Lazertinib (YH25448)

Catalog No.C13618 Batch:C1361803

Product Datasheet

Technical Data

Formula	$C_{30}H_{34}N_8O_3$		
Molecular Weight	554.64	**CAS No.**	1903008-80-9
Solubility (25°C)*	In vitro	DMSO	8 mg/mL (14.42 mM)
		Water	Insoluble
		Ethanol	Insoluble

* <1 mg/ml means slightly soluble or insoluble.
* Please note that Capsid Bio tests the solubility of all compounds in-house, and the actual solubility may differ slightly from published values. This is normal and is due to slight batch-to-batch variations.
* Room temperature shipping (Stability testing shows this product can be shipped at 2-8°C for storage purposes.)

Preparing Stock Solutions

Concentration \ Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.8030 mL	9.0149 mL	18.0297 mL
5 mM	0.3606 mL	1.8030 mL	3.6059 mL
10 mM	0.1803 mL	0.9015 mL	1.8030 mL
50 mM	-	-	-

Biological Activity

Description	Lazertinib (YH25448,GNS-1480) is a potent, highly mutant-selective and irreversible **EGFR-TKI** with IC50 values of 1.7 nM, 2 nM, 5 nM, 20.6 nM and 76 nM for Del19/T790M, L858R/T790M, Del19, L85R and Wild type EGFR respectively, showing much higher IC50 values aganist ErbB2 and ErbB4.

Targets

Del19/T790M [1] (Cell-free assay)	L858R/T790M EGFR [1] (Cell-free assay)	Del19 [1] (Cell-free assay)	L85R [1] (Cell-free assay)	WT EGFR [1] (Cell-free assay)
1.7 nM	2 nM	5 nM	20.6 nM	76 nM

In vitro	Lazertinib targets the activating EGFR mutations Del19 and L858R, as well as the T790M mutation, while sparing wild type. In NSCLC cell lines and primary cancer cells from patients harboring EGFR mutations, YH25448 more potently inhibits cancer cell growth and significantly increases tumor cell apoptosis compared to osimertinib[1]. In the cell proliferation assays, GI50 values of lazertinib are 6 nM, 5 nM, and 711 nM for H1975 cells (L858R/T790M), PC9 cells (del19) and H2073 cells (wt), respectively[2].
In vivo	In an in vivo mouse model implanted with H1975 cells, once-daily YH25448 treatment results in indramatic dose-dependent tumor regression in both subcutaneous and intracranial lesions with no abnormal signs such as skin keratosis. The plasma half-life of YH25448 is 5.9-6.8 hr, while the tumor to plasma $AUC_{0\text{-last}}$ ratio is 3.0-5.1 in tumor-bearing mice. YH25448 shows excellent penetration of the blood-brain bartier, achieving CSF concentrations exceeding the IC50 value for pEGFR inhibition. YH25448 shows superior efficacy for tumor regression in an EGFR mutant brain metastasis model[1].

Protocol (from reference)

Cell Assay:[1]

- **Cell lines**
  Ba/F3 cells
- **Concentrations**
  5, 10, 100 nM
- **Incubation Time**
  6 h
- **Method**
  Ba/F3 cells overexpressing the indicated EGFR mutant are treated with YH25448 or osimertinib for 6 hours at the indicated concentrations. pEGFR levels are detected by Western blot analysis.

Animal Study:[1]

- **Animal Models**
  An intracranial tumor growth model (BALB/c nude mice inoculate with H1975-luc cells)
- **Dosages**
  10 and 25 mg/kg
- **Administration**
  --

References

http://cancerres.aacrjournals.org/content/78/13_Supplement/4790 https://www.jto.org/article/S1556-0864(16)33028-3/fulltext

RETURN POLICY

Capsid Bio's Unconditional Return Policy ensures a smooth online shopping experience for our customers. If you are in any way unsatisfied with your purchase, you may return any item(s) within 7 days of receiving it. In the event of product quality issues, either protocol related or product related problems, you may return any item(s) within 365 days from the original purchase date. Please follow the instructions below when returning products.

SHIPPING & STORAGE

Capsid Bio products are transported at room temperature. If you receive the product at room temperature, please rest assured, the Capsid Bio Quality Inspection Department has conducted experiments to verify that the normal temperature placement of one month will not affect the biological activity of powder products. After collecting, please store the product according to the requirements described in the datasheet. Most Capsid Bio products are stable under the recommended conditions.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

EU Headquarters
Gajeva ulica 28, HR-10000 Zagreb, Croatia

US Headquarters
12222 Merit Dr STE 130 Dallas, Texas, 75251

UK Headquarters
121 ABILITY HOUSE, BROOKER ROAD, EN9 1JH, ESSEX

Orders: Sales@capsidbio.com
Enquiries: info@capsidbio.com
www.capsidbio.com