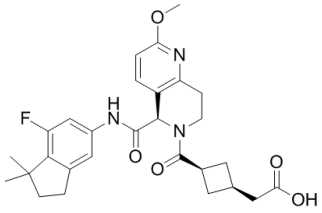
TAK-828F

Cat. No.:	HY-111509
CAS No.:	1854901-94-2
Molecular Formula:	$C_{28}H_{32}FN_3O_5$
Molecular Weight:	509.57
Target:	ROR
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the COA.



BIOLOGICAL ACTIVITY

Description	TAK-828F is a potent, selective, and orally available retinoic acid receptor-related orphan receptor γt (**RORγt**) inverse agonist (binding **IC_{50}**=1.9 nM, reporter gene **IC_{50}**=6.1 nM). TAK-828F shows excellent RORγt isoforms selectivity (>5000-fold selectivity against human RORα and RORβ)[1].
IC_{50} & Target	IC50: 1.9 nM (RORγt, binding IC_{50}), 6.1 nM (RORγt, reporter gene IC_{50})[1]
In Vivo	TAK-828F (0.3, 1, and 3 mg/kg; orally administered; b.i.d.; 28 days; in mice with IL-23-induced cytokine expression model) shows robust and dose-dependent inhibition of IL-17A expression with an ED_{80} of 0.5 mg/kg[1].

Animal Model:	Mice with IL-23-induced cytokine expression model[1]
Dosage:	0.3, 1, and 3 mg/kg
Administration:	Orally administered; b.i.d.; 28 days
Result:	Showed robust and dose-dependent inhibition of IL-17A expression (ED_{80}=0.5 mg/kg).

REFERENCES

[1]. Kono M, et al. Discovery of [cis-3-({(5 R)-5-[(7-Fluoro-1,1-dimethyl-2,3-dihydro-1 H-inden-5-yl)carbamoyl]-2-methoxy-7,8-dihydro-1,6-naphthyridin-6(5 H)-yl}carbonyl)cyclobutyl]acetic Acid (TAK-828F) as a Potent, Selective, and Orally Available Novel Retinoic Acid Receptor-Related Orphan Receptor γt Inverse Agonist. J Med Chem. 2018 Apr 12;61(7):2973-2988.

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