ORIC-101

Cat. No.: HY-112710

CAS No.: 2222344-98-9

Molecular Formula: $C_{34}H_{47}NO_2$

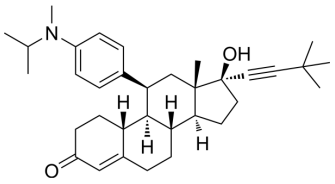
Molecular Weight: 501.74

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	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (199.31 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9931 mL	9.9653 mL	19.9306 mL
5 mM	0.3986 mL	1.9931 mL	3.9861 mL
10 mM	0.1993 mL	0.9965 mL	1.9931 mL

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

BIOLOGICAL ACTIVITY

Description

ORIC-101 is a highly potent and selective glucocorticoid receptor antagonist, with an EC_{50} of 5.6 nM. Anti-cancer activity. ORIC-101 is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target

EC50: 5.6 nM (Glucocorticoid receptor)[1]

In Vitro

ORIC-101 shows markedly reduced androgen receptor agonism (EC_{50}, 2500 nM) and CYP2C8 and CYP2C9 inhibition profiles (IC_{50}, >10 μM)[1].

ORIC-101 (1-1000 nM) dose-dependently reduces the expression of n GR-mediated target gene (FKBP5 and GILZ), with IC_{50}s of 17.2 and 21.2 nM, respectively[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

ORIC-101 (75 mg/kg, P.O. twice a day for 16-22 days) enhances the anti-tumor activity in combination with gemcitabine and carboplatin in OVCAR5 xenograft tumor in cortisol-treated mice[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	OVCAR5 xenograft tumor in cortisol-treated mice[1]
Dosage:	75 mg/kg with 100 mg/kg gemcitabine and 60 mg/kg carboplatin
Administration:	P.O. twice a day for 16-22 days
Result:	Significantly reduced tumor volume in combination with chemotherapeutic agents.

REFERENCES

[1]. Rew Y, et al. Discovery of a Potent and Selective Steroidal Glucocorticoid Receptor Antagonist (ORIC-101). J Med Chem. 2018 Sep 13;61(17):7767-7784.

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

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