# Product Data Sheet

Product Name: ASP-9521
Cat. No.: GC32936

## Chemical Properties

| | | | |
|---|---|---|---|
| Cas. No. | 1126084-37-4 | | |
| SMILES | O=C(N1CCC(CC(C)(O)C)CC1)C(N2)=CC3=C2C=CC(OC)=C3 | | |
| Formula | $C_{19}H_{26}N_2O_3$ | M.Wt | 330.42 |
| Solubility | DMSO : ≥ 300 mg/mL (907.94 mM) | Storage | Store at -20°C |
| General tips | For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months. | | |
| Shipping Condition | Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request. | | |
| Structure | ASP-9521 | | |

## Protocol

**Cell experiment:**

LNCaP-AKR1C3 cells stably expressing human AKR1C3 are seeded in 96-well plates at 10000 cells/100 μL/well in RPMI-1640 medium supplemented with heat-inactivated charcoal-dextran-stripped FBS (1 % for the PSA expression assay and T measurement and 5 % for the cell proliferation assay). After 24 h incubation, AD is added to each well with or without ASP-9521 (0.3-100 nM). The cell culture media are collected 24 h after administration of AD to measure T concentration and 6 days after administration of AD to measure cell proliferation using Cell-Titer Glo assay[1].


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**Animal experiment:**

Mice carrying HEK293 or HEK293-AKR1C3 tumours with similar sizes are selected and randomly divided into 5 groups (N=3 for each group). All groups are treated with ASP-9521 (single oral administration; 3 mg/kg). Plasma (from the central vein) and tumour tissues are collected at 0.25, 0.5, 1, 2 and 4 h after administration of ASP-9521, and ASP-9521 concentrations are determined using the HPLCMS/MS method[1].

References:

[1]. Kikuchi A, 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. 2014 Oct;32(5):860-70.

### Background

ASP9521 is an inhibitor of 17β-hydroxysteroid dehydrogenase type 5/aldo-keto reductase 1C3 (17β-HSD5/AKR1C3; $IC_{50}$ = 120 nM).[1] It is selective for 17β-HSD5/AKR1C3 over AKR1C2 ($IC_{50}$ = 20 μM). ASP9521 inhibits conversion of androstenedione into testosterone by 17β-HSD5/AKR1C3 ($IC_{50}$s = 11 and 49 nM for human and cynomolgus monkey enzymes, respectively). It inhibits androstenedione-dependent 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.


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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 Drugs32(5)860-870(2014)


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