上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：RD162
CAS 号： 915087-27-3
产品货号：S89429

生物活性：

Description

RD162 是一种二芳基硫代乙内酰脲，一种口服有效的非甾体抗雄激素 (NSAA)。RD162 与雄激素受体 (AR) 特异性结合。RD162 在去势抵抗人前列腺癌小鼠模型中诱导肿瘤消退。

Cellular Effect

Cell Line	Type	Value	Description
LNCaP	IC_{50}	122 nM	Inhibition of prostate specific antigen expression in human LNCAP cells in presence of fetal bovine serum

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].

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]。

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.

Description

RD162 是一种二芳基硫代乙内酰脲，一种口服有效的非甾体抗雄激素 (NSAA)。RD162 与雄激素受体 (AR) 特异性结合。RD162 在去势抵抗人前列腺癌小鼠模型中诱导肿瘤消退。

Solvent&Solubility

细胞实验:

DMSO 中的溶解度 ：125 mg/mL (262.36 mM; 超声助溶; 吸湿的 DMSO 对产品的溶解度有显著影响，请使用新开封的 DMSO)

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

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	*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。 储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。
参考文献	[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.

完整储备液配制表：

请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80° C, 6 months; -20° C, 1 month。-80° C 储存时，请在 6 个月内使用，-20° C 储存时，请在 1 个月内使用。

可选溶剂	质量 / 溶剂体积 / 浓度	1 mg	5 mg	10 mg	25 mg
DMSO	**1 mM**	2.0989 mL	10.4943 mL	20.9886 mL	52.4714 mL
	5 mM	0.4198 mL	2.0989 mL	4.1977 mL	10.4943 mL
	10 mM	0.2099 mL	1.0494 mL	2.0989 mL	5.2471 mL
	15 mM	0.1399 mL	0.6996 mL	1.3992 mL	3.4981 mL
	20 mM	0.1049 mL	0.5247 mL	1.0494 mL	2.6236 mL
	25 mM	0.0840 mL	0.4198 mL	0.8395 mL	2.0989 mL
	30 mM	0.0700 mL	0.3498 mL	0.6996 mL	1.7490 mL
	40 mM	0.0525 mL	0.2624 mL	0.5247 mL	1.3118 mL
	50 mM	0.0420 mL	0.2099 mL	0.4198 mL	1.0494 mL
	60 mM	0.0350 mL	0.1749 mL	0.3498 mL	0.8745 mL
	80 mM	0.0262 mL	0.1312 mL	0.2624 mL	0.6559 mL
	100 mM	0.0210 mL	0.1049 mL	0.2099 mL	0.5247 mL