Web: www.taiclone.com
Tel: +886-2-2735-9682
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Regorafenib (Hydrochloride)

Catalog No: tcsc0725

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
835621-07-3

Formula:
$C_{21}H_{16}Cl_2F_4N_4O_3$

Pathway:
Protein Tyrosine Kinase/RTK;MAPK/ERK Pathway;Protein Tyrosine Kinase/RTK;Autophagy

Target:
VEGFR;Raf;PDGFR;Autophagy

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 5.6 mg/mL (10.78 mM)

Alternative Names:
BAY73-4506 hydrochloride

Observed Molecular Weight:
519.28

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Product Description

Regorafenib Hydrochloride is a multi-target inhibitor for **VEGFR1/2/3**, **PDGFRβ**, **Kit**, **RET** and **Raf-1** with **IC_{50}**s of 13/4.2/46, 22, 7, 1.5 and 2.5 nM, respectively.

IC50 & Target: IC50: 13 nM (VEGFR1), 4.2 nM (VEGFR2), 46 nM (VEGFR3), 22 nM (PDGFRβ), 7 nM (Kit), 1.5 nM (RET), 2.5 nM (Raf-1)

In Vitro: Regorafenib potently inhibits VEGFR2 autophosphorylation in NIH-3T3/VEGFR2 cells with an IC_{50} of 3 nM. In HAoSMCs, regorafenib inhibits PDGFR-β autophosphorylation after stimulation with PDGF-BB, with an IC_{50} of 90 nM. Regorafenib inhibits the proliferation of VEGF165-stimulated HUVECs, with an IC_{50} of 3 nM[1]. Regorafenib causes a concentration-dependent decrease in Hep3B cell growth, having an IC_{50} of 5 μM. Regorafenib subsequently increases the levels of phospho-c-Jun, a JNK target, but not total c-Jun in Hep3B cells[3].

In Vivo: Regorafenib effectively inhibits growth of the Colo-205 xenografts in the dose range of 10-100 mg/kg reaching a TGI of 75% at day 14 at the 10 mg/kg dose. In the MDA-MB-231 model, regorafenib is highly efficacious at a dose as low as 3 mg/kg, resulting in a significant TGI of 81%, which increases to 93% at doses of 10 and 30 mg/kg, where tumor stasis is reached[1].