**Proteins** 

## **Product** Data Sheet



## **Ro-51**

Cat. No.: HY-14485 CAS No.: 1050670-85-3 Molecular Formula:  $\mathsf{C}_{17}\mathsf{H}_{23}\mathsf{IN}_4\mathsf{O}_4$ 

Molecular Weight: 474.29

Target: P2X Receptor

Pathway: Membrane Transporter/Ion Channel

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

$$O \longrightarrow H_2N \longrightarrow N \longrightarrow OH$$

## **BIOLOGICAL ACTIVITY**

Description	Ro-51 is a potent and selective dual $P2X_3/P2X_{2/3}$ antagonist, with $IC_{50}$ of 2 nM and 5 nM for $P2X_3$ and $P2X_{2/3}$ , respectively. Ro-51 can be used for the research for pain <sup>[1][2]</sup> .	
IC <sub>50</sub> & Target	IC50: 2 nM (P2X <sub>3</sub> ), 5 nM (P2X <sub>2/3</sub> ) <sup>[1]</sup>	
In Vitro	Ro-51 has highly selective for P2X 3 and P2X 2/3 exhibiting no antagonistic activity at other P2X receptor family members tested (P2X 1, P2X 2, P2X 4, P2X 5, and P2X 7) at concentrations up to 10 $\mu$ M <sup>[1]</sup> . RO51 also shows a significant drop in potency on human P2X3 receptors (IC <sub>50</sub> = 110.5 and 0.04 nM, respectively for human and rat P2X3) <sup>[2]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	Ro-51 suffers rapid clearance, short half-lives, and high protein binding in $rat^{[1]}$ .  MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
	Animal Model:	$Rat^{[1]}$
	Dosage:	2 mg/kg (Pharmacokinetic Analysis)
	Administration:	Oral administration
	Result:	AUC= 237 ng/h/mL, T 1/2 =1.52 h

## **REFERENCES**

[1]. Alam Jahangir, et al. Identification and SAR of novel diaminopyrimidines. Part 2: The discovery of RO-51, a potent and selective, dual P2X(3)/P2X(2/3) antagonist for the treatment of pain. Bioorg Med Chem Lett. 2009 Mar 15;19(6):1632-5.

[2]. Alexandre Serrano, et al. Differential Expression and Pharmacology of Native P2X Receptors in Rat and Primate Sensory Neurons. J Neurosci. 2012 Aug 22; 32(34): 11890-11896.

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 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$ 

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