

# mTOR-IN-1

Catalog No: tcsc1383

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

#### CAS No:

1207358-59-5

# Formula:

C<sub>25</sub>H<sub>30</sub>N<sub>8</sub>O<sub>2</sub>

# Pathway:

PI3K/Akt/mTOR

# **Target:**

mTOR

### Purity / Grade:

**Solubility:** 10 mM in DMSO

**Observed Molecular Weight:** 

474.56

# **Product Description**

mTOR-IN-1 is a remarkably selective **mTOR** inhibitor with a **K**<sub>i</sub> of 1.5 nM. mTOR-IN-1 suppresses **mTORC1** and **mTORC2** in cellular and in vivo pharmacokinetic (PK)/pharmacodynamic (PD) experiments.

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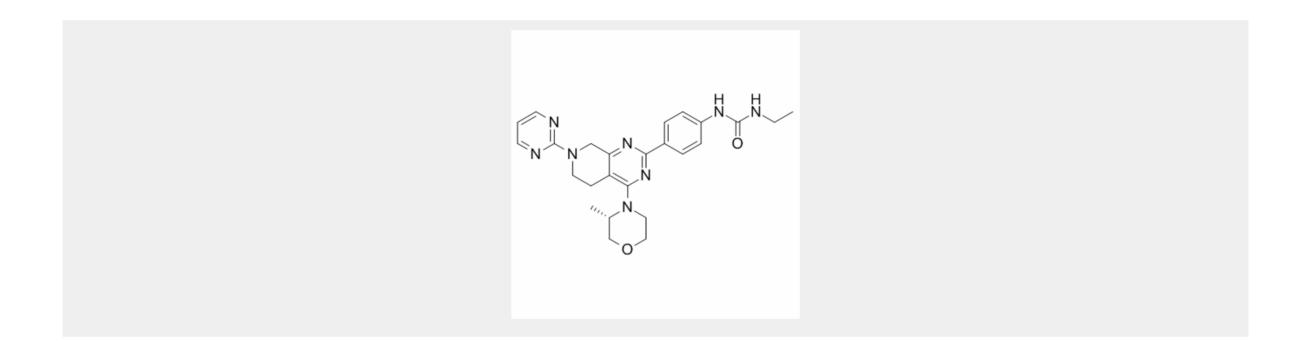


IC50 & Target: Ki: 1.5 nM (mTOR)<sup>[1]</sup>

# mTORC1, mTORC2<sup>[1]</sup>

*In Vitro:* mTOR-IN-1 (Compound 12i) inhibits mTOR with a K<sub>i</sub> of 1.5 nM, 500-fold selectivity over closely related PI3 kinases. mTOR-IN-1 inhibits NCI-PC3 and MCF7neo/Her2 cells proliferation with  $IC_{50}$ s of 150 nM and 57 nM, respectively<sup>[2]</sup>.

*In Vivo:* mTOR-IN-1 (Compound 8h) has high free plasma clearance in both mice (1818 mL/min/kg) and rats (1538 mL/min/kg in rat) <sup>[1]</sup>. mTOR-IN-1 (Compounds 12i) is selected for this study due to its potency, selectivity, and favorable mouse PK profile. Plasma levels of mTOR-IN-1 6 h following oral administration in PC3 tumor-bearing mice along with the fold decreases of phosphorylated mTORC1 and -2 substrates relative to time-matched vehicle controls. mTOR-IN-1 has moderate terminal elimination half-life ( $t_{1/2}$  = 1.7 h for mouse(1 mg/kg, iv)). mTOR-IN-1 achieves tumor stasis at the highest 200 mg/kg/day dose examined, which appears to also be approaching the limit of tolerability for this molecule<sup>[2]</sup>.



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