Sunvozertinib

Cat. No.: HY-132842

CAS No.: 2370013-12-8

Molecular Formula: $C_{29}H_{35}ClFN_7O_3$

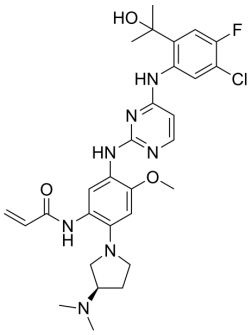
Molecular Weight: 584.08

Target: EGFR; Btk

Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (85.60 mM; ultrasonic and warming and heat to 80°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7121 mL	8.5605 mL	17.1209 mL
	5 mM	0.3424 mL	1.7121 mL	3.4242 mL
	10 mM	0.1712 mL	0.8560 mL	1.7121 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 (4.28 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.28 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.28 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Sunvozertinib (DZD9008) is a potent ErbBs (EGFR, Her2, especially mutant forms) and BTK inhibitor. Sunvozertinib shows IC_{50}s of 20.4, 20.4, 1.1, 7.5, and 80.4 nM for EGFR exon 20 NPH insertion, EGFR exon 20 ASV insertion, EGFR L858R and T790M mutations, and Her2 Exon20 YVMA, and EGFR WT A431, respectively (patent WO2019149164A1, example 52)[1].

IC_{50} & Target

EGFR exon 20 insertion	$EGFR^{L858R/T790M}$	Her2 Exon20 YVMA
20.4 nM (IC_{50})	1.1 nM (IC_{50})	7.5 nM (IC_{50})

In Vitro

Sunvozertinib shows GI_{50}s of 60.4, 83.2, 3.3, 101.3, and 47.1 nM for EGFR exon NPH insertion, EGFR exon 20 ASV insertion,

EGFR L858R and T790M mutations, and Her2 Exon20 YVMA, and EGFR WT A431, respectively. Sunvozertinib shows GI_{50}s of 3.2, 5.8, 51.3, and 1983.5 nM for BTK WT OCI-LY-10, BTK WT TMD-8, BTK WT Ri-1, and non-BCR activated DB, respectively[1]. ?Sunvozertinib inhibits p-BTK with IC_{50} of 1.6 nM[1].

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

REFERENCES

[1]. Zhengtao LI, et al. Erbb/btk inhibitors. WO2019149164A1.

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