

# MK-5108

**Catalog No: tcsc0696** 

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

**Size:** 100mg

**Specifications** 

CAS No:

1010085-13-8

Formula:

 $\mathsf{C}_{22}\mathsf{H}_{21}\mathsf{CIFN}_3\mathsf{O}_3\mathsf{S}$ 

**Pathway:** Cell Cycle/DNA Damage;Epigenetics

Target:

Aurora Kinase; Aurora Kinase

## Purity / Grade:

>98%

## Solubility:

DMSO : 6.4 mg/mL (13.85 mM; Need warming)

#### **Alternative Names:**

VX-689

### **Observed Molecular Weight:**

461.94

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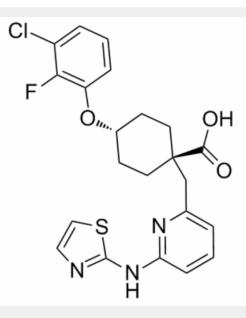
## **Product Description**

MK-5108 is a highly potent and specific inhibitor of **Aurora-A** kinase with an **IC<sub>50</sub>** value of 0.064 nM.

IC50 & Target: IC50: 0.064 nM (Aurora-A kinase)<sup>[1]</sup>

In Vitro: MK-5108 inhibits Aurora-A activity with an IC<sub>50</sub> value of 0.064 nM in an ATP-competitive manner. It shows robust selectivity against the other family kinases Aurora-B (220-fold) and Aurora-C (190-fold). MK-5108 also exhibits high selectivity for Aurora-A over other protein kinases. MK-5108 inhibits the growth of 14 cell lines with IC<sub>50</sub> values between 0.16 and 6.4  $\mu$ M<sup>[1]</sup>.

*In Vivo:* MK-5108 treatments at 15 and 30 mg/kg results in significant tumor growth inhibition in the HCT116 tumor model. MK-5108 is well tolerated at both doses, with minimal reduction in body weight. MK-5108 also exhibits significant antitumor activity in nude rats bearing SW48 tumors. MK-5108 at 15 and 45 mg/kg causes dose-dependent tumor growth inhibition with a %T/C of 35% and 7% at day 10, and 58% and 32% at day 27, respectively. MK-5108 is well tolerated in nude rats, with no body weight reduction and moderate effect on blood cells<sup>[1]</sup>.



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