

# AV-412

**Catalog No: tcsc2401**



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg



## Specifications

**CAS No:**

451493-31-5

**Formula:**

$C_{41}H_{44}ClFN_6O_7S_2$

**Pathway:**

JAK/STAT Signaling;Protein Tyrosine Kinase/RTK

**Target:**

EGFR;EGFR

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq 28$  mg/mL (32.89 mM)

**Alternative Names:**

MP412

**Observed Molecular Weight:**

851.41

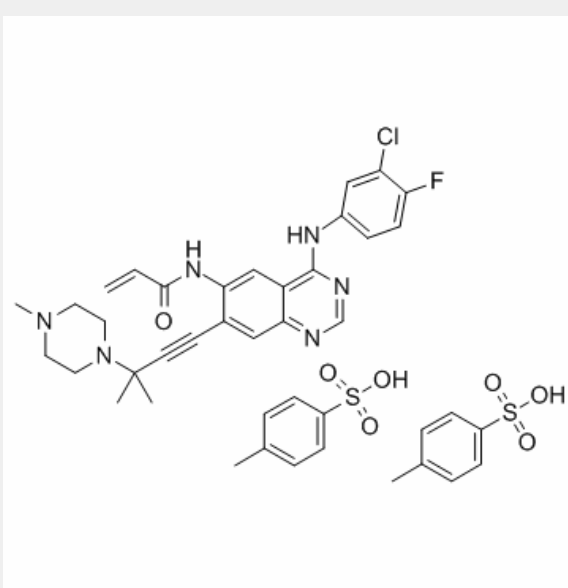
## Product Description

AV-412 (MP412) is an **EGFR** inhibitor with **IC<sub>50</sub>**s of 0.75, 0.5, 0.79, 2.3, 19 nM for EGFR, EGFR<sup>L858R</sup>, EGFR<sup>T790M</sup>, EGFR<sup>L858R/T790M</sup> and ErbB2, respectively.

IC50 & Target: IC50: 0.75 nM (EGFR), 0.5 nM (EGFR<sup>L858R</sup>), 0.79 nM (EGFR<sup>T790M</sup>), 2.3 nM (EGFR<sup>L858R/T790M</sup>), 19 nM (ErbB2)<sup>[1]</sup>

**In Vitro:** AV-412 inhibits autophosphorylation of EGFR and ErbB2 with IC<sub>50</sub> of 43 and 282 nM, respectively. AV-412 also inhibits epidermal growth factor (EGF)-dependent cell proliferation with an IC<sub>50</sub> 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<sup>[1]</sup>.

**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<sup>[1]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!