

ARRY-403

Chemical Properties

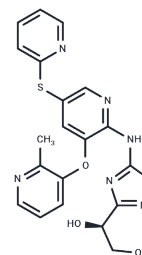
CAS No. : 1138669-65-4

Formula: C₂₀H₁₈N₆O₃S₂

Molecular Weight: 454.52

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	ARRY-403 is a novel glucokinase activator that reduces fasting and postprandial blood glucose in patients with type 2 diabetes.
Targets(IC50)	Glucokinase,Endogenous Metabolite
In vivo	Phase I studies have shown that ARRY-403 (AMG 151) activates glucokinase, a key enzyme in glucose homeostasis. The present randomized, placebo-controlled phase IIa study evaluated the dose-effect relationship of the glucokinase activator AMG 151 relative to placebo on fasting plasma glucose (FPG) in 236 patients (33-35 patients per arm) with type 2 diabetes treated with metformin. Patients received oral AMG 151 at 50, 100, or 200 mg twice daily, AMG 151 at 100, 200, or 400 mg once daily, or matching placebo for 28 days. A significant linear dose-effect trend was observed with the twice-daily regimen (p = 0.004) for change in FPG to day 28. No trend was observed with the once-daily regimen. A higher incidence of hypoglycemia and hypertriglyceridemia was observed with AMG 151 administration. AMG 151 significantly reduced FPG when administered twice daily but not when administered once daily in patients with type 2 diabetes treated with metformin.[1]

Solubility Information

Solubility	DMSO: 50 mg/mL (110.01 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
------------	--

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2001 mL	11.0006 mL	22.0012 mL
5 mM	0.440 mL	2.2001 mL	4.4002 mL
10 mM	0.220 mL	1.1001 mL	2.2001 mL
50 mM	0.044 mL	0.220 mL	0.440 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Katz L, et al. AMG 151 (ARRY-403), a novel glucokinase activator, decreases fasting and postprandial glycaemia in patients with type 2 diabetes. *Diabetes Obes Metab.* 2016 Feb;18(2):191-5.

Chung J, et al. Utilizing physiologically based pharmacokinetic modeling to inform formulation and clinical development for a compound with pH-dependent solubility. *J Pharm Sci.* 2015 Apr;104(4):1522-32.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481