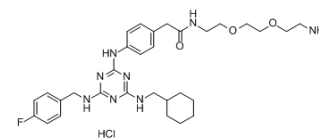


AP-III-a4 hydrochloride

Cat. No.:	HY-15858A		
Molecular Formula:	C ₃₁ H ₄₄ ClFN ₈ O ₃		
Molecular Weight:	631.18		
Target:	Enolase		
Pathway:	Metabolic Enzyme/Protease		
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 : ≥ 53 mg/mL (83.97 mM)
 H₂O : < 0.1 mg/mL (insoluble)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	1.5843 mL	7.9217 mL	15.8433 mL
	5 mM	0.3169 mL	1.5843 mL	3.1687 mL
	10 mM	0.1584 mL	0.7922 mL	1.5843 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.5 mg/mL (3.96 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (3.96 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AP-III-a4 hydrochloride (ENOblock hydrochloride) is a novel small molecule which is the first, nonsubstrate analogue that directly binds to enolase and inhibits its activity (IC₅₀=0.576 μM); inhibit cancer cell metastasis in vivo. IC₅₀ value: 0.576 μM [1] Target: enolase Enolase is a component of the glycolysis pathway and a “moonlighting” protein, with important roles in diverse cellular processes that are not related to its function in glycolysis. However, small molecule tools to probe enolase function have been restricted to crystallography or enzymology. In this study, we report the discovery of the small molecule “ENOblock”, which is the first, nonsubstrate analogue that directly binds to enolase and inhibits its activity. ENOblock was isolated by small molecule screening in a cancer cell assay to detect cytotoxic agents that function in hypoxic conditions, which has previously been shown to induce drug resistance. Further analysis revealed that ENOblock can inhibit cancer cell metastasis in vivo. Moreover, an unexpected role for enolase in glucose homeostasis was revealed by in vivo analysis. Thus,

ENOblock is the first reported enolase inhibitor that is suitable for biological assays. This new chemical tool may also be suitable for further study as a cancer and diabetes drug candidate.

CUSTOMER VALIDATION

- Theranostics. 2019 Aug 12;9(20):5769-5783.
- Cell Discov. 2020 Aug 18;6:56.

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REFERENCES

[1]. Da-Woon Jung, et al. A Unique Small Molecule Inhibitor of Enolase Clarifies Its Role in Fundamental Biological Processes. ACS Chem. Biol., 2013, 8 (6), pp 1271–1282

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA