Epitinib succinate

Cat. No.: HY-139300A

CAS No.: 2252334-12-4

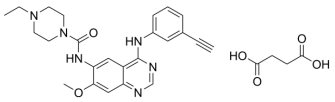
Molecular Formula: $C_{28}H_{32}N_6O_6$

Molecular Weight: 548.59

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 : 12.5 mg/mL (22.79 mM; ultrasonic and warming and heat to 60°C)
H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Concentration / Solvent / Mass	1 mg	5 mg	10 mg
1 mM	1.8229 mL	9.1143 mL	18.2285 mL
5 mM	0.3646 mL	1.8229 mL	3.6457 mL
10 mM	0.1823 mL	0.9114 mL	1.8229 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: ≥ 1.25 mg/mL (2.28 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (2.28 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (2.28 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Epitinib succinate is an orally active and selective epidermal growth factor receptor tyrosine kinase inhibitor (EGFR-TKI) designed for optimal brain penetration. Epitinib succinate can be used for the research of cancer[1][2]. Epitinib (succinate) is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target

EGFR[2]

In Vitro

Epitinib succinate is an orally active and selective epidermal growth factor receptor tyrosine kinase inhibitor (EGFR-TKI)

designed for optimal brain penetration[1][2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Zhou et al. The safety profile of a selective EGFR TKI epitinib (HMPL-813) in patients with advanced solid tumors and preliminary clinical efficacy in EGFRm+ NSCLC patients with brain metastasis. Journal of Clinical Oncology 2016 34:15_suppl, e20502-e20502

[2]. Zhou et al. Phase I study of the safety and pharmacokinetics of epitinib, an oral EGFR tyrosine kinase inhibitor, in patients with advanced solid tumors. Journal of Clinical Oncology 2013 31:15_suppl, e19042-e19042

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