Elzovantinib

Cat. No.: HY-111787

CAS No.: 2271119-26-5

Molecular Formula: $C_{20}H_{20}FN_7O_2$

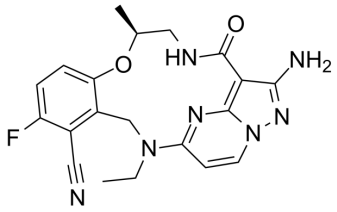
Molecular Weight: 409.42

Target: Src; c-Met/HGFR; c-Fms

Pathway: Protein Tyrosine Kinase/RTK

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 : 25 mg/mL (61.06 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4425 mL	12.2124 mL	24.4248 mL
5 mM	0.4885 mL	2.4425 mL	4.8850 mL
10 mM	0.2442 mL	1.2212 mL	2.4425 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: ≥ 2.08 mg/mL (5.08 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.08 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Elzovantinib (TPX-0022) is an oral-active inhibitor of SRC, MET and c-FMS, with IC_{50} values of 0.12 nM, 0.14 nM and 0.76 nM for SRC, MET and c-FMS respectively[1].

IC_{50} & Target

IC50: 0.12 nM (SRC), 0.14 nM (MET), 0.76 nM (c-FMS)[1].

In Vitro

Elzovantinib (TPX-0022) causes the suppression of MET autophosphorylation as well as the downstream STAT3, ERK and AKT phosphorylation at IC_{50} values of around 1-3 nM in SNU-5 and MKN-45 cell lines[1].

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

In Vivo

Elzovantinib (TPX-0022; p.o., BID, 13 days) treatment results in an 85% tumor regression and no body weight loss is observed

after 21 days treatment in mice[1].
Elzovantinib (p.o., BID, 10 days) demonstrates the ability to inhibit tumor growth at 44% and 67% at the dose of 5 mg/kg, BID and 15 mg/kg, BID, respectively in SCID/Beige mice[1].
Elzovantinib inhibits MET activity in MKN-45 tumors following oral administration in mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Mice bearing LU2503 tumors patient derived xenograft (PDX) NSCLC model[1].
Dosage:	15 mg/kg.
Administration:	PO, BID (twice daily) for 13 days.
Result:	Resulted in an 85% tumor regression and no body weight loss was observed after 21 days treatment.

Animal Model:	SCID/Beige mice bearing Ba/F3 ETV6-CSF1R tumors with average tumor size of ~180 mm^3 [1].
Dosage:	5 and 15 mg/kg.
Administration:	PO, BID (twice daily) for 10 days.
Result:	Demonstrated the ability to inhibit tumor growth at 44% and 67% at the dose of 5 mg/kg, BID and 15 mg/kg, BID, respectively.

REFERENCES

[1]. WO 2019023417 A1.

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