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Patent Highlight

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Novel Thiazolobenzodiazepines As Inhibitors of Histamine H3 Receptor

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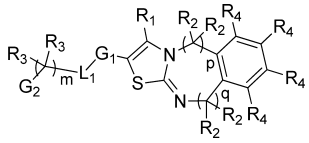
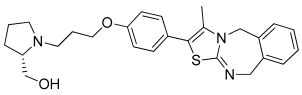
Title:	Novel Thiazolobenzodiazepines As Inhibitors of Histamine H3 Receptor		
Patent/Patent Application Number:	US 20130040940A1	**Publication Date:**	February 14, 2013
Priority Application:		**Priority Date:**	August 9, 2012
Inventors:	Cowart, M. D.; Liu, H.; Altenbach, R.		
Assignee Company:	AbbVie Inc., Abbott Park, IL (US)		
Disease Area:	Alzheimer's disease, sleep disorders	**Biological Target:**	H3 receptor

Summary: The patent application claims thiazolobenzodiazepine derivatives as inhibitors of the H_3 receptor for the treatment of a variety of therapeutic indications including Alzheimer's disease, pain, schizophrenia, Parkinson's disease or sleep disorder.

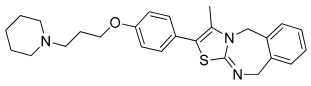
Important Compound Classes:



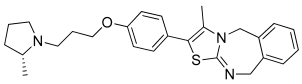
Key Structures:



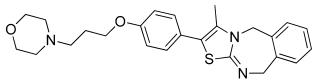
Example 1



Example 2



Example 3



Example 4

Biological Assays: The effectiveness of the compounds as histamine H_3 ligands was evaluated in binding assay using membranes expressing native H_3 receptor from rat cortex. Compounds were tested in vivo for their analgesic effect against neuropathic pain and for their activity in osteoarthritis model. No data are reported for the in vivo assays.

Pharmacological Data: Binding activity against H_3 receptor in rat cortex

Example	Rat cortex (Ki, nM)
1	0.032
2	0.050
3	0.020
4	0.324

Synthesis: Synthesis of 4 examples is described.

Claims: Claim 14: Use of compounds for the treatment of a variety of diseases such as pain, Alzheimer's disease, Parkinson's disease, dementia, cognitive impairment, ADHD, inflammation schizophrenia, Tourette's syndrome, Meniere's disease, and sleep disorder.

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Notes

The author declares no competing financial interest.

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