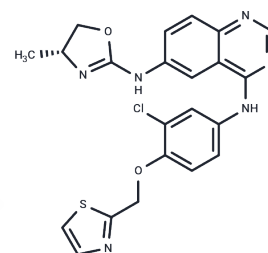


Varlitinib

Chemical Properties

CAS No. :	845272-21-1
Formula:	C ₂₂ H ₁₉ ClN ₆ O ₂ S
Molecular Weight:	466.94
Appearance:	no data available
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	Varlitinib (ASLAN001) is a potent, reversible, small molecule pan-EGFR inhibitor with IC ₅₀ values of 7 nM for HER1, 2 nM for HER2, and 4 nM for HER4.
Targets(IC ₅₀)	HER
In vitro	In cell-based assays involving tumor cells with over-expression of EGFR (A431) or ErbB-2 (BT474), Varlitinib (ARRY-334543) effectively inhibits substrate phosphorylation and demonstrates high selectivity for EGFR/ErbB-2 without significant activity against a panel of 104 other kinases[2].
In vivo	Varlitinib treatment effectively suppresses tumor growth, achieving complete tumor regression at a dosage of 100 mg/kg administered twice daily. Within five days of treatment, Varlitinib significantly inhibits the phosphorylation of key signaling molecules including HER1-3, RAS/RAF/MEK/MAPK, p70S6K, S6 ribosomal protein, 4EBP1, Cdk-2, Cdc-2, and retinoblastoma. This inhibition is accompanied by a marked decrease in survivin levels and an increase in Caspase 3 cleavage products, indicating enhanced apoptosis. Additionally, in murine xenograft models, Varlitinib (ARRY-334543) shows substantial, dose-dependent tumor growth inhibition in A431-derived tumors when given orally at doses of 25, 50, and 100 mg/kg, twice a day for 21 days.

Solubility Information

Solubility	DMSO: 31.5 mg/mL (67.5 mM), Sonication and heating to 60°C are recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), H ₂ O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1416 mL	10.708 mL	21.416 mL
5 mM	0.4283 mL	2.1416 mL	4.2832 mL
10 mM	0.2142 mL	1.0708 mL	2.1416 mL
50 mM	0.0428 mL	0.2142 mL	0.4283 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Hsieh C, et al. Varlitinib to demonstrate anti-tumour efficacy in patient-derived hepatocellular carcinoma xenograft models. Journal of Clinical Oncology 34, no. 15_suppl

Miknis G, et al. ARRY-334543, A potent, orally active small molecule inhibitor of EGFR and ErbB-2. Proc Amer Assoc Cancer Res, Volume 46, 2005

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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