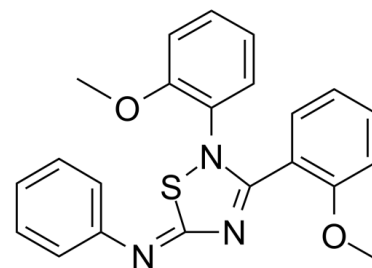


Data Sheet

Product Name:	JNJ-10229570
Cat. No.:	CS-0027408
CAS No.:	524923-88-4
Molecular Formula:	C ₂₂ H ₁₉ N ₃ O ₂ S
Molecular Weight:	389.47
Target:	Melanocortin Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Solubility:	DMSO : 62.5 mg/mL (160.47 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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 ¹²⁵I-NDP-α-MSH to cells expressing human MC1R and MC5R, with IC₅₀ values of 270 nM and 200 nM, respectively. IC₅₀ & 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 ¹²⁵I-NDP-α-MSH to cells expressing human MC1R and MC5R, with IC₅₀s 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 IC₅₀s 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]. **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].

PROTOCOL (Extracted from published papers and Only for reference)

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].

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.

CAIndexNames:

Benzenamine, N-[2,3-bis(2-methoxyphenyl)-1,2,4-thiadiazol-5(2H)-ylidene]-

SMILES:

COC1=CC=C(C=C1)C(N(C2=C(C=CC=C2)OC)S/3)=NC3=N\C4=CC=CC=C4

Caution: Product has not been fully validated for medical applications. For research use only.

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