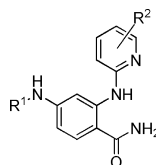


Phenyl Carboxamide Analogues as Spleen Tyrosine Kinase (Syk) Inhibitors

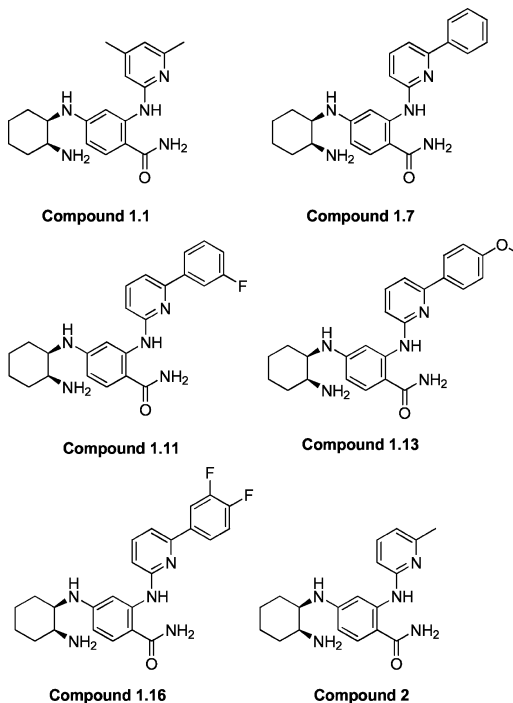
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Title: Phenyl Carboxamide Analogues as Spleen Tyrosine Kinase (Syk) Inhibitors
Patent/Patent Application Number: WO 2013052391
Priority Application: US 2011/61543542
Inventors: Altman, M. D.; Di Francesco, M. E.; Ellis, J. M.; Knowles, S. L.; Northrup, A. B.
Assignee Company: Merck Sharp & Dohme Corp., USA
Disease Area: Inflammatory, allergic, and autoimmune diseases
Biological Target: Syk kinase
Summary: The present application claims a series of phenyl carboxamide compounds that demonstrate activity against Syk kinase protein. Such compounds could potentially be used for the treatment of conditions or disorders associated with inappropriate Syk activity such as inflammatory, allergic, and autoimmune diseases (rheumatoid arthritis, asthma, etc.).

Important Compound Classes:



Key Structures:

**Received:** January 31, 2014**Published:** February 13, 2014

Recent Review Articles: Efremov, D. G.; Laurenti, L. *Expert Opin. Invest. Drugs* **2011**, *20*, 623.

Biological Assay: Compound efficacy was evaluated using a HTRF assay for the recombinant human Syk enzyme.

Pharmacological Data:

	rhSYK Activity (IC ₅₀ , nM)
Compound 1.1	2.8
Compound 1.7	<1.5
Compound 1.11	<1.5
Compound 1.13	<1.5
Compound 1.16	<1.5
Compound 2	>15

Synthesis: 18 compounds were synthesized.

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Notes

The authors declare no competing financial interest.