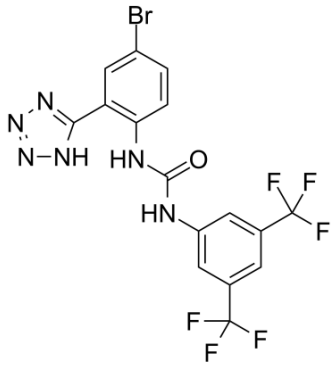
Endovion

Cat. No.:	HY-105917
CAS No.:	265646-85-3
Molecular Formula:	$C_{16}H_9BrF_6N_6O$
Molecular Weight:	495.18
Target:	Chloride Channel
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 : 125 mg/mL (252.43 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0195 mL	10.0973 mL	20.1947 mL
5 mM	0.4039 mL	2.0195 mL	4.0389 mL
10 mM	0.2019 mL	1.0097 mL	2.0195 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 (4.20 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.20 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Endovion (NS3728) is a pharmacological anion channel inhibitor (like chloride channel) and the specific VRAC/VSOAC blocker. Endovion (NS3728) is also an Anoctamin-1 (ANO 1) channel inhibitor[1][2].

IC_{50} & Target

Chloride channel, VRAC/VSOAC[1].
ANO1[2].

In Vitro

Endovion (NS3728, 10-100 μM) reduces TNFα-induced apoptosis and increases p53-protein level as well as downstream signaling, e.g., expression of $p21^{Waf1/Cip1}$, Bax, Noxa, MDM2, and activation of Caspase-9/-3 in Cisplatin-sensitive cells[1].
Endovion (NS3728, 10 μM) inhibits cell proliferation in Capan-1, AsPC-1 and BxPC-3 cell lines[2].

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

Western Blot Analysis[1]

Cell Line:	Wild-type, resistant, and transiently transfected A2780 cells.
Concentration:	10-100 μM.
Incubation Time:	18 or 4.5 h.
Result:	Reduced the maximal taurine rate constant more than 90% compared with the untreated control cells. Resulted in an increased LRRC8A protein expression. Significantly reduceed p53 and $^{p21Waf1/Cip1}$ protein level in A2780WT cells.

Cell Proliferation Assay[2]

Cell Line:	Capan-1, AsPC-1, BxPC-3 and H6c7 cell lines.
Concentration:	10 μM.
Incubation Time:	24 h.
Result:	Resulted in the most pronounced inhibition in all cell lines with 77 ± 26 % in Capan-1, 67 ± 9 % in AsPC-1, and 54 ± 8 % in BxPC-3 cells at +67 mV.

REFERENCES

[1]. Sørensen BH, et al. Downregulation of LRRC8A protects human ovarian and alveolar carcinoma cells against CDDP-induced expression of p53, MDM2, p21Waf1/Cip1, and Caspase-9/-3 activation. Am J Physiol Cell Physiol. 2016 Jun 1;310(11):C857-73.

[2]. Sauter DR, et al. ANO1 (TMEM16A) in pancreatic ductal adenocarcinoma (PDAC). Pflugers Arch. 2015 Jul;467(7):1495-1508.

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