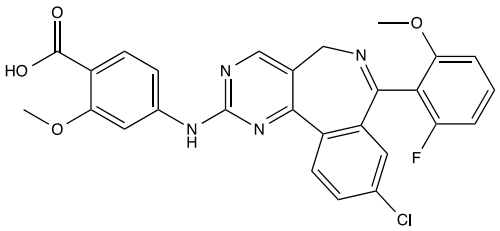
Catalog # 10-4124
Alisertib

CAS# 1028486-01-2

4-{[9-chloro-7-(2-fluoro-6-methoxyphenyl)-5H-pyrimido[5,4-d][2]benzazepin-2-yl]amino}-2-methoxybenzoic acid; MLN8237

Lot # FBS1066



Alisertib (MLN8237) is a highly selective and potent (IC_{50} = 1 nM) cell permeable inhibitor of Aurora A with off-target binding at $GABA_A$ (IC_{50} = 490 nM).[1] It disrupts the Aurora A-Myc complex leading to Myc degradation[2] in Myc amplified neuroblastomas[3] and p53-mutant human hepatocellular carcinoma cell[4]. Alisertib has been found to induce apoptosis and autophagy in breast cancer[5] and melanoma[6] cells *via* suppression of activation of the p38 MAPK pathway.

1) Sells *et al.* (2015), *MLN8054 and Alisertib (MLN8237):Discovery of Selective Oral Aurora A Inhibitors;* ACS Med.Chem.Lett. **6** 630
2) Richards *et al.* (2016), *Structural basis of N-Myc binding by Aurora-A and its destabilization by kinase inhibitors;* Proc.Natl.Acad.Sci.USA **113** 13726
3) Brockmann *et al*. (2013), *Small molecule inhibitors of aurora-a induce proteasomal degradation of N-myc in childhood neuroblastoma*; Cancer Cell **24** 75
4) Dauch *et al*. (2016), *A MYC-aurora kinase A protein complex represents an actionable drug target in p53-altered liver cancer*; Nat.Med. **22** 744
5) Li *et al*. (2015), *The investigational Aurora kinase A inhibitor alisertib (MLN8237) induces cell cycle G2/M arrest, apoptosis, and autophagy via p38 MAPK and Akt/mTOR signaling pathways in human breast cancer cells*; Drug Des.Devel.Ther. **16** 1627
6) Shang *et al*. (2017), *Alisertib promotes apoptosis and autophagy in melanoma through p38 MAPK-mediated aurora a signaling*; Oncotarget **8** 107076

PHYSICAL DATA

Molecular Weight:	518.92
Molecular Formula:	$C_{27}H_{20}ClFN_4O4$
Purity:	>98% by HPLC NMR: (Conforms)
Solubility:	DMSO (5 mg/mL)
Physical Description:	Off-white solid
Storage and Stability:	Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

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