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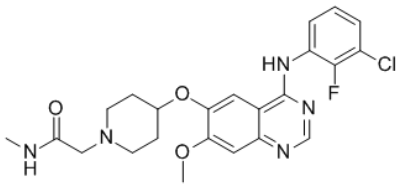
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Sapitinib
Cat. No.	:	PC-43354
CAS No.	:	848942-61-0
Molecular Formula	:	$C_{23}H_{25}ClFN_5O_3$
Molecular Weight	:	473.9277
Target	:	EGFR
Solubility	:	DMSO: ≥ 33 mg/mL



Biological Activity

Sapitinib (AZD-8931, AZD8931) is a equipotent, reversible inhibitor of **EGFR**, ErbB2 and ErbB3 with IC50 of 4. 3 and 4 nM (phosphorylation inhibition), respectively.
Sapitinib (AZD-8931) displays >1,000-fold selectivity over a panel of 206 of kinases, >100-fold selectivity for MNK1 and Flt3.
Sapitinib (AZD-8931) is significantly more potent than gefitinib or lapatinib in specific squamous cell carcinoma of the head and neck and non-small cell lung carcinoma cell lines.
Sapitinib (AZD-8931) inhibits xenograft growth in a range of models while significantly affecting EGFR, erbB2, and erbB3 phosphorylation and downstream signaling pathways, apoptosis, and proliferation.

References

Hickinson DM, et al. ***Clin Cancer Res.*** 2010 Feb 15;16(4):1159-69.

Singleton KR, et al. ***Mol Pharmacol.*** 2013 Apr;83(4):882-93.

Barlaam B, et al. ***ACS Med Chem Lett.*** 2013 May 31;4(8):742-6.

Mu Z, et al. ***J Exp Clin Cancer Res.*** 2014 May 30;33:47.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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