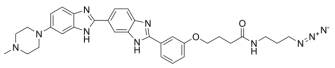
Targapremir-210

Cat. No.:	HY-15861
CAS No.:	1049722-30-6
Molecular Formula:	$C_{32}H_{36}N_{10}O_2$
Molecular Weight:	592.69
Target:	MicroRNA; Apoptosis
Pathway:	Epigenetics; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (421.81 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.6872 mL	8.4361 mL	16.8722 mL
5 mM	0.3374 mL	1.6872 mL	3.3744 mL
10 mM	0.1687 mL	0.8436 mL	1.6872 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: ≥ 2.08 mg/mL (3.51 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.51 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Targapremir-210 (TGP-210) is a potent and selective miR-210 (miRNA-210, microRNA-210) inhibitor. Targapremir-210 inhibits pre-miR-210 processing with high binding affinity (K_d~200 nM)[1]. Targapremir-210 is a click chemistry reagent, it contains an Azide group and can undergo copper-catalyzed azide-alkyne cycloaddition reaction (CuAAc) with molecules containing Alkyne groups. Strain-promoted alkyne-azide cycloaddition (SPAAC) can also occur with molecules containing DBCO or BCN groups.

IC_{50} & Target

IC50: 200 nM (miR-210, in MDA-MB-231 cells)[1].

In Vitro

Targapremir-210 decreases mature miR-210 levels in MDA-MB-231 cells cultured under hypoxic conditions, with an IC_{50} of ~200 nM[1].

	Targapremir-210 (200 nM) induces MDA-MB-231 cells apoptosis is selective for the hypoxic environment. Targapremir-210 induces cells apoptosis under hypoxic conditions and does not induce apoptosis in MDA-MB-231 cells cultured in normoxia [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Targapremir-210 (100 μL of 200 nM; single i.p. injection) impedes MDA-MB-231 triple negative breast cancer (TNBC) cells proliferation in vivo. Targapremir-210 is able to reach the tumor and sustain for the entire 21-day period, and decreases tumor burden in a TNBC mouse model[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	NOD/SCID mice were subcutaneously transplanted cell suspension into breast fat pads[1].
Dosage:	100 μL of 200 nM
Administration:	Single i.p. injection 24 h post-transplantation
Result:	Decreased tumor growth as assessed by luciferase signal intensity and mass of the resected tumor.

REFERENCES

[1]. Costales MG, et al. Small Molecule Inhibition of microRNA-210 Reprograms an Oncogenic Hypoxic Circuit. J Am Chem Soc. 2017 Mar 8; 139(9):3446-3455.

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