ML-00253764 hydrochloride

Cat. No.: HY-110123

CAS No.: 1706524-94-8

Molecular Formula: $C_{18}H_{19}BrClFN_2O$

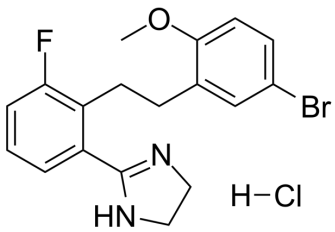
Molecular Weight: 413.71

Target: Melanocortin Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.5 mg/mL (30.21 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4172 mL	12.0858 mL	24.1715 mL
	5 mM	0.4834 mL	2.4172 mL	4.8343 mL
	10 mM	0.2417 mL	1.2086 mL	2.4172 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: ≥ 1.25 mg/mL (3.02 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (3.02 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (3.02 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ML-00253764 hydrochloride is a brain penetrant nonpeptidic melanocortin receptor 4 (MC4R) antagonist with a K_i and IC_{50} of 0.16 μM and 0.103 μM, respectively[1].

IC_{50} & Target

MC4R

In Vitro

ML-00253764 displaces NDP-α-MSH binding with a mean IC_{50} of 0.32 μM, 0.81 μM and 2.12 μM for hMC4-R, hMC3-R and hMC5-R, respectively[1].

ML-00253764 (100 μM) decreases cAMP production induced by [NLE4,D-Phe7]-α-melanocyte stimulating hormone ([NDP]-α-MSH) by 20% in MC4R-expressing HEK293 cell membranes, but has no effect on cAMP levels in MC3R- or MC5R-expressing

membranes[1].

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

In Vivo

ML-00253764 (subcutaneous injection; 3, 10, or 30 mg/kg; once daily) shows protection against tumor-induced body weight loss upon chronic, peripheral dosing in CT-26 tumor bearing BALB/c mice[1].

ML-00253764 dissolves in polyethylene glycol 200/saline (1:10) in a volume of 10 mL/kg (For reference only)[1].

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

Animal Model:	CT-26 tumor bearing BALB/c mice[1]
Dosage:	3, 10, or 30 mg/kg
Administration:	Subcutaneous injection; 3, 10, or 30 mg/kg; once daily
Result:	Reduced tumor-induced weight loss in a mouse model.

REFERENCES

[1]. Vos TJ, et al. Identification of 2-[2-[2-(5-bromo-2- methoxyphenyl)-ethyl]-3-fluorophenyl]-4,5-dihydro-1H-imidazole (ML00253764), a small molecule melanocortin 4 receptor antagonist that effectively reduces tumor-induced weight loss in a mouse model. J Med Chem. 2004 Mar 25;47(7):1602-4.

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