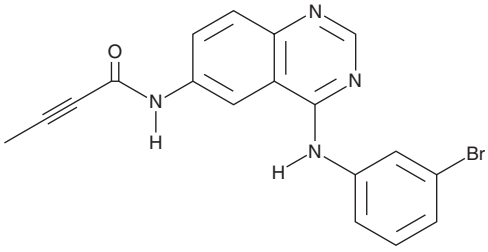
PRODUCT INFORMATION

CL 387,785

Item No. 19081

CAS Registry No.:	194423-06-8
Formal Name:	N-[4-[(3-bromophenyl)amino]-6-quinazolinyl]-2-butynamide
Synonyms:	EKB-785, EKI-785, WAY-EKI-785
MF:	$C_{18}H_{13}BrN_4O$
FW:	381.2
Purity:	≥95%
UV/Vis.:	λ_{max}: 246, 317, 348 nm
Supplied as:	A crystalline 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

CL 387,785 is supplied as a crystalline solid. A stock solution may be made by dissolving the CL 387,785 in the solvent of choice, which should be purged with an inert gas. CL 387,785 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of CL 387,785 in these solvents is approximately 5 mg/ml.

CL 387,785 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CL 387,785 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. CL 387,785 has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Overactivity of epidermal growth factor receptor (EGFR) tyrosine kinase activity has been associated with a number of cancers.[1] CL 387,785 is a potent, irreversible inhibitor of EGFR kinase activity (IC_{50} = 370 pM).[2] It blocks EGF-stimulated autophosphorylation of receptors in cells (IC_{50} = 5 nM) and halts cell cycling in cells that overexpress EGFR or c-ErbB2 (IC_{50}s = 31-125 nM).[2] CL 387,785 profoundly blocks the growth of EGFR-overexpressing tumors in nude mice when given orally at 80 mg/kg/day for 10 days.[2]

References

1. Sausville, E.A., Elsayed, Y., Monga, M., *et al.* Signal transduction-directed cancer treatments. *Annu. Rev. Pharmacol. Toxicol.* **43**, 199-231 (2003).
2. Discafani, C.M., Carroll, M.L., Floyd, M.B., Jr., *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.* **57(8)**, 917-925 (1999).

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