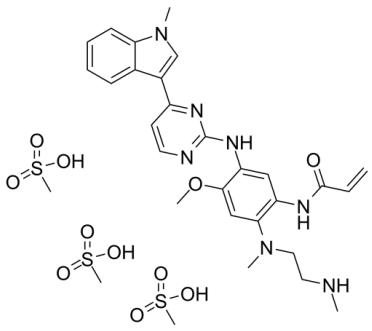
Data Sheet

Product Name:	AZ7550 Mesylate
Cat. No.:	CS-0044832
Molecular Formula:	C30H43N7O11S3
Molecular Weight:	773.90
Target:	Drug Metabolite; IGF-1R
Pathway:	Metabolic Enzyme/Protease; Protein Tyrosine Kinase/RTK
Solubility:	DMSO : 4.18 mg/mL (5.40 mM; Need ultrasonic and warming)



BIOLOGICAL ACTIVITY:

AZ7550 Mesylate is an active metabolite of AZD9291 and inhibits the activity of **IGF1R** with an **IC_{50}** of 1.6 μM. IC50 & 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) appears 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].

PROTOCOL (Extracted from published papers and Only for reference)

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].

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.

CAIndexNames:

N-(4-Methoxy-2-(methyl(2-(methylamino)ethyl)amino)-5-((4-(1-methyl-1H-indol-3-yl)pyrimidin-2-yl)amino)phenyl)acrylamide trimethanesulfonate

SMILES:

CN1C=C(C2=NC(NC3=CC(NC(C=C)=O)=C(N(CCNC)C)C=C3OC)=NC=C2)C4=CC=CC=C41.O=S(O)(C)=O.O=S(O)(C)=O.O=S(O)(C)=O

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

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