

# 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%

**Solubility:**DMSO :  $\geq 260$  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.

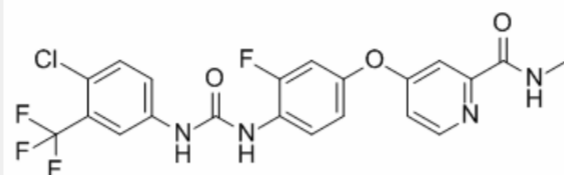
**Product Description**

Regorafenib is a multi-target inhibitor for **VEGFR1/2/3**, **PDGFR $\beta$** , **Kit**, **RET** and **Raf-1** with **IC<sub>50</sub>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 (PDGFR $\beta$ ), 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<sub>50</sub> of 3 nM. In HAoSMCs, regorafenib inhibits PDGFR- $\beta$  autophosphorylation after stimulation with PDGF-BB, with an IC<sub>50</sub> of 90 nM. Regorafenib inhibits the proliferation of VEGF165-stimulated HUVECs, with an IC<sub>50</sub> of 3 nM<sup>[1]</sup>. Regorafenib causes a concentration-dependent decrease in Hep3B cell growth, having an IC<sub>50</sub> of 5  $\mu$ M. Regorafenib subsequently increases the levels of phospho-c-Jun, a JNK target, but not total c-Jun in Hep3B cells<sup>[3]</sup>.

**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<sup>[1]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!