

Regorafenib (monohydrate)

Catalog No: tcsc1205



Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 2g

Size: 5g



Specifications

CAS No:

1019206-88-2

Formula:

$C_{21}H_{17}ClF_4N_4O_4$

Pathway:

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

Target:

VEGFR;Raf;PDGFR;Autophagy

Purity / Grade:

>98%

Solubility:

H₂O :

Alternative Names:

BAY 73-4506 monohydrate

Observed Molecular Weight:

500.83

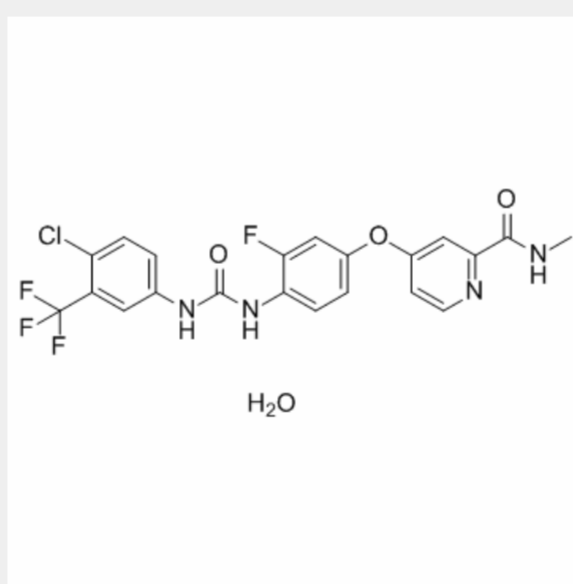
Product Description

Regorafenib monohydrate is a multi-target inhibitor for **VEGFR1/2/3**, **PDGFR β** , **Kit**, **RET** and **Raf-1** with **IC₅₀**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 β), 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 IC₅₀ of 3 nM. In HAoSMCs, regorafenib inhibits PDGFR- β autophosphorylation after stimulation with PDGF-BB, with an IC₅₀ of 90 nM. Regorafenib inhibits the proliferation of VEGF165-stimulated HUVECs, with an IC₅₀ of 3 nM^[1]. Regorafenib causes a concentration-dependent decrease in Hep3B cell growth, having an IC₅₀ of 5 μ M. 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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