

Data Sheet

Product Name: Lin28-let-7a antagonist 1

Target: MicroRNA
Pathway: Epigenetics

Solubility: DMSO: 100 mg/mL (171.35 mM; Need ultrasonic)

BIOLOGICAL ACTIVITY:

Lin28-let-7a antagonist 1 shows a clear antagonistic effect against the **Lin28-let-7a interaction** with an **IC**₅₀ of 4.03 μ M for Lin28A-let-7a-1 interaction. IC50 & Target: IC50: 4.03 μ M (Lin28A-let-7a-1)^[1]. **In Vitro:** Lin28-let-7a antagonist 1 (compound 1) shows a clear antagonistic effect against the Lin28A-let-7a-1 interaction with an IC₅₀ of 4.03 μ M. Interestingly, Lin28-let-7a antagonist 1 also inhibits the Lin28B-let-7 interaction, with slightly reduced potency. Dicer processing assay reveals that Lin28-let-7a antagonist 1 clearly blocks the formation of the Lin28A-pre-let-7g complex and induces miRNA processing, resulting in the generation of mature let-7g miRNA. When the expression of both Lin28A and Lin28B are knocked-down using siRNA in JAR cells, the Lin28-let-7a antagonist 1-induced increase in cellular let-7 levels is clearly attenuated. Lin28A-let-7a-IN-1 increases mature let-7 levels in PA-1 cells that express high level of Lin28A. On the other hand, let-7 levels are not affected by 1 in MCF7 cells that rarely express Lin28 proteins. Taken together, Lin28-let-7a antagonist 1 specifically induces the increase in the cellular let-7 levels by targeting Lin28^[1].

References:

[1]. Lim D, et al. Discovery of a Small-Molecule Inhibitor of Protein-MicroRNA Interaction Using Binding Assay with a Site-Specifically Labeled Lin28. J Am Chem Soc. 2016 Oct 7.

CAIndexNames:

1-Piperazinecarboxylic acid, 4-[1-(4-carboxyphenyl)-1,4-dihydro-4,4-dimethyl-8-nitro[1]benzopyrano[4,3-c]pyrazol-7-yl]-, 1-(phenylmethyl) ester

SMILES:

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

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