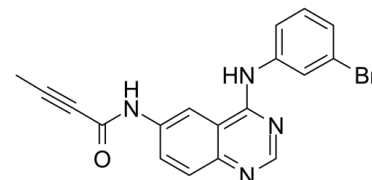


Data Sheet

Product Name:	CL-387785
Cat. No.:	CS-3291
CAS No.:	194423-06-8
Molecular Formula:	C ₁₈ H ₁₃ BrN ₄ O
Molecular Weight:	381.23
Target:	EGFR
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK
Solubility:	DMSO : 13.67 mg/mL (35.86 mM; Need ultrasonic and warming)



BIOLOGICAL ACTIVITY:

CL-387785(EKI785; WAY-EKI 785) is an irreversible inhibitor of EGFR with IC₅₀ of 370 pM.

PROTOCOL (Extracted from published papers and Only for reference)

Cell assay [4] Cell counts were done at daily intervals using trypan blue dye exclusion. Growth inhibition was assessed by MTS assay using CellTiter 96 AQueous One solution proliferation kit. For Ba/F3 stable lines, cells were washed thrice with RPMI 1640 only and resuspended in RPMI 1640 supplemented with 10% FBS and 20 ng/mL EGF. Then, cells were transferred to triplicate wells at 10,000 cells/well in 96-well flat-bottomed plates with various concentrations of CL-387785 and the cells were incubated for 48 hours. H1975 cells were plated at 6,000 cells/well; 24 hours after plating, cell culture media was replaced with RPMI 1640 supplemented with 10% FBS with specified concentrations of inhibitors and then incubated for an additional 48 hours.

References:

- [1]. Discafani CM, et al. Irreversible inhibition of epidermal growth factor receptor tyrosine kinase with in vivo activity by N-[4-[(3-bromophenyl)amino]-6-quinazolinyl]-2-butynamide (CL-387,785). *Biochem Pharmacol.* 1999 Apr 15;57(8):917-25.
- [2]. Sweeney WE, et al. Treatment of polycystic kidney disease with a novel tyrosine kinase inhibitor. *Kidney Int.* 2000 Jan;57(1):33-40.
- [3]. Greulich H, et al. Oncogenic transformation by inhibitor-sensitive and -resistant EGFR mutants. *PLoS Med.* 2005 Nov;2(11):e313.
- [4]. Kobayashi S, et al. An alternative inhibitor overcomes resistance caused by a mutation of the epidermal growth factor receptor. *Cancer Res.* 2005 Aug 15;65(16):7096-101.

CAIndexNames:

2-Butynamide, N-[4-[(3-bromophenyl)amino]-6-quinazolinyl]-

SMILES:

O=C(NC1=CC2=C(NC3=CC=CC(Br)=C3)N=CN=C2C=C1)C#CC

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA