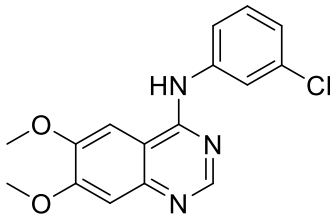
Product data sheet

MedKoo Cat#: 401019 Name: AG-1478 CAS#: 153436-53-4 Chemical Formula: $C_{16}H_{14}ClN_3O_2$ Exact Mass: 315.07745 Molecular Weight: 315.75426	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Protein tyrosine kinase (PTK) inhibitors are potential antiproliferative agents for diseases caused by the hyperactivity of PTK. Tyrphostins are a class of antiproliferative compounds which act as PTK blockers. PTK inhibitors which preferentially inhibit the epidermal growth factor receptor (EGFR) kinase and block EGFR-dependent cell proliferation. AG-1478 is an inhibitor of EGFR kinase with an IC50 value of 3 nM.1 Due to its potency and selectivity, AG-1478 has been used in a broad range of studies. It reversibly inhibits rat brain Kv1.5 potassium channels (IC50 = 9.8 μM) independent of protein tyrosine kinase (PTK) activity.2 AG-1478 also inhibits the growth of leiomyoma and myometrium cell cultures with IC50 values of 5.6 and 5.7 μM, respectively. This inhibitor suppresses MAP kinase activation and strongly inhibits induction of fos gene expression and DNA synthesis.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	42.17	133.55
DMSO:PBS (pH 7.2) (1:8)	0.1	0.32
DMF	1.0	3.17
Ethanol	0.5	1.58

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.17 mL	15.84 mL	31.67 mL
5 mM	0.63 mL	3.17 mL	6.33 mL
10 mM	0.32 mL	1.58 mL	3.17 mL
50 mM	0.06 mL	0.32 mL	0.63 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Dorobantu CM, Harak C, Klein R, van der Linden L, Strating JR, van der Schaar HM, Lohmann V, van Kuppeveld FJ. Tyrphostin AG1478 Inhibits Encephalomyocarditis Virus and Hepatitis C Virus by Targeting Phosphatidylinositol 4-Kinase IIIα. Antimicrob Agents Chemother. 2016 Sep 23;60(10):6402-6. doi: 10.1128/AAC.01331-16. PMID: 27480860; PMCID: PMC5038282.
2. Ma L, Yan H, Zhou Q. AG1478 inhibits the migration and invasion of cisplatin-resistant human lung adenocarcinoma cells via the cell cycle regulation by matrix metalloproteinase-9. Oncol Lett. 2014 Aug;8(2):921-927. doi: 10.3892/ol.2014.2224. Epub 2014 Jun 4. PMID: 25009665; PMCID: PMC4081427.

In vivo study

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1. Ju X, Yang X, Yan T, Chen H, Song Z, Zhang Z, Wu W, Wang Y. EGFR inhibitor, AG1478, inhibits inflammatory infiltration and angiogenesis in mice with diabetic retinopathy. Clin Exp Pharmacol Physiol. 2019 Jan;46(1):75-85. doi: 10.1111/1440-1681.13029. Epub 2018 Sep 16. PMID: 30221384.
2. Shimizu S, Takezawa-Yasuoka K, Ogawa T, Tojima I, Kouzaki H, Shimizu T. The epidermal growth factor receptor inhibitor AG1478 inhibits eosinophilic inflammation in upper airways. Clin Immunol. 2018 Mar;188:1-6. doi: 10.1016/j.clim.2017.11.010. Epub 2017 Nov 26. PMID: 29183867.

7. Bioactivity

Biological target:

AG-1478 (Tyrphostin AG-1478) is a selective EGFR tyrosine kinase inhibitor with IC50 of 3 nM. AG-1478 has antiviral effects against HCV and encephalomyocarditis virus (EMCV).

In vitro activity

To this end, HeLa cells were infected with either EMCV or CVB3 for 30 min, after which virus-containing medium was replaced with compound-containing medium. Eight hours later, cells were lysed by freeze-thawing to determine the total virus titers by endpoint dilution. As we have previously shown, AG1478 did not perturb CVB3 replication (Fig. 1B). However, EMCV was inhibited by AG1478 in a dose-dependent manner, with a complete inhibition at 25 μM. The inhibition observed with AG1478 was comparable to that obtained with 10 μM AL-9 (Fig. 1B). In parallel, a cell viability assay was performed to verify that the antiviral activity of AG1478 was not due to cytotoxic effects (Fig. 1B).

Reference: Antimicrob Agents Chemother. 2016 Oct; 60(10): 6402–6406. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5038282/

In vivo activity

As expected, CD31 was markedly increased in retinas of DM mice compared with the non-diabetic group (Figure 5A). In addition, the number of retinal vessels was significantly increased in the DM group (Figure 5C). However, treatment with AG1478 markedly reduced the number of CD31-positive cells and vessel density in retinas of DM mice (Figure 5A,C, respectively), supporting an anti-angiogenic effect of AG1478.

Reference: Clin Exp Pharmacol Physiol. 2019 Jan;46(1):75-85. https://pubmed.ncbi.nlm.nih.gov/30221384/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.