

Product Data Sheet

Product Name: TAS1440

Cat. No.: GC79188

Chemical Properties

Cas. No. 2098585-77-2

Formula $C_{28}H_{27}F_2N_3O_2$ M.Wt 475.53

Solubility DMSO: 70 mg/mL (147.20 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available sizes: ship with RT, or blue ice upon request.

Structure



Background

TAS1440 is an orally active LSD1/KDM1A inhibitor with a human IC₅₀ of 4.8 nM. TAS1440 non-covalently binds to the histone H3-binding pocket of LSD1, inhibiting demethylase activity and disrupting repressive complexes with INSM1 and SMAD2. TAS1440 activates tumor-suppressive

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

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TGF- β and NOTCH signaling pathways via transcriptional reprogramming. TAS1440 can be used for the research of small cell lung cancer, specifically the SCLC-A subtype [1].

In Vivo, TAS1440 (16.7-50 mg/kg/day; p.o.; daily; 3 weeks) significantly reduces tumor growth in multiple SCLC-A subcutaneous xenograft models and activates tumor-suppressive NOTCH/TGF- β signaling pathways in xenograft tumors[1]. TAS1440 (50 mg/kg/day; p.o.; daily; 3 weeks) significantly reduces tumor growth in INSM1-wild-type but not INSM1-knockout NCI-H146 SCLC-A xenografts, demonstrating INSM1 dependence for in vivo efficacy[1]. TAS1440 (50 mg/kg/day; p.o.; daily; 4 weeks) reduces pulmonary tumor burden by 67% in an orthotopic NCI-H146 SCLC-A xenograft model[1].

In Vitro, TAS1440 is a highly selective, histone H3-competitive inhibitor of purified recombinant human LSD1, with an IC₅₀ of 4.8 nM and no significant activity against LSD2, MAO-A, MAO-B, or a broad panel of other epigenetic enzymes[1]. TAS1440 (0-7500 nM; 8 days) selectively inhibits proliferation of SCLC-A cell lines, with the greatest potency in NCI-H1417, NCI-H510A, NCI-H146, and COR-L51 cells, and exhibits stronger antiproliferative activity than covalent LSD1 inhibitors in these sensitive models[1]. TAS1440 (300 nM; 1-7 days) induces transcriptional reprogramming in SCLC cell lines, activating tumor-suppressive TGF- β and NOTCH pathways and suppressing neuroendocrine gene expression, with the most pronounced changes in TAS1440-sensitive SCLC-A cell lines[1]. TAS1440 (300 nM; 30 min-5 days) activates TGF- β and NOTCH signaling in SCLC-A cell lines by inducing SMAD2 phosphorylation, NOTCH1 upregulation, and nuclear accumulation of active pathway components, while also disrupting INSM1-LSD1 and SMAD2-LSD1 protein complexes more effectively than covalent LSD1 inhibitors[1]. TAS1440 (300 nM; 5 days) induces global epigenetic reprogramming in SCLC-A cell lines, increasing active histone marks (H3K4me1, H3K4me2, H3K27ac) at TSSs and promoting INSM1 and SMAD2 binding to tumor-suppressive NOTCH and TGF- β pathway gene promoters[1]. TAS1440 (100-3,000 nM; 7 days) antiproliferative and transcriptional effects in SCLC-A cell lines depend on LSD1 expression and catalytic activity, while its ability to disrupt INSM1/SMAD2-LSD1 complexes is independent of LSD1 catalytic function[1]. TAS1440 (300 nM; 2 h-10 days) requires INSM1 for its antiproliferative effects, transcriptional reprogramming, and activation of TGF- β /NOTCH signaling in SCLC-A cell lines[1].

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References:

[1]. Machida T, et al. LSD1 inhibitor, TAS1440, disrupts INSM1-LSD1 complex activating tumor-suppressive pathways via transcriptional reprogramming in neuroendocrine SCLC. Nat Commun. Published online March 25, 2026.

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