

AV-412 (free base)

Catalog No: tcsc2402



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

451492-95-8

Formula:

$C_{27}H_{28}ClFN_6O$

Pathway:

JAK/STAT Signaling;Protein Tyrosine Kinase/RTK

Target:

EGFR;EGFR

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 50 mg/mL (98.62 mM)

Alternative Names:

MP-412 free base

Observed Molecular Weight:

507

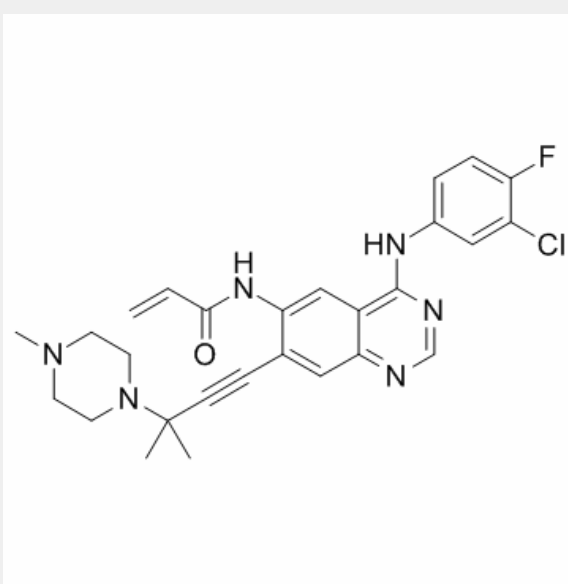
Product Description

AV-412 (MP412) is an **EGFR** inhibitor with **IC₅₀**s of 0.75, 0.5, 0.79, 2.3, 19 nM for EGFR, EGFR^{L858R}, EGFR^{T790M}, EGFR^{L858R/T790M} and ErbB2, respectively.

IC50 & Target: IC50: 0.75 nM (EGFR), 0.5 nM (EGFR^{L858R}), 0.79 nM (EGFR^{T790M}), 2.3 nM (EGFR^{L858R/T790M}), 19 nM (ErbB2)^[1]

In Vitro: AV-412 inhibits autophosphorylation of EGFR and ErbB2 with IC₅₀ of 43 and 282 nM, respectively. AV-412 also inhibits epidermal growth factor (EGF)-dependent cell proliferation with an IC₅₀ of 100 nM. AV-412 abrogates EGFR signaling in the gefitinib-resistant H1975 cell line, which harbors a double mutation of L858R and T790M in EGFR^[1].

In Vivo: In animal studies using cancer xenograft models, AV-412 (30 mg/kg) demonstrates complete inhibition of tumor growth of the A431 and BT-474 cell lines, which overexpress EGFR and ErbB2, respectively. AV-412 suppresses autophosphorylation of EGFR and ErbB2 at the dose corresponding to its antitumor efficacy. When various dosing schedules are applied, AV-412 shows significant effects with daily and every-other-day schedules, but not with a once-weekly schedule, suggesting that frequent dosing is preferable for this compound. Furthermore, AV-412 shows a significant antitumor effect on the ErbB2-overexpressing breast cancer KPL-4 cell line, which is resistant to gefitinib^[1].



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