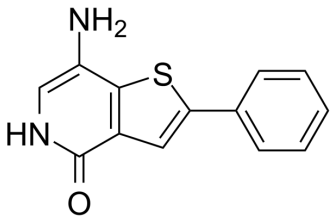
Thienopyridone

Cat. No.:	HY-128153
CAS No.:	1018454-97-1
Molecular Formula:	$C_{13}H_{10}N_2OS$
Molecular Weight:	242.3
Target:	Phosphatase; Apoptosis
Pathway:	Metabolic Enzyme/Protease; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 5 mg/mL (20.64 mM; ultrasonic and warming and adjust pH to 5 with HCl and heat to 80°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	4.1271 mL	20.6356 mL	41.2712 mL
	5 mM	0.8254 mL	4.1271 mL	8.2542 mL
	10 mM	0.4127 mL	2.0636 mL	4.1271 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (8.58 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Thienopyridone is a potent and selective phosphatase of regenerating liver (PRL) phosphatase inhibitor with IC_{50}s of 173 nM, 277 nM and 128 nM for PRL-1, PRL-2, and PRL-3, respectively. Thienopyridone shows minimal effects on other phosphatases. Thienopyridone induces p130Cas cleavage and apoptosis and has anticancer effects[1].

IC_{50} & Target

IC50: 173 nM (PRL-1), 277 nM (PRL-2) and 128 nM (PRL-3)[1]

In Vitro

Thienopyridone shows significant inhibition of tumor cell anchorage-independent growth in soft agar. The EC_{50} values of the Thienopyridone are 3.29 μM and 3.05 μM for RKO and HT-29 cells, respectively[1].

Thienopyridone (1-75 μM; 24 hours; HeLa cells) treatment shows a dose-dependent down-regulation of total p130Cas in HeLa cells. Thienopyridone induces p130Cas and FAK cleavage leads to caspase-mediated cell apoptosis. Thienopyridone induces the cleavage of PARP and caspase-8[1].

Thienopyridone (3.75-30 μM; 24 hours) significantly suppresses HUVEC migration but not proliferation[1].

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

Cell Viability Assay[1]

Cell Line:	RKO and HT-29 cells
Concentration:	0.5 μM, 1.67 μM, 5 μM, 8.33 μM
Incubation Time:	14 days
Result:	Exhibited a dose-dependent inhibition in cancer cell anchorage-independent growth as measured by either colony number or colony size.

Western Blot Analysis[1]

Cell Line:	HeLa cells
Concentration:	1 μM, 5 μM, 10 μM, 25 μM, 50 μM, 75 μM
Incubation Time:	24 hours
Result:	A dose-dependent down-regulation of total p130Cas was observed.

REFERENCES

[1]. Daouti S, et al. A selective phosphatase of regenerating liver phosphatase inhibitor suppresses tumor cell anchorage-independent growth by a novel mechanism involving p130Cas cleavage. Cancer Res. 2008 Feb 15;68(4):1162-9.

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