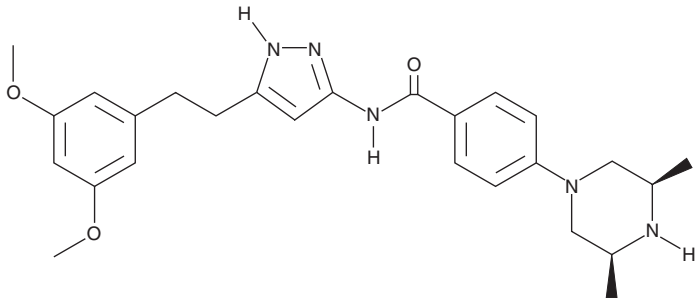
PRODUCT INFORMATION

AZD 4547

Item No. 13111

CAS Registry No.:	1035270-39-3
Formal Name:	*rel*-N-[5-[2-(3,5-dimethoxyphenyl)ethyl]-1H-pyrazol-3-yl]-4-[(3R,5S)-3,5-dimethyl-1-piperazinyl]-benzamide
MF:	$C_{26}H_{33}N_5O_3$
FW:	463.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 308 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 4547 is supplied as a crystalline solid. A stock solution may be made by dissolving the AZD 4547 in the solvent of choice, which should be purged with an inert gas. AZD 4547 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of AZD 4547 in ethanol is approximately 1.6 mg/ml and approximately 25 mg/ml in DMSO and DMF.

AZD 4547 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AZD 4547 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. AZD 4547 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

AZD 4547 is a selective inhibitor of the fibroblast growth factor receptor (FGFR) tyrosine kinase with IC_{50} values of 0.2, 2.5, and 1.8 nM for FGFR1, 2, and 3, respectively.[1] It displays weaker activity against FGFR4 (IC_{50} = 165 nM), VEGFR2 (IC_{50} = 24 nM), and a panel of other tyrosine and serine/theronine kinases such as insulin-like growth factor 1 receptor (IC_{50} = 581 nM), cyclin-dependent kinase 2 (IC_{50} = >10 µM), and p38 (IC_{50} = >100 µM).[1] AZD 4547 has demonstrated antiproliferative activity in tumor cell lines expressing deregulated FGFRs (IC_{50}s = 18-281 nM).[1] In an FGFR-driven human tumor xenograft mouse model, oral administration of AZD 4547 at concentrations up to 12.5 mg/kg/day resulted in potent dose-dependent antitumor activity.[1]

Reference

1. Gavine, P.R., Mooney, L., Kilgour, E., *et al.* AZD4547: An orally bioavailable, potent, and selective inhibitor of the fibroblast growth factor receptor tyrosine kinase family. *Cancer Res.* **72(8)**, 2045-2056 (2012).

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