

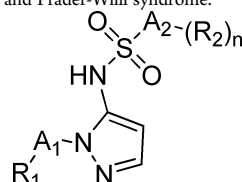
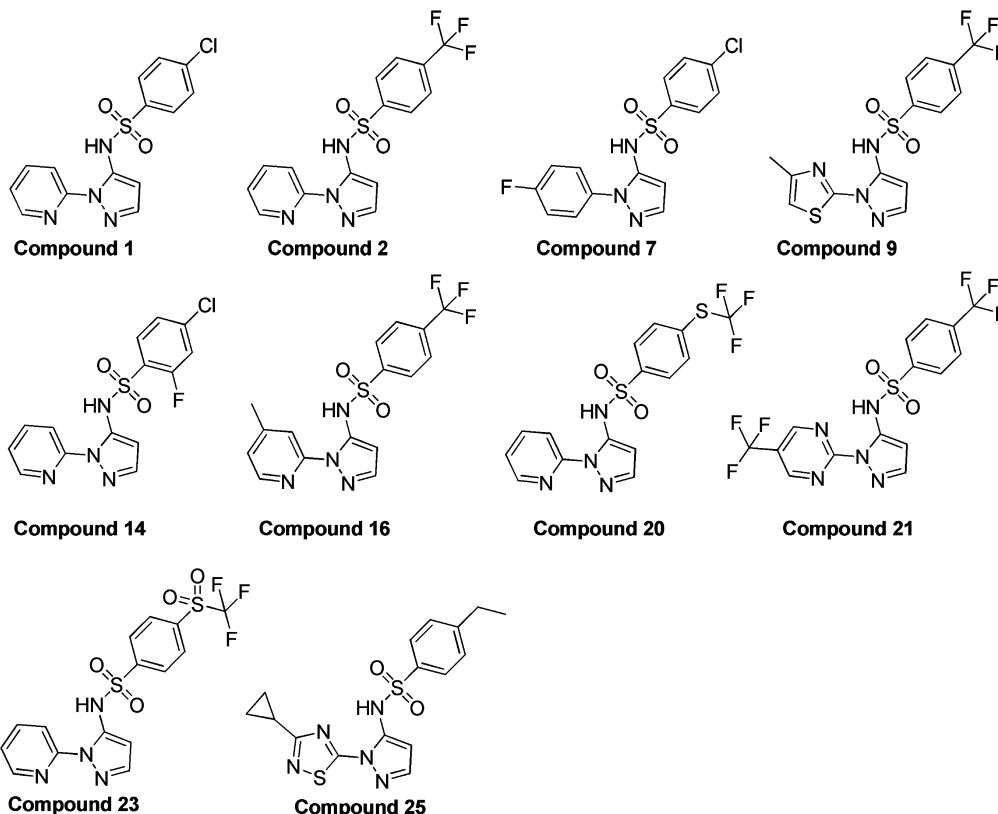
Pyrazolsulfonamide Agonists of Oxytocin Receptor

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Title: Pyrazolsulfonamide Agonists of Oxytocin Receptor
Patent/Patent Application Number: WO 2014/111356 A1
Priority Application: EP 2013-151632
Inventors: Bissantz, C.; Grundschober, C.; Nettekoven, M.; Plancher, J.-M.; Vifian, W.
Assignee Company: Hoffmann-La Roche, Inc.
Disease Area: CNS
Summary: The present application discloses a series of pyrazolsulfonamides as agonists of the oxytocin receptor. Oxytocin is a nine amino acid cyclic peptide hormone; it is a potent uterotonic agent clinically used to induce labor. Oxytocin and its receptors exist in the nucleus accumbens and the hippocampus. Agonists of the oxytocin receptor are claimed as potential treatment for a variety of CNS diseases such as autism, schizophrenia, anxiety disorders, drug addiction, and Prader-Willi syndrome.

Important Compound Classes:**Key Structures:****Received:** October 25, 2014**Published:** October 31, 2014

Recent Review Articles:

Yamasue H. Function and structure in social brain regions can link oxytocin-receptor genes with autistic social behavior. *Brain Dev.* **2013**, *35* (2), 111–118.

Biological Assay:

A calcium flux assay using fluorescence imaging (FLIPR) was developed to evaluate compounds efficacy.

Pharmacological Data:

Compound	hEC ₅₀ (μM)	Compound	hEC ₅₀ (μM)
1	0.019	16	0.017
2	0.008	20	0.047
7	2.460	21	1.570
9	0.520	23	0.753
14	0.019	25	1.570

Synthesis:

The synthesis of 26 compounds is described.

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Notes

The authors declare no competing financial interest.