

AP-III-a4

Catalog No: tcsc2330



Available Sizes

Size: 5mg

Size: 10mg



Specifications

CAS No:

1177827-73-4

Formula:

$C_{31}H_{43}FN_8O_3$

Pathway:

Metabolic Enzyme/Protease

Target:

Enolase

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Alternative Names:

ENOblock

Observed Molecular Weight:

594.72

Product Description

ENOblock(AP-III-a4) is a novel small molecule which is the first, nonsubstrate analogue that directly binds to enolase and inhibits its activity (IC₅₀=0.576 uM); inhibit cancer cell metastasis in vivo.

IC50 value: 0.576 uM [1]

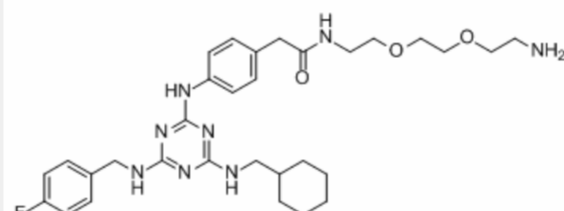
Target: enolase

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.

A Unique Small Molecule Inhibitor of Enolase Clarifies Its Role in Fundamental Biological Processes

By Jung, Da-Woon; Kim, Woong-Hee; Park, Si-Hwan; Lee, Jinho; Kim, Jinmi; Su, Dongdong; Ha, Hyung-Ho; Chang, Young-Tae; Williams, Darren R.

From ACS Chemical Biology (2013), 8(6), 1271-1282.



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