ACS Medicinal Chemistry Letters

PATENT HIGHLIGHT

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Novel Cycloalkenepyrazoles as Inhibitors of Bub1 Kinase

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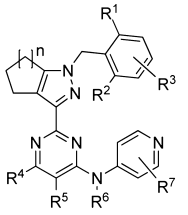
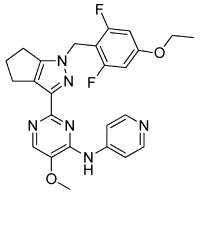
Title:	Novel Cycloalkenepyrazoles as Inhibitors of Bub1 Kinase		
Patent/Patent Application Number:	WO2013167698	**Publication date:**	November 14, 2013
Priority Application:	EP 2012-167690	**Priority date:**	May 11, 2012
Inventors:	Hitchcock, M.; Hilger, C.-S.; Mengel, A.; Briem, H.; Holton, S.; Puetter, V.; Siemeister, G.; Prechtl, S.; Fernandez-Montalvan, A. E.; Stegmann, C.; Preusse, C.; Gnoth, M. J.		
Assignee Company:	Bayer Pharma AG, Germany		
Disease Area:	Cancer	**Biological Target:**	Bub1

Summary: The present application claims a series of cycloalkenepyrazoles that inhibits Bub1 kinase. Recent findings provide evidence that Bub1 plays multiple roles during mitosis and that Bub1 inhibiting compounds could be of therapeutic value for the treatment of proliferative disorders associated with proliferative cellular processes such as cancer, inflammation, and arthritis.

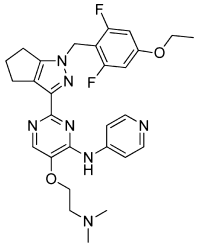
Important Compound Classes:



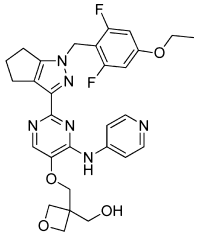
Key Structures:



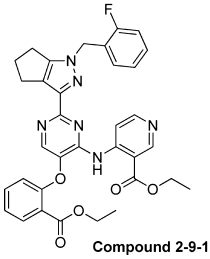
Compound 2-1-1



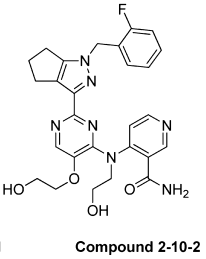
Compound 2-3-1



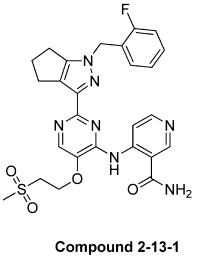
Compound 2-3-2



Compound 2-9-1



Compound 2-10-2



Compound 2-13-1

Recent Review Articles: Bolanos-Garcia, V. M.; Blundell, T. L. *Trends Biochem. Sci.* **2011**, *36*, 141.

Biological Assay: Bub1 inhibitory activities of compounds were quantified using TR-FRET kinase assay.

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Pharmacological Data:

	Bub1 kinase assay (IC_{50}, μM)
Compound **2-1-1**	0.005
Compound **2-3-1**	0.009
Compound **2-3-2**	0.006
Compound **2-9-1**	0.5
Compound **2-10-2**	2.0
Compound **2-13-1**	0.03

Synthesis: 36 compounds were synthesized.

AUTHOR INFORMATION

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Notes
The authors declare no competing financial interest.