Web: www.taiclone.com
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Email: order@taiclone.com

Regorafenib

Catalog No: tcsc0170

Available Sizes

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 2g

Size: 5g

Specifications

CAS No:
755037-03-7

Formula:
$C_{21}H_{15}ClF_4N_4O_3$

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

Target:
VEGFR;Raf;PDGFR;Autophagy

Form:
White to brown (Solid)

Purity / Grade:
98.99%

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Solubility:
DMSO : ≥ 260 mg/mL (538.50 mM)

Storage Instruction:
Storage temp. 2-8°C

Alternative Names:
BAY 73-4506

Observed Molecular Weight:
482.82

References

[1]. Wilhelm SM, et al. Regorafenib (BAY 73-4506): a new oral multikinase inhibitor of angiogenic, stromal and oncogenic receptor tyrosine kinases with potent preclinical antitumor activity. Int J Cancer, 2011, 129(1), 245-255. [2]. Heng DY, et al. Targeted therapy for metastatic renal cell carcinoma: current treatment and future directions. Ther Adv Med Oncol, 2010, 2(1), 39-49. [3]. Carr BI, et al. Fluoro-Bay 43-9006 (Regorafenib) effects on hepatoma cells: growth inhibition, quiescence, and recovery. J Cell Physiol, 2013, 228(2), 292-297.

Product Description

Regorafenib 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].

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