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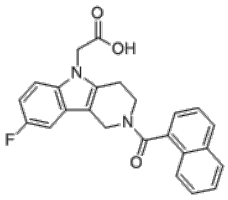
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Setipiprant
Cat. No.	:	PC-20092
CAS No.	:	866460-33-5
Molecular Formula	:	$C_{24}H_{19}FN_2O_3$
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.

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