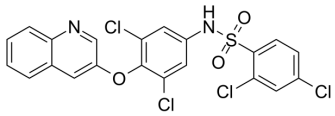
AMG131

Cat. No.:	HY-117103
CAS No.:	315224-26-1
Molecular Formula:	$C_{21}H_{12}Cl_4N_2O_3S$
Molecular Weight:	514.21
Target:	PPAR
Pathway:	Cell Cycle/DNA Damage; Vitamin D Related/Nuclear Receptor
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (486.18 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9447 mL	9.7237 mL	19.4473 mL
	5 mM	0.3889 mL	1.9447 mL	3.8895 mL
	10 mM	0.1945 mL	0.9724 mL	1.9447 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.05 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.05 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AMG131 (INT131), a potent and highly selective PPARγ partial agonist, binds to PPARγ and displaces Rosiglitazone with a K_i of ~10 nM. AMG131 can be used for research of type-2 diabetes mellitus (T2DM)[1][2].

IC_{50} & Target

PPARγ

In Vitro

AMG131 (INT131) binds to PPARγ and displaces Rosiglitazone with a K_i of ~10 nM, demonstrating ~20-fold higher affinity than either Rosiglitazone or Pioglitazone, and with greater than 1000-fold selectivity for PPARγ over PPARα, PPARδ, or a set of other nuclear receptors. AMG131 is highly selective for PPARγ, with no binding to PPARα or δ at 10 μM, 1000 fold over the K_i for PPARγ[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

AMG131 (INT131; 80 mg/kg; 14-day oral treatment) increases in glucose tolerance in Zucker (fa/fa) rats following[2]

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Zucker fatty (fa/fa) rats ages 7-8 weeks[2]
Dosage:	80 mg/kg
Administration:	Administered once daily by oral gavage for 14 days
Result:	Exhibited maximal efficacy comparable to that of Rosiglitazone with respect to plasma glucose clearance in an oral glucose tolerance test. Reduced baseline insulin levels, similar to Rosiglitazone, could improve insulin sensitivity in treated animals.

REFERENCES

[1]. Linda S Higgins,et al. The Development of INT131 as a Selective PPARgamma Modulator: Approach to a Safer Insulin Sensitizer. PPAR Res. 2008;2008:936906.

[2]. Alykhan Motani, et al. INT131: a selective modulator of PPAR gamma. J Mol Biol. 2009 Mar 13;386(5):1301-11.

Caution: Product has not been fully validated for medical applications. For research use only.

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