

GDC-0349

Catalog No: tcsc0700

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:

1207360-89-1

Formula:

 $C_{24}H_{32}N_6O_3$

Pathway: PI3K/Akt/mTOR;Autophagy

Target: mTOR;Autophagy

Purity / Grade:

Solubility: 10 mM in DMSO

Observed Molecular Weight:

452.55

Product Description

GDC-0349 is a potent and selective ATP-competitive **mTOR** inhibitor with a **K**_i of 3.8 nM. GDC-0349 inhibits of both **mTORC1** and **mTORC2** complexes.

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IC50 & Target: Ki: 3.8 nM (mTOR)^[1]

mTORC1, mTORC2^[1]

In Vitro: GDC-0349 (Compound 8h) is a remarkably selective mTOR inhibitor, with less than 25% inhibition of 266 kinases, including all isoforms of PI3K when tested at $1 \mu M^{[1]}$.

In Vivo: When dosed orally once daily in athymic mice in a MCF7-neo/Her2 tumor xenograft model (PI3K mutation), GDC-0349 (Compound 8h) inhibits tumor growth in a dose-dependent manner, achieving stasis (99% TGI) at the maximum tolerated dose. Body weight change is less than 10% up to the highest dose. GDC-0349 is also efficacious in other xenograft models, including PC3 (PTEN null) and 786-0 (VHL mutant). Similar levels of tumor growth inhibition are achieved when GDC-0349 is administered once every three days at higher doses compared to once every day. GDC-0349 has ~10-fold reduced free plasma clearance in both mice (100 mL/min/kg) and rats (171 mL/min/kg in rat)^[1].



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