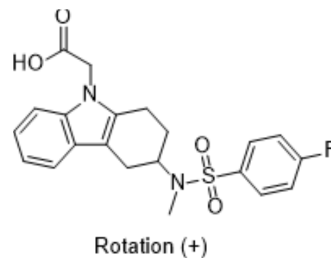


## CAY10471

<b>Cat. No.:</b>	HY-13706A
<b>CAS No.:</b>	627865-18-3
<b>Molecular Formula:</b>	C <sub>21</sub> H <sub>21</sub> FN <sub>2</sub> O <sub>4</sub> S
<b>Molecular Weight:</b>	416.47
<b>Target:</b>	Prostaglandin Receptor
<b>Pathway:</b>	GPCR/G Protein
<b>Storage:</b>	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	CAY10471 (TM30089) is a potent, selective, and orally active prostaglandin D2 receptor CRTH2 antagonist. CAY10471 attenuates the progression of tubulointerstitial fibrosis and chronic contact hypersensitivity (CHS) in animal mode <sup>[1][2][3]</sup> .								
<b>IC<sub>50</sub> &amp; Target</b>	CRTH2								
<b>In Vitro</b>	<p>CAY10471 (1 μM; 1-24 hours) decreases 15dPGJ2-induced phosphorylation of p38 MAP kinase significantly in PC12 cells<sup>[1]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Western Blot Analysis<sup>[1]</sup></p> <table border="1"> <tr> <td>Cell Line:</td> <td>PC12 cells</td> </tr> <tr> <td>Concentration:</td> <td>1 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>1 or 24 hours</td> </tr> <tr> <td>Result:</td> <td>Blocked 15d-PGJ2-induced p38 MAP kinase activation.</td> </tr> </table>	Cell Line:	PC12 cells	Concentration:	1 μM	Incubation Time:	1 or 24 hours	Result:	Blocked 15d-PGJ2-induced p38 MAP kinase activation.
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Result:	Blocked 15d-PGJ2-induced p38 MAP kinase activation.								
<b>In Vivo</b>	<p>CAY10471 (oral treatment; 2 mg/kg; challenged on day 22 or over 10 consecutive days) shows a diminished inflammation in chronic contact hypersensitivity (CHS) and IgE-CAI model. It blocks CRTH2 partly, but significantly suppresses inflammation in mice<sup>[2]</sup>.</p> <p>CAY10471 (oral administration; 20 mg/kg; twice daily; beginning 3/4/5 days before UUO) significantly attenuates interstitial collagen deposition in the cortex when compared with the vehicle (8.40% versus 14.85%). Oral administration from 3 days after UUO also significantly attenuates interstitial collagen deposition in the cortex compared with vehicle (9.63% versus 14.44%). However, oral administration beginning 5 days after UUO has little effect on interstitial collagen deposition in the cortex when compared with vehicle (14.61% versus 15.09%). Unilateral ureteral obstruction (UUO)<sup>[3]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>Balb/c mice, DP<sup>-/-</sup> mice, CRTH2<sup>-/-</sup> mice<sup>[2]</sup></td> </tr> <tr> <td>Dosage:</td> <td>2 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Oral treatment; once daily; challenged on day 22 or over 10 consecutive days</td> </tr> </table>	Animal Model:	Balb/c mice, DP <sup>-/-</sup> mice, CRTH2 <sup>-/-</sup> mice <sup>[2]</sup>	Dosage:	2 mg/kg	Administration:	Oral treatment; once daily; challenged on day 22 or over 10 consecutive days		
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Result:	Significantly suppressed both CHS and IgE-CAI inflammatory responses.
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Animal Model:	C57BL/6 mice <sup>[3]</sup>
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Dosage:	20 mg/kg
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Administration:	Oral treatment; twice daily; beginning 3/4/5 days before UUO
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Result:	Slowed the progression of renal fibrosis in the obstructed kidneys.
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## REFERENCES

[1]. Hatanaka M, et al. 15d-prostaglandin J2 enhancement of nerve growth factor-induced neurite outgrowth is blocked by the chemoattractant receptor- homologous molecule expressed on T-helper type 2 cells (CRTH2) antagonist CAY10471 in PC12 cells. J Pharmacol Sci. 2010;113(1):89-93. Epub 2010 Apr 16.

[2]. Matsushima Y, et al. Distinct roles of prostaglandin D2 receptors in chronic skin inflammation. Mol Immunol. 2011 Oct;49(1-2):304-10.

[3]. Ito H, et al. PGD2-CRTH2 pathway promotes tubulointerstitial fibrosis. J Am Soc Nephrol. 2012 Nov;23(11):1797-809.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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