Varlitinib tosylate

Cat. No.: HY-10530A

CAS No.: 1146629-86-8

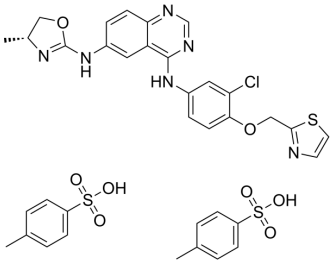
Molecular Formula: $C_{36}H_{35}ClN_6O_8S_3$

Molecular Weight: 811.35

Target: EGFR

Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Varlitinib (ASLAN001) tosylate is a potent, reversible, small molecule pan-EGFR inhibitor with IC_{50}s of 7, 2, 4 nM for HER1, HER2 and HER4, respectively[1].
IC_{50} & Target	IC50: 7 nM (HER1), 2 nM (HER2), 4 nM (HER4)[1]
In Vitro	In cell-based assays using tumor cells that over-express EGFR (A431) or ErbB-2 (BT474), Varlitinib tosylate (ARRY-334543) potently inhibits substrate phosphorylation. Varlitinib tosylate is shown to be highly selective for EGFR/ErbB-2, and does not show any significant activity when screened against a panel of 104 kinases[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Varlitinib tosylate treatment potently inhibits tumor growth with complete tumor regression observed at dosing of 100 mg/kg twice a day. After five days of Varlitinib tosylate treatment, phosphorylation of HER1-3, RAS/RAF/MEK/MAPK, p70S6K, S6 ribosomal, 4EBP1, Cdk-2, Cdc-2 and retinoblastoma are strongly inhibited. Varlitinib tosylate treatment results in a significant reduction in survivin and a concomittant increase in Caspase 3 cleavage products[1]. In murine xenograft models, Varlitinib tosylate (ARRY-334543) demonstrates significant dose-related (25, 50, 100 mg/kg) tumor growth inhibition in A431-derived tumors when administered orally, twice a day, for 21 days[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.

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REFERENCES

[1]. 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

[2]. 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

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