PRODUCT INFORMATION



ASP9521

Item No. 27308

CAS Registry No.: 1126084-37-4

Formal Name: [4-(2-hydroxy-2-methylpropyl)-1-piperidinyl]

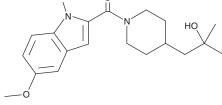
(5-methoxy-1H-indol-2-yl)-methanone

MF: $C_{19}H_{26}N_2O_3$ FW: 330.4 **Purity:** ≥98%

 λ_{max} : 214, 294 nm A crystalline solid UV/Vis.: Supplied as:

-20°C Storage: Stability: ≥4 years

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



Laboratory Procedures

ASP9521 is supplied as a crystalline solid. A stock solution may be made by dissolving the ASP9521 in the solvent of choice. ASP9521 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of ASP9521 in these solvents is approximately 10 mg/ml.

ASP9521 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ASP9521 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. ASP9521 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

ASP9521 is an inhibitor of 17β-hydroxysteroid dehydrogenase type 5/aldo-keto reductase 1C3 (17 β -HSD5/AKR1C3; IC₅₀ = 120 nM).¹ It is selective for 17 β -HSD5/AKR1C3 over AKR1C2 (IC₅₀ = 20 μM). ASP9521 inhibits conversion of androstenedione into testosterone by 17β-HSD5/AKR1C3 (IC₅₀s = 11 and 49 nM for human and cynomolgus monkey enzymes, respectively). It inhibits androstenedionedependent production of prostate specific androgen (PSA) in and proliferation of LNCaP cells expressing 17β-HSD5/AKR1C3. ASP9521 (3 and 10 mg/kg) inhibits androstenedione-induced intratumor testosterone production in a CWR22R prostate cancer mouse xenograft model.

Reference

1. Kikuchi, A., Furutani, T., Azami, H., et al. In vitro and in vivo characterisation of ASP9521: A novel, selective, orally bioavailable inhibitor of 17β-hydroxysteroid dehydrogenase type 5 (17βHSD5; AKR1C3). Invest New Drugs 32(5), 860-870 (2014).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD ANN ARBOR, MI 48108 · USA PHONE: [800] 364-9897

[734] 971-3335

FAX: [734] 971-3640 CUSTSERV@CAYMANCHEM.COM WWW.**CAYMANCHEM**.COM