

Product Name: MK-5108 (VX-689) Revision Date: 01/10/2021

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## **Product Data Sheet**

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# MK-5108 (VX-689)

| Cat. No.: | A4120                 |  |
|-----------|-----------------------|--|
| CAS No.:  | 1010085-13-8          |  |
| Formula:  | C22H21CIFN3O3S        |  |
| M.Wt:     | 461.94                |  |
| Synonyms: |                       |  |
| Target:   | Chromatin/Epigenetics |  |
| Pathway:  | Aurora Kinase         |  |
| Storage:  | Store at -20°C        |  |
|           | a10                   |  |

## Solvent & Solubility

|          | ≥23.1 mg/mL in DM            | $\geq$ 23.1 mg/mL in DMSO; insoluble in EtOH; insoluble in H2O |           |            |            |
|----------|------------------------------|--|-----------|------------|------------|
| In Vitro | Preparing<br>Stock Solutions | Mass<br>Solvent<br>Concentration                               | 1mg       | 5mg        | 10mg       |
|          | Slock Solutions              | 1 mM   | 2.1648 mL | 10.8239 mL | 21.6478 mL |
|          | 810                          | 5 mM   | 0.4330 mL | 2.1648 mL  | 4.3296 mL  |
|          | PELE                         | 10 mM  | 0.2165 mL | 1.0824 mL  | 2.1648 mL  |

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

## **Biological Activity**

| Shortsummary              | Aurora-A kinase inhibitor, highly selective |   |  |
|---------------------------|---|---|--|
| IC <sub>50</sub> & Target | 0.064 nM (Aurora-A)                         |   |  |
|                           | Cell Viability Assay                        | P   |  |
|                           | Cell Line:                                  | Tumor cell types (HCT116, LS174T, HL60, MDA-MB-231, ZR-75-1, MCF-7,                           |  |
|                           |   | PC3, MIA PaCa2, A375 and HeLa).   |  |
| In Vitro                  | 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 $^{\circ}\mathrm{C}$ 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.   |  |

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|         | Reacting conditions:      | 48 h; IC50(15 nM-113 nM)  |  |  |
|---------|---------------------------|---|--|--|
|         | Applications:             | VX-680 caused accumulation of cells with 4 N DNA content and potently               |  |  |
|         |                           | inhibited the proliferation of a wide variety of tumor cell types with half-maximal |  |  |
|         |                           | inhibitory concentration (IC50) values ranging from 15 to 113 nM. These data        |  |  |
|         |                           | are consistent with the prediction that the Aurora kinases are crucial for          |  |  |
|         | al9                       | cell-cycle progression, and with the finding that overexpression of                 |  |  |
|         | DEterrene                 | kinase-inactive Aurora-B disrupts cell division.                                    |  |  |
|         | Animal experiment         |   |  |  |
| In Vivo | Animal models:            | Female athymic NCr-nu mice  |  |  |
|         | Dosage form:              | 75 mg/kg; b.i.d.i.p.  |  |  |
|         | Applications:             | VX-680 caused a marked reduction in tumor size in a human AML (HL-60)               |  |  |
|         |                           | xenograft model. In nude mice treated with VX-680 at 75 mg/kg, twice a day          |  |  |
|         |                           | intraperitoneally (b.i.d.i.p.) for 13 d, mean tumor volumes were reduced by 98%     |  |  |
|         |                           | (P < 0.001) in comparison with the control group.                                   |  |  |
|         | Other notes:              | Please test the solubility of all compounds indoor, and the actual solubility may   |  |  |
|         | P For Constant            | slightly differ with the theoretical value. This is caused by an experimental       |  |  |
|         | Contraction of the second | system error and it is normal.  |  |  |

#### **Product Citations**

See more customer validations on www.apexbt.com.

### References



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[1] Harrington E A, Bebbington D, Moore J, et al. VX-680, a potent and selective small-molecule inhibitor of the Aurora kinases, suppresses tumor growth in vivo[J]. Nature medicine, 2004, 10(3): 262-267.

### 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.













