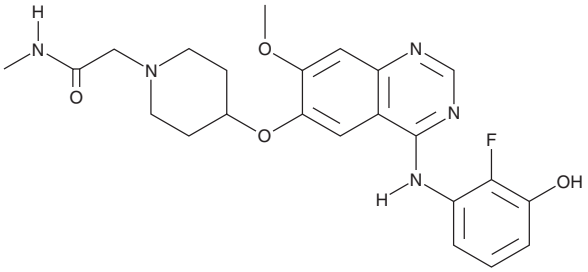
PRODUCT INFORMATION

AZD 8931

Item No. 24196

CAS Registry No.:	848942-61-0
Formal Name:	4-[[4-[(3-chloro-2-fluorophenyl)amino]-7-methoxy-6-quinazolinyl]oxy]-N-methyl-1-piperidineacetamide
Synonym:	Sapitinib
MF:	$C_{23}H_{25}ClFN_5O_3$
FW:	473.9
Purity:	≥98%
UV/Vis.:	λ_{max}: 250, 330 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

AZD 8931 is supplied as a crystalline solid. A stock solution may be made by dissolving the AZD 8931 in the solvent of choice. AZD 8931 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of AZD 8931 in these solvents is approximately 25 and 33 mg/ml, respectively.

AZD 8931 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AZD 8931 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. AZD 8931 has a solubility of approximately 0.09 mg/ml in a 1:10 solution of DMF:PBS (pH 7) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

AZD 8931 is a reversible inhibitor of the receptor tyrosine kinases ErbB1/EGFR, ErbB2/HER2, and ErbB3/HER3 (IC_{50}s = 4, 3, and 4 nM, respectively, for autophosphorylation).[1] *In vitro*, AZD 8931 (0.01, 0.1, and 1 µM) suppresses cell growth and induces apoptosis in SUM149 and FC-IBC-02 human breast cancer cell lines.[2] *In vivo*, AZD 8931 (6.25-50 mg/kg) inhibits tumor growth in BT474c, Calu-3, LoVo, FaDu, and PC-9 mouse xenograft models.[1] When administered in combination with paclitaxel (Item No. 10461), AZD 8931 inhibits inflammatory breast cancer tumor growth in a mouse xenograft model when administered at a dose of 25 mg/kg per day.[2]

References

1. Hickinson, D.M., Klinowska, T., Speake, G., *et al.* AZD8931, an equipotent, reversible inhibitor of signaling by epidermal growth factor receptor, ERBB2 (HER2), and ERBB3: A unique agent for simultaneous ERBB receptor blockade in cancer. *Clin. Cancer Res.* **16(4)**, 1159-1169 (2010).
2. Mu, Z., Klinowska, T., Dong, X., *et al.* AZD8931, an equipotent, reversible inhibitor of signaling by epidermal growth factor receptor (EGFR), HER2, and HER3: Preclinical activity in HER2 non-amplified inflammatory breast cancer models. *J. Exp. Clin. Cancer Res.* **33:47** (2014).

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