Proteins

Screening Libraries

Product Data Sheet

ZZW-115

Cat. No.: HY-111838 CAS No.: 801991-87-7 Molecular Formula: $C_{24}H_{31}F_3N_4S$

Molecular Weight: 464.59 Target: **Apoptosis** Pathway: **Apoptosis**

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

Analysis.

BIOLOGICAL ACTIVITY

Description

ZZW-115 is a potent NUPR1 inhibitor, with a K_d of 2.1 μ M. ZZW-115 induces tumor cell death by necroptosis and apoptosis. Anticancer activity^{[1][2]}.

In Vitro

ZZW-115 (0.1-33 μ M; 72 hours) is efficient in killing cancer cells, with an IC₅₀ in the range of 0.84 μ M (ANOR) to 4.93 μ M (HN14)

ZZW-115 (0-100 μ M; 24-72 hours) is efficient to kill these tumor cells with an IC₅₀ in the range of 0.42 μ M (Hep2G cells) to 7.75 μM (SaOS-2 cells)^[1].

ZZW-115 induces pancreatic cell death by necrosis and apoptosis. ZZW-115 treatment induces a decrease in ATP production and induces a ROS overproduction^[1].

LDH release is significantly higher in ZZW-115-treated cells (MiaPaCa-2, 02-063, LIPC, Foie8b, and HN14 cells) than in control cells in a concentration-dependent manner. Similarly, caspase 3/7 activity is also greater in ZZW-115-treated cells. These experiments demonstrated that ZZW-115 exerted both pronecrotic and proapoptotic effects^[1].

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

Cell Viability Assay^[1]

Cell Line:	ANOR cells, MiaPaCa-2, 02-063, 01008, LIPC, 02136, HN01,01046, AOIPC, Foie8b, HN14 cells
Concentration:	0.1- 33 μΜ
Incubation Time:	72 hours
Result:	Was efficient in killing cancer cells, with an IC $_{50}$ in the range of 0.84 μM (ANOR) to 4.93 μM (HN14).

Cell Proliferation Assay^[1]

Cell Line:	U87, A375, U2OS, SaOS-2, HT29, SK-CO-1, LS174T, H1299 and H358, HepG2, PC3, THP-1, Daudi, Jurkat and MDA-MB-231 cells
Concentration:	0-100 μΜ
Incubation Time:	24 or 72 hours
Result:	Was efficient to kill these tumor cells with an IC $_{50}$ in the range of 0.42 μM (Hep2G cells) to 7.75 μM (SaOS-2 cells).

In Vivo

ZZW-115 (0.5-5 mg/kg; injection; daily for 30 days) inhibits the growth of pancreatic xenografted tumors $^{[1]}$. ZZW-115 (5 mg/kg for 30 days; immunocompetent C57BL/6 mice were orthotopically implanted with Panc02 cells) treatment shows the tumor size is almost unmeasurable in some cases $^{[1]}$.

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Animal Model:	NMRI-Foxn1nu/Foxn1nu mice (nude mice) xenografted with MiaPaCa-2 cells ^[1]
Dosage:	5, 2.5, 1.0, or 0.5 mg/kg
Administration:	Injection, daily for 30 days
Result:	When the mice were injected with 5 mg/kg ZZW-115, the tumors stopped growing a few days after treatment and their size decreased progressively, almost disappearing at the end of the treatment.

REFERENCES

[1]. Santofimia-Castaño P, et al. Ligand-based design identifies a potent NUPR1 inhibitor exerting anticancer activity via necroptosis. J Clin Invest. 2019;129(6):2500-2513. Published 2019 Mar 28.

[2]. Santofimia-Castaño P, et al. Targeting the Stress-Induced Protein NUPR1 to Treat Pancreatic Adenocarcinoma. Cells. 2019;8(11):1453. Published 2019 Nov 17.

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

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