GeA-69

Cat. No.: HY-108708

CAS No.: 2143475-98-1

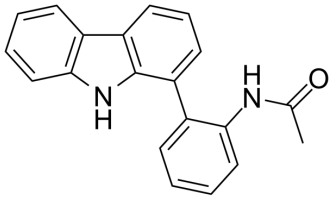
Molecular Formula: $C_{20}H_{16}N_2O$

Molecular Weight: 300.35

Target: PARP

Pathway: Cell Cycle/DNA Damage; Epigenetics

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 125 mg/mL (416.18 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	3.3294 mL	16.6472 mL	33.2945 mL
5 mM	0.6659 mL	3.3294 mL	6.6589 mL
10 mM	0.3329 mL	1.6647 mL	3.3294 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 (6.93 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.93 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GeA-69 is a selective, allosteric inhibitor of poly-adenosine-diphosphate-ribose polymerase 14 (PARP14) targeting macrodomain 2 (MD2), with a K_d value of 2.1 μM. GeA-69 involves in DNA damage repair mechanisms and prevents recruitment of PARP14 MD2 to sites of laser-induced DNA damage[1][2].

IC_{50} & Target

PARP14
2.1 μM (Kd)

In Vitro

GeA-69 (compound 1) (50 μM and 250 μM; pre-damage for 1 h or 0.5, 1, 2.5 min) engages PARP14 MD2 in intact cells and prevents its localisation to sites of DNA damage in U-2 OS cells[2].
GeA-69 (25 nM-250 μM; 72 h) exhibits moderate cytotoxicity among HeLa, U-2 OS and HEK293 cells and highly cell permeable

with 2 % passing paracellular and 98 % transcellular over the membrane[2].

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

Cell Cytotoxicity Assay[2]

Cell Line:	HeLa, U-2 OS and HEK293 cells
Concentration:	25 nM-250 μM
Incubation Time:	72 hours
Result:	Resulted moderate cytotoxicity against normal cells with EC_{50}_HeLa=58 μM, EC_{50}_U-2 OS=52 μM, EC_{50}_HEK293=54 μM.

REFERENCES

[1]. Moustakim M, et al. Discovery of a novel allosteric inhibitor scaffold for polyadenosine-diphosphate-ribose polymerase 14 (PARP14) macrodomain 2. Bioorg Med Chem. 2018 Jul 15;26(11):2965-2972.

[2]. Schuller M, et al. Discovery of a Selective Allosteric Inhibitor Targeting Macrodomain 2 of Polyadenosine-Diphosphate-Ribose Polymerase 14. ACS Chem Biol. 2017 Nov 17;12(11):2866-2874.

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