ACS Medicinal Chemistry Letters

PATENT HIGHLIGHT

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Novel Disubstituted Pyrimidines as Inhibitors of Bruton's Tyrosine Kinase

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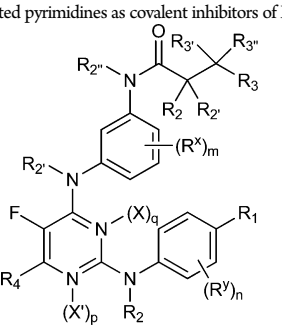
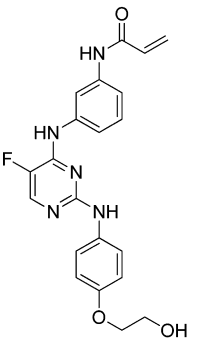
Title:	Novel Disubstituted Pyrimidines as Inhibitors of Bruton's Tyrosine Kinase		
Patent/Patent Application Number:	WO 2014/100748 A1	**Publication date:**	June 26, 2014
Priority Application:	US 2012−61740862	**Priority date:**	December 21, 2012
Inventors:	Tester, R.; Chaturvedi, P.; Zhu, Z.; Surapaneni, S.; Beebe, L.		
Assignee Company:	Celegene Avilomics Research, Inc., USA		
Disease Area:	Cancer	**Biological Target:**	Bruton's Tyrosine Kinase (BTK)

Summary: The present application discloses a series of disubstituted pyrimidines as covalent inhibitors of BTK for the potential treatment of cancer diseases.

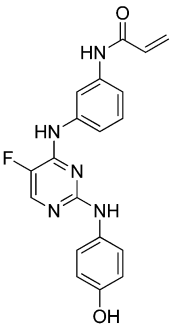
Important Compound Classes:



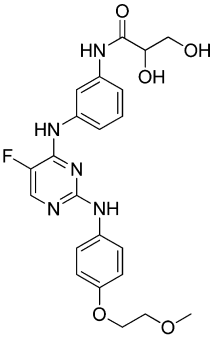
Key Structures:



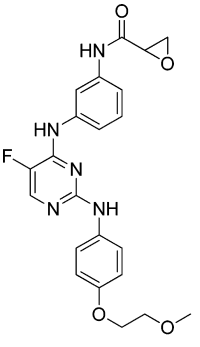
Compound I-1



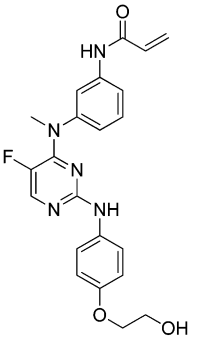
Compound I-3



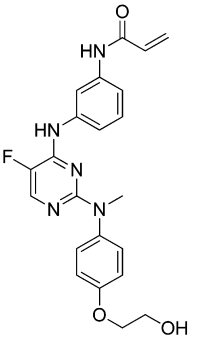
Compound I-4



Compound I-19



Compound I-24



Compound I-25

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Biological Assay: The inhibition of BTK activity was evaluated using the Omnia Continuous Read Kinase Assay.

Pharmacological Data: (optional)

Biochemical Kinase Assay

Compound	BTK IC_{50} (nM)
I-1	< 10
I-3	< 10
I-4	101-500
I-19	< 10
I-24	< 10
I-25	101-500

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Notes
The authors declare no competing financial interest.