

AZ7550 Mesylate

Cat. No.: HY-B0794B CAS No.: 2319837-99-3 Molecular Formula: $C_{30}H_{43}N_{7}O_{11}S_{3}$

Molecular Weight: 773.9

Target: IGF-1R; Drug Metabolite; EGFR

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

Storage: 4°C, sealed storage, away from moisture

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

Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

DMSO: 25 mg/mL (32.30 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	1.2922 mL	6.4608 mL	12.9216 mL
	5 mM	0.2584 mL	1.2922 mL	2.5843 mL
	10 mM	0.1292 mL	0.6461 mL	1.2922 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: ≥ 2.5 mg/mL (3.23 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (3.23 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	AZ7550 Mesylate is an active metabolite of AZD9291 and inhibits the activity of IGF1R with an IC $_{50}$ of 1.6 μ M.	
IC ₅₀ & Target	IC50: 1.6 μ M (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 μ M treatment with AZD9291, AZ5104 or AZ7550, and follow-up IC₅₀s 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.

CUSTOMER VALIDATION

• Biopharm Drug Dispos. 2023 Jan 17.

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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.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA