

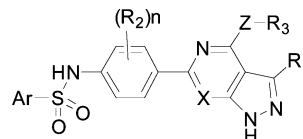
Novel Azaindazole Sulfonamides Inhibitors of Serum and Glucocorticoid Regulated Kinase

Gerard Rosse*

Structure Guided Chemistry, Dart Neuroscience LLC, 12278 Scripps Summit Dr., San Diego, California 92131, United States
Adjunct Associate Professor, Department of Pharmacology and Physiology, College of Medicine, Drexel University, New College Building, 245 North 15th Street, Philadelphia, Pennsylvania 19102, United States

Title: Novel Azaindazole Sulfonamides Inhibitors of Serum and Glucocorticoid Regulated Kinase
Patent/Patent Application Number: WO 2014/140065 A1 **Publication date:** September 14, 2014
Priority Application: EP 2013-305283 **Priority date:** March 13, 2013
Inventors: Nazare, M.; Halland, N.; Schmidt, F.; Kleeman, H. W.; Weiss, T.; Saas, J.; Struebing, K.
Assignee Company: Sanofi, France
Disease Area: Degenerative joint disorders, inflammation, and cancer **Biological Target:** Serum and glucocorticoid regulated kinase (SGK-1)
Summary: The present application claims a series of azaindazole sulfonamides as inhibitors of SGK-1 kinase. The compounds of the invention are potentially useful in the treatment of various disease states such as cardiovascular diseases, inflammation, osteoarthritis, diabetes, and cancer.

Important Compound Classes:

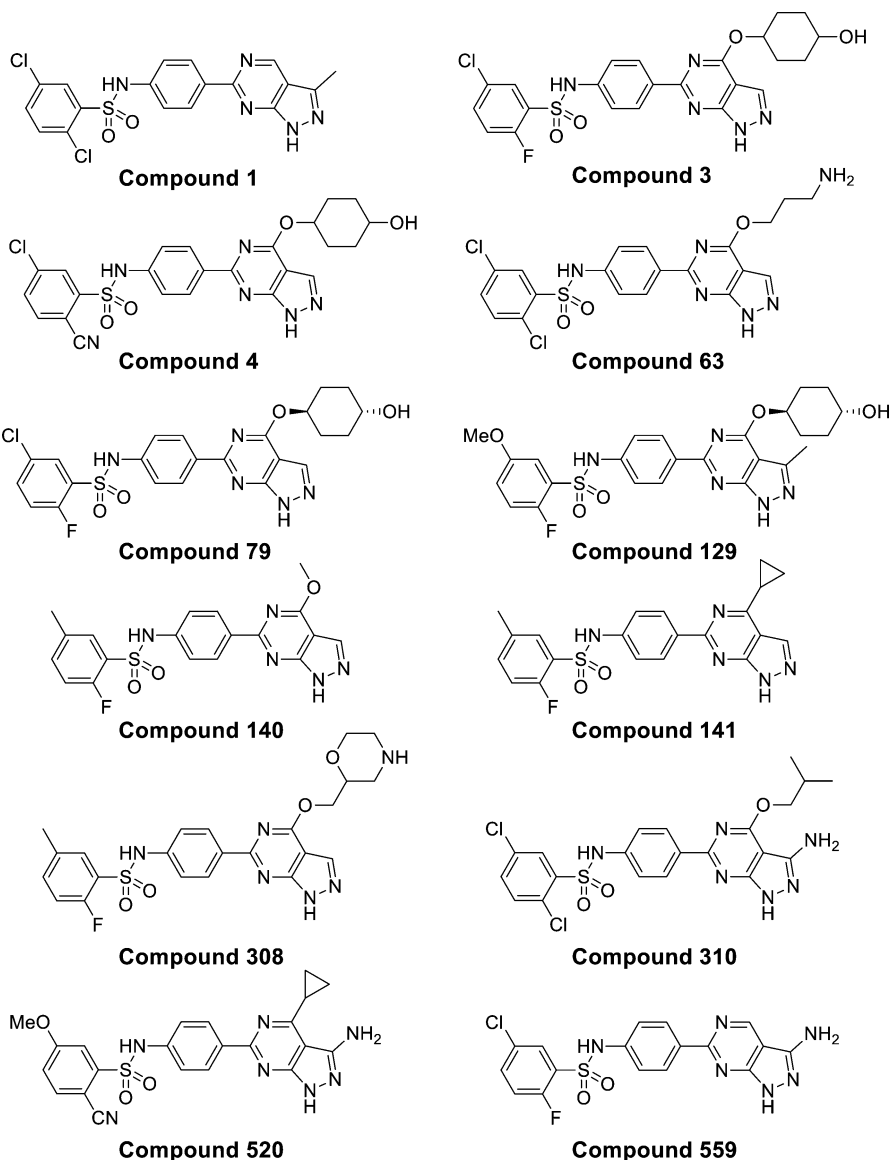


Special Issue: New Frontiers in Kinases

Received: November 24, 2014

Published: December 08, 2014

Key Structures:



Biological Assay:

The enzymatic activity of the compounds was evaluated in a substrate phosphorylation assay. The cellular activity of the compounds was measured in U2OS cells overexpressing recombinant SGK-1 and GSK2beta.

Pharmacological Data:

Enzymatic assays

Compound	SGK-1 IC ₅₀ (μM)	SGK-1 cell IC ₅₀ (μM)
1	< 0.0012	0.83
3	< 0.0012	0.67
4	< 0.0015	0.11
63	< 0.0012	0.28
79	< 0.0015	0.12
129	< 0.0015	0.17
140	0.0015	0.050
141	0.0065	0.15
308	0.0062	0.010
310	0.13	0.22
520	< 0.0015	0.061
559	0.019	0.39

Synthesis:

The synthesis of 699 compounds is described.

AUTHOR INFORMATION

Corresponding Author

*E-mail: grosse@dartneuroscience.com.

Notes

The authors declare no competing financial interest.