

Product Data Sheet

Product Name: MTX-531

Cat. No.: GC74012

Chemical Properties

Cas. No. 2791417-66-6

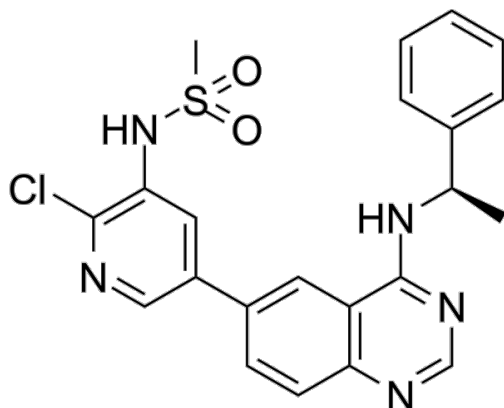
Formula $C_{22}H_{20}ClN_5O_2S$ M.Wt 453.94

Solubility DMSO : 100 mg/mL (220.29 mM; Storage -20°C
Need ultrasonic)

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

MTX-531 is an oral drug that inhibits EGFR (with an IC₅₀ of 14.7 nM) and PI3K (with IC₅₀ values of 6.4, 233, 8.3, and 1.1 nM for PI3K α , PI3K β , PI3K γ , and PI3K δ respectively), and it has anti-tumor effects. MTX-531 also acts as a weak agonist of PPAR γ , with an IC₅₀ of 2.5 μ M, helping to alleviate perglycemia induced by PI3K inhibitors.

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

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MTX-531 (0-10000 nM, 2 h) shows a concentration-dependent inhibitory effect on EGFR, P13K, and mTOR in CAL-33 cells[1]. MTX-531 is 3.4 μ M with EC₅₀ in 293H cells[1]. MTX-531 (10 μ M, 8-24 h) activates PPAR γ in 3T3-L1 cells[1].

MTX-531 (100 mg/kg, orally, single dose) inhibits EGFR and PI3K-mTOR pathway signaling in a time-dependent manner in mice[1]. MTX-531 (25 mg/kg, orally, once daily for 134-145 days) suppresses tumor proliferation in mice[1]. MTX-531 (100 mg/kg, orally, single dose) shows excellent tolerance in mice and uniquely does not cause the perglycemia commonly seen with PI3K inhibitors[1]. MTX-531 (100 mg/kg, orally, single dose) inhibits EGFR and PI3K-mTOR signaling and tumor growth in the HNSCC PDX model[1]. MTX-531 enhances MEK inhibition in CRC[1]. MTX-531 (100 mg/kg, orally, 0-35 days) combined with KRAS-G12C inhibitors suppresses tumor growth in mice with KRAS-G12C mutant colorectal cancer or pancreatic tumors[1].

References:

[1]. Christopher E Whitehead, et al. A first-in-class selective inhibitor of EGFR and PI3K offers a single-molecule approach to targeting adaptive resistance. Nat Cancer. 2024 Jul 11.

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