



Catalog Number:	MC11106	Product Type:	Small Molecule
Bio-Activity:	Raf-1 inhibitor (and other kinases)	CAS #:	284461-73-0
Research Categories:	Cell death, cancer	Chemical Name:	4-(4-(3-(4-chloro-3-(trifluoromethyl)phenyl)ureido)phenoxy)-N-methylpicolinamide
Solubility:	Soluble in DMSO (up to 200 mg/ml) or in Ethanol (up to 3 mg/ml).	Molecular Formula:	C ₂₁ H ₁₆ ClF ₃ N ₄ O ₃
Purity:	> 98%	Molecular Weight:	464.83
Format:	Powder	Ship Temp:	Ambient
Storage:	-20°C		

Application Notes

Description/Data:

Initially developed as a Raf kinase inhibitor, IC₅₀ = 6 nM, but has been shown to inhibit many receptor tyrosine kinases including BRAF (IC₅₀ = 22 nM); VEGFR-2 (IC₅₀ = 90 nM); VEGFR-3 (IC₅₀ = 20 nM); PDGFR-β (IC₅₀ = 57 nM); Flt3 (IC₅₀ = 58 nM); c-KIT (IC₅₀ = 68 nM); FGFR-1 (IC₅₀ = 580 nM) [1]. Paradoxically more potent in a cellular assay (IC₅₀ = 20 nM) compared to an isolated enzyme assay (IC₅₀ = 107 nM) for c-Fms [2]. Inhibits activation of MAPK pathway and ERK phosphorylation [3]. Induces caspase-independent apoptosis in melanoma cells [4]. Clinically useful anticancer agent.

References:

- 1) Wilhelm et al. (2004), BAY 43-9006 exhibits broad spectrum oral antitumor activity and targets the RAF/MEK/ERK pathway and receptor tyrosine kinases involved in tumor progression and angiogenesis; Cancer Res., 64 7099
- 2) Guo et al. (2006), Inhibition of phosphorylation of the colony-stimulating factor-1 receptor (c-Fms) tyrosine kinase in transfected cells by ABT-869 and other tyrosine kinase inhibitors; Mol. Cancer Ther., 5 1007
- 3) Wilhelm et al. (2003), The novel Raf inhibitor BAY 43-9006 blocks signaling and proliferation in BRAF mutant and wildtype melanoma and colorectal tumor cell lines; Proc. Am. Assoc. Cancer Res., 44 106609
- 4) Panka et al. (2006), The Raf inhibitor BAY 43-9006 (Sorafenib) induces caspase-independent apoptosis in melanoma cells; Cancer Res., 66 1611

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