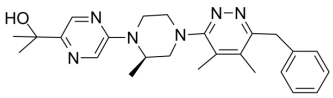
LEQ506

Cat. No.:	HY-18636
CAS No.:	1204975-42-7
Molecular Formula:	$C_{25}H_{32}N_6O$
Molecular Weight:	432.56
Target:	Smo
Pathway:	Stem Cell/Wnt

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (231.18 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3118 mL	11.5591 mL	23.1182 mL
5 mM	0.4624 mL	2.3118 mL	4.6236 mL
10 mM	0.2312 mL	1.1559 mL	2.3118 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

LEQ506 is a second-generation inhibitor of smoothened (Smo) with IC_{50}s of 2 and 4 nM in human and mouse, respectively.

IC_{50} & Target

IC50: 2 nM (human smo), 4 nM (mouse smo)[1]

In Vitro

LEQ506 is a second-generation inhibitor of smoothened (Smo) with IC_{50}s of 2 and 4 nM in human and mouse, respectively. LEQ506 inhibits Hedgehog (Hh) signaling in a human cell line (HEPM) as measured by the amount of Gli mRNA with an IC_{50} ~6-fold lower than that of Compound 2[1]. LEQ506 is an efficacious compound by consistently decreasing Gli1 mRNA by about 70 to 80%. LEQ506 shows a tendency to preferentially inhibit Gli1 rather than Ptch1 mRNA. LEQ506 (at 1%) is also an efficacious compound with an inhibition of 80 to 90% for Gli1 and of 60 to 70% for Ptch1[2].

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

PROTOCOL

Cell Assay [2]	DAOY cells are serum starved 16 h before experiments and subsequently incubated for 24 h with sonic Hedgehog (SHH) (50 nM) and LEQ506 at different concentrations. Cells are then washed twice with PBS and stored at -80°C until RNA isolation. Total RNA is isolated using the RNeasy Mini Kit. The amount and quality of extracted RNA are determined using the 2100 Bioanalyzer[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Peukert S, et al. Discovery of NVP-LEQ506, a second-generation inhibitor of smoothened. ChemMedChem. 2013 Aug;8(8):1261-5.

[2]. Lauressergues E, et al. Pharmacological evaluation of a series of smoothened antagonists in signaling pathways and after topical application in a depilated mouse model. Pharmacol Res Perspect. 2016 Mar 4;4(2):e00214.

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