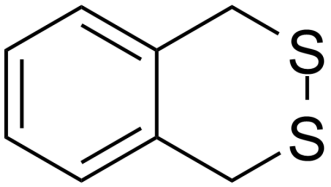
RD3-0028

Cat. No.:	HY-100285
CAS No.:	3886-39-3
Molecular Formula:	$C_8H_8S_2$
Molecular Weight:	168.28
Target:	RSV
Pathway:	Anti-infection
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	RD3-0028 is a potent and selective inhibitor of RSV replication with an EC_{50} of 4.5 μM.
IC_{50} & Target	EC50: 4.5 μM (RSV)[1]
In Vitro	RD3-0028 has a 50% effective concentration of 4.5 μM and a 50% cytotoxic concentration of 271.0μM which is superior to that of ribavirin. RD3-0028 inhibits different RSV strains at a low concentration (4.5-11.0 μM) using the MTT method. Using the MTT method, EC_{50} values of RD3-0028 against tested strains are lower than those of ribavirin. RD3-0028 does not inhibit the replication of measles virus, influenza A virus, herpes simplex virus types 1 and 2, or human cytomegalovirus[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Aerosols generated from reservoirs containing RD3-0028 (7 mg/mL) administered for 2 h twice daily for 3 days significantly reduces the pulmonary titer of RSV-infected mice. It is clear that the minimal effective dose of RD3-0028 for RSV-infected mice is significantly less than that of ribavirin, the only compound currently available for use against RSV disease. Furthermore, the RD3-0028 aerosol administration protect the lungs of infected, CYP-treated mice against tissue damage, as evidenced by the preservation of the lung architecture and a reduction in pulmonary inflammatory infiltrates. RD3-0028 aerosol is not toxic for mice at the therapeutic dose[2]. The plasma concentration of RD3-0028 is maintained at the same level from 5 min to 1 h, and decreases with a half-life of 2.2 h for 1±8 h. The excretion of radioactivity in the urine and faeces at 24 h after aerosol treatment is 89.3 and 4.5%, respectively, indicating that almost all the radioactivity is rapidly excreted in the urine. The excretion of total radioactivity is 98.9% within 168 h[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	50 mL of HeLa cell suspensions (30000 cells/well) and the Long strain of RSV(25 $TCID_{50}$/well) in MEM supplemented with 0.1% bovine serum albumin and antibiotics are added to each well in a 96-well round-bottomed microtiter plate that is filled with 50mL of MM in the presence or absence of several concentrations of RD3-0028. The anti-RSV assay is performed primarily with the MTT method[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2][3]	Mouse: Aerosols are generated from reservoirs containing 0.3 to 7.0 mg of RD3-0028 per mL. Solutions of ribavirin are prepared in saline containing 2.5 to 60 mg/mL. Mice are treated intraperitoneally with 100 mg of cyclophosphamide per kg

of body weight 5 days before virus inoculation. The mice are weighed, anesthetized with sodium pentobarbital (50 mg/kg), and inoculated intranasally with approximately 10^5 PFU of RSV A2 in 50 mL (day 0). From day 1 through day 3, the mice are exposed to the RD3-0028 or ribavirin aerosol. Placebo consisted of 10% DMSO-saline containing 1% Tween 80. On day 4, the day on which untreated mice had the maximum RSV pulmonary titer, all animals are killed and the lungs of each mouse are removed and for virus quantification[2].

Rat: ^{14}C-RD3-0028 is dissolved in 10% dimethyl sulphoxide (DMSO)/saline containing 1% Tween 80 for administration by the aerosol route. The aerosol is generated using a head-exposure chamber, mono-position, with a mist generator. The mass median aerodynamic diameter of the aerosol particle is 2.1 mm. Rats are exposed to the ^{14}C-RD3-0028 aerosol for 15min and killed at indicated times after the end of exposure. Because the aerosol treatment with ^{14}C-RD3-0028 exposed the rat to 8.8 mg (91.5 kBq)/animal, the solution of this compound is orally administered at a dose of 8.8 mg/body[3].

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

REFERENCES

[1]. Watanabe W, et al. Novel anti-respiratory syncytial(RS) viral compounds: benzodithiin derivatives. Biochem Biophys Res Commun. 1998 Aug 28;249(3):922-6.

[2]. Sudo K, et al. Efficacy of RD3-0028 aerosol treatment against respiratory syncytial virus infection in immunosuppressed mice. Antimicrob Agents Chemother. 1999 Apr;43(4):752-7.

[3]. Sudo K, et al. Pharmacokinetics of a benzodithiin (RD3-0028) following aerosol treatment in rat.

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