Inhibitors

NS-2028

Cat. No.: HY-12379 CAS No.: 204326-43-2 Molecular Formula: C₉H₅BrN₂O₃ Molecular Weight: 269.05

Target: Guanylate Cyclase Pathway: GPCR/G Protein

Storage: Powder -20°C

> 4°C 2 years

3 years

In solvent -80°C 2 years

> -20°C 1 year

Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

DMSO: 250 mg/mL (929.20 mM; Need ultrasonic)

	Solvent Mass Concentration	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	3.7168 mL	18.5839 mL	37.1678 mL
	5 mM	0.7434 mL	3.7168 mL	7.4336 mL
	10 mM	0.3717 mL	1.8584 mL	3.7168 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: ≥ 2.17 mg/mL (8.07 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.17 mg/mL (8.07 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	NS-2028 is a highly selective soluble Guanylyl Cyclase (sGC) inhibitor with IC $_{50}$ values of 30 nM and 200 nM for basal and NO-stimulated enzyme activity ^[1] . NS-2028 inhibits soluble Guanylyl Cyclase activity in homogenates of mouse cerebellum and neuronal NO synthase with IC $_{50}$ values of 17 nM and 20 nM ^[1] . NS-2028 inhibits 3-morpholino-sydnonimine (SIN-1)-elicited formation of cyclic GMP in human cultured umbilical vein endothelial cells with an IC $_{50}$ of 30 nM ^[1] . NS-2028 is commonly used in the research of nitric oxide signaling pathways, it inhibits NO-dependent relaxant responses in non-vascular smooth muscle completely (1 μ M) ^[1] . NS-2028 reduces vascular endothelial growth factor-induced angiogenesis and permeability ^[2] .
IC ₅₀ & Target	IC50: 30 nM (soluble Guanylyl Cyclase sGC) ^[1]
In Vitro	NS-2028 (10 μ M; 24 hours) inhibits 25% cell number in comparation with those grown in the presence of vehicle [2].

NS-2028 (10 μ M; 30 mins) attenuates VEGF-induced EC migration by inhibiting p38 MAPK activation [2].
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 ${\tt MCE}\ has\ not\ independently\ confirmed\ the\ accuracy\ of\ these\ methods.\ They\ are\ for\ reference\ only.$

Cell Proliferation Assay^[2]

Cell Line:	HUVEC cells	
Concentration:	10 μΜ	
Incubation Time:	24 hours	
Result:	Decreased cell numbers in culture.	
Western Blot Analysis ^[2]		
Cell Line:	HUVEC cells	
Concentration:	10 μΜ	
Incubation Time:	30 mins	
Result:	Attenuated VEGF-enhanced p38 phosphorylation.	

In Vivo

NS-2028 (Deliver orally; 1 g/L; 8 days) exhibits a significant reduction of new vessel formation in the avascular rabbit cornea in response to VEGF pellet implants [2].

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Animal Model:	Rabbit ^[2]	
Dosage:	1 g/L	
Administration:	Deliver orally; 1g/L; 8 days	
Result:	Inhibits VEGF-induced angiogenesis in vivo.	

REFERENCES

[1]. Olesen SP, et al. Characterization of NS 2028 as a specific inhibitor of soluble guanylyl cyclase. Br J Pharmacol. 1998 Jan;123(2):299-309.

[2]. Morbidelli L, et al. The soluble guanylyl cyclase inhibitor NS-2028 reduces vascular endothelial growth factor-induced angiogenesis and permeability. Am J Physiol Regul Integr Comp Physiol. 2010 Mar;298(3):R824-32.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA