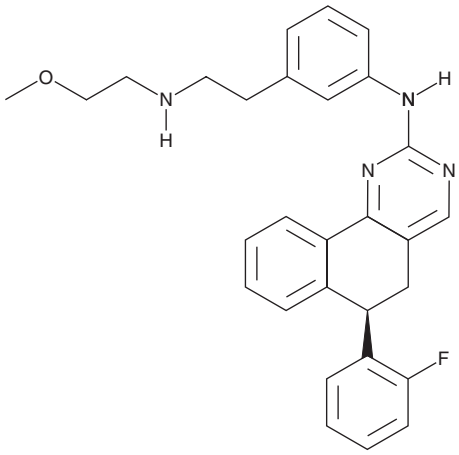
PRODUCT INFORMATION

Derazantinib

Item No. 27636



CAS Registry No.:	1234356-69-4
Formal Name:	(6R)-6-(2-fluorophenyl)-5,6-dihydro-N-[3-[2-[(2-methoxyethyl) amino]ethyl]phenyl]-benzo[h] quinazolin-2-amine
Synonym:	ARQ 087
MF:	$C_{29}H_{29}FN_4O$
FW:	468.6
Purity:	≥95%
UV/Vis.:	λ_{max}: 248, 281, 353 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

Derazantinib is supplied as a crystalline solid. A stock solution may be made by dissolving the derazantinib in the solvent of choice, which should be purged with an inert gas. Derazantinib is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of Derazantinib in these solvents is approximately 25 and 30 mg/ml, respectively.

Derazantinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, derazantinib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Derazantinib has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Derazantinib is a multi-kinase inhibitor.[1] It is a pan inhibitor of FGF receptors (FGFRs; IC_{50}s = 4.5, 1.8, 4.5, and 34 nM for FGFR1, -2, -3, and -4, respectively) and also inhibits 10 receptor tyrosine kinases, 8 non-receptor tyrosine kinases, and the calcium/calmodulin-dependent protein kinase QIK in a panel of 297 kinases (IC_{50}s = 3-31 and 9.7 nM, respectively). Derazantinib decreases phosphorylation of FGFR in COS-1 cells expressing FGFR1, -2, -3, or -4 (IC_{50}s = <0.123, 0.185, 0.463, and >10 µM, respectively) and inhibits the growth of 15 cancer cell lines with mutant, amplified, or translocated FGFR (GI_{50}s = 0.1-1.7 µM). It reduces tumor growth and decreases tumor protein levels of phosphorylated FGFR, FGFR substrate 2 (FRS2), and ERK in a SNU-16 mouse xenograft model when administered at doses of 50 and 75 mg/kg.

Reference

1. Hall, T.G., Yu, Y., Eathiraj, S., *et al.* Preclinical activity of ARQ 087, a novel inhibitor targeting FGFR dysregulation. *PLoS One* **11(9)**, e0162594 (2016).

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