

Product Data Sheet

Product Name: AZ14289671

Cat. No.: GC79462

Chemical Properties

Cas. No. 3101563-22-5

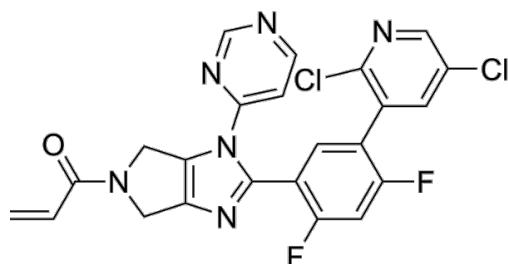
Formula $C_{23}H_{14}Cl_2F_2N_6O$ M.Wt 499.3

Solubility DMSO: 12.5 mg/mL (25.04 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

AZ14289671 is an orally active, blood-brain barrier-penetrant tyrosine kinase (tyrosine kinase) inhibitor (TKI) that specifically targets non-small cell lung cancer (NSCLC) harboring EGFR exon 20 insertion mutations (EGFR Exon20Ins), while largely sparing wild-type EGFR to reduce off-target toxicities such as rash and diarrhea. AZ14289671 inhibits the downstream MAPK/ERK/AKT pathway, suppressing tumor cell proliferation, survival and migration. AZ14289671 can be used for NSCLC research [1].

Caution: Product has not been fully validated for medical applications. For research use only.

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In Vivo, AZ14289671 (6.25-50 mg/kg; p.o.; twice daily; for 14 consecutive days) induces dose-dependent tumor growth inhibition in the LXF2478ASV xenograft mouse model^[1]. AZ14289671 (6.25-50 mg/kg; p.o.; twice daily; for 14 consecutive days) exhibits significantly lower tumor growth inhibitory activity (maximum TGI of 62%) and EGFR phosphorylation inhibition in the H2073WT xenograft mouse model^[1]. AZ14289671 (50 mg/kg; p.o.; twice daily; for 16 consecutive days) induces 86% TGI in the A431WT xenograft mouse model^[1]. AZ14289671 (6.25-50 mg/kg; p.o.; twice daily; for 28 consecutive days) induces dose-dependent tumor growth inhibition in the LU3075DNP xenograft mouse model^[1]. AZ14289671 (25-50 mg/kg; p.o.; twice daily; for 28 consecutive days) induces dose-dependent tumor growth inhibition in the LU0387NPH xenograft mouse model^[1]. AZ14289671 (2 µmol/kg/h; intravenous injection; continuous infusion; 4 h) crosses the blood-brain barrier of healthy rats, with a K_{puu} value of 0.17^[1].

In Vitro, AZ14289671 (1 µM) exhibits high kinome selectivity, with only a small subset of non-EGFR family kinases showing inhibition rates exceeding 50%^[1]. AZ14289671 (2 h) potently inhibits EGFR phosphorylation in EGFR^{Exon20Ins} cell lines (mean IC₅₀ = 17-41 nM), with significantly reduced activity in EGFR^{WT} cell lines (mean IC₅₀ = 480-832 nM), exhibiting high mutant selectivity^[1]. AZ14289671 (2 h) potently inhibits ERK phosphorylation in LXF2478ASV EGFR^{Exon20Ins} cells, with a mean IC₅₀ of 32 nM^[1]. AZ14289671 (10-1000 nmol/L; 2 h, 6 h) potently inhibits MAPK pathway signaling (including phosphorylation of ERK, AKT and S6) in LXF2478ASV EGFR^{Exon20Ins} cells after 2 h and 6 h of treatment^[1]. AZ14289671 (30-1000 nM; 6 h) dose-dependently inhibits the gene expression of the MAPK pathway in LXF2478ASV EGFR exon 20 insertion mutant cells^[1]. AZ14289671 (2 h) potently inhibits EGFR phosphorylation in EGFR^{Exon20Ins/T790M}, EGFR^{L858R}, EGFR^{L858R/T790M} and EGFR^{Ex19del} cell lines (mean IC₅₀ = 7-45 nM), and suppresses HER2 phosphorylation in BT-474HER2^{WT} and H2170HER2^{YVMA} cells with mean IC₅₀ values of 75 nM and 125 nM, respectively^[1]. AZ14289671 (1 µM; 2 h) exhibits low propensity as an efflux transporter substrate, with an efflux ratio of 1.5 in MDCKII-MDR1-BCRP cells and 4.7 in MDCKI-MDR1 cells^[1].

References:

[1]. Swaih AM, et al. AZ14289671 is a highly selective and blood-brain barrier penetrant irreversible

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TKI that targets EGFR Exon20 insertions. Cell Rep Med. 2025;6(9):102305.

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