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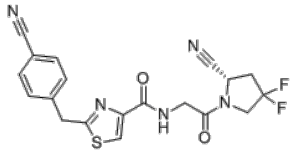
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	BR103354
Cat. No.	:	PC-72073
CAS No.	:	2505339-87-5
Molecular Formula	:	$C_{19}H_{15}F_2N_5O_2S$
Molecular Weight	:	415.419
Target	:	Dipeptidyl Peptidase (DPP)
Solubility	:	10 mM in DMSO (4.15 mg/mL)



Biological Activity

BR103354 (BR 103354) is a novel potent, selective, oral **fibroblast activation protein (FAP)** inhibitor with IC50 of 14 nM. BR103354 displays high selectivity against other related proteases with a selectivity index (SI) of 27.6 against PREP (PREP IC50=387 nM).
BR103354 (0.4 uM) blocked FAP-mediated cleavage of hFGF21 in cell-free assays; In differentiated 3T3/L1 adipocytes, the addition of FAP diminished hFGF21-induced Glut1 and phosphorylated levels of ERK, which were restored by BR103354.
BR103354 exhibited good pharmacokinetic properties as evidenced by oral bioavailability of 48.4% and minimal hERG inhibition.
BR103354 reduced nonfasting blood glucose concentrations with improved glucose tolerance and with reduced triglyceride (TG) content in liver of ob/ob mice, improved hepatic steatosis and fibrosis in NASH mouse model.

References

Jae Min Cho, et al. ***Sci Rep.*** 2020 Dec 4;10(1):21280.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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