

PRODUCT INFORMATION

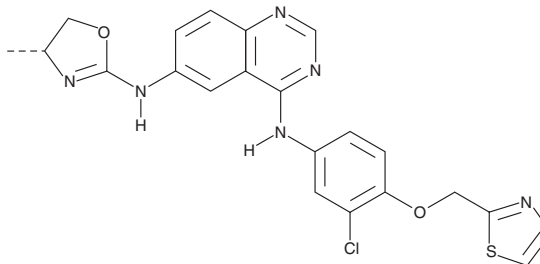


Varlitinib

Item No. 27651

CAS Registry No.: 845272-21-1
Formal Name: N⁴-[3-chloro-4-(2-thiazolylmethoxy)phenyl]-N⁶-[(4R)-4,5-dihydro-4-methyl-2-oxazolyl]-4,6-quinazolinediamine

Synonym: ARRY-334543
MF: C₂₂H₁₉ClN₆O₂S
FW: 466.9
Purity: ≥98%
UV/Vis.: λ_{max}: 244, 303, 356 nm
Supplied as: A 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

Varlitinib is supplied as a solid. A stock solution may be made by dissolving the varlitinib in the solvent of choice, which should be purged with an inert gas. Varlitinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of varlitinib in ethanol is approximately 0.5 mg/ml and approximately 5 mg/ml in DMSO and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of varlitinib can be prepared by directly dissolving the solid in aqueous buffers. Varlitinib is slightly soluble in PBS (pH 7.2). We do not recommend storing the aqueous solution for more than one day.

Description

Varlitinib is an inhibitor of EGFR and HER2 (IC₅₀s = 7 and 2 nM, respectively).^{1,2} It inhibits cell proliferation (IC₅₀s = <20 μM) and induces apoptosis in MDA-MB-453 and MDA-MB-468 triple-negative breast cancer (TNBC) cells.² Varlitinib inhibits migration, invasion, and mammosphere formation of MDA-MB-231 and MDA-MB-468 cells. *In vivo*, varlitinib (100 mg/kg) inhibits phosphorylation of EGFR and ERK and reduces tumor weight in an MDA-MB-468 mouse xenograft model.

References

1. Miknis, G., Wallace, E., Lyssikatos, J., *et al.* ARRY-334543, a potent, orally active, small molecule inhibitor of EGFR and ErbB-2. *Cancer Res.* **65(9 Suppl.)**, 801 (2005).
2. Liu, C.-Y., Chu, P.-Y., Huang, C.-T., *et al.* Varlitinib downregulates HER/ERK signaling and induces apoptosis in triple negative breast cancer cells. *Cancer (Basel)* **11(1)**, E105 (2019).

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.

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