(S)-Sunvozertinib

Cat. No.: HY-132842A

CAS No.: 2370013-49-1

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

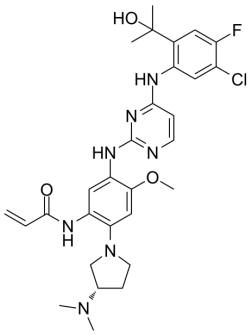
Molecular Weight: 584.08

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; Need ultrasonic)

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

(S)-Sunvozertinib ((S)-DZD9008), the S-enantiomer of Sunvozertinib, shows inhibitory activity against EGFR exon 20 NPH and ASV insertions, EGFR L858R/T790M mutation and Her2 exon20 YVMA insertion (IC_{50}=51.2 nM, 51.9 nM, 1 nM, and 21.2 nM, respectively). (S)-Sunvozertinib also inhibits BTK[1].

IC_{50} & Target				
	EGFR exon 20 NPH 51.2 nM (IC_{50})	EGFR exon 20 ASV insertion 51.9 nM (IC_{50})	$EGFR^{L858R/T790M}$ 1 nM (IC_{50})	Her2 exon 20 YVMA insertion 21.2 nM (IC_{50})

In Vitro	(S)-Sunvozertinib shows proliferation inhibition of Ba/F3 EGFR NPH ins (GI_{50}=139.7 nM), Ba/F3 FGFR ASV ins (155.7 nM), NCI-HI975 EGFRL858R/T790M (24.4), Her2 YVMA ins (827 nM) and WT EGFR (84.8 nM)[1]. (S)-Sunvozertinib shows proliferation inhibition of BTK WT cells (OCI-LY-10, TMD-8, Ri-1and DB, with GI_{50} ranging from 13.7-48 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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