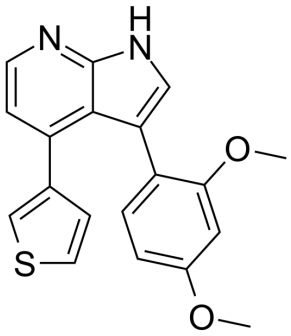
ARN-3236

Cat. No.:	HY-120856
CAS No.:	1613710-01-2
Molecular Formula:	$C_{19}H_{16}N_2O_2S$
Molecular Weight:	336.41
Target:	Salt-inducible Kinase (SIK)
Pathway:	Immunology/Inflammation
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 (148.63 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9726 mL	14.8628 mL	29.7256 mL
	5 mM	0.5945 mL	2.9726 mL	5.9451 mL
	10 mM	0.2973 mL	1.4863 mL	2.9726 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 (6.45 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.17 mg/mL (6.45 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.17 mg/mL (6.45 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ARN-3236 is an oral active and selective inhibitor of salt-inducible kinase 2 (SIK2), with IC_{50}s of <1 nM, 21.63 nM and 6.63 nM for SIK2, SIK1 and SIK3, respectively. Has anti-cancer activity[1][2].

IC_{50} & Target

SIK2	SIK1	SIK3
<1 nM (IC_{50})	21.63 nM (IC_{50})	6.63 nM (IC_{50})

In Vitro

ARN-3236 inhibits SIK2 activity with an IC_{50} <1 nM[2].

ARN-3236 inhibits cell growth and increases NSC 125973 sensitivity in ovarian cancer cells[2].

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

Cell Viability Assay[2]

Cell Line:	HEY and A2780 human ovarian cancer cell lines.
Concentration:	0-10 μM.
Incubation Time:	24 hours.
Result:	Inhibited SIK2 activity with an IC_{50} <1 nM.

In Vivo

ARN-3236 (60 mg/kg, orally) sensitizes ovarian cancer to NSC 125973 in vivo[2].

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

Animal Model:	SKOv3ip-bearing mice and OVCAR8-bearing mice[2].
Dosage:	60 mg/kg.
Administration:	Orally once daily for 3 weeks (SKOv3ip-bearing mice) and 4 weeks (OVCAR8-bearing mice).
Result:	Sensitized ovarian cancer to NSC 125973.

CUSTOMER VALIDATION

- Oncogene. 2022 Mar 11.
- Transl Res. 2022 Sep 5;S1931-5244(22)00198-0.
- BMC Pulm Med. 2022 Apr 11;22(1):140.

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REFERENCES

[1]. Lombardi MS, et al. SIK inhibition in human myeloid cells modulates TLR and IL-1R signaling and induces an anti-inflammatory phenotype. J Leukoc Biol. 2016 May;99(5):711-21.

[2]. Zhou J, et al. A Novel Compound ARN-3236 Inhibits Salt-Inducible Kinase 2 and Sensitizes Ovarian Cancer Cell Lines and Xenografts. Clin Cancer Res. 2017 Apr 15;23(8):1945-1954.

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