Product Data Sheet

Product Name: RORγt Inverse agonist 10
Cat. No.: GC68411

Chemical Properties

Cas. No.	2413986-35-1		
Formula	$C_{25}H_{26}F_6N_6O_3$	M.Wt	572.5
Solubility		Storage	4°C, away from moisture and light
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	RORγt Inverse agonist 10		

Background

RORγt Inverse agonist 10 is a potent and orally bioavailable **RORγt (retinoic acid receptor-related orphan nuclear receptor gamma t)** inverse agonist, with an **IC_{50}** of 51 nM. RORγt is a major transcription factor of genes related to psoriasis pathogenesis such as IL-17A, IL-22, and IL-23R[1]

RORγt Inverse agonist 10 has a good liver microsome stability (human CL_{int}=0.010 mL/min/mg, mouse CL_{int}=0.030 mL/min/mg)[1].
RORγt Inverse agonist 10 suppresses the IL-17A production in a dose-dependent manner with an IC_{50} of 130 nM in a human whole-blood assay[1].

RORγt Inverse agonist 10 (3-100 mg/kg; p.o.) shows robust and dose-dependent inhibitory effect on the IL-17A production in mouse IL-18/23-induced cytokine expression model[1].
RORγt Inverse agonist 10 (1.145 mg/kg; p.o.) shows a high AUC of 15000 nM*h and $t_{1/2}$ of 3.6 hours[1].

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Animal Model:	C57/BL6 male mice, mouse IL-18/23-induced cytokine expression model[1]
Dosage:	3 mg/kg, 10 mg/kg, 30 mg/kg, 100 mg/kg
Administration:	Oral administration
Result:	Significantly inhibited the IL-17A production in a dose-dependent manner.
Animal Model:	Mice[1]
Dosage:	1.145 mg/kg (Pharmacokinetic Analysis)
Administration:	Oral administration
Result:	AUC=15000 nM*h, $t_{1/2}$=3.6 hours.

[1]. Ryota Nakajima, et al. Discovery of [1,2,4]Triazolo[1,5- a]pyridine Derivatives as Potent and Orally Bioavailable RORγt Inverse Agonists. ACS Med Chem Lett. 2020 Feb 27;11(4):528-534.

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