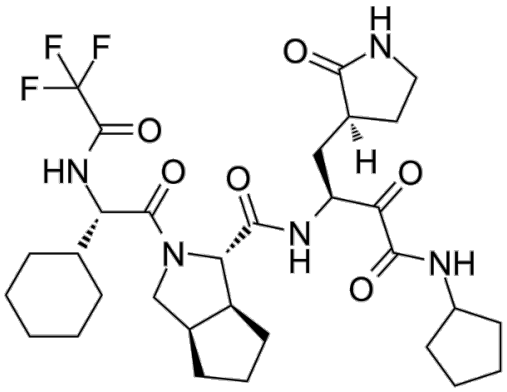
Product Data Sheet

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 Ki 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].

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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 (%)
	Mouse	3.0 (IV)	-	-	7789	10	1.4	3.8	-
		10 (PO)	1287	2.0	5698	-	-	2.6	22
RAY1216	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.

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA