

Product Datasheet

TAS4464

Catalog No. **BP-01937** · CAS **1848959-10-3** · Form **free base** · Physical state **solid** · Color **Off-white to light yellow** · Version **1.0** · Revision **2026-09-03**

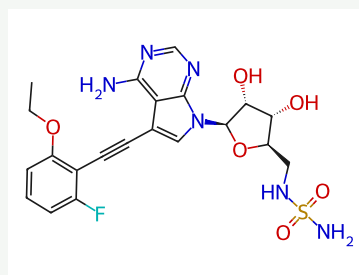
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Target Apoptosis; Carbonic Anhydrase; NEDD8-activating Enzyme	Research area Cancer
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Molecular formula **C₂₁H₂₃FN₆O₆S**

Molecular weight **506.5070**

STRUCTURE



DESCRIPTION

TAS4464 is a highly potent and selective inhibitor of NEDD8 activating enzyme (NAE), with an IC₅₀ of 0.955 nM.

BIOLOGICAL ACTIVITY

TAS4464 is a highly potent and selective recombinant NAE inhibitor, with over 470-fold and 1340-fold selectivity against recombinant UAE and SAE, respectively, and weak off-target inhibitory activity against CA2.

TAS4464 (1-10 μM; 24-48 h) induces apoptosis in leukemia, lymphoma, multiple myeloma and solid tumor cell lines, with the apoptosis rate increasing as the drug concentration rises.

TAS4464 (1 nM-1 μM, 4 h; 100 nM, 1-24 h) significantly increases the protein expression levels of CRL ubiquitin ligase substrates CDT1, p27, and phosphorylated IκBα in tumor cells, while reduces the level of cullin neddylation modification.

TAS4464 (0.1-10 μM; 24-48 h) significantly inhibits the migratory capacity of solid tumor cell lines such as colorectal cancer and sarcoma, with a concentration-dependent inhibitory effect.

In Vivo

TAS4464 (25-100 mg/kg; i.v.; twice weekly; 3 weeks) hydrochloride achieves complete tumor regression and induces apoptosis in models of T-cell acute lymphoblastic leukemia (25 mg/kg), mantle cell lymphoma (100 mg/kg), clear cell sarcoma (100 mg/kg), and small cell lung cancer (75 mg/kg), with a broad selective window.

TAS4464 (100 mg/kg; i.v.; administered on days 1, 4, 8 and 11, with one cycle every 21 days; 8 cycles total) hydrochloride significantly prolongs survival and reduces tumor burden in a systemic diffuse large B-cell lymphoma model of SCID mice xenografted with TMD8-Luc.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. Yoshimura C, et al. TAS4464, a highly potent and selective inhibitor of NEDD8 activating enzyme, suppresses neddylation and shows antitumor activity in diverse cancer models. Mol Cancer Ther. 2019 May 15.

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Lot CoA and SDS are separate documents.