

# PI-103 (Hydrochloride)

Catalog No: tcsc0760

Available Sizes

| Size: | omg            |  |
|-------|----------------|--|
| Size: | lOmg           |  |
| Size: | 50mg           |  |
| Size: | l00mg          |  |
| Size: | 200mg          |  |
| Size: | 500mg          |  |
|       | Specifications |  |
| CAS I | 0:             |  |

371935-79-4

Formula:

 $\mathsf{C}_{19}\mathsf{H}_{17}\mathsf{CIN}_4\mathsf{O}_3$ 

Pathway:

## **Target:**

PI3K;mTOR

#### Purity / Grade:

>98%

## Solubility: DMSO : 4.1 mg/mL (10.65 mM; Need ultrasonic and warming)

## **Observed Molecular Weight:**

384.82

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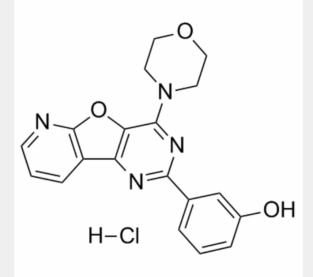
## **Product Description**

PI-103 Hydrochloride is a potent **PI3K** and **mTOR** inhibitor with **IC**<sub>50</sub>s of 8 nM, 88 nM, 48 nM, 150 nM, 20 nM, and 83 nM for **p110α**, **p110β**, **p110δ**, **p110γ**, **mTORC1**, and **mTORC2**. PI-103 also inhibits **DNA-PK** with an **IC50** of 2 nM.

IC50 & Target: IC50: 8 nM (p110α), 88 nM (p110β), 48 nM (p110δ), 150 nM (p110γ), 2 nM (DNA-PK), 20 nM (mTORC1), 83 nM (mTORC2), 26 nM (PI3KC2β), 850 nM (ATR), 920 nM (ATM), ~1  $\mu$ M (PI3KC2α), 2.3  $\mu$ M (hsVPS34), ~50  $\mu$ M (PI4KIIIβ)<sup>[4]</sup>

*In Vitro:* PI-103 exhibits antiproliferative properties in a panel of human cancer cell lines<sup>[1]</sup>. PI-103 is essentially cytostatic for cell lines and induced cell cycle arrest in the G1 phase. In blast cells, PI-103 inhibits leukemic proliferation, the clonogenicity of leukemic progenitors and induces mitochondrial apoptosis, especially in the compartment containing leukemic stem cells <sup>[2]</sup>. PI-103 potently inhibits both the rapamycin-sensitive (mTORC1, IC<sub>50</sub>=20 nM) and rapamycin-insensitive (mTORC2, IC<sub>50</sub>=83 nM) complexes of the protein kinase mTOR<sup>[4]</sup>.

*In Vivo:* PI-103 shows therapeutic activity against a range of human tumor xenografts, exhibiting inhibition of angiogenesis, invasion, and metastasis, as well as direct antiproliferative effects<sup>[1]</sup>. PI-103 induces immunosuppression promoting *in vivo* tumor growth and inhibiting apoptosis. Tumors from PI-103-treated mice shows higher levels of cyclin D1 and more proliferating cells<sup>[3]</sup>.



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