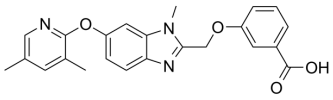
DS-6930

Cat. No.:	HY-124581
CAS No.:	1242328-82-0
Molecular Formula:	$C_{23}H_{21}N_3O_4$
Molecular Weight:	403.43
Target:	PPAR
Pathway:	Cell Cycle/DNA Damage
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	DS-6930 is a potent and selective agonist of PPARγ, with an EC_{50} of 41 nM. DS-6930 could robust reduce plasma glucose (PG), and with fewer PPARγ-related adverse effects than Rosiglitazone. DS-6930 can be used for the research of diabetes[1].
IC_{50} & Target	PPARγ 41 nM (EC50)
In Vitro	DS-6930 exhibits high potency in vitro with an intermediate PPARγ agonist activity (EC_{50}=41 nM, E_{max}=68%), and possesses high PPARα or PPARδ selectivity (13% PPARα activation at 10 μM and no PPARδ activation at 10 μM) [1]. DS-6930 (10-100 μM) exhibits lower cell toxicity at 100 μM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	DS-6930 (0.1-3 mg/kg; p.o. for 3 weeks) decreases plasma glucose (PG) levels in a dose-dependent manner in rats[1]. DS-6930 (100-1000 mg/kg; p.o.for 4 weeks) does not affect any liver enzyme activities and has no remarkable change in relative heart weigh in F344 rats[1]. DS-6930 exhibits C_{max}=0.0792 μg/mL, T_{max}=1.8 h, and AUC_{0-24h}=0.861 h•μg/mL following oral (0.3 mg/kg) administration on day 22 in rats[1]. DS-6930 exhibits C_{max}=2.25 μg/mL, T_{max}=5.0 h, $T_{1/2}$=13.5 h, and AUC_{last}=23.5 h•μg/mL following oral (3 mg/kg) administration in cynomolgus monkeys[1]. DS-6930 exhibits excellent bioavailability (F=89%), total body clearance (CL=2.06 mL/min/kg), and distribution volume at steady state (Vss=0.36 L/kg) following intravenous (1 mg/kg) administration in cynomolgus monkeys[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male ZDF rats[1]
Dosage:	0.1, 0.3, 1, 3 mg/kg
Administration:	P.o. daily for 3 weeks
Result:	47% PG reduction at dose of 0.3 mg/kg vs vehicle control.

Animal Model:	Male ZDF rats[1]

Dosage:	0.3 mg/kg (Pharmacokinetic Analysis)
Administration:	P.o. daily for 22 days
Result:	C_{max}=0.0792 μg/mL; T_{max}=1.8 h; AUC_{0-24h}=0.861 h•μg/mL.

REFERENCES

[1]. Shinozuka T, et, al. Discovery of DS-6930, a potent selective PPARγ modulator. Part II: Lead optimization. Bioorg Med Chem. 2018 Oct 1;26(18):5099-5117.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA