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PATENT HIGHLIGHT

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Novel Azaindazole Sulfonamides Inhibitors of Serum and Glucocorticoid Regulated Kinase

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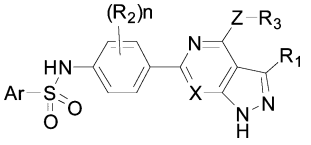
Title:	Novel Azaindazole Sulfonamides Inhibitors of Serum and Glucocorticoid Regulated Kinase		
Patent/Patent Application Number:	WO 2014/140065 A1	**Publication date:**	September 14, 2014
Priority Application:	EP 2013−305283	**Priority date:**	March 13, 2013
Inventors:	Nazare, M.; Halland, N.; Schmidt, 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, ostheoarthritis, diabetes, and cancer.

Important Compound Classes:



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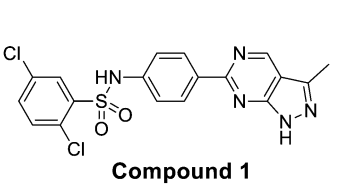
Key Structures: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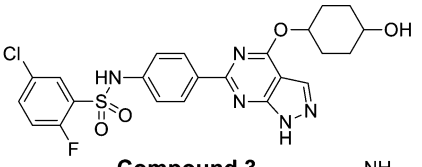
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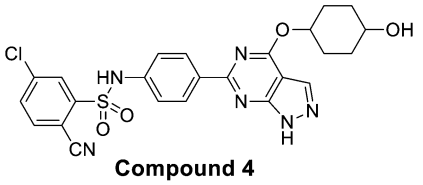
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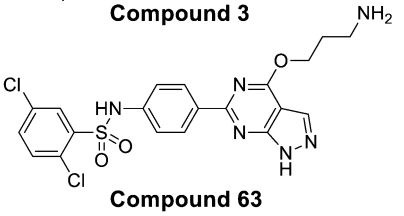
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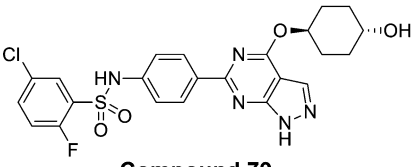
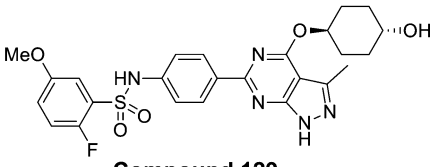
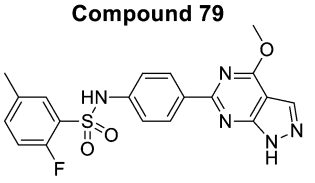
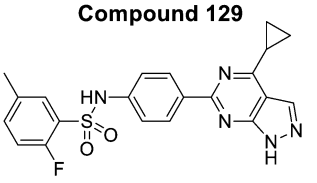

Compound 79


Compound 129


Compound 140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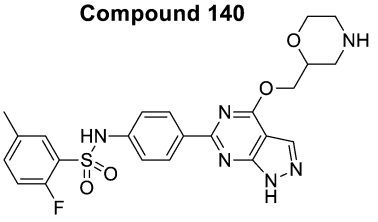
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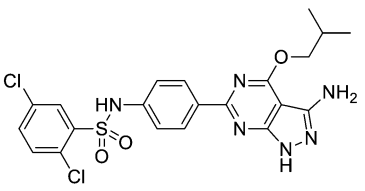
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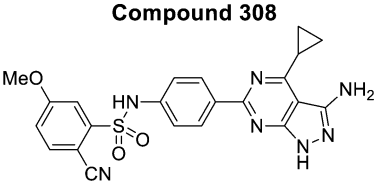
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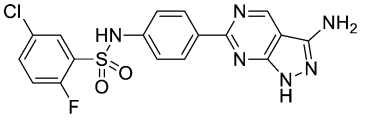
Compound 559

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.

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Notes

The authors declare no competing financial interest.