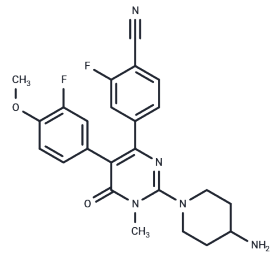
Data Sheet (Cat.No.T39258)

TargetMol

Pulrodemstat

Chemical Properties



CAS No. : 1821307-10-1

Formula: C24H23F2N5O2

Molecular Weight: 451.47

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 (CC-90011) is an orally active, selective, and highly efficient lysine-specific demethylase-1 (LSD1) inhibitor with anticancer activity. It inhibits HNSCC cell proliferation and migration by triggering apoptosis and inhibiting head and neck squamous cell carcinoma growth.
Targets(IC50)	Histone Demethylase
In vitro	Pulrodemstat is a potent, selective, reversible and orally active lysine-specific demethylase 1 (LSD1) inhibitor with an IC50 value of 0.25 nM. [1] In the THP-1 cell line, Pulrodemstat strongly induced the expression of CD11b, a marker of target cell differentiation, with an EC50 value of 7 nM; furthermore, it showed antiproliferative effects in acute myeloid leukemia (AML) Kasumi-1 cells with an EC50 value of 2 nM. [1] After 4 days of treatment, Pulrodemstat reduced the levels of growth regulatory protein (GRP) in a dose-dependent manner. At pharmacologically effective concentrations, its EC50 was 3 nM and 4 nM for H209 and H1417 cells, respectively. significant antiproliferative effects were observed after 12 days of Pulrodemstat treatment of small cell lung cancer (SCLC) cells (EC50 of 6 nM for H1417), which were associated with GRP inhibition.[1]
In vivo	In a patient-derived xenograft SCLC model, once-daily oral administration of 5 mg/kg of Pulrodemstat for 30 consecutive days significantly inhibited tumor growth. [1] Once-daily treatment with Pulrodemstat for 4 consecutive days resulted in a significant reduction in GRP mRNA levels in SCLC human tumor xenograft mice (H1417). Significant inhibition was observed at a dose of 2.5 mg/kg, with maximal effect at 5 mg/kg.[1] After intravenous administration of 5 mg/kg of Pulrodemstat, the systemic clearance was 32.4 mL/min/kg, the elimination half-life was 2 hours, and the volume of distribution was 7.5 L/kg. 5 mg/kg of Pulrodemstat was readily absorbed by the oral route, and it had an AUC0-24h of 1.8 μM-h, with a maximal concentration (Cmax) of 0.36 μM, and an oral bioavailability of 32%. [1]

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.215 mL	11.0749 mL	22.1499 mL
5 mM	0.443 mL	2.215 mL	4.430 mL
10 mM	0.2215 mL	1.1075 mL	2.215 mL
50 mM	0.0443 mL	0.2215 mL	0.443 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.

Jiang C, et al. Pulrodemstat, a selective inhibitor of KDM1A, suppresses head and neck squamous cell carcinoma growth by triggering apoptosis. BMC Pharmacol Toxicol. 2024 Nov 20;25(1):89.