Product Data Sheet

Product Name: RD162
Cat. No.: GC11957

Chemical Properties

Cas. No.	915087-27-3		
Chemical Name	4-[7-[4-cyano-3-(trifluoromethyl)phenyl]-8-oxo-6-thioxo-5,7-diazaspiro[3.4]oct-5-yl]-2-fluoro-N-methyl-benzamide		
SMILES	S=C1N(C2=CC=C(C#N)C(C(F)(F)F)=C2)C(C3(CCC3)N1C4=CC(F)=C(C(NC)=O)C=C4)=O		
Formula	$C_{22}H_{16}F_4N_4O_2S$	M.Wt	476.4
Solubility	≤30mg/ml in DMSO;30mg/ml in dimethyl formamide	Storage	Store at 4°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	RD162		

Background

IC50: 30.9 nM

RD162 is an androgen receptor (AR) antagonist.

Metastatic prostate cancer is treated with drugs antagonizinf androgen action, but most patients progress to a more aggressive form of the disease named castration-resistant prostate cancer, driven by elevated expression of the androgen receptor (AR).

In vitro: RD162 was optimized from a screen for nonsteroidal antiandrogens retaining activity in the setting of increased androgen receptor expression. RD162 could bind to the androgen receptor with greater relative affinity than the clinically used bicalutamide, reduce the efficiency of the nuclear translocation, and impair both DNA binding to androgen response elements and recruitment of coactivators [1].

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In vivo: Previous evidence suggested that the activity of RD162 in these mice was mediated through AR inhibition. The antitumor activity in the LNCaP/AR model was dose-dependent, with some slowing of tumor growth at 0.1 mg/kg RD162 and a few tumor regressions at 1 mg/kg, correlating closely with the effect of these same doses on AR transcriptional activity in the luciferase imaging experiment. In addition, neither bicalutamide nor RD162 impaired the growth of AR-negative DU145 prostate cancer xenografts [1].

Clinical trial: In a phase I/II clinical trial, of the first 30 patients treated with MDV3100, 13 (43%) showed sustained declines in serum concentrations of prostate-specific antigen, a biomarker of prostate cancer [1].

Reference:
[1] C. Tran, S. Ouk, N. J. Clegg, et al. Development of a second-generation antiandrogen for treatment of advanced prostate cancer. Science 324(5928), 787-790(2009).

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