PRODUCT INFORMATION



Dersimelagon

Item No. 41468

CAS Registry No.: 1835256-48-8

Formal Name: 1-[2-[(3S,4R)-1-[](3R,4R)-1-

cyclopentyl-3-fluoro-4-(4methoxyphenyl)-3-pyrrolidinyl] carbonyl]-4-(methoxymethyl)-3pyrrolidinyl]-5-(trifluoromethyl) phenyl]-4-piperidinecarboxylic

acid

Synonym: MT-7117 MF: $C_{36}H_{45}F_4N_3O_5$

FW: 675.8 **Purity:** ≥98% A solid Supplied as: -20°C Storage: Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Dersimelagon is supplied as a solid. A stock solution may be made by dissolving the dersimelagon in the solvent of choice, which should be purged with an inert gas. Dersimelagon is soluble (≥10 mg/ml) in ethanol and DMSO.

Description

Dersimelagon is an agonist of melanocortin receptor 1 (MC1R). 1 It selectively induces cAMP accumulation in HEK293 cells expressing human MC1R (EC $_{50}$ = 8.16 nM) over HEK293 cells expressing human MC2R (EC₅₀ = >10,000 nM) but also induces cAMP accumulation in HEK293 cells expressing human MC4R $(EC_{50} = 79.6 \text{ nM})$. Dersimelagon increases melanin levels in B16-F1 melanocytes $(EC_{50} = 13 \text{ pM})$. It increases eumelanin levels in the hair roots of, and induces coat darkening in, mice when administered at doses of 0.3 or 3 mg/kg per day. Dersimelagon (3 mg/kg per day) also decreases bleomycin-induced increases in skin thickness, skin levels of collagen, dermal levels of myofibroblasts, lung weight, and serum levels of pulmonary surfactant-associated protein D (PSP-D), adiponectin, matrix metalloproteinase-2 (Mmp-2), Mmp-3, P-selectin, Tnf receptor 1 (Tnfr1), and Tnfr2 in a mouse model of bleomycin-induced systemic sclerosis.²

References

- 1. Suzuki, T., Kawano, Y., Matsumoto, A., et al. Melanogenic effect of dersimelagon (MT-7117), a novel oral melanocortin 1 receptor agonist. Skin Health Dis. 2(1), e78 (2021).
- 2. Kondo, M., Suzuki, T., Kawano, Y., et al. Dersimelagon, a novel oral melanocortin 1 receptor agonist, demonstrates disease-modifying effects in preclinical models of systemic sclerosis. Arthritis Res. Ther. 24(1), 210 (2022).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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