Targaprimir-96 TFA

Cat. No.: HY-135276A

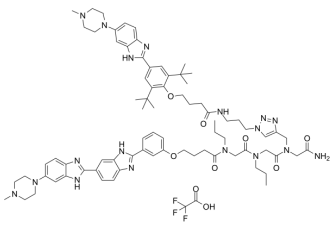
Molecular Formula: $C_{79}H_{103}F_3N_{18}O_9$

Molecular Weight: 1505.77

Target: MicroRNA; Apoptosis

Pathway: Epigenetics; Apoptosis

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Targaprimir-96 TFA is a potent inhibitor of microRNA-96 (miR-96) processing. Targaprimir-96 TFA selectively modulates miR-96 production in cancer cells and triggers apoptosis. Targaprimir-96 TFA binds primary miR-96 (pri-miR-96) with low nanomolar affinity. Targaprimir-96 TFA directly engages pri-miR-96 in breast cancer cells and is ineffective on healthy breast cells[1].

In Vitro

Targaprimir-96 TFA shows a dose-response in MDA-MB-231 triple negative breast cancer cells with an IC_{50} of ~50 nM by assessing the reduction of mature miR-96 levels. Targaprimir-96 (50 nM) TFA boosts the amount of the pri-miRNA and decreases the levels of the pre-miRNA and mature miRNA in a dose-dependent manner[1].

Targaprimir-96 TFA (50 nM; 48 hours) increases FOXO1 levels and triggers apoptosis in breast cancer cell line 4175[1].

Targaprimir-96 TFA binds RNA3 (contains both the Drosha site and the adjacent 1×1 nt GG internal loop) with a K_d of 85 nM. Targaprimir-96 binds RNA1, RNA2, RNA4, and RNA5 with K_d values of 1.2, 0.9, 1.2, and 1.5 μM, respectively. Thus, Targaprimir-96 TFA is highly RNA-selective and recognizes both the 1×1 nt GG and 1×1 nt UU loops to provide high affinity, effectively discriminating against a variety of related targets[1].

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

In Vivo

Targaprimir-96 TFA (10 mg/kg; i.p.; every other day for 21 days) inhibits tumor growth in a mouse model of triple-negative breast cancer (TNBC)[1].

The amount of Targaprimir-96 (2 or 7 mg/kg; i.p.) in plasma peaks is ~4 h in FVB/n mice. Importantly, even 48 hours postinjection, the concentration of Targaprimir-96 TFA remaining in plasma is much greater than the 50 nM cellular concentration that triggered apoptosis: 1.6 μM for the 2 mg/kg dosage and 1.9 μM for the 7 mg/kg dosage[1].

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Animal Model:	Female NOD/Scid mice (Mouse Model of TNBC)[1]
Dosage:	10 mg/kg
Administration:	i.p.; every other day for 21 days
Result:	Decreased levels of mature miR-96 by ⊠50% and increased levels of pri-miR-96, with a concomitant increase of FOXO1. No toxicity was observed.

REFERENCES

[1]. Velagapudi SP, et al. Design of a small molecule against an oncogenic noncoding RNA. Proc Natl Acad Sci U S A. 2016 May 24;113(21):5898-903.

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