

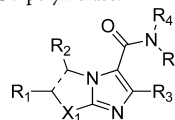
Substituted Imidazothiazoles as Inhibitors of Viral Polymerase

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Title: Substituted Imidazothiazoles as Inhibitors of Viral Polymerase
Patent/Patent Application Number: WO 2013075173, A1
Priority Application: US 2011-563688P
Inventors: Harding, M.; Bond, S.
Assignee Company: Biota Scientific Management Pty. Ltd., Australia
Disease Area: Hepatic C Virus infection
Biological Target: HCV Polymerase
Summary: This application claims imidazothiazoles analogues for the treatment and prevention of viral infections, particularly HCV. Compounds described herein are inhibitors of HCV polymerase.

Important Compound Classes:



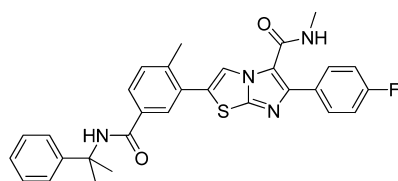
Definitions
----- Represent a single or double bond
X₁ is selected from S, S=O, and S=O₂

Special Issue: HCV Therapies

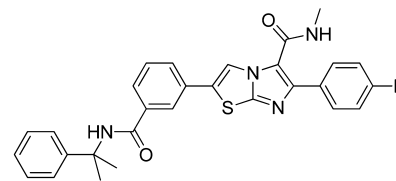
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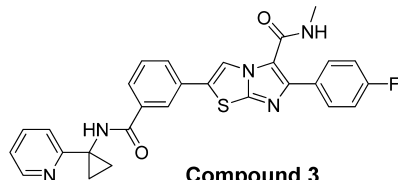
Key Structures:



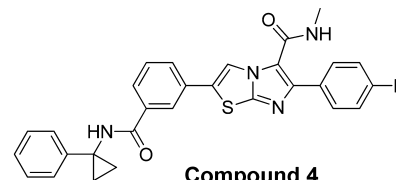
Compound 1



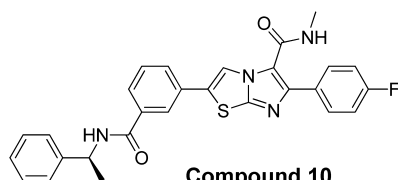
Compound 2



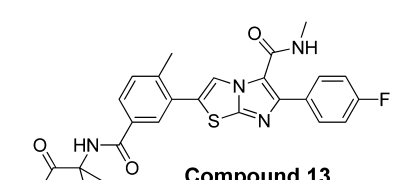
Compound 3



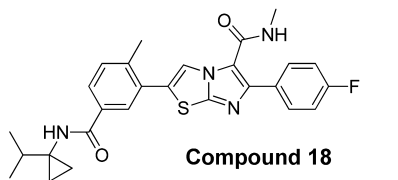
Compound 4



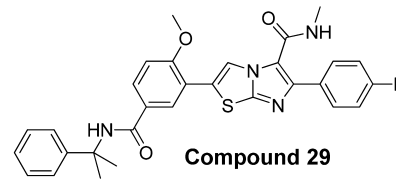
Compound 10



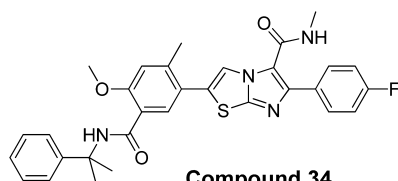
Compound 13



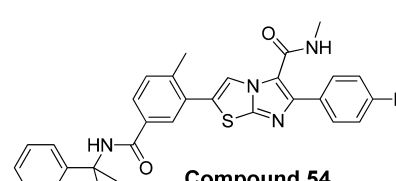
Compound 18



Compound 29



Compound 34



Compound 54

Biological Assay:

Effect of compounds was evaluated using a HCV polymerase inhibition assay (41 compounds tested) and a HCV replicon assay (46 compounds tested).

Pharmacological Data:

Compounds efficacy in HCV polymerase inhibition and HCV replicon assays

Compound	HCV polymerase inhibition, IC ₅₀ (μM)	HCV replicon EC ₅₀ (μM)
1	< 0.25	< 0.25
2	< 0.25-1.0	< 0.25
3	< 0.25-1.0	< 0.25-1.0
4	1.0-10	< 0.25-1.0
10	< 0.25-1.0	< 0.25
13	1.0-10	< 0.25
18	1.0-10	< 0.25
29	< 0.25	< 0.25
34	< 0.25-1.0	< 0.25
54	1.0-10	< 0.25

Synthesis:

The synthesis of 54 compounds is described.

AUTHOR INFORMATION

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Notes

The authors declare no competing financial interest.