

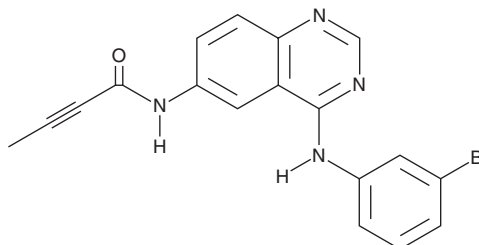
# PRODUCT INFORMATION

CL 387,785

Item No. 19081



**CAS Registry No.:** 194423-06-8  
**Formal Name:** N-[4-[(3-bromophenyl)amino]-6-quinazolinyl]-2-butyramide  
**Synonyms:** EKB-785, EKI-785, WAY-EKI-785  
**MF:** C<sub>18</sub>H<sub>13</sub>BrN<sub>4</sub>O  
**FW:** 381.2  
**Purity:** ≥95%  
**UV/Vis.:** λ<sub>max</sub>: 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.<sup>1</sup> CL 387,785 is a potent, irreversible inhibitor of EGFR kinase activity (IC<sub>50</sub> = 370 pM).<sup>2</sup> It blocks EGF-stimulated autophosphorylation of receptors in cells (IC<sub>50</sub> = 5 nM) and halts cell cycling in cells that overexpress EGFR or c-ErbB2 (IC<sub>50</sub>s = 31-125 nM).<sup>2</sup> 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.<sup>2</sup>

## 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-butyramide (CL-387,785). *Biochem. Pharmacol.* **57**(8), 917-925 (1999).

### 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.

### WARRANTY AND LIMITATION OF REMEDY

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