AZ7550 hydrochloride

Cat. No.: HY-B0794A

CAS No.: 2309762-40-9

Molecular Formula: $C_{27}H_{32}ClN_7O_2$

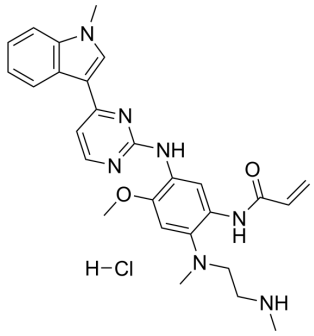
Molecular Weight: 522.04

Target: EGFR; IGF-1R; Drug Metabolite

Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Metabolic Enzyme/Protease

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 : 1.88 mg/mL (3.60 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9156 mL	9.5778 mL	19.1556 mL
	5 mM	---	---	---
	10 mM	---	---	---

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

BIOLOGICAL ACTIVITY

Description

AZ7550 hydrochloride is an active metabolite of AZD9291 and inhibits the activity of IGF1R with an IC_{50} of 1.6 μM.

IC_{50} & Target

IC50: 1.6 μM (IGF1R), 88 nM (MLK1), 156 nM (ACK1), 195 nM (ErbB4), 228 nM (MNK2), 302 nM (FLT3), 420 nM (ALK), 449 nM (FES), 840 nM (IRR), 843 nM (BRK), 977 nM (BLK), 995 nM (FAK), 1256 nM (Ins R), 1317 nM (TEC), 1784 nM (FLT4), 2288 nM (PYK2), 2443 nM (Txk), 5104 nM (BTK)[1]

In Vitro

AZ7550 (Compound 28) appeares to offer a broadly similar potency and selectivity profile to the parent compound AZD9291. AZ7550 inhibits double mutant (DM) cell line H1975, activating mutant (AM) cell line PC9, and wild type (WT) cell line LoVo with IC_{50}s of 45, 26, and 786 nM, respectively. AZ7550 inhibits DM antiproliferative cell line H1975, AM antiproliferative cell line PC9, and WT antiproliferative cell line Calu3 with GI_{50}s of 19, 15, and 537 nM, respectively[1].

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

PROTOCOL

Kinase Assay [1]

Biochemical enzyme profiling of AZD9291 and active metabolites across the kinome panel (single profiling experiment

representative of two independent studies). % inhibition for kinases in the ~280 kinase panel that shows greater than 60% inhibition after 1 μM treatment with AZD9291, AZ5104 or AZ7550, and follow-up IC_{50}s where tested, are shown. Kinases with a conserved cysteine in the analogous position within their catalytic domain as Cys797 in EGFR are also shown, highlighted in bold[1].

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

REFERENCES

[1]. Finlay MR, et al. Discovery of a potent and selective EGFR inhibitor (AZD9291) of both sensitizing and T790M resistance mutations that spares the wild type form of the receptor. J Med Chem. 2014 Oct 23;57(20):8249-67.

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