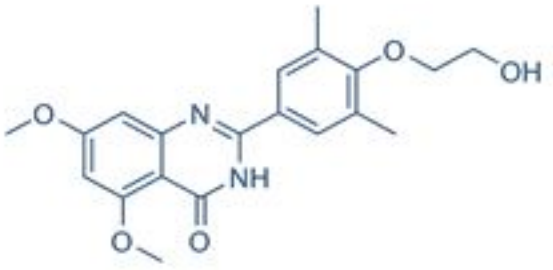
Product Introduction

RVX-208

RVX-208 is a potent **BET bromodomain** inhibitor with **IC50** of 0.510 μM for BD2, about 170-fold selectivity over BD1. Phase 2.

Technical Data:

Molecular Weight (MW):	370.4	
Formula:	$C_{20}H_{22}N_2O_5$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 74 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	1044870-39-4	

Biological Activity

As a BET bromodomain inhibitor, RVX-208 preferentially binds to the second bromodomain found on BET proteins. [1] RVX-208, as a stimulator of apolipoprotein (APO) AI gene expression, increases apoA-I and HDL-C in vitro. [2] [3]

RVX-208 significantly increases serum apoA-I and HDL-C in AGMs, and enhances cholesterol efflux via different pathways. [3]

First-in-class BD2-selective inhibitor of BET bromodomain and has been tested in Phase II clinical trials for

treatment of coronary syndromes and atherosclerosis.

References

[1] Picaud S, et al. Proc Natl Acad Sci U S A. 2013, 110(49), 19754-19759.

[2] McNeill E. Curr Opin Investig Drugs. 2010, 11(3), 357-364.

[3] Bailey D, et al. J Am Coll Cardiol. 2010, 55(23), 2580-2589.

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