Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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

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Product Description

AV-412 (MP412) is an **EGFR** inhibitor with **IC_{50}**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 IC_{50} of 43 and 282 nM, respectively. AV-412 also inhibits epidermal growth factor (EGF)-dependent cell proliferation with an IC_{50} 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].