

Product Data Sheet

Product Name: Leritrelvir

Cat. No.: GC71221

Chemical Properties

Cas. No. 2923310-64-7

Formula $C_{31}H_{44}F_3N_5O_6$

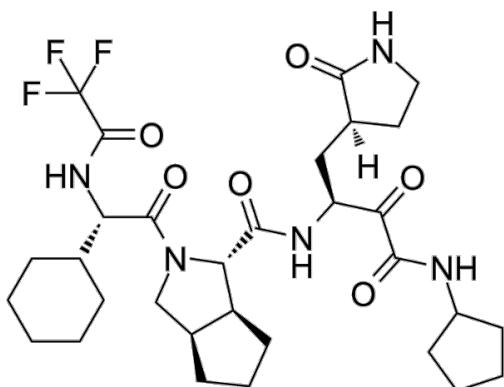
M.Wt 639.71

Solubility Storage -20°C, protect from light

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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



Background

Leritrelvir (RAY1216) is an orally active SARS-CoV-2 main protease slow-tight inhibitor with a K_i of 8.6 nM.

Leritrelvir (RAY1216) has a drug-target residence time of 104 min ^[1].

Leritrelvir is covalently attached to the catalytic Cys145 through the α -ketoamide warhead ^[1].

Leritrelvir (0-1000 nM; 72 h) shows antiviral activities against SARS-CoV-2 wild type ancestral strain and variants ^[1].

Caution: Product has not been fully validated for medical applications. For research use only.

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Leritrelvir (RAY1216 (150-600 mg/kg/day; i.g.; 5 days) effectively prolongs survival of SARS-CoV-2 infected mice^[1].

Compound pharmacokinetics parameters in different animal species^[1]

Compound	Species	dose (mg/kg)	C _{max} (nM)	T _{max} (h)	AUC(0-last) (nM·h)	Cl (mL/min/kg)	Vd _{ss} (L/kg)	T _{1/2} (h)	oral F (%)
RAY1216	Mouse	3.0 (IV)	-	-	7789	10	1.4	3.8	-
		10 (PO)	1287	2.0	5698	-	-	2.6	22
	rat	2.0 (IV)	-	-	4505	12.5	1.1	2.2	-
		10 (PO)	916	0.9	7429	-	-	4.3	33
	cynomolgus macaque	1.0 (IV)	-	-	1157	22.5	1.0	0.9	-
		5.0 (PO)	102	1.5	458	-	-	14.9	8

C_{max}: the maximum observed concentration of the drug collected in bodily material from subjects in a clinical study

T_{max}: the time it takes to reach the maximum concentration or time to C_{max}

AUC: "Area Under the Curve" and represents the total exposure of the drug experienced by the subject in a clinical study

Cl: total plasma clearance

Vd_{ss}: Steady state volume of distribution

T_{1/2}: Half-time is the time it takes for half the drug concentration to be eliminated

oral (F%): Oral bioavailability

References:

[1]. Chen X, et al. Inhibition mechanism and antiviral activity of an α -ketoamide based SARS-CoV-2 main protease inhibitor. bioRxiv, 2023: 2023.03. 09.531862.

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