

Table 2.2 RSV fusion inhibitors – small-molecules.

Company Development status	Drug	Structure	Potency PK	Selected animal studies	Ref.
BMS Halted	BMS-433771		EC ₅₀ = 10 nM, CC ₅₀ > 218 μM Plasma binding (human): 92% Rat PK: F = 13%, Cl = 61 mL min ⁻¹ kg ⁻¹ , V _{ss} = 0.57 L kg ⁻¹ Dog PK: F = 72%, Cl = 12 mL min ⁻¹ kg ⁻¹ , V _{ss} = 1.3 L kg ⁻¹ Monkey PK: F = 42%, Cl = 7.3 mL min ⁻¹ kg ⁻¹ , V _{ss} = 2.6 L kg ⁻¹	<i>Cotton rat</i> : p.o. 50 mg kg ⁻¹ -1 h, 0.55log ₁₀ viral load reduction p.o. 25 mg kg ⁻¹ -1 h start dose, 4 days bid, 0.59log ₁₀ viral load reduction <i>BALB/c mouse</i> : p.o. 50 mg kg ⁻¹ -1 h, 1.33log ₁₀ viral load reduction p.o. 25 mg kg ⁻¹ -1 h start dose, 4 days bid, 1.14log ₁₀ viral load reduction	16
J&J Discovery	TMC-353121		EC ₅₀ = 0.15 nM, CC ₅₀ = 5 μM	<i>Cotton rat</i> : i.v. 10 mg kg ⁻¹ -5 min, viral load reduction = 1.2log ₁₀ Inhaled -1 h, 5 mg mL ⁻¹ , 1.5log ₁₀ viral load reduction p.o. 40 mg kg ⁻¹ -2 h, 0.9log ₁₀ viral load reduction <i>BALB/ c mouse</i> : i.v., 10 mg kg ⁻¹ -1 h, 1.0log ₁₀ viral load reduction	53
AstraZeneca Unknown	Representative structure		EC ₅₀ = 1.7 nM	N/A	57
Biota Halted	Representative structure		EC ₅₀ = 27.5 nM	N/A	59