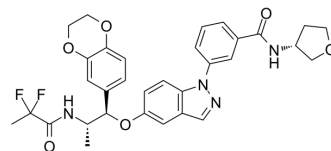


Velsecorat

Cat. No.:	HY-111453		
CAS No.:	1196509-60-0		
Molecular Formula:	C ₃₂ H ₃₂ F ₂ N ₄ O ₆		
Molecular Weight:	606.62		
Target:	Glucocorticoid Receptor		
Pathway:	Immunology/Inflammation; Vitamin D Related/Nuclear Receptor		
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 : ≥ 83.3 mg/mL (137.32 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent		Mass		
	Concentration		1 mg	5 mg	10 mg
	1 mM		1.6485 mL	8.2424 mL	16.4848 mL
	5 mM		0.3297 mL	1.6485 mL	3.2970 mL
	10 mM		0.1648 mL	0.8242 mL	1.6485 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description	AZD7594 is a potent selective nonsteroidal glucocorticoid receptor modulator, with an IC ₅₀ of 0.9 nM.
IC₅₀ & Target	IC ₅₀ : 0.9 nM (Glucocorticoid receptor) ^[1]
In Vitro	AZD7594 is a potent selective nonsteroidal glucocorticoid receptor modulator, with an IC ₅₀ of 0.9 nM. AZD7594 shows no effect on progesterone receptor, mineralocorticoid receptor, Androgen receptor, ERα or ERβ (IC ₅₀ , > 10 μM). MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	AZD7594 has anti-inflammatory activity, and efficiently inhibits rat lung edema ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay ^[1]

Androgen receptor (AR) binding is measured in a fluorescence polarization ligand displacement assay using commercially available reagents, including recombinant rat AR ligand binding domain tagged with His and GST, Fluormone labelled AL Green ligand, DTT and AR Green assay buffer (containing protein stabilizing agents and glycerol, pH 7.5). Test compounds (AZD7594, etc.) and controls in 100% DMSO are added to 384-well plates in 0.2 μ L. AR-LBD and Fluomone AL Green in AR Green assay buffer are added to 12.5 nM and 0.5 nM in a final volume of 15 μ L. Plates are incubated in the dark at room temperature for 4-6 hours before fluorescence polarization is measured (excitation 485 nm, emission 530 nm). The shift in polarization value in the presence of test compounds (AZD7594, etc.) is used to determine relative affinity of test compounds for AR. The minimum and maximum values are determined in the in the presence of testosterone (1.3 μ M) and in the absence of test compound. The molar concentration of compound producing 50% binding (IC_{50}) of AR is measured^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Patent. US20220047602A1.

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REFERENCES

[1]. Hemmerling M, et al. Selective Nonsteroidal Glucocorticoid Receptor Modulators for the Inhaled Treatment of Pulmonary Diseases. J Med Chem. 2017 Oct 26;60(20):8591-8605.

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

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