Elacridar

Cat. No.: HY-50879

CAS No.: 143664-11-3

Molecular Formula: $C_{34}H_{33}N_3O_5$

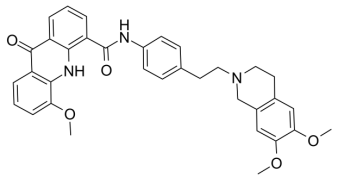
Molecular Weight: 563.64

Target: BCRP; P-glycoprotein

Pathway: Membrane Transporter/Ion Channel

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 5 mg/mL (8.87 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7742 mL	8.8709 mL	17.7418 mL
	5 mM	0.3548 mL	1.7742 mL	3.5484 mL
	10 mM	---	---	---

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1.67 mg/mL (2.96 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.5 mg/mL (0.89 mM); Clear solution
3. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 0.25 mg/mL (0.44 mM); Clear solution
4. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: 0.25 mg/mL (0.44 mM); Suspended solution; Need ultrasonic
5. Add each solvent one by one: 1% DMSO >> 99% saline
   Solubility: 0.05 mg/mL (0.09 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Elacridar is an orally active P-glycoprotein (Pgp) and breast cancer resistance protein (BCRP) inhibitor. Elacridar can be used to examine the influence of efflux transporters on drug distribution to brain and the research of cancer[1][2].

IC_{50} & Target	P-glycoprotein (Pgp), BCRP[1]

In Vitro

Elacridar (0.001-1 μM; 2 h) inhibits cell viability of 786-O cells[2].
Elacridar (5 μM; 24 h) affects P-glycoprotein and ABCG2 protein expression levels in MCF-7 and 786-O cell lines[2].
Elacridar (5 μM; 24 h) affects ^{99m}Tc-MIBI intracellular accumulation in MCF-7 and 786-O cells[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[2]

Cell Line:	786-O cells
Concentration:	2.5 and 5 μM
Incubation Time:	2 hours
Result:	Dose-dependently inhibited cell viability of 786-O cells and showed better inhibitory effect with sunitnib adding

Western Blot Analysis[2]

Cell Line:	MCF-7, Caki-1, and 786-O cell lines
Concentration:	5 μM
Incubation Time:	24 hours
Result:	Dreased P-glycoprotein protein expression level in 786-O cells and increased ABCG2 protein expression level in Caki-1 cells.

Cell Viability Assay[2]

Cell Line:	MCF-7 and 786-O cell lines
Concentration:	5 μM
Incubation Time:	24 hours
Result:	Dose-dependently increased ^{99m}Tc-MIBI intracellular accumulation in MCF-7 and 786-O cells.

In Vivo

Elacridar (100 mg/kg; i.p. once) shows different distribution in brain and plasma[1].
Plasma Pharmacokinetic Parameters of Elacridar in mice[1].

	Mice PO 100 mg/kg	Mice IP 100 mg/kg	Mice IV 2.5 mg/kg
CL/F (ml/min)	2.05	33.2	0.46
Vd/F (liter)	3.5	12.3	0.17
$t_{1/2}$ (h)	20	4.3	4.4
$AUC_{0\text{-}inf}$ (μg•min/ml)	1460	90.3	161.4
F	0.22	0.013	1

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

Animal Model:	FVB wild-type mice[1].
Dosage:	100 mg/kg
Administration:	Intraperitoneal injection; 100 mg/kg once
Result:	Showd a higher concertration in brain than plasma except at 4 h after the dose.

CUSTOMER VALIDATION

- Clin Cancer Res. 2018 Jan 15;24(2):383-394.
- Cell Rep. 2020 Jun 23;31(12):107782.
- Cell Death Dis. 2021 Jul 27;12(8):742.
- Cell Death Dis. 2019 May 24;10(6):400.
- J Mater Chem B. 2018 Dec 7;6(45):7521-7529.

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REFERENCES

[1]. Sane R, et al. Brain distribution and bioavailability of elacridar after different routes of administration in the mouse. Drug Metab Dispos. 2012 Aug;40(8):1612-9.

[2]. Sato H, et al. Elacridar enhances the cytotoxic effects of sunitinib and prevents multidrug resistance in renal carcinoma cells. Eur J Pharmacol. 2015 Jan 5;746:258-66.

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