ALPHA DIAGNOSTIC INTERNATIONAL

Product Specification Sheet

Life Technologies™ Your Molecular & Cell Technology Partner

□ **Cat. #** SM-101090-5 AZD8931, reversible and competitive Inhibitor of Her2/Erbb2/ErbB3 (mol wt 473; >98%) **SIZE:** 5 mg
□ **Cat. #** SM-101090-25 AZD8931, reversible and competitive Inhibitor of Her2/Erbb2/ErbB3 (mol wt 473; >98%) **SIZE:** 25 mg

AZD8931 (Sapitinib) is a novel, equipotent, reversible small-molecule ATP competitive inhibitor of EGFR, HER2, and HER3 signaling. Sapitinib binds to and inhibits erbB tyrosine receptor kinases, which may result in the inhibition of cellular proliferation and angiogenesis in tumors expressing erbB. The erbB protein family, (epidermal growth factor receptor (EGFR) family), plays major roles in tumor cell proliferation and tumor vascularization.

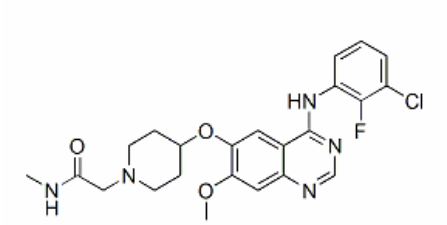
EGFR over expression has been associated with prognostic and predictive value in inflammatory breast cancer (IBC). AZD8931 was developed with the hypothesis that combined inhibition of EGFR, HER2, and HER3-mediated signaling may be more effective for clinical cancer treatment.

In Vivo, AZD8931 reveals antitumour activity in BT474c, Calu-3, LoVo, FaDu and PC-9 xenografts. AZD8931 also causes induction of the M30 apoptosis marker. Furthermore, AZD8931 shows greater proapoptotic effect compared with gefitinib and lapatinib (both erbB inhibitors) in LoVo xenografts.

In vitro, AZD8931 significantly suppressed cell growth of IBC cells and induced apoptosis of human IBC cells. AZD8931 monotherapy inhibited xenograft growth and the combination of paclitaxel + AZD8931 was demonstrably more effective than paclitaxel or AZD8931 alone treatment at delaying tumor growth in vivo in orthotopic IBC models. Previous results showed that AZD8931 was significantly more potent against EGFR, HER2 and HER3 signaling than other EGFR inhibitors such as lapatinib or gefitinib.

AZD8931 has shown greater antitumour activity in a range of xenografted models compared with lapatinib or gefitinib.AZD8931 may provide a novel therapeutic strategy for the treatment of IBC patients with HER2 non-amplified tumors.

Properties:



Mol Wt: 473.93
Form: Powder
Purity >98%
Formula $C_{23}H_{25}ClFN_5O_3$
CAS No. 848942-61-0
Solubility **In vitro** Water: Ethanol <1mg/mL. DMSO: 40 mg/mL
In vivo 30%PEG400/0.5% Tween80/5% propylene glycol, 5 mg/mL
Synonym Saptinib
Chemical Name 2-(4-(4-(3-chloro-2-fluorophenylamino)-7-methoxyquinazolin-6-yloxy)piperidin-1-yl)-N-methylacetamide

Storage:

Store powder at -20°C for up to 3 years.
In DMSO, -80°C up to 6 months.

Stability: 6-12 months at –20°C or below.

Shipping: 4°C for solutions and room temp for powder

General References: Dreymueller (2014) D J Immunol, 192(2):722-31; Zhaomei Mu (2014) J Exp Clin Cancer Res 33:47.

*This product is for research use only

Related Items

Catalog#	ProdDescription
SM-101030-25	Lapatinib, Inhibitor of Her2/EGFR (IC50=10 nM; mol wt 581; >98%)
SM-101070-10	Canertinib (CI-1033), kinase Inhibitor of Her2/Erb2/EGFR (mol wt 485; >98%)
SM-101080-5	CP-724,714, Potent and selective Inhibitor of Her2/Erb2 (mol wt 469; >98%)
SM-101100-25	AEE788 (NVP-AEE788), dual Inhibitor of Her2/Erbb2/EGFR (mol wt 440; >98%)
SM-101110-10	Mubritinib (TAK-165), potent Inhibitor of Her2/Erbb2 (IC50=6 nm; mol wt 468; >98%)
SM-101120-5	Arry-380, Oral, potent Inhibitor of Her2/Erbb2 Tyr kinase (IC50=8 nM; mol wt 869; >98%)
SM-101130-25	Tak-285, dual Inhibitor of Her2/EGFR Tyr kinase (IC50=17 nM; mol wt 547; >98%)
SM-101000-5	EGFR/HER2 kinase inhibitor (>99%, M.wt 485.94) (Afatinib/BIBW-2992
SM-101010-5	Inhibitor of EGFR/HER family (Her1, Her2, Her3 or Pan Her-inhibitor) (BMS-59926/AC480, Mol wt 567.01, >99%)
SM-101020-10	Inhibitor of EGFR/HDAC/Her2 (CUDC-101; 7-((4-((3-ethynylphenyl)amino)-7-methoxyquinazolin-6-yl)oxy)-N-hydroxyheptanamide, Mol wt 434.49, >99%)
SM-101120-5	Arry-380, Oral, potent Inhibitor of Her2/Erbb2 Tyr kinase (IC50=8 nM; mol wt 869; >98%)
SM-101130-25	Tak-285, dual Inhibitor of Her2/EGFR Tyr kinase (IC50=17 nM; mol wt 547; >98%)

SM-101090-5 140826p

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