong growth inhibition but not cell death in the Capan-1 cell line.

Western Blot Analysis[1]

Cell Line:	Panc-Tu-I cells
Concentration:	20 μM
Incubation Time:	1 h

Result:	Reduced S6P phosphorylation in the KRas-dependent Panc-Tu-I cells. Did not significantly affect Erk response to EGF.

REFERENCES

[1]. Björn Papke, et al. Identification of pyrazolopyridazinones as PDEδ inhibitors. Nat Commun. 2016 Apr 20;7:11360.

[2]. Pablo Martín-Gago, et al. Structure-based development of PDEδ inhibitors. Biol Chem. 2017 May 1;398(5-6):535-545.

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