
Product Data Sheet

Product Name: HS-243
Cat. No.: GC68458

Chemical Properties

Cas. No. 848249-10-5

Formula $C_{17}H_{16}N_4O_3$ M.Wt 324.33

Solubility DMSO : 41.67 mg/mL (128.48 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure

Background

HS-243 is a potent and selective **IRAK-4** and **IRAK-1** inhibitor, with **IC₅₀** values of 20 and 24 nM. HS-243 shows minimal **TAK1** (transforming growth factor β -activated kinase 1) inhibition activity, with a **IC₅₀** of 0.5 μ M. HS-243 shows anti-inflammatory and anticancer activity^[1].

HS-243 (0-10 μ M, 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 μ M, 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 μ M^[1].

Cell Viability Assay^[1]

Cell Line: SK-OV-3, AN3-CA, H460, ES-2, SK-UT-1B, COLO205, Bx-PC-3

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

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Concentration: 1 nM, 10 nM, 100 nM, 1 μ M, 10 μ M, and 10 μ M+IL-1 β (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-1 β 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).

[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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