Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

PI-103 (Hydrochloride)

Catalog No: tcsc0760

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Specifications

CAS No:
371935-79-4

Formula:
$C_{19}H_{17}ClN_4O_3$

Pathway:
PI3K/Akt/mTOR;PI3K/Akt/mTOR

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_{50}**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 μM (PI3KC2α), 2.3 μM (hsVPS34), ~50 μM (PI4KIIIβ)[4]

In Vitro: PI-103 exhibits antiproliferative properties in a panel of human cancer cell lines[1]. 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 [2]. PI-103 potently inhibits both the rapamycin-sensitive (mTORC1, IC_{50}=20 nM) and rapamycin-insensitive (mTORC2, IC_{50}=83 nM) complexes of the protein kinase mTOR[4].

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[1]. 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[3].