

PRODUCT INFORMATION

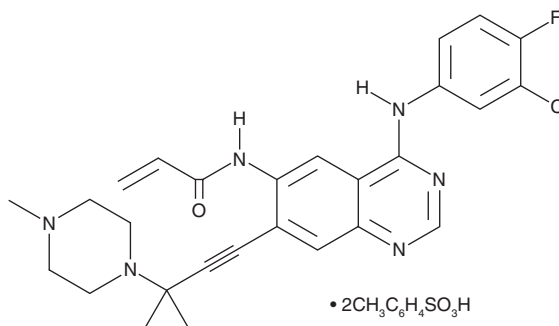


AV-412

Item No. 29039

CAS Registry No.: 451493-31-5
Formal Name: N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[3-methyl-3-(4-methyl-1-piperazinyl)-1-butyn-1-yl]-6-quinazoliny]-2-propenamide, 4-methylbenzenesulfonate (1:2)

Synonym: MP-412
MF: C₂₇H₂₈ClFN₆O • 2C₇H₈O₃S
FW: 851.4
Purity: ≥98%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

AV-412 is supplied as a solid. A stock solution may be made by dissolving the AV-412 in the solvent of choice, which should be purged with an inert gas. AV-412 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of AV-412 in ethanol is approximately 0.25 mg/ml and approximately 15 mg/ml in DMSO and DMF.

AV-412 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AV-412 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. AV-412 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

AV-412 is a dual inhibitor of EGFR and HER2 (IC₅₀s = 1.4, 0.51, 0.79, 2.3, and 19 nM for the EGFR, EGFR^{L858R}, EGFR^{T790M}, EGFR^{L858R,T790M}, and HER2 recombinant intracellular kinase domains, respectively).¹ It is selective for EGFR and HER2 over IRK, MEK1, PKA, and PKC (IC₅₀s = >10 μM) but also inhibits Abl, FLT1, and Src (IC₅₀s = 41, 920, and 2,000 nM, respectively). AV-412 (10 and 30 μM) induces ubiquitination and degradation of HER2 in SK-BR-3 cells.² It decreases levels of HER2 and estrogen receptor α (ERα) and increases levels of Hsp70 in MCF-7 cells when used at a concentration of 10 μM. AV-412 inhibits EGF-stimulated growth of A431 cells (IC₅₀ = 0.1 μM).¹ It reduces tumor growth in an A431 mouse xenograft model when administered at doses of 10 and 30 mg/kg and in a BT-474 mouse xenograft model at 30 mg/kg.

References

1. Suzuki, T., Fujii, A., Ohya, J., *et al.* Pharmacological characterization of MP-412 (AV-412), a dual epidermal growth factor receptor and ErbB2 tyrosine kinase inhibitor. *Cancer Sci.* **98(12)**, 1977-1984 (2007).
2. Suzuki, T., Fujii, A., Ochi, H., *et al.* Ubiquitination and downregulation of ErbB2 and estrogen receptor-alpha by kinase inhibitor MP-412 in human breast cancer cells. *J. Cell. Biochem.* **112(9)**, 2279-2286 (2011).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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