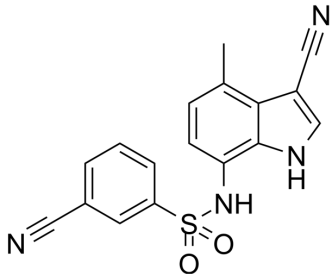
E7820

Cat. No.:	HY-14571
CAS No.:	289483-69-8
Molecular Formula:	$C_{17}H_{12}N_4O_2S$
Molecular Weight:	336.37
Target:	Integrin; Molecular Glues
Pathway:	Cytoskeleton; PROTAC
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 : 100 mg/mL (297.29 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9729 mL	14.8646 mL	29.7292 mL
	5 mM	0.5946 mL	2.9729 mL	5.9458 mL
	10 mM	0.2973 mL	1.4865 mL	2.9729 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: ≥ 2.5 mg/mL (7.43 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.43 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (6.18 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

E7820 (ER68203-00), an orally active aromatic sulfonamide derivative, is a unique angiogenesis inhibitor suppressing an expression of integrin alpha2 subunit on endothelium. E7820 inhibits rat aorta angiogenesis with an IC_{50} of 0.11 μg/ml. E7820 modulates α-1, α-2, α-3, and α-5 integrin mRNA expression. Antiangiogenic and antitumor activity[1][2].

In Vitro

E7820 (ER68203-00) inhibits both bFGF- and VEGF-driven ube formation of human umbilical vascular endothelial cell (HUVEC) in a dose-dependent manner with IC_{50} of 0.20 and 0.24 μg/ml, respectively[1].
?E7820 inhibits proliferation of HUVEC induced by either bFGF or VEGF in serum-free medium (SFM). The IC_{50} values are 0.10

	and 0.081 μg/ml, respectively. Antiproliferative activity of E7820 against both WiDr and LoVo cells is very weak compared with that against HUVEC. The values of IC50 were 29 and 15 μg/ml, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	E7820 (ER68203-00) (50-200 mg/kg; p.o. ; twice daily for 14 days) delays the growth of WiDr cells inoculated s.c.[1]. E7820 (200-400 mg/kg; p.o.;once daily for 4 days) potently inhibits WiDr-induced angiogenesis[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Six-week-old female nude mice (KSN mice, WiDr-induced angiogenesis model) [1]
Dosage:	50, 100, 200 mg/kg
Administration:	p.o. ; twice daily for 14 days from 2 days after inoculation of the tumor cells
Result:	The antitumor effect was dose-dependent at 50, 100, and 200 mg/kg, and the tumor growth rates were 52%, 46%, and 27%, respectively.

CUSTOMER VALIDATION

- Cell Biol Int. 2020 Feb;44(2):610-620.
- Cell Biol Int. 2020 Feb;44(2):610-620.
- Research Square Preprint. 2023 Oct 18.

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REFERENCES

[1]. Funahashi Y, et al. Sulfonamide derivative, E7820, is a unique angiogenesis inhibitor suppressing an expression of integrin alpha2 subunit on endothelium. Cancer Res. 2002;62(21):6116-6123.

[2]. Ito K, et al. Enhanced anti-angiogenic effect of E7820 in combination with erlotinib in epidermal growth factor receptor-tyrosine kinase inhibitor-resistant non-small-cell lung cancer xenograft models. Cancer Sci. 2014;105(8):1023-1031.

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