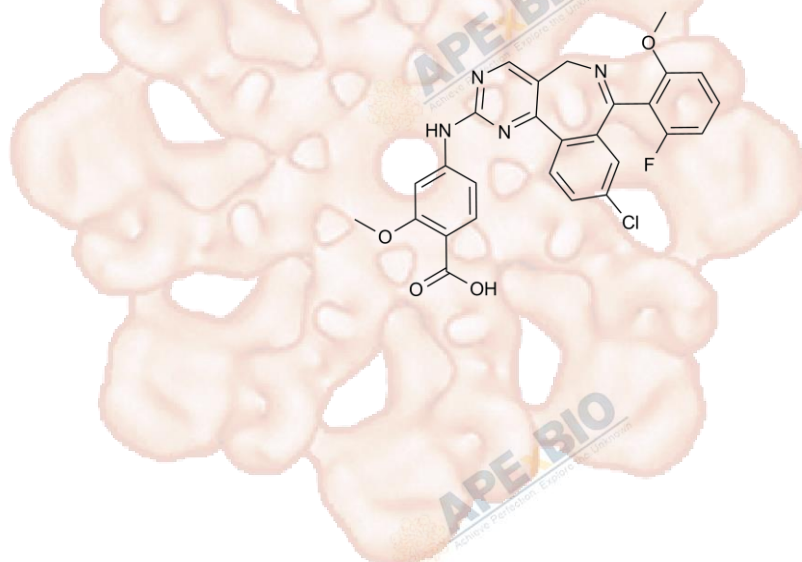


Product Data Sheet

MLN8237 (Alisertib)

Cat. No.:	A4110
CAS No.:	1028486-01-2
Formula:	C ₂₇ H ₂₀ ClFN ₄ O ₄
M.Wt:	518.92
Synonyms:	
Target:	Chromatin/Epigenetics
Pathway:	Aurora Kinase
Storage:	Store at -20°C



Solvent & Solubility

≥25.95 mg/mL in DMSO; insoluble in H₂O; insoluble in ETOH

In Vitro

Preparing Stock Solutions	Solvent	Mass		
		1mg	5mg	10mg
	Concentration			
	1 mM	1.9271 mL	9.6354 mL	19.2708 mL
	5 mM	0.3854 mL	1.9271 mL	3.8542 mL
	10 mM	0.1927 mL	0.9635 mL	1.9271 mL

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

Biological Activity

Shortsummary

Aurora A Kinase inhibitor, Potent and selective

IC₅₀ & Target

1.2 nM (Aurora A)

In Vitro

Cell Viability Assay

Cell Line:	TIB-48 and CRL-2396 cells
Preparation method:	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	>100 nM, 48 hours

	Applications:	TIB-48 and CRL-2396 cells were treated with MLN8237 at 10 nM, 50 nM, 100 nM, 500 nM and 1.0 μ M for 48 h. MLN8237 induced apoptosis at concentrations >100 nM, suggesting that induction of apoptosis is dose-dependent. These results were confirmed by demonstrating an increased level of cleaved PARP in treated TIB-48 and CRL-2396 cells. PARP cleavage was observed even at the concentration of MLN8237 as low as 50 nM.
In Vivo	Animal experiment	
	Animal models:	Female C.B-17 SCID mice injected with OVCAR-5-pWZL-Luc cells
	Dosage form:	Oral administration, 20 or 30 mg/kg, once daily (QD) or twice daily (BID)
	Applications:	The mice (n=16/group) were randomly divided into five treatment groups: 1) vehicle, 2) 20 mg/kg alisertib, 3) 30 mg/kg alisertib, 4) 5 mg/kg paclitaxel and 5) 20 mg/kg alisertib + 5 mg/kg paclitaxel. Tumor growth was monitored by weekly BLI and the log-transformed total flux data showed significantly decreased tumor growth rates in mice treated with alisertib (20 or 30 mg/kg) compared to vehicle-treated mice. Treatment with 20 mg/kg and 30 mg/kg alisertib resulted in 51% and 49% TGI, respectively.

Product Citations

1. Laura Tomino, Emily Bopp, et al. "Combinatorial BRD4 and AURKA inhibition is synergistic against preclinical models of Ewing sarcoma." Cancer reports. 11 February 2019.
2. Shaon Parial. "Novel Interaction, Regulation of Phosphorylation and Mitotic Localization of Cell Division Cycle Associated Protein 7 (CDCA7)" York University.2018.
3. Teke K, Yilmaz H, et al. "Histopathologic and molecular comparative analyses of intravesical Aurora kinase-A inhibitor Alisertib with bacillus Calmette-Guérin on precancerous lesions of bladder in a rat model." Int Urol Nephrol. 2018 Jun 21.PMID:29931492
4. Krulikas LJ, McDonald IM, et al. "Application of Integrated Drug Screening/Kinome Analysis to Identify Inhibitors of Gemcitabine-Resistant Pancreatic Cancer Cell Growth." SLAS Discov. 2018 May 1:2472555218773045.PMID:29742358
5. Joshua Felgenhauer, Laura Tomino, et al. "Dual BRD4 and AURKA Inhibition is Synergistic against MYCN-amplified and nonamplified Neuroblastoma." bioRxiv.2018 Mar.5.

See more customer validations on www.apexbt.com.

References

- [1] Qi W, Spier C, Liu X, et al. Alisertib (MLN8237) an investigational agent suppresses Aurora A and B activity, inhibits proliferation, promotes endo-reduplication and induces apoptosis in T-NHL cell lines supporting its importance in PTCL treatment. Leukemia research, 2013, 37(4): 434-439.
- [2] Do T V, Xiao F, Bickel L E, et al. Aurora kinase A mediates epithelial ovarian cancer cell migration and adhesion. Oncogene, 2013, 33(5): 539-549.

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 APEX^xBIO 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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