

# PRODUCT INFORMATION

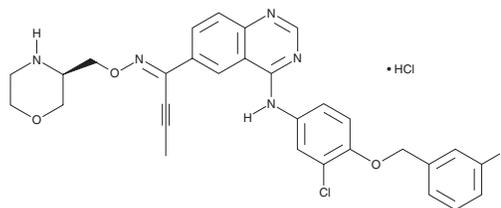


## Epertinib (hydrochloride)

Item No. 44442

**CAS Registry No.:** 2071195-74-7  
**Formal Name:** 1-[4-[[3-chloro-4-[(3-fluorophenyl)methoxy]phenyl]amino]-6-quinazoliny]-2-butyne-1-one, O-[(3R)-3-morpholinylmethyl]oxime, monohydrochloride

**Synonym:** S-222611  
**MF:** C<sub>30</sub>H<sub>27</sub>ClFN<sub>5</sub>O<sub>3</sub> • HCl  
**FW:** 596.5  
**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

Epertinib (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the epertinib (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Epertinib (hydrochloride) is soluble (≥10 mg/ml) in DMSO.

### Description

Epertinib is an inhibitor of EGFR, HER2, and HER4 (IC<sub>50</sub>s = 1.48, 7.15, and 2.49 nM, respectively).<sup>1</sup> It is selective for these kinases over VEGFR2, insulin-like growth factor 1 receptor (IGF-1R), c-Src, KIT, and PDGFRβ (IC<sub>50</sub>s = >10,000 nM for all). Epertinib inhibits EGFR and HER2 autophosphorylation in NCI N87 gastric carcinoma cells (IC<sub>50</sub>s = 4.5 and 1.6 nM, respectively). It selectively inhibits the growth of NCI N87, BT474 breast, and HT115 colon carcinoma cancer cell lines (IC<sub>50</sub>s = 8.3, 9.9, and 53.3 nM, respectively) over fR2 breast epithelial cells and MRC-5 fibroblasts (IC<sub>50</sub>s = 5,366.7 and 4,964.6 nM, respectively). Epertinib (12.5 or 50 mg/kg per day) decreases tumor volume in an NCI N87 mouse xenograft model.

### Reference

1. Tanaka, H., Hirata, M., Shinonome, S., *et al.* Preclinical antitumor activity of S-222611, an oral reversible tyrosine kinase inhibitor of epidermal growth factor receptor and human epidermal growth factor receptor 2. *Cancer Sci.* **105**(8), 1040-1048 (2014).

#### 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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