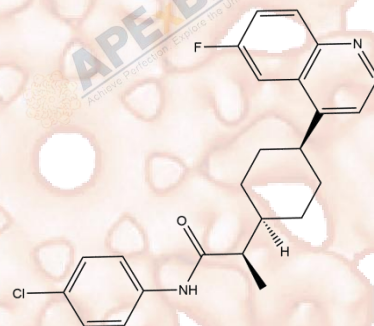


Product Data Sheet

Linrodostat

Cat. No.:	C8654
CAS No.:	1923833-60-6
Formula:	C ₂₄ H ₂₄ ClFN ₂ O
M.Wt:	410.92
Synonyms:	BMS-986205; ONO-7701
Target:	IDO1
Pathway:	Protease
Storage:	Store at -20° C



Solvent & Solubility

Soluble in DMSO

In Vitro

Preparing Stock Solutions	Mass		1mg	5mg	10mg
	Solvent	Concentration			
	1 mM	2.4336 mL	12.1678 mL	24.3356 mL	
	5 mM	0.4867 mL	2.4336 mL	4.8671 mL	
	10 mM	0.2434 mL	1.2168 mL	2.4336 mL	

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary

Linrodostat (CAS 1923833-60-6) is a selective and irreversible inhibitor of indoleamine 2,3-dioxygenase 1 (IDO1). It exhibits potent inhibitory activity against IDO1, with an IC₅₀ value of 1.7 nM, and an IC₅₀ of 1.1 nM in HEK293 cells expressing human IDO1. In contrast, it shows weak inhibitory activity against HEK293 cells expressing TDO (IC₅₀ > 2000 nM), demonstrating high selectivity. Its mechanism of action involves the irreversible inhibition of IDO1, thereby reducing kynurenine production. This compound has shown favorable pharmacological activity and properties in advanced cancer, making it an important tool for related cancer research.

 IC₅₀ & Target

In Vitro	Cell Viability Assay	
	Cell Line:	SKOV-3 and Jurkat clone E6-1 cells
	Preparation method:	Cell Viability Assay
	Reacting conditions:	0.01-100 μ M, 72 hours
In Vivo	Applications:	Reduced the number of viable cells compared with the non-treated control and induced cell death at much lower concentrations.
	Animal experiment	
	Animal models:	Female nu/nu mice
	Dosage form:	linrodostat (5, 25, or 125 mg/kg once daily [QD]) for 5 days.
	Applications:	Reduced kynurenine levels (>30%) were maintained 24 hours after the last dose of linrodostat 10 mg/kg. The in vivo median IC50 of linrodostat was 3.4 nM in serum from SKOV3 tumors.
	Preparation method:	SKOV3 cells were subcutaneously implanted into the mouse flank (0.1 mL or 3×10^6 cells/mouse, Female nu/nu mice, 7-12 weeks old). 15 days after implantation, tumor volumes were measured, and tumor-bearing mice were randomized (5 animals/group). Linrodostat was formulated as a solution in the vehicle ethanol/polyethylene glycol (PEG) 400/propylene glycol/d- α -tocopheryl PEG 1000 succinate (volume ratio, 5:55:20:20). Each group was orally (PO) administered a vehicle, linrodostat (5, 25, or 125 mg/kg once daily [QD]) or epacadostat (30 or 100 mg/kg twice daily), for 5 days. Tumor volumes were measured before tumors were snap frozen. Sera were harvested (day 5) at designated times after dosing to quantify kynurenine and compound levels.
	Other notes:	The technical data provided above is for reference only.

Product Citations

See more customer validations on www.apexbt.com.

References

- Richards T, et al. Cell based functional assays for IDO1 inhibitor screening and characterization. Oncotarget. 2018 Jul 20;9(56):30814-30820.
- Balog A, Lin TA, Maley D, Gullo-Brown J, Kandoussi EH, Zeng J, Hunt JT. Preclinical Characterization of Linrodostat Mesylate, a Novel, Potent, and Selective Oral Indoleamine 2,3-Dioxygenase 1 Inhibitor. Mol Cancer Ther. 2021 Mar;20(3):467-476. doi: 10.1158/1535-7163.MCT-20-0251. Epub 2020 Dec 9. PMID: 33298590.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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