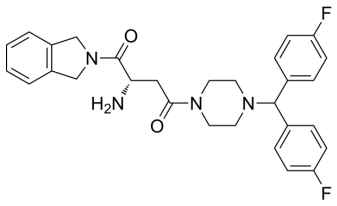
1G244

Cat. No.:	HY-116304
CAS No.:	847928-32-9
Molecular Formula:	$C_{29}H_{30}F_2N_4O_2$
Molecular Weight:	504.57
Target:	Dipeptidyl Peptidase; Apoptosis
Pathway:	Metabolic Enzyme/Protease; Apoptosis
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (495.47 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9819 mL	9.9094 mL	19.8189 mL
	5 mM	0.3964 mL	1.9819 mL	3.9638 mL
	10 mM	0.1982 mL	0.9909 mL	1.9819 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 (4.12 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.12 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

1G244 is a potent DPP8/9 inhibitor with IC_{50}s of 12 nM and 84 nM, respectively. 1G244 does not inhibit DPPIV and DPPII. 1G244 induces apoptosis in multiple myeloma cells and has anti-myeloma effects[1][2].

IC_{50} & Target

DPP-4

In Vitro

1G244 (0-100 μM; 72 hours; Delta47, U266 , KMS-5, RPMI8226, or MM.1 S cells) treatment dose-dependently decreases viable cell number of five multiple myeloma cell lines[1].

1G244 (50 μM; 0-48 hours; MM.1 S cells) treatment induces apoptosis, as cleaved forms of both caspase-3 and PARP are detected[1].

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

Cell Viability Assay[1]

Cell Line:	Delta47, U266 , KMS-5, RPMI8226, or MM.1 S cells
Concentration:	0 μM, 1 μM, 5 μM, 10 μM, 50 μM, or 100 μM
Incubation Time:	72 hours
Result:	Dose-dependently decreased viable cell number of five multiple myeloma cell lines.

Western Blot Analysis[1]

Cell Line:	MM.1 S cells
Concentration:	50 μM
Incubation Time:	0 hour, 3 hours, 6 hours, 12 hours, 24 hours, 48 hours
Result:	Decreased caspase-3 and PARP protein.

In Vivo

1G244 (30 mg/kg; subcutaneous injection; once-a-week; for 3 weeks; NOG female mice) treatment apparently suppresses the subcutaneous growth of MM.1 S cells in murine xenograft model[1].

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

Animal Model:	NOD/Shi-scid IL-2Rγnull (NOG) female mice (6-7 weeks; 19-21 g) injected with MM.1 S cells [1]
Dosage:	30 mg/kg
Administration:	Subcutaneous injection; once-a-week; for 3 weeks
Result:	Apparently suppressed the subcutaneous growth of MM.1 S cells in murine xenograft model.

CUSTOMER VALIDATION

- Nat Chem Biol. 2022 Nov 10.
- bioRxiv. 2023 Mar 19.

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REFERENCES

[1]. Sato T, et al. DPP8 is a novel therapeutic target for multiple myeloma. Sci Rep. 2019 Dec 2;9(1):18094.

[2]. Leen Heirbaut, et al. Probing for improved selectivity with dipeptidederived inhibitors of dipeptidyl peptidases 8 and 9: the impact of P1-variation. MedChemComm. 2016, 7.

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