PRODUCT INFORMATION



AP-III-a4

Item No. 19933

| CAS Registry No.: Formal Name: | 1177827-73-4 N-[2-[2-(2-aminoethoxy)ethoxy]ethyl]- 4-[[4-[(cyclohexylmethyl)amino]-6-[[(4- fluorophenyl)methyl]amino]-1,3,5-triazin- 2-yl]amino]-benzeneacetamide | F |
|-----------------------------------|---|---|
| Synonym: | ENOblock | L H |
| MF: | C ₃₁ H ₄₃ FN ₈ O ₃ | N H |
| FW: | 594.7 | |
| Purity: | ≥95% | $N \sim N$ $(\gamma \sim 10^{\circ})$ |
| UV/Vis.: | λ _{max} : 211, 268 nm | |
| Supplied as: | A crystalline solid | H_2N' |
| Storage: | -20°C | μ ή ή |
| Stability: | ≥4 years | \sim |
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Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

AP-III-a4 is supplied as a crystalline solid. A stock solution may be made by dissolving the AP-III-a4 in the solvent of choice, which should be purged with an inert gas. AP-III-a4 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of AP-III-a4 in these solvents is approximately 30 mg/ml.

AP-III-a4 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AP-III-a4 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. AP-III-a4 has a solubility of approximately 0.2 mg/ml in a 1:4 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

AP-III-a4 is a small molecule enolase inhibitor (IC₅₀ = 0.576 μ M) that inhibited cancer cell metastasis in an in vivo zebrafish cancer cell xenograft model.¹ It also reduced hyperglycemia and hyperlipidemia in C57BL/Ksj-db/db mice, a transgenic model of type 2 diabetes.² Another study found that AP-III-a4 did not directly block enolase activity in vitro and suggested the mechanism is indirect.³

References

- 1. Jung, D.-W., Kim, W.-H., Park, S.-H., et al. A unique small molecule inhibitor of enolase clarifies its role in fundamental biological processes. ACS Chem Biol. 8(6), 1271-1282 (2013).
- 2. Cho, H., Um, J., Lee, J.-H., et al. ENOblock, a unique small molecule inhibitor of the non-glycolytic functions of enolase, alleviates the symptoms of type 2 diabetes. Sci. Rep. 7, 44186 (2017).
- 3. Satani, N., Lin, Y.-H., Hammoudi, N., et al. ENOblock does not inhibit the activity of the glycolytic enzyme enolase. PLoS One 11(12), e0168739 (2016).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFFTY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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