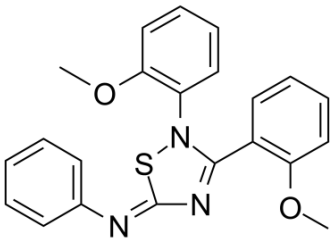
JNJ-10229570

Cat. No.:	HY-107139
CAS No.:	524923-88-4
Molecular Formula:	$C_{22}H_{19}N_3O_2S$
Molecular Weight:	389.47
Target:	Melanocortin Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (160.47 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5676 mL	12.8380 mL	25.6759 mL
5 mM	0.5135 mL	2.5676 mL	5.1352 mL
10 mM	0.2568 mL	1.2838 mL	2.5676 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 (5.34 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.34 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

JNJ-10229570 is an antagonist of melanocortin receptor 1 (MC1R) and melanocortin receptor 5 (MC5R), which inhibits sebaceous gland differentiation and the production of sebum-specific lipids. JNJ-10229570 inhibits the binding of ^{125}I-NDP-α-MSH to cells expressing human MC1R and MC5R, with IC_{50} values of 270 nM and 200 nM, respectively.

IC_{50} & Target

IC50: 270 nM (human MC1R), 200 nM (human MC5R)[1].

In Vitro

JNJ-10229570 dose dependently inhibits the production of sebaceous lipids in cultured primary human sebocytes. JNJ-7818369 inhibits the binding of ^{125}I-NDP-α-MSH to cells expressing human MC1R and MC5R, with IC_{50}s of 270±120 and 200±50 nM, respectively. Nearly-identical results are obtained with the free base form of the compound. Binding to MC4R of both forms of the compound is equipotent, with IC_{50}s of 240±170 nM. JNJ-10229570-treated cells show strong inhibition of

	lipid granules at 0.01 μM, and complete inhibition at 0.05 μM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Topical treatment with JNJ-10229570 of human skins transplanted onto SCID mice result in a marked decrease in sebum-specific lipid production, sebaceous gland's size and the expression of the sebaceous differentiation marker epithelial-membrane antigen (EMA). Topical treatment with 0.05% JNJ-10229570 leads to a distinct reduction in both the steady-state and the newly-synthesized sebum-specific lipids, with lesser effects on triglycerides and cholesterol[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Mice[1] Human skins transplanted onto SCID mice are topically treated with vehicle or JNJ-10229570 (0.05%) for 30 days[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Eisinger M, et al. A melanocortin receptor 1 and 5 antagonist inhibits sebaceous gland differentiation and the production of sebum-specific lipids. J Dermatol Sci. 2011 Jul;63(1):23-32.

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