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Produktinformation

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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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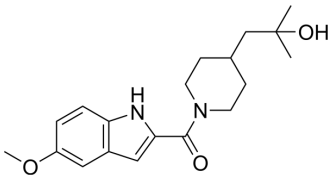
ASP-9521

Cat. No.:	HY-19903
CAS No.:	1126084-37-4
Molecular Formula:	$C_{19}H_{26}N_2O_3$
Molecular Weight:	330.42
Target:	Others
Pathway:	Others

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (302.65 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0265 mL	15.1323 mL	30.2645 mL
	5 mM	0.6053 mL	3.0265 mL	6.0529 mL
	10 mM	0.3026 mL	1.5132 mL	3.0265 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: ≥ 2.5 mg/mL (7.57 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.57 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ASP-9521 is a potent, selective and orally available AKR1C3 inhibitor with an IC_{50} of 11 nM for human AKR1C3.

IC_{50} & Target

IC50:11 nM (human AKR1C3), 49 nM (monkey AKR1C3)[1]

In Vitro

AKR1C3 is a promising therapeutic target in castrationresistant prostate cancer, as combination of an AKR1C3 inhibitor and a gonadotropin-releasing hormone analogue may lead to complete androgen blockade.ASP-9521 inhibits conversion of androstenedione (AD) into androstenediol and testosterone (T) by recombinant human or cynomolgus monkey AKR1C3 in a concentrationdependent manner (IC_{50}, human: 11 nM; IC_{50},monkey: 49 nM). ASP-9521 shows more than 100-fold selectivity for AKR1C3 over the isoform AKR1C2. In LNCaP-AKR1C3 cells, ASP-9521 suppresses AD-dependent PSA production and cell proliferation[1].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In CWR22R xenografts, single oral administration of ASP-9521 (3 mg/kg) inhibits AD-induced intratumoural T production and this inhibitory effect is maintained for 24 h. After oral administration, ASP-9521is rapidly eliminated from plasma, while its intratumoural concentration remained high. The bioavailability of ASP-9521 after oral administration (1 mg/kg) is 35 %, 78 % and 58 % in rats, dogs and monkeys, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Food Nutr Res. 2023 Jan 31.

See more customer validations on www.MedChemExpress.com

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.

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