

Product Data Sheet

Product Name: EGFR T790M/L858R-IN-2

Cat. No.: GC73274

Chemical Properties

Cas. No. 2955607-40-4

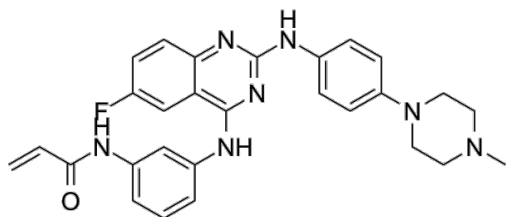
Formula $C_{28}H_{28}FN_7O$ M.Wt 497.57

Solubility DMSO : 100 mg/mL (200.98 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

EGFR T790M/L858R-IN-2 is a potent and selective EGFR T790M/L858R inhibitor with IC₅₀ values of

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

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3.5, 1290 nM for EGFR T790M/L858R, EGFR WT, respectively. EGFR T790M/L858R-IN-2 decreases the expression of p-EGFR, P-AKT, P-ERK1/2. EGFR T790M/L858R-IN-2 induces Apoptosis and cell cycle arrest in the G1 phase. EGFR T790M/L858R-IN-2 shows anti-cancer activity.

EGFR T790M/L858R-IN-2 (compound 28f) (0.1, 1, 10 μ M; 4 h) decreases the expression of p-EGFR, P-AKT, P-ERK1/2 in a dose-dependent manner in H1975, HCC827 cells[1]. EGFR T790M/L858R-IN-2 (0.1, 1, 10 μ M; 48 h) induces apoptosis and cell cycle arrest in the G1 phase in H1975, HCC827 cells[1]. EGFR T790M/L858R-IN-2 (0.1, 1, 10 μ M; 14 days) inhibits colony formation and cell migration in a dose-dependent manner[1].

EGFR T790M/L858R-IN-2 (5, 10, 20 mg/kg; i.p.; daily) inhibits tumor growth in a dose-dependent manner[1]. Pharmacokinetic Parameters of EGFR T790M/L858R-IN-2 in Male Sprague-Dawley rats[1].

References:

[1]. Pei J, et al. Design, Synthesis, and Antitumor Activity of Potent and Selective EGFR L858R/T790M Inhibitors and Identification of a Combination Therapy to Overcome Acquired Resistance in Models of Non-small-cell Lung Cancer. J Med Chem. 2023 Apr 27;66(8):5719-5752.

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