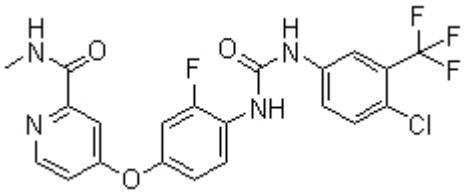
Product Introduction

Regorafenib (BAY 73-4506)

Regorafenib (BAY 73-4506) is a multi-target inhibitor for VEGFR1, **VEGFR2**, VEGFR3, PDGFRβ, Kit, **RET** and **Raf-1** with **IC50** of 13 nM/4.2 nM/46 nM, 22 nM, 7 nM, 1.5 nM and 2.5 nM, respectively.

Technical Data:

Molecular Weight (MW):	482.82	
Formula:	$C_{21}H_{15}ClF_4N_4O_3$	
Solubility (25°C) *** <1 mg/ml means slightly soluble or insoluble:**	DMSO 97 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	755037-03-7	

Biological Activity

Regorafenib strongly prevents VEGFR2 autophosphorylation in NIH-3T3/VEGFR2 cells with IC50 of 3 nM. In HAoSMCs, regorafenib suppress PDGFR-β autophosphorylation after stimulation with PDGF-BB, with an IC50 of 90 nM. Regorafenib also inhibits FGFR signaling in MCF-7 breast cancer (BC) cells stimulated with FGF10. Regorafenib very potently inhibited the mutant receptors KIT^{K642E} and RET^{C634W}, with IC50 of approximately 20 nM and 10 nM, respectively. Regorafenib inhibits the proliferation of $VEGF^{165}$-stimulated HUVECs, with an IC50 of approximately 3 nM. Regorafenib prevents the proliferation of FGF2-stimulated

HUVECs and of PDGF-BB-stimulated HAoSMCs with IC50 of 127 nM and 146 nM, respectively. [1] Regorafenib targets both tumor cell proliferation and tumor vasculature through inhibition of receptors of tyrosine kinases (VEGFR, KIT, RET, FGFR, and PDGFR) and serine/threonine kinases (Raf and p38MAPK). [2] Regorafenib suppresses growth of human Hep3B, PLC/PRF/5 and HepG2 cells in a concentration- and time-dependent manner. [3]

Regorafenib reveals potent dose-dependent TGI in various preclinical human xenograft models in mice, with tumor shrinkages in breast MDA-MB-231 and renal 786-O carcinoma models. Regorafenib prevents not only the growth of syngeneic primary 4T1 breast tumors growing orthotopically in the fat pad, but also suppresses the formation of tumor metastasis in the lung. [1]

References

[1] Wilhelm SM, et al. Int J Cancer, 2011, 129(1), 245-255.
[2] Heng DY, et al. Ther Adv Med Oncol, 2010, 2(1), 39-49.
[3] Carr BI, et al. J Cell Physiol, 2013, 228(2), 292-297.

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