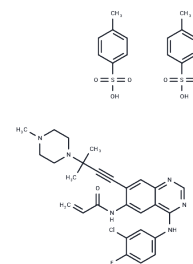


AV-412

Chemical Properties

CAS No. :	451493-31-5
Formula:	C ₄₁ H ₄₄ ClFN ₆ O ₇ S ₂
Molecular Weight:	851.41
Storage:	Store at low temperature Powder: -20°C for 3 years In solvent: -80°C for 1 year <i>Actual storage temperature shall be subject to the COA.</i>



Biological Description

Description	AV-412 (MP412) is an EGFR inhibitor for EGFR, EGFR T790M, EGFR L858R, EGFR L858R/T790M, and ErbB2, with IC ₅₀ values of 0.75, 0.79, 0.5, 2.3, and 19 nM, respectively.
Targets(IC ₅₀)	EGFR
In vitro	In cells, MP-412 inhibited autophosphorylation of EGFR and ErbB2 with IC(50) of 43 and 282 nM, respectively. It also inhibited epidermal growth factor (EGF)-dependent cell proliferation with an IC(50) of 100 nM. Moreover, MP-412 abrogated EGFR signaling in the gefitinib-resistant H1975 cell line, which harbors a double mutation of L858R and T790M in EGFR.
In vivo	In animal studies using cancer xenograft models, MP-412 (30 mg/kg) demonstrated complete inhibition of tumor growth in A431 and BT-474 cell lines, which overexpress EGFR and ErbB2, respectively. MP-412 suppressed EGFR and ErbB2 autophosphorylation at doses corresponding to its antitumor efficacy. Various dosing schedules showed significant effects with daily and every-other-day schedules, but not with a once-weekly schedule, suggesting frequent dosing is preferable. Additionally, MP-412 exhibited significant antitumor effects on the ErbB2-overexpressing KPL-4 breast cancer cell line, which is resistant to gefitinib. These studies indicate MP-412's potential as a therapeutic for cancers expressing EGFR and ErbB2, especially those resistant to first-generation small-molecule inhibitors.

Solubility Information

Solubility	DMSO: 135 mg/mL (158.56 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (2.35 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.1745 mL	5.8726 mL	11.7452 mL
5 mM	0.2349 mL	1.1745 mL	2.349 mL
10 mM	0.1175 mL	0.5873 mL	1.1745 mL
50 mM	0.0235 mL	0.1175 mL	0.2349 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Suzuki T, et al. Pharmacological characterization of MP-412 (AV-412), a dual epidermal growth factor receptor and ErbB2 tyrosine kinase inhibitor. *Cancer Sci.* 2007 Dec;98(12):1977-84.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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