

# Novel Inhibitors of Platelet Aggregation

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Title:	Novel Inhibitors of Platelet Aggregation			
Patent/Patent Application Number:	WO 2014/064219 A1	Publication date:	May 1, 2014	
Priority Application:	EP 2012-306334	Priority date:	October 26, 2012	
Inventors:	Boldron, C.			
Assignee Company:	Sanofi, France			
Disease Area:	Cardiovascular Diseases	Biological Target:	P2Y12 Receptor	
Summary:	The present application claims a series of indole carboxylic acid analogues as inhibitors of the P2Y12 receptor for the			
	potential treatment of cardiovascular dis	seases. The P2Y12 receptor is a clinica	ally validated target. The approved drug	
	Clopidogrel (Plavix) is a prodrug that binds covalently to the P2Y12 receptor.			
Important Compound Classes:	$R_1 \rightarrow R_3$			
		R <sub>2</sub> /N		
		Ă TN O		
		HN		
	Ö –			
		R <sub>4</sub>		
Key Structures:	$\sim $	$\neg \rho$		
	, N	, N		
			2	
	HN	_O HN	<u>_</u> 0	
			7	
		N_O	Ň O	
		N	N	
	$\langle \{N} \rangle$			
	Compou	nd 1 Compoun	d 4	
Biological Assay:	The ability of the compounds to inhibit platele	t aggregation was avaluated in vitro in a P	PD accay using either rat or human plasma	

Biological Assay: Pharmacological Data: The ability of the compounds to inhibit platelet aggregation was evaluated in vitro in a PRP assay using either rat or human plasma. In vitro assays

Compound	Rat PRP IC <sub>50</sub> (µM)	Human PRP IC <sub>50</sub> (µM)
1	0.091	0.27
4	0.043	0.025

Synthesis:

The synthesis of six compounds is described.

## AUTHOR INFORMATION

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#### Notes

The authors declare no competing financial interest.

Received: November 24, 2014 Published: December 08, 2014

