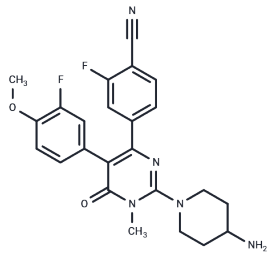
Data Sheet (Cat.No.T39258L)

TargetMol

Pulrodemstat HCl

Chemical Properties



CAS No. :	1821307-11-2
Formula:	C24H24ClF2N5O2
Molecular Weight:	487.93
Storage:	Powder: -20°C for 3 years | In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.

Biological Description

Description	Pulrodemstat HCl is an orally active, selective and potent lysine demethylase-1 (LSD1) inhibitor, and a selective KDM1A inhibitor, with anticancer and anti-proliferative activities, inhibiting HNSCC cell proliferation and migration Inhibits the growth of head and neck squamous cell carcinoma by triggering apoptosis.
Targets(IC50)	Histone Demethylase
In vitro	Pulrodemstat HCl was effective in inducing the target cell differentiation marker CD11b in the THP-1 cell line with an EC50 of 7 nM; the antiproliferative activity in AML Kasumi-1 cells had an EC50 of 2 nM.[1] Pulrodemstat HCl acts for 4 days and inhibits GRP in a dose-dependent manner at pharmacologically useful concentrations (H209-EC50=3 nM and H1417-EC50=4 nM). Pulrodemstat HCl acts for 12 days and produces potent antiproliferative activity (H1417-EC50=6 nM) in SCLC cells. [1]
In vivo	In a patient-derived xenograft SCLC model, daily oral administration of 5 mg/kg Pulrodemstat HCl for 30 days significantly inhibited tumor growth. In addition, in a SCLC xenograft mouse model (H1417), once-daily treatment with Pulrodemstat HCl for 4 consecutive days significantly down-regulated GRP mRNA levels at 2.5 mg/kg, while the strongest inhibition of GRP expression was observed at 5 mg/kg. [1] After intravenous injection of 5 mg/kg Pulrodemstat HCl, the systemic clearance was 32.4 mL/min/kg, the elimination half-life was 2 h, and the volume of distribution was high at 7.5 L/kg. When the same dose was administered orally, the drug was well absorbed, with an AUC (0-24h) of 1.8 μM-h, Cmax of 0.36 μM, oral bioavailability of 0.36 μM, and oral bioavailability of 1.8 μM/kg. μM and oral bioavailability was 32%. [1]

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0495 mL	10.2474 mL	20.4947 mL
5 mM	0.4099 mL	2.0495 mL	4.0989 mL
10 mM	0.2049 mL	1.0247 mL	2.0495 mL
50 mM	0.041 mL	0.2049 mL	0.4099 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Kanouni T, et al. Discovery of CC-90011: A Potent and Selective Reversible Inhibitor of Lysine Specific Demethylase 1 (LSD1). J Med Chem. 2020 Dec 10;63(23):14522-14529.