HS-243

Cat. No.: HY-134911

CAS No.: 848249-10-5

Molecular Formula: $C_{17}H_{16}N_4O_3$

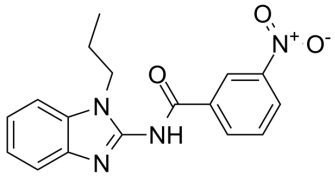
Molecular Weight: 324.33

Target: IRAK; CDK

Pathway: Immunology/Inflammation; Cell Cycle/DNA Damage

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 : 41.67 mg/mL (128.48 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0833 mL	15.4164 mL	30.8328 mL
	5 mM	0.6167 mL	3.0833 mL	6.1666 mL
	10 mM	0.3083 mL	1.5416 mL	3.0833 mL

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

BIOLOGICAL ACTIVITY

Description

HS-243 is a potent and selective IRAK-4 and IRAK-1 inhibitor, with IC_{50} values of 20 and 24 nM. HS-243 shows minimal TAK1 (transforming growth factor β-activated kinase 1) inhibition activity, with a IC_{50} of 0.5 μM. HS-243 shows anti-inflammatory and anticancer activity[1].

IC_{50} & Target

IRAK4	IRAK-1	CLK4
20 nM (IC_{50})	24 nM (IC_{50})	662 nM (IC_{50})

In Vitro

HS-243 (0-10 μM, 24 h) inhibits cell survival by 21% for AN3-CA (pancreatic cancer cell), and 13% for SKOV-3 (ovarian cancer cell)[1].

HS-243 (10 μM, 24 h) potently reduces the proinflammatory response of RA cells and macrophages, significantly reduces the secretion of 15 cytokines, including IL-8, CD14, GRO-α, MIP-1a, MIP-3a, uPAR, Osteopontin, MMP-9, MCP-1, I-TAC, TIM-3, IP-10, GDF-15, and RANTES[1].

HS-243 shows minimal to no percentage of inhibition against IRAK-4 Y262T or Y262A mutants at 0.3 and 1 μM[1].

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

Cell Viability Assay[1]

Cell Line:	SK-OV-3, AN3-CA, H460, ES-2, SK-UT-1B, COLO205, Bx-PC-3
Concentration:	1 nM, 10 nM, 100 nM, 1 μM, 10 μM, and 10 μM+IL-1β (30 ng/ml)
Incubation Time:	24 h
Result:	Inhibited cell survival by 21% for AN3-CA (pancreatic), and 13% for SKOV-3 (ovarian). The addition of IL-1β in conjunction with HS-243 increased cell death to 46% in SK-OV-3 (ovarian), 33% in AN3-CA (pancreatic), and 31% in H460 (colon).

REFERENCES

[1]. Scarneo SA, et al. A highly selective inhibitor of interleukin-1 receptor-associated kinases 1/4 (IRAK-1/4) delineates the distinct signaling roles of IRAK-1/4 and the TAK1 kinase. J Biol Chem. 2020 Feb 7;295(6):1565-1574.

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