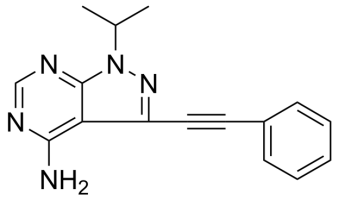
SPP-86

Cat. No.:	HY-110193
CAS No.:	1357349-91-7
Molecular Formula:	$C_{16}H_{15}N_5$
Molecular Weight:	277.32
Target:	RET
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (360.59 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.6059 mL	18.0297 mL	36.0594 mL
	5 mM	0.7212 mL	3.6059 mL	7.2119 mL
	10 mM	0.3606 mL	1.8030 mL	3.6059 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (9.01 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (9.01 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.01 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SPP-86 is a potent and selective cell permeable inhibitor of RET tyrosine kinase, with an IC_{50} of 8 nM. SPP-86 inhibits RET-induced phosphatidylinositide 3-kinases (PI3K)/Akt and MAPK signaling, also inhibits RET-induced estrogen receptorα (ERα) phosphorylation in MCF7 cells[1]. SPP-86 is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target

IC50: 8 nM (RET)[1].

In Vitro

SPP86 (0-10 μM) inhibits MAPK signaling and proliferation in RET/PTC1 expressing TPC1 but not 8505C or C643 cells[1].
SPP86 (0-10 μM) inhibits RET- induced phosphatidylinositide 3-kinases (PI3K)/Akt and MAPK signaling and estrogen receptor α (ERα) phosphorylation in MCF7 cells[1].

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

Western Blot Analysis[1]

Cell Line:	Thyroid cancer derived cell lines expressing the RET/PTC1 rearrangement (TPC1), $BRAF^{V600E}$ (8505C) or RAS^{G13R} (C643) mutations.
Concentration:	0-10 μM.
Incubation Time:	90 min.
Result:	Inhibited RET- induced ERK1/2 phosphorylation in thyroid cancer cell lines.

Western Blot Analysis[1]

Cell Line:	MCF7 cells (human breast cancer).
Concentration:	0-10 μM.
Incubation Time:	30 min.
Result:	Inhibited RET- induced ERα phosphorylation and proliferation in MCF7 cells.

REFERENCES

[1]. Alao JP, et al. Selective inhibition of RET mediated cell proliferation in vitro by the kinase inhibitor SPP86. BMC Cancer. 2014 Nov 20;14:853.

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