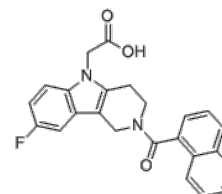


Product Name : Setipiprant
Cat. No. : PC-20092
CAS No. : 866460-33-5
Molecular Formula : C₂₄H₁₉FN₂O₃
Molecular Weight : 402.43
Target : Prostaglandin Receptor
Solubility : 10 mM in DMSO



Biological Activity

Setipiprant (ACT-129968, KYTH-105) is a potent, selective and orally available **CRTH2** antagonist with IC₅₀ of 6 nM. Setipiprant is selective for CRTH2/DP2 over DP1 in a radioligand binding assay (IC₅₀=1,290 nM) and the prostaglandin E2 receptor subtypes EP2 and EP4 in a β-arrestin assay (IC₅₀s=2,600 and >10,000 nM, respectively). Setipiprant inhibited PGD2-induced calcium flux in HEK293 cells expressing human CRTH2/DP2 (IC₅₀ = 30 nM) and PGD2-induced shape change in human eosinophils (IC₅₀=235 nM). Setipiprant reduced both the allergen-induced LAR and the associated AHR in allergic asthmatics.

References

- Risch P, et al. *J Med Chem.* 2015 Oct 22;58(20):8011-35.
 Diamant Z, et al. *Clin Exp Allergy.* 2014 Aug;44(8):1044-52.
 Fretz H, et al. *J Med Chem.* 2013 Jun 27;56(12):4899-911.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com