TAT-cyclo-CLLFVY

Cat. No.:	HY-P1420
CAS No.:	1446322-66-2
Molecular Formula:	$C_{111}H_{188}N_{42}O_{24}S_2$
Molecular Weight:	2559.08
Sequence Shortening:	CGRKKRRQRRRPPQ.cyclo(CLLFVY) (Disulfide bridge:Cys1-Cys1')
Target:	HIF/HIF Prolyl-Hydroxylase
Pathway:	Metabolic Enzyme/Protease
Storage:	Sealed storage, away from moisture Powder -80°C 2 years -20°C 1 year * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

CGRKKRRQRRRPPQ.cyclo(CLLFVY)
(Disulfide bridge:Cys_1-Cys_1')

SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (19.54 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	0.3908 mL	1.9538 mL	3.9077 mL
	5 mM	0.0782 mL	0.3908 mL	0.7815 mL
	10 mM	0.0391 mL	0.1954 mL	0.3908 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 (0.98 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (0.98 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (0.98 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TAT-cyclo-CLLFVY is a cyclic peptide inhibitor of HIF-1 heterodimerization that inhibits hypoxia signaling in cancer cells. TAT-cyclo-CLLFVY disrupts HIF-1α/HIF-1β protein-protein interaction with an IC_{50} of 1.3 μM[1].

In Vitro

TAT-cyclo-CLLFVY inhibits HIF-1 activity in a mammalian cell luciferase reporter assay[1].
Hypoxia (1% O2) results in a ~12-fold increase in the luciferase signal, which is inhibited in a dose-dependent manner by TAT-cyclo-CLLFVY (IC_{50} of 19±2 μM)[1].

To assess the cell-specificity of TAT-cyclo-CLLFVY, the experiment is repeated in MCF-7 breast cancer cells with similar results (TAT-cyclo-CLLFVY IC_{50} of 16±1 μM)[1].

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

REFERENCES

[1]. Elena Miranda, et al. A cyclic peptide inhibitor of HIF-1 heterodimerization that inhibits hypoxia signaling in cancer cells. J Am Chem Soc. 2013 Jul 17;135(28):10418-25.

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