

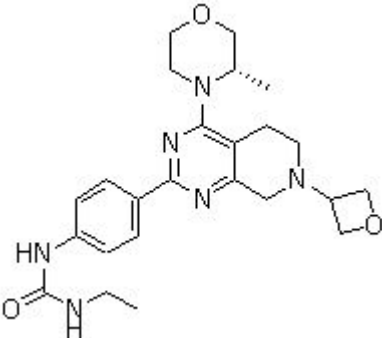


## Product Introduction

### GDC-0349

GDC-0349 is a potent and selective ATP-competitive inhibitor of mTOR with  $K_i$  of 3.8 nM, 790-fold inhibitory effect against PI3K $\alpha$  and other 266 kinases. Phase 1.

#### Technical Data:

|   |   |  |
|---|---|--|
| <b>Molecular Weight (MW):</b>                             | 452.55  |  |
| <b>Formula:</b>   | C <sub>24</sub> H <sub>32</sub> N <sub>6</sub> O <sub>3</sub> |  |
| <b>Solubility (25°C)</b>                                  | DMSO 91 mg/mL   |  |
| <b>* &lt;1 mg/ml means slightly soluble or insoluble:</b> | Water <1 mg/mL  |  |
|   | Ethanol 6 mg/mL   |  |
| <b>Purity:</b>  | >98%  |  |
| <b>Storage:</b>   | 3 years -20°C Powder<br>6 months -80°C in DMSO                |  |
| <b>CAS No.:</b>   | 1207360-89-1  |  |

#### Biological Activity

GDC-0349 has remarkable selectivity over 266 kinases, including all isoforms of PI3K. GDC-0349 inhibits downstream markers of mTOR, including phospho-4EBP1 and phospho-Akt(S473) in an in vivo PK/PD study in mouse, consistent with an inhibition of both mTORC1 and mTORC2 complexes. <sup>[1]</sup>

GDC-0349 demonstrates pathway modulation and dose-dependent efficacy in mouse xenograft cancer models. When dosed orally once daily in athymic mice in a MCF7-neo/Her2 tumor xenograft model (PI3K mutation), GDC-0349 inhibits tumor growth in a dose-dependent manner. It is also efficacious in other

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xenograft models, including PC3 (PTEN null) and 786-O (VHL mutant).<sup>[1]</sup>

## References

[1] Zhonghua Pei, et al. J Med Chem, 2013, 56(7), 3090-3101.



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