

# Crisaborole

**Catalog No: tcsc1057**



## Available Sizes

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

**CAS No:**

906673-24-3

**Formula:**

$C_{14}H_{10}BNO_3$

**Pathway:**

Metabolic Enzyme/Protease

**Target:**

Phosphodiesterase (PDE)

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq 32$  mg/mL (127.46 mM)

**Alternative Names:**

AN-2728; PF-06930164

**Observed Molecular Weight:**

251.05

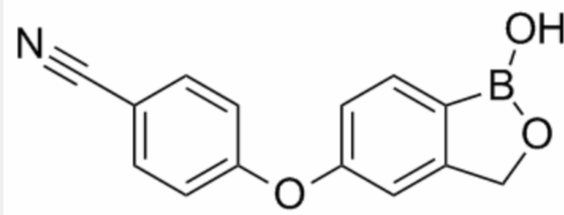
## Product Description

Crisaborole (AN-2728) is a potent inhibitor of **PDE4** and **cytokine** release; inhibit PDE4 with an **IC<sub>50</sub>** of 0.49  $\mu$ M.

IC50 & Target: IC50: 0.49  $\mu$ M (PDE4)<sup>[1]</sup>

**In Vitro:** Crisaborole (AN-2728) inhibits PDE4, TNF- $\alpha$ , IL-2, IFN- $\gamma$ , IL-5 and IL-10 with IC<sub>50</sub> values of 0.49, 0.54, 0.61, 0.83, 2.4 and 5.3  $\mu$ M. Crisaborole (AN-2728) shows the most potent activity against PDE4 catalytic domain, but it also shows inhibition against PDE1A3, PDE3Cat, and PDE7A1. Crisaborole (AN-2728) inhibits PDE isozymes PDE1A3, PDE3Cat, PDE4Cat and PDE7A1 with IC<sub>50</sub> values of 6.1, 6.4, 0.11 and 0.73  $\mu$ M<sup>[1]</sup>. Crystallography reveals that interaction of benzoxaboroles with the hydrophobic pocket in the PDE4 catalytic domain increase their affinity for PDE4. These benzoxaboroles strongly suppresses the secretion of cytokines associated with Ps and AD<sup>[2]</sup>. Crisaborole (AN-2728) is a topically administered, boron-containing, anti-inflammatory compound that inhibits PDE4 activity and thereby suppresses the release of TNF $\alpha$ , IL-12, IL-23 and other cytokines<sup>[3]</sup>.

**In Vivo:** Crisaborole (AN-2728) shows significant inhibition against the ear edema caused by phorbol ester after dosing at 1 mg/ear $\times$ 2 (78% and 68%, respectively). The efficacy is comparable to that of dexamethasone, suggesting that Crisaborole (AN-2728) has good anti-inflammatory activity as well as skin penetration<sup>[1]</sup>. Crisaborole (AN-2728) is reported to be well tolerated and to demonstrate significant effects on markers of efficacy, with results that are comparable to positive controls in clinical trials<sup>[3]</sup>.



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