Almonertinib hydrochloride

Cat. No.: HY-112823B

CAS No.: 2134096-03-8

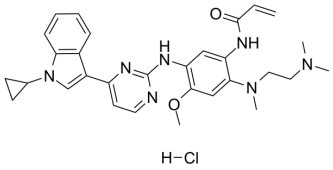
Molecular Formula: $C_{30}H_{36}ClN_7O_2$

Molecular Weight: 562.11

Target: EGFR

Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 83.33 mg/mL (148.25 mM; Need ultrasonic)
H_2O : 12.5 mg/mL (22.24 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7790 mL	8.8951 mL	17.7901 mL
	5 mM	0.3558 mL	1.7790 mL	3.5580 mL
	10 mM	0.1779 mL	0.8895 mL	1.7790 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.70 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.70 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.70 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Almonertinib (HS-10296) hydrochloride is an orally available, irreversible, third-generation EGFR tyrosine kinase inhibitor with high selectivity for EGFR-sensitizing and T790M resistance mutations. Almonertinib hydrochloride shows great inhibitory activity against T790M, T790M/L858R and T790M/Del19 (IC_{50}: 0.37, 0.29 and 0.21 nM, respectively), and is less effective against wild type (3.39 nM). Almonertinib hydrochloride is used for the research of the non-small cell lung cancer[1] [2].

IC_{50} & Target			
	$EGFR^{T790M}$	$EGFR^{L858R/T790M}$	$EGFR^{del19\ T790M}$
	0.37 nM (IC_{50})	0.29 mM (IC_{50})	0.214 nM (IC_{50})

In Vitro	Almonertinib (HS-10296) is an orally available inhibitor of the epidermal growth factor receptor (EGFR) mutant form T790M, with potential antineoplastic activity, which canbe used to treat NSCLC[2]. Additionaly, Almonertinib (HS-10296) could also inhibit other EGFR sensitive mutations, including G719X, del19, L858R and L861Q[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Rep Med. 2023 Jan 10;100911.
- Front Pharmacol. 2021 May 14;12:671328.
- Patent. US20220177473A1.

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REFERENCES

[1]. Sullivan I, et al. Next-Generation EGFR Tyrosine Kinase Inhibitors for Treating EGFR-Mutant Lung Cancer beyond First Line. Front Med (Lausanne). 2017 Jan 18;3:76.

[2]. Wu SG, et al. Management of acquired resistance to EGFR TKI-targeted therapy in advanced non-small cell lung cancer. Mol Cancer. 2018 Feb 19;17(1):38.

[3]. Yang JC, et al. Safety, Efficacy, and Pharmacokinetics of Almonertinib (HS-10296) in Pretreated Patients With EGFR-Mutated Advanced NSCLC: A Multicenter, Open-label, Phase 1 Trial [published online ahead of print, 2020 Sep 9]. J Thorac Oncol. 2020;S1556-0

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