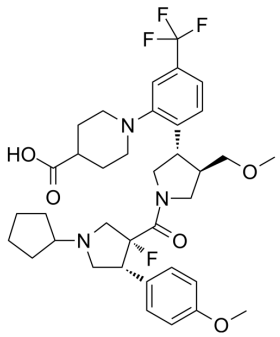
Dersimelagon

Cat. No.:	HY-109114
CAS No.:	1835256-48-8
Molecular Formula:	$C_{36}H_{45}F_4N_3O_5$
Molecular Weight:	675.75
Target:	Melanocortin Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



BIOLOGICAL ACTIVITY

Description

Dersimelagon (MT-7117) is an orally active, selective melanocortin 1 receptor (MC1R) agonist with EC_{50} values of 8.16, 3.91, 1.14 and 0.251 nM for human (h), cynomolgus monkey (cm), mouse (m) and rat (r) MC1R, respectively. Dersimelagon shows good affinity for hMC1R and hMC4R with K_i values of 2.26, 32.9 nM, respectively. Dersimelagon can be used for the research of skin pigmentation[1][2].

IC_{50} & Target

hMC1R 2.26 nM (Ki)	hMC4R 32.9 nM (Ki)	hMC5R 486 nM (Ki)	hMC3R 1420 nM (Ki)
hMC1R 8.16 nM (EC50)	hMC4R 79.6 nM (EC50)	hMC2R >10000 nM (EC50)	rMC1R 0.251 nM (EC50)
mMC1R 1.14 nM (EC50)	cmMC1R 3.91 nM (EC50)		

In Vitro

Dersimelagon (0, 0.03, 0.1, 0.3, 1, 3, 10, 30, 100, 300 pM; 3 days) increases eumelanin production in a concentration-dependent manner, with EC_{50} of 13 pM in B16F1 cells[1].

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

In Vivo

Dersimelagon (0.003, 0.03, 0.3, 3 mg/kg; p.o. for 6 days) induces coat colour darkening in Ay/a mice in 0.3 and 3 mg/kg[1].

Dersimelagon (0.03, 0.3, 3 mg/kg; p.o.; single administration) upregulates the expression of Tyr, Trp1 and Dct of Ay/a mice at 24, 48 and 72 h in the 3 mg/kg[1].

Dersimelagon (1, 3, 10 mg/kg for 4 weeks and 30 mg/kg for 3 weeks; p.o.) induces pigmentation in a dose-dependent manner, and it is reverses after cessation of administration in cynomolgus monkeys[1].

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

Animal Model:	Cynomolgus monkeys[1]
Dosage:	1, 3, 10, 30 mg/kg
Administration:	P.o.; 1, 3, 10 mg/kg for 4 weeks and 30 mg/kg for 3 weeks
Result:	Induced pigmentation in a dose-dependent manner. Minimum pigmentation effective dose was 1 mg/kg.

	Pigmentation diminished 4 weeks after cessation of treatment in the 1, 3 and 10 mg/kg groups and 16 weeks after cessation in the 30 mg/kg group.

REFERENCES

[1]. T. Suzuki, et al. Melanogenic effect of dersimelagon (MT-7117), a novel oral melanocortin 1 receptor agonist. Skin Health Dis. 2022; 2(1):e78.

[2]. Erwin AL, et al. Porphyrias in the Age of Targeted Therapies. Diagnostics (Basel). 2021;11(10):1795. Published 2021 Sep 29.

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