SZABO SCANDIC

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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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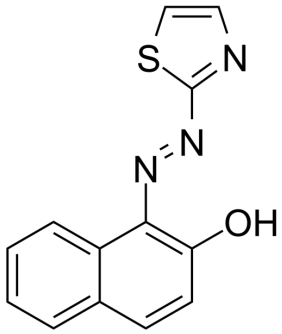
NSC139021

Cat. No.:	HY-112158		
CAS No.:	1147-56-4		
Molecular Formula:	$C_{13}H_9N_3OS$		
Molecular Weight:	255.3		
Target:	Others		
Pathway:	Others		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 62 mg/mL (242.85 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.9170 mL	19.5848 mL	39.1696 mL
	5 mM	0.7834 mL	3.9170 mL	7.8339 mL
	10 mM	0.3917 mL	1.9585 mL	3.9170 mL

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

In Vivo

1. Add each solvent one by one: 15% Cremophor EL >> 85% Saline
   Solubility: 15.53 mg/mL (60.83 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 (9.79 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.79 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NSC139021 (ERGi-USU) is a highly selective inhibitor for the growth of ERG-positive cancer cells with IC_{50}s ranging from 30 to 400 nM.

IC_{50} & Target

IC50: 30 to 400 nM (ERG-positive cancer cells)[1]

In Vitro

NSC139021 selectively inhibits growth of ERGpositive cancer cell lines with minimal effect on normal prostate or endothelial

	cells or ERG-negative tumor cell lines. The IC_{50} of NSC139021 for cell growth inhibition of responsive cell lines range between 30 nM to 400 nM. Combination of NSC139021 with enzalutamide shows additive effects in inhibiting growth of VCaP cells. A screen of kinases reveal that NSC139021 directly bound the ribosomal biogenesis regulator atypical kinase RIOK2 and induces ribosomal stress signature[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	NSC139021 treatment inhibits growth of ERG-positive VCaP tumor xenografts with no apparent toxicity. Significant ($P<0.05$, $P<0.005$) inhibition of tumor growth is noted at day 26 in treatment groups indicating 44% (100 mg/kg) and 65% (150 mg/kg) reduction of tumor burden. At 100 mg/kg and 150 mg/kg, no apparent toxicity including weight loss, lethargy, diarrhea, loss of appetite, respiratory distress, or overall drug related toxicity is observed. [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	To assess cell growth, To evaluate the ERG selectivity of the NSC139021, a panel of the following cell lines are assessed: ERG positive tumor cell lines (prostate cancer: VCaP; colon cancer: COLO320; leukemia: KG-1, MOLT-4; ERG negative prostate cancer cell lines (LNCaP, LAPC4, MDA PCa2b); normal prostate epithelium derived cell lines (BPH-1, RWPE-1); and primary endothelium derived cells (HUVEC). Monolayer of adherent cells are grown in their respective medium for 48 h followed by treatment with indicated dosage and time for the small molecule inhibitor NSC139021. Medium is replaced every 24 h containing the same concentration of the small molecule compound. Cells are counted by using trypan blue exclusion method. Cell morphology is documented by photography in all indicated time points. IC_{50} is calculated using GraphPad Prism 6 software[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice[1] The VCaP prostate cancer cells are injected into lower right dorsal flank of the male athymic nude mice (6-8 weeks old and weighing 27 to 30g). when tumors are palpable, mice are randomly separated into 2 experimental groups and into one control group of 6 mice in each group. In the treatment groups mice are injected intraperitoneally (I.P) with 100 mg/kg of NSC139021 or 150 mg/kg of NSC139021 while the control group are injected with vehicle (1:1[v/v], DMSO/PEG300) only. Growth in tumor volume is recorded weekly by using digital calipers and tumor volumes are calculated[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Biomedicines. 2021, 9(9), 1244.

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REFERENCES

[1]. Mohamed AA, et al. Identification of a small molecule that selectively inhibits ERG-positive cancer cell growth. Cancer Res. 2018 Apr 30. pii: canres.2949.2017.

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

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