Product Datasheet

OP-3136

Catalog No. **BP-02283** · CAS **3056105-63-3** · Form **free base** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-04**

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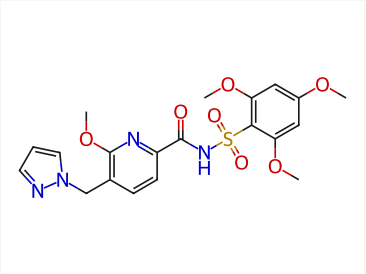
Target	Research area
Histone Acetyltransferase	**Cancer**

Molecular formula	**$C_{20}H_{22}N_4O_7S$**
Molecular weight	**462.4760**

STRUCTURE



DESCRIPTION

OP-3136 is a novel, orally available small molecule that potently and selectively inhibits lysine acetyltransferase 6 (KAT6), an epigenetic target that is dysregulated in breast and other cancers. In preclinical studies, OP-3136 has demonstrated significant anti-proliferative activity in ER+ breast cancer models and is combinable and synergistic with endocrine therapies, including palazestrant and cyclin-dependent kinase 4/6 (CDK4/6) inhibitors.

BIOLOGICAL ACTIVITY

In Vitro

Omvitrastat (OP-3136) inhibits proliferation of VCAP, OAW28, OVCAR3, and LCLC-97TM1 cell lines.

Omvitrastat reduces the proliferation of breast cancer cell lines and inhibits growth in KAT6-overexpressing ER+ breast cancer cell models.

In Vivo

Omvitrastat (OP-3136) (0.5-1 mg/kg; p.o.) monotherapy induces tumor regression in OVCAR3 ovarian cancer xenografts with TGIs of 104.5% and 105.4% at doses of 0.5 mg/kg and 1 mg/kg, respectively.

Omvitrastat (1 mg/kg; p.o.) monotherapy inhibits tumor growth in LCLC-97TM1 NSCLC xenografts with a TGI of 62% at a dose of 1 mg/kg.

SOLUBILITY

soluble in DMSO

In vitro	DMSO : 25 mg/mL

REFERENCES

[1]. Gopinath S. Palanisamy, et al. Abstract LB166: OP-3136, a selective KAT6 inhibitor, demonstrates anti-tumor activity in prostate, ovarian, and non-small cell lung cancer preclinical models. Cancer Res (2025) 85

(8_Supplement_2): LB166.

[2]. Roussel-Simonin C, et al. Exploring KAT6 as a therapeutic target in breast cancer: epigenetic approaches for precision medicine. NPJ Breast Cancer. 2025 Dec 19;11(1):146.approaches for precision medicine. NPJ Breast Cancer. 2025 Dec 19;11(1):146.
