## Product Datasheet

# BBT-176

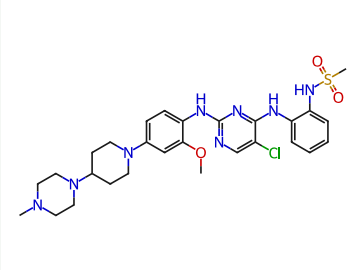
Catalog No. **BP-02281** · CAS **2254805-44-0** · Form **free base** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-04**

**FOR RESEARCH USE ONLY. NOT FOR HUMAN OR VETERINARY USE.**

| Target | Research area |
|---|---|
| **EGFR; Trk Receptor** | **Cancer** |

| | |
|---|---|
| Molecular formula | $C_{28}H_{37}ClN_8O_3S$ |
| Molecular weight | **601.1630** |

**STRUCTURE**



## DESCRIPTION

BBT-176 is an orally active EGFR tyrosine kinase inhibitor. BBT-176 is a reversible ATP-competitive inhibitor with a unique binding mode, and it exhibits selectivity for mutant EGFR over wild-type EGFR. BBT-176 inhibits tumor growth, induces tumor regression, upregulates EGFR expression, and does not trigger secondary EGFR gene mutations. BBT-176 can be used in research related to non-small cell lung cancer .

## BIOLOGICAL ACTIVITY

In Vitro

BBT-176 (0.00001-10 μM; 1 h) is an ATP-competitive, reversible inhibitor that potently inhibits C797S-containing mutant EGFR proteins in biochemical assays, with single-digit nanomolar IC50 values against EGFR19Del/C797S, EGFR19Del/T790M/C797S, and EGFRL858R/C797S at Km ATP.

BBT-176 (0.01-10000 nM; 72 h) potently inhibits the growth of Ba/F3 cells expressing C797S-containing mutant EGFR, with greatest activity against 19Del-based mutants (IC50 < 50 nM) and reduced potency against L858R-based mutants and wild-type EGFR cells.

BBT-176 (0.01-1000 nM; 72 h)-resistant Ba/F3 clones (derived from EGFR 19Del, 19Del/C797S, and 19Del/T790M/C797S cells) show only 2- to 5-fold higher IC50 values for BBT-176 compared to parental cells, with resistance driven by increased EGFR signaling rather than secondary EGFR mutations.

BBT-176 (0.01-1000 nM, 12.35 nM, 13.7 nM; 72 h) combined with Cetuximab (HY-P99050) potently enhances growth inhibition of both parental and BBT-176-resistant EGFR19Del/T790M/C797S-expressing Ba/F3 cells, achieving sub-nanomolar to low-nanomolar IC50 values.

In Vivo

BBT-176 (60-90 mg/kg; p.o.; daily; indicated time period) induces potent tumor growth inhibition, complete growth inhibition, or tumor regression in EGFR-mutant non-small cell lung cancer patient-derived xenograft models, with up to 90% TGI and significant suppression of p-EGFR at 90 mg/kg.

BBT-176 (60-90 mg/kg; p.o.; daily) suppresses tumor growth in a dose-dependent manner in Ba/F3 xenograft

models of Osimertinib (HY-15772)-resistant EGFR-mutant non-small cell lung cancer, with complete growth inhibition and 101.3% TGI at 90 mg/kg in the EGFR19Del/C797S model.

## SOLUBILITY

soluble in DMSO

| | |
|---|---|
| **In vitro** | DMSO : 50 mg/mL |

## REFERENCES

[1].Lim SM, et al. BBT-176, a Novel Fourth-Generation Tyrosine Kinase Inhibitor for Osimertinib-Resistant EGFR Mutations in Non-Small Cell Lung Cancer. Clinical cancer research : an official journal of the American Association for Cancer Research. 2023 Aug 15;29(16):3004-3016.

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