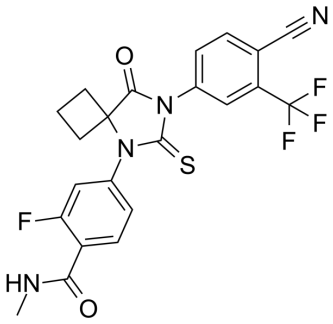
RD162

Cat. No.:	HY-111145
CAS No.:	915087-27-3
Molecular Formula:	$C_{22}H_{16}F_4N_4O_2S$
Molecular Weight:	476.45
Target:	Androgen Receptor
Pathway:	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 : 125 mg/mL (262.36 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0989 mL	10.4943 mL	20.9886 mL
	5 mM	0.4198 mL	2.0989 mL	4.1977 mL
	10 mM	0.2099 mL	1.0494 mL	2.0989 mL

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

BIOLOGICAL ACTIVITY

Description

RD162, a diarylthiohydantoin, is an orally active non-steroidal antiandrogen (NSAA). RD162 specifically binds to androgen receptor (AR). RD162 induces tumor regression in mouse models of castration-resistant human prostate cancer[1].

In Vitro

RD162 (1-10 μM; 4 days) suppresses growth and induces apoptosis in the human prostate cancer cell line VCaP which has endogenous AR gene amplification[1].

RD162 has little to no binding to the progesterone, estrogen or glucocorticoid receptors in an in vitro fluorescence polarization assay[1].

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

Cell Viability Assay[1]

Cell Line:	VCaP cells
Concentration:	1, 10 μM
Incubation Time:	4 days

Result:	Suppressed cell growth.

In Vivo

RD162 (10 mg/kg; oral gavage; daily; for 28 days) causes all tumors regressed[1].
RD162 (0.1, 1, 10 mg/kg; oral gavage; daily; for 5 days) consistently reduces luciferase activity with 10 mg/kg/day human LNCaP/AR xenografts grown in castrated male mice whereas lower doses of 0.1 and 1.0 mg/kg/day has minimal effect.
RD162 substantially reduces cellular proliferation after 5 days[1].
RD162 (20 mg/kg; gavage) is -50 percent bioavailable after oral delivery with a serum half-life of about 30 hours[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Castrate male mice bearing LNCaP/AR xenografts[1]
Dosage:	10 mg/kg
Administration:	Oral gavage; daily; for 28 days
Result:	Caused all tumors regressed.

Animal Model:	Male mice[1]
Dosage:	20 mg/kg (Pharmacokinetic Analysis)
Administration:	Oral gavage (in 0.5% hydroxy-methyl-propyl-cellulose)
Result:	Had ⊠50 percent bioavailable after oral delivery with a serum half-life of about 30 hours.

REFERENCES

[1]. Chris Tran, et al. Development of a second-generation antiandrogen for treatment of advanced prostate cancer. Science. 2009 May 8;324(5928):787-90.

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