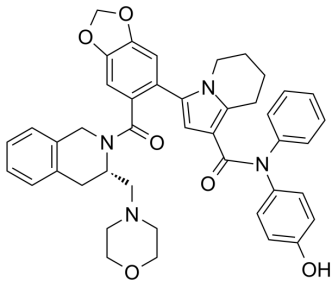
S55746

Cat. No.:	HY-117288		
CAS No.:	1448584-12-0		
Molecular Formula:	$C_{43}H_{42}N_4O_6$		
Molecular Weight:	710.82		
Target:	Bcl-2 Family		
Pathway:	Apoptosis		
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 : 50 mg/mL (70.34 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.4068 mL	7.0341 mL	14.0683 mL
	5 mM	0.2814 mL	1.4068 mL	2.8137 mL
	10 mM	0.1407 mL	0.7034 mL	1.4068 mL

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: 5.75 mg/mL (8.09 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (3.52 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.52 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

S55746 (BCL201) is a potent, orally active and selective BCL-2 inhibitor, with a K_i of 1.3 nM and a K_d of 3.9 nM. S55746 (BCL201) has antitumor activity with low toxicity[1].

IC_{50} & Target				
	Bcl-2	Bcl-xL	Bcl-2	Bcl-xL
	1.3 nM (Ki)	520 nM (Ki)	3.9 nM (Kd)	186 nM (Kd)

In Vitro

S55746 (0-1 μM) potently and selectively induces cell death[1].

S55746 selectively induces apoptosis through BCL-2 inhibition in a BAX/BAK-dependent manner[1].

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

Western Blot Analysis[1]

Cell Line:	H146 and RS4;11 cell lines.
Concentration:	0, 0.1, 0.3 and 1 μM.
Incubation Time:	72 hours.
Result:	Potently induced RS4;11 cell killing after 72 h of treatment with an IC_{50} of 71.6 nM.

In Vivo

S55746 is a highly efficacious and well-tolerated (even at doses up to 300 mg/kg) orally active BCL-2 inhibitor [1].

S55746 (20-100 mg/kg, p.o.) inhibits xenograft growth in RS4;11 and Toledo models time- and dose-dependently[1].

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

Animal Model:	Female SCID/beige mice implanted subcutaneously with 3×10^6 Toledo or RS4;11[1].
Dosage:	20, 50, 100 mg/kg.
Administration:	Oral gavage daily for 7 consecutive days.
Result:	Induced significant anti-tumor activity time- and dose-dependently.

Animal Model:	SCID/beige female mice with RS4;11 tumor xenografts[1].
Dosage:	25 and 100 mg/kg.
Administration:	Single oral gavage treatment.
Result:	Did not induce platelet loss in vivo at 25 and 100 mg/kg.

CUSTOMER VALIDATION

- Biomed Pharmacother. 2021 Jan 1;135:111213.

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REFERENCES

[1]. Casara P, et al. S55746 is a novel orally active BCL-2 selective and potent inhibitor that impairs hematological tumor growth. Oncotarget. 2018 Apr 13;9(28):20075-20088.

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