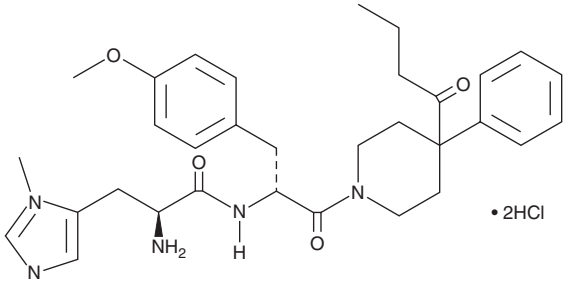
PRODUCT INFORMATION

BMS 470539 (hydrochloride)

Item No. 22231

CAS Registry No.: 2341796-82-3
Formal Name: 1-[1-(3-methyl-L-histidyl-O-methyl-D-tyrosyl)-4-phenyl-4-piperidinyl]-1-butanone, dihydrochloride
MF: $C_{32}H_{41}N_5O_4 \bullet 2HCl$
FW: 632.6
Purity: ≥98%
UV/Vis.: λ_{max}: 273 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



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

Laboratory Procedures

BMS 470539 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the BMS 470539 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. BMS 470539 (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of BMS 470539 (hydrochloride) in these solvents is approximately 20, 10, and 25 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of BMS 470539 (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of BMS 470539 (hydrochloride) in PBS (pH 7.2) is approximately 5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

BMS 470539 is an agonist of melanocortin receptor 1 (MC1R).[1] It induces cAMP accumulation in B16/F10 murine melanoma cells and in CHO cells overexpressing human MC1R (EC_{50}s = 11.6 and 16.8 nM, respectively). It inhibits TNF-α-induced NF-κB activation *in vitro* in a concentration-dependent manner. *In vivo*, BMS 470539 inhibits LPS-induced TNF-α production in mice (ED_{50} = 10 µmol/kg, s.c.). It reduces LPS-induced leukocyte infiltration and paw swelling in mouse models of lung inflammation and delayed-type hypersensitivity, respectively. BMS 470539 decreases retinal damage in a mouse model of streptozotocin-induced diabetic retinopathy.[2] It also increases striatal dopamine levels and decreases the number of striatal α-synuclein aggregates in a mouse model of Parkinson's disease when administered at a dose of 20 mg/kg.[3]

References

1. Kang, L., McIntyre, K.W., Gillooly, K.M., *et al.* A selective small molecule agonist of the melanocortin-1 receptor inhibits lipopolysaccharide-induced cytokine accumulation and leukocyte infiltration in mice. *J. Leukoc. Biol.* **80(4)**, 897-904 (2006).
2. Rossi, S., Maisto, R., Gesualdo, C., *et al.* Activation of melanocortin receptors MC_1 and MC_5 attenuates retinal damage in experimental diabetic retinopathy. *Mediators Inflamm.* **2016(7368389)** (2016).
3. Cai, W., Srivastava, P., Feng, D., *et al.* Melanocortin 1 receptor activation protects against alpha-synuclein pathologies in models of Parkinson's disease. *Mol. Neurodegener.* **17(1)**, 16 (2022).

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