Product Data Sheet

Product Name: AZ7550
Cat. No.: GC33072

Chemical Properties

Cas. No.	1421373-99-0		
SMILES	C=CC(NC1=CC(NC2=NC=CC(C3=CN(C)C4=C3C=CC=C4)=N2)=C(OC)C=C1N(C)CCNC)=O		
Formula	$C_{27}H_{31}N_7O_2$	M.Wt	485.58
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	AZ7550		

Protocol

Kinase experiment:

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 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].

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.

Background

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AZ 7550 is an active metabolite of AZ 9291 .[1] It inhibits the insulin-like growth factor 1 receptor (IGF-1R) in a cell-free assay (IC_{50} = 1.6 ?M). It inhibits EGFR autophosphorylation in H1975 and PC-9 cells expressing the respective constitutively active mutants $EGFR^{T790M/L858R}$ and $EGFR^{Exon19del}$ (IC_{50}s = 0.045 and 0.026 ?M, respectively), as well as in LoVo cells expressing wild-type EGFR (IC_{50} = 0.786 ?M).

1.Finlay, M.R., Anderton, M., Ashton, S., 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 receptorJ. Med. Chem.57(20)8249-8267(2014)

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