

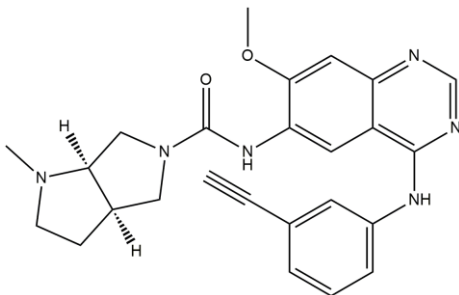
# Theletinib

03/20

**ALTERNATE NAMES:** HMPL-309; (3aR,6aR)-N-[4-(3-ethynylanilino)-7-methoxyquinazolin-6-yl]-1-methyl-2,3,3a,4,6,6a-hexahydropyrrolo[2,3-c]pyrrole-5-carboxamide

**CATALOG #:** B3004-1 1 mg  
B3004-5 5 mg

**STRUCTURE:**



**MOLECULAR FORMULA:** C<sub>25</sub>H<sub>26</sub>N<sub>6</sub>O<sub>2</sub>

**MOLECULAR WEIGHT:** 442.5

**CAS NUMBER:** 1353644-70-8

**APPEARANCE:** Solid

**PURITY:** >98%

**SOLUBILITY:** ~5 mg/ml in DMSO. May need ultrasonication.

**DESCRIPTION:** Theletinib is a potent epidermal growth factor receptor EGFR tyrosine kinase inhibitor with a K<sub>i</sub> value of 0.05 nM and IC<sub>50</sub> of 3 nM. It exhibits strong antitumor activity in patient-derived estrogen cancer xenograft (PDECX) models with high EGFR expression, including remarkable tumor regression in two PDECX models with both EGFR gene amplification and protein overexpression in a dose-dependent manner (5 and 15 mg/kg/day). The efficacy of theletinib is reduced in the models with PI3KCA mutations or FGFR1 overexpression in addition to high EGFR expression.

**STORAGE TEMPERATURE:** -20°C. Store in the dark. Product is light sensitive. Protect from air. Store under desiccating conditions.

**HANDLING:** Do not take internally. Wear gloves and mask when handling the product! Avoid contact by all modes of exposure.

**REFERENCE:** Ren, Y., Zheng, J., Fan, S., et al. Anti-tumor efficacy of theletinib in esophageal cancer patient-derived xenografts models with epidermal growth factor receptor (EGFR) overexpression and gene amplification. *Oncotarget*. 8(31):50832-50844 (2017).

**RELATED PRODUCTS:**

Avitinib (Cat. No. B2995)  
 Avapritinib (Cat. No. B2999)  
 Zanubrutinib (Cat. No. B2512)  
 Allitinib (Cat. No. B3002)  
 Branebrutinib (Cat. No. B2998)

**DISCLAIMER:** *FOR RESEARCH USE ONLY! Not to be used on humans.*