RD3-0028

Cat. No.: HY-100285  
CAS No.: 3886-39-3  
Molecular Formula: C₈H₈S₂  
Molecular Weight: 168.28  
Target: RSV  
Pathway: Anti-infection  
Storage: Please store the product under the recommended conditions in the COA.

**BIOLOGICAL ACTIVITY**

<table>
<thead>
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<th>Description</th>
<th>RD3-0028 is a potent and selective inhibitor of RSV replication with an EC₅₀ of 4.5 μM.</th>
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<tr>
<td>IC₅₀ &amp; Target</td>
<td>EC₅₀: 4.5 μM (RSV)³¹</td>
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**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, EC₅₀ 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 cytomegalovirus⁴¹.

**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 dose⁵². 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 h⁶³.

**PROTOCOL**

**Cell Assay**  
50 mL of HeLa cell suspensions (30000 cells/well) and the Long strain of RSV(25 TCID₅₀/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 method⁴¹.

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

**Animal Administration**  
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\[3\].

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REFERENCES

