

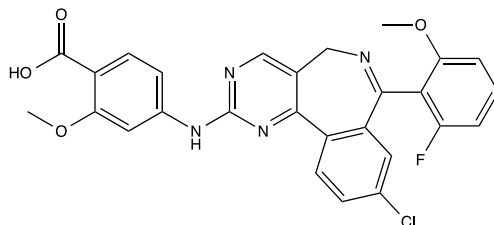
**Catalog # 10-4124**

**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).<sup>1</sup> It disrupts the Aurora A-Myc complex leading to Myc degradation<sup>2</sup> in Myc amplified neuroblastomas<sup>3</sup> and p53-mutant human hepatocellular carcinoma cell<sup>4</sup>. Alisertib has been found to induce apoptosis and autophagy in breast cancer<sup>5</sup> and melanoma<sup>6</sup> 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 <sub>27</sub> H <sub>20</sub> ClFN <sub>4</sub> O <sub>4</sub>  |
| 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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