ACS Medicinal Chemistry Letters

PATENT HIGHLIGHT

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# Novel Disubstituted Pyrimidines as Inhibitors of Bruton's Tyrosine Kinase

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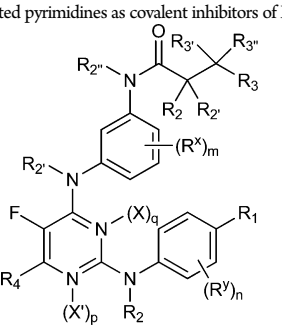
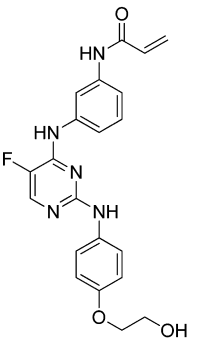
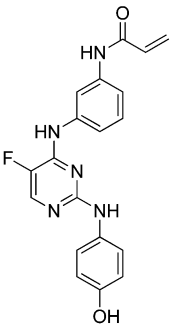
|---|---|---|---|
| **Title:** | Novel Disubstituted Pyrimidines as Inhibitors of Bruton's Tyrosine Kinase | | |
| **Patent/Patent Application Number:** | WO 2014/100748 A1 | **Publication date:** | June 26, 2014 |
| **Priority Application:** | US 2012−61740862 | **Priority date:** | December 21, 2012 |
| **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:** | | | |



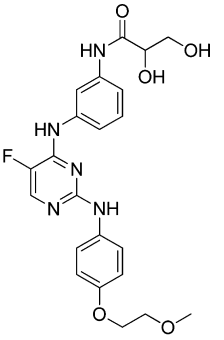
**Key Structures:**



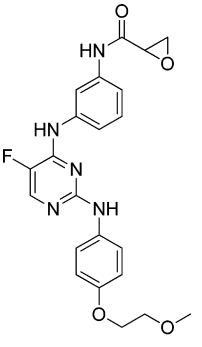
**Compound I-1**



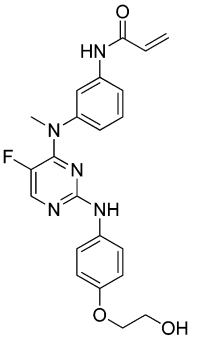
**Compound I-3**



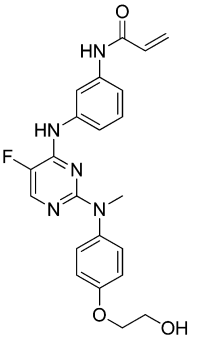
**Compound I-4**



**Compound I-19**



**Compound I-24**



**Compound I-25**

**Special Issue:** New Frontiers in Kinases

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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 |

## AUTHOR INFORMATION

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### Notes
The authors declare no competing financial interest.