

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: C₂₉H₂₉FN₄O

FW: 468.6

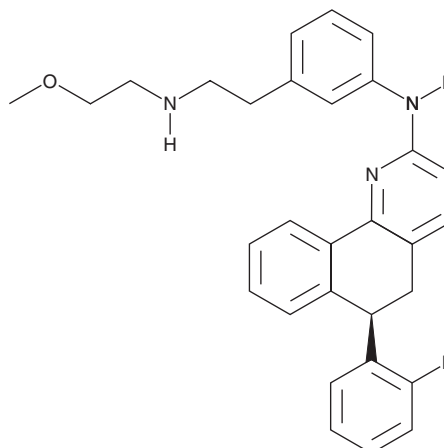
Purity: ≥95%

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; IC₅₀s = 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 (IC₅₀s = 3-31 and 9.7 nM, respectively). Derazantinib decreases phosphorylation of FGFR in COS-1 cells expressing FGFR1, -2, -3, or -4 (IC₅₀s = <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 (GI₅₀s = 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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897

[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM

WWW.CAYMANCHEM.COM