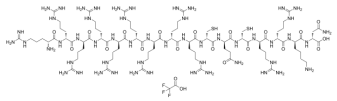
GO-203 TFA

Cat. No.:	HY-P1925A
CAS No.:	1222186-26-6
Molecular Formula:	$C_{89}H_{171}F_3N_{52}O_{21}S_2$
Molecular Weight:	2426.77
Sequence:	d-{Arg-Arg-Arg-Arg-Arg-Arg-Arg-Arg-Arg-Cys-Gln-Cys-Arg-Arg-Lys-Asn} (TFA salt)
Sequence Shortening:	d-{Arg-Arg-Arg-Arg-Arg-Arg-Arg-Arg-Arg-Cys-Gln-Cys-Arg-Arg-Lys-Asn} (TFA salt)
Target:	PI3K; Reactive Oxygen Species; Apoptosis
Pathway:	PI3K/Akt/mTOR; Immunology/Inflammation; Metabolic Enzyme/Protease; NF-κB; Apoptosis
Storage:	Sealed storage, away from moisture Powder -80°C 2 years -20°C 1 year * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (41.21 mM; Need ultrasonic)

H_2O : 100 mg/mL (41.21 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	0.4121 mL	2.0604 mL	4.1207 mL
	5 mM	0.0824 mL	0.4121 mL	0.8241 mL
	10 mM	0.0412 mL	0.2060 mL	0.4121 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

GO-203 TFA is a potent MUC1-C oncoprotein inhibitor. GO-203 TFA is an all D-amino acid peptide that consists of a poly-R transduction domain linked to a CQCRRKN motif that binds to the MUC1-C cytoplasmic tail and blocks MUC1-C homodimerization. GO-203 TFA downregulates TIGAR (TP53-induced glycolysis and apoptosis regulator) protein synthesis by inhibiting the PI3K-AKT-S6K1 pathway. GO-203 TFA induces the production of ROS and loss of mitochondrial transmembrane potential. GO-203 TFA inhibits the growth of colon cancer cells in vitro and as xenografts in nude mice[1][2].

IC_{50} & Target

PI3K

In Vitro

GO-203 (5 μM; for three days) TFA inhibits MUC1 positive colorectal cancer cell proliferation by decreasing intracellular GSH levels and enhanced ROS production. GO-203 TFA has no effect on cell growth on MUC1-negative SW480 and LOVO cells[2].

GO-203 (5 μM; for three days) TFA induces approximately 80% death of SKCO-1 cells. GO-203 TFA results in a significant decrease in mitochondrial membrane potential[2].
GO-203 (5 μM; for three days) TFA inhibits AKT-mTORC-S6K1 translation pathway in colorectal cancer cells[2].
GO-203 (5 μM; for three days) TFA significantly decreases GSH levels in SKCO-1 cells[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[2]

Cell Line:	SKCO-1 cells
Concentration:	5 μM
Incubation Time:	For three days
Result:	Inhibited MUC1 positive colorectal cancer cell proliferation.

Apoptosis Analysis[2]

Cell Line:	SKCO-1 cells
Concentration:	5 μM
Incubation Time:	For three days
Result:	Induced approximately 80% death and resulted in a significant decrease in mitochondrial membrane potential.

Western Blot Analysis[2]

Cell Line:	SKCO-1 cells
Concentration:	5 μM
Incubation Time:	For three days
Result:	Inhibited the activation of S6K1 in SKCO-1 cells. Inhibited the degradation of PDCD4.

In Vivo

GO-203 (18 mg/kg/day; IP; for 28 days) TFA significantly inhibits growth of the COLO-205 tumors[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Four- to 6-week-old BALB/c nu/nu male/female mice with Colo-205 or SKCO-1 cells[2]
Dosage:	18 mg/kg
Administration:	IP; daily; for 28 days
Result:	Significantly inhibited growth of the COLO-205 tumors. These tumors regressed completely by the end of treatment (day 28) and there was no evidence for regrowth by day 180.

CUSTOMER VALIDATION

- Respir Res. 2023 Oct 25;24(1):255.
- J Inflamm Res. 2023 May 10.

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REFERENCES

[1]. Rehan Ahmad, et al. Targeting MUC1-C inhibits the AKT-S6K1-elF4A pathway regulating TIGAR translation in colorectal cancer. Mol Cancer. 2017 Feb 2;16(1):33.

[2]. Masanori Hasegawa, et al. Intracellular Targeting of the Oncogenic MUC1-C Protein with a Novel GO-203 Nanoparticle Formulation. Clin Cancer Res. 2015 May 15;21(10):2338-47.

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