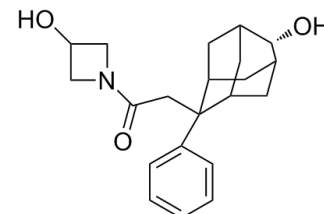


BMS-816336

Cat. No.:	HY-101930
CAS No.:	1009583-20-3
Molecular Formula:	C ₂₁ H ₂₇ NO ₃
Molecular Weight:	341.44
Target:	Others
Pathway:	Others
Storage:	Please store the product under the recommended conditions in the COA.



BIOLOGICAL ACTIVITY

Description	BMS-816336 is a novel, potent and orally bioavailable inhibitor against human 11β-hydroxysteroid dehydrogenase type 1 (11β-HSD1) enzyme with an IC ₅₀ of 3.0 nM ^[1] .								
IC₅₀ & Target	IC ₅₀ : 3.0 nM (11 β -HSD1) ^[1]								
In Vitro	11 β -HSD1 inhibition may be useful in the treatment of type II diabetes and other potential clinical utilities such as atheroprotection and cognitive protection. BMS-816336 (6n-2) inhibits 11 β -HSD1 enzyme in HEK and 3T3L1 cells with IC ₅₀ s of 37.3 and 28.6 nM, respectively ^[1] .								
In Vivo	<p>BMS-816336 represents a potential new treatment for type 2 diabetes, metabolic syndrome, and other human diseases modulated by glucocorticoid control. BMS-816336 (6n-2) exhibits a robust acute pharmacodynamic effect in cynomolgus monkeys (ED₅₀=0.12 mg/kg) and in DIO mice (1, 3, 10, 30, 100 mg/kg, 120 mintues). It is orally bioavailable (%F ranges from 20 to 72% in preclinical species) and has a predicted pharmacokinetic profile of a high peak to trough ratio and short half-life in humans^[1].</p> <table border="1"> <tr> <td>Animal Model:</td> <td>Nonfasting diet-induced obese male mice^[1]</td> </tr> <tr> <td>Dosage:</td> <td>1, 3, 10, 30, 100 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Oral, 120 mintues</td> </tr> <tr> <td>Result:</td> <td>ED₅₀=8.6 mg/kg and a plasma EC₅₀ of 0.85 μM in this model^[1].</td> </tr> </table>	Animal Model:	Nonfasting diet-induced obese male mice ^[1]	Dosage:	1, 3, 10, 30, 100 mg/kg	Administration:	Oral, 120 mintues	Result:	ED ₅₀ =8.6 mg/kg and a plasma EC ₅₀ of 0.85 μ M in this model ^[1] .
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REFERENCES

[1]. Ye XY, et al. Discovery of Clinical Candidate 2-((2S,6S)-2-Phenyl-6-hydroxyadamantan-2-yl)-1-(3'-hydroxyazetidin-1-yl)ethanone [BMS-816336], an Orally Active Novel Selective 11 β -Hydroxysteroid Dehydrogenase Type 1 Inhibitor. *J Med Chem.* 2017 Jun 22;60(12):4932-4948.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA