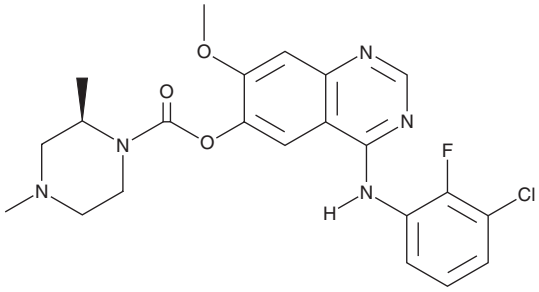
PRODUCT INFORMATION

AZD 3759

Item No. 19931

CAS Registry No.:	1626387-80-1
Formal Name:	(2R)-2,4-dimethyl-1-piperazinecarboxylic acid, 4-[(3-chloro-2-fluorophenyl)amino]-7-methoxy-6-quinazolinyl ester
MF:	$C_{22}H_{23}ClFN_5O_3$
FW:	459.9
Purity:	≥98%
UV/Vis.:	λ_{max}: 205, 224, 253 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 3759 is supplied as a crystalline solid. A stock solution may be made by dissolving the AZD 3759 in the solvent of choice, which should be purged with an inert gas. AZD 3759 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of AZD 3759 is approximately 2 mg/ml in ethanol and approximately 10 mg/ml in DMSO and DMF.

Description

AZD 3759 is a brain penetrant inhibitor of wild-type and constitutively active mutant EGF receptors (EGFRs; IC_{50}s = 0.3, 0.2, and 0.2 nM for wild-type, L858R-mutant, and exon 19 deletion-containing EGFRs, respectively).[1] It is selective for EGFR over 115 other kinases, exhibiting <50% inhibition at a concentration of 1 µM. AZD 3579 reduces EGFR phosphorylation and cellular proliferation in L858R-mutant and exon 19 deletion-containing H3255 and PC-9 cells (GI_{50}s = 7.7 and 7.0 nM, respectively) but has no effect on H838 cells that express wild-type EGFR (GI_{50} = 21,556 nM). AZD 3759 inhibits tumor growth by 78% and induces tumor regression at doses of 7.5 and 15 mg/kg, p.o., respectively in a PC-9 mouse model of non-small cell lung cancer (NSCLC) brain metastasis.

Reference

1. Zeng, Q., Wang, J., Cheng, Z., *et al.* Discovery and evaluation of clinical candidate AZD3759, a potent, oral active, central nervous system-penetrant, epidermal growth factor receptor tyrosine kinase inhibitor. *J. Med. Chem.* **58(20)**, 8200-8215 (2015).

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