Product Data Sheet

Product Name: RIP1/RIP3/MLKL activator 1
Cat. No.: GC67969

Chemical Properties

Cas. No.	2682850-41-3		
Formula	$C_{43}H_{56}N_4O_3$	M.Wt	676.93
Solubility		Storage	4°C, stored under nitrogen
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	RIP1/RIP3/MLKL activator 1		

Background

RIP1/RIP3/MLKL activator 1 (Compound 6i) is a potent **anti-glioma** agent.
RIP1/RIP3/MLKL activator 1 induces **Necroptosis** through **RIP1/RIP3/MLKL** pathway.
RIP1/RIP3/MLKL activator 1 exerts acceptable BBB permeability[1].

RIP1/RIP3/MLKL activator 1 (Compound 6i) (96 h) shows antiproliferative activities in human glioma cell lines[1].
RIP1/RIP3/MLKL activator 1 (0-4 μM, 0-72 h) exhibits remarkable antiproliferative activity for U251 cells in a time- and concentration-dependent manner[1].
RIP1/RIP3/MLKL activator 1 (10 μM, 0-72 h) shows acceptable stability[1].
RIP1/RIP3/MLKL activator 1 (0-2 μM, 24 h) effectively inhibits the migration of U251 cells[1].
RIP1/RIP3/MLKL activator 1 induces necroptosis through RIP1/RIP3/MLKL pathway, and induces mitochondrial depolarization in U251 cells[1].
RIP1/RIP3/MLKL activator 1 could not induce apoptosis in U251 cells[1].

Cell Proliferation Assay[1]

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Cell Line:	A172, LN229, U87, U251 and L02 cell lines
Concentration:	0-4 μM for U251 cells
Incubation Time:	96 h; 24, 48, and 72 h for U251 cells
Result:	Showed antiproliferative activity with IC_{50} values of 3.03 ± 0.70, 1.78 ± 0.79, 1.22 ± 0.89, 0.94 ± 0.45, and 0.99 ± 0.46 μM against A172, LN229, U87, U251 and L02 cells, respectively. Time- and concentration-dependently inhibited the growth in U251 cells.

Western Blot Analysis[1]

Cell Line:	U251
Concentration:	0, 0.5, 1, 2, and 4 μM
Incubation Time:	24 or 48 h
Result:	Concentration-dependently upregulated the expression of p-RIP1, RIP1, p-RIP3, RIP3, p-MLKL, and MLKL at 24 or 48 h.

RIP1/RIP3/MLKL activator 1 (Compound 6i) (2.50 ng/tail; i.v.; 48 h) inhibits U251 cell proliferation in vivo and exerts acceptable BBB permeability[1].

Animal Model:	Zebrafish wide-type AB strain; 200 CM-DiI labeled U251 cells were transplanted into yolk sac of each wild-type zebrafish embryos at 2 dpf (2 days postfertilization)[1]
Dosage:	2.50 ng/tail
Administration:	Microinjection; 48 h
Result:	Remarkably reduced the U251 xenografts fluorescence intensity.

[1]. Yao Feng, et al. Synthesis and biological evaluation of celastrol derivatives as potential anti-glioma agents by activating RIP1/RIP3/MLKL pathway to induce

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