

# Apabetalone

**Catalog No: tcsc3239**



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg



## Specifications

**CAS No:**

1044870-39-4

**Formula:**

$C_{20}H_{22}N_2O_5$

**Pathway:**

Epigenetics

**Target:**

Epigenetic Reader Domain

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq$  33 mg/mL (89.09 mM)

**Alternative Names:**

RVX-208;RVX000222

**Observed Molecular Weight:**

370.4

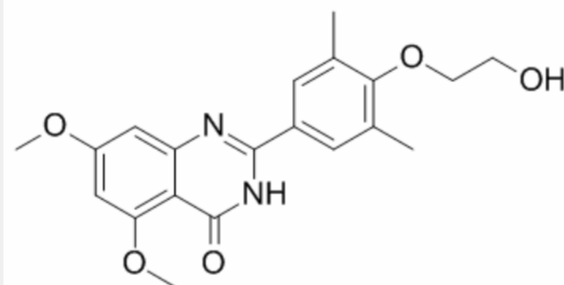
## Product Description

Apabetalone (RVX-208) is an inhibitor of **BET** transcriptional regulators with selectivity for the second bromodomain. The **IC<sub>50</sub>**s are  $87\pm 10\ \mu\text{M}$  and  $0.51\pm 0.041\ \mu\text{M}$  for **BD1** and **BD2**, respectively.

IC50 & Target: IC50:  $510\pm 41\ \text{nM}$  (BD2),  $87\pm 10\ \mu\text{M}$  (BD1)<sup>[1]</sup>

**In Vitro:** Apabetalone (RVX-208) competes with binding of an acetylated histone peptide to tandem BD1 BD2 protein constructs of the four BET proteins, with **IC<sub>50</sub>**s between 0.5 and 1.8  $\mu\text{M}$ . Apabetalone increases the production of ApoA-I in hepatocytes in vitro, which results in increased high density lipoprotein cholesterol (HDL-C). Apabetalone selectively binds to bromodomains of the BET (Bromodomain and Extra Terminal) family, competing for a site bound by the endogenous ligand, acetylated lysine, and that this accounts for its pharmacological activity. Apabetalone increases Apolipoprotein A-I (ApoA-I) production through an epigenetic mechanism and suggests that BET inhibition may be a promising new approach to the treatment of atherosclerosis. Apabetalone increases ApoA-I expression in liver cells<sup>[2]</sup>.

**In Vivo:** In the atherosclerosis prophylactic treatment study design, mice are fed a Western diet concurrent with the treatment with 150 mg/kg/dose b.i.d. for 12 weeks. Mice are sacrificed at 12 weeks after treatment. There is a progressive increase in body weight in both the vehicle treated as well as the Apabetalone (RVX-208) treated groups. However, there is only an increase of 4 g (from 24 g to 28 g) body weight after 12 weeks on Western diet in the Apabetalone treated group whereas this increase is found to be 9 g (25 g-34 g) in the vehicle treated group. The significant decrease in body weight gain in Apabetalone treated mice is not due to decreased feed consumption, suggesting a positive attribute of the molecule. Plasma lipid measurements are done at 6 weeks and 12 weeks of treatment with either the vehicle or Apabetalone. Compared to the vehicle control animals, Apabetalone treated mice show significant increase (~200%) in the levels of HDL-C at 6 weeks of treatment, which is sustained until end of the study (12 weeks)<sup>[3]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!