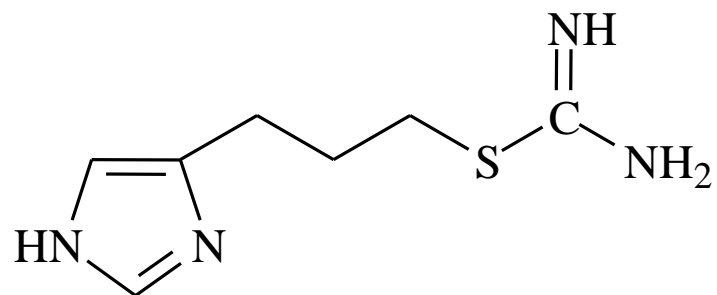
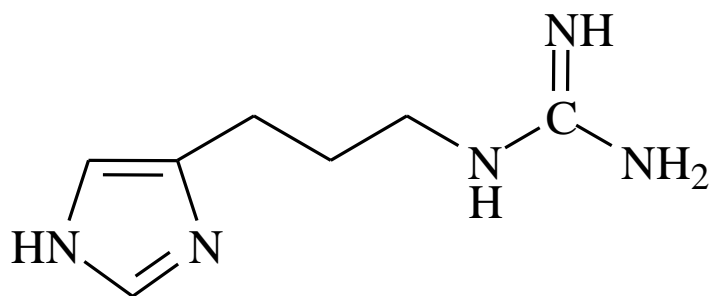
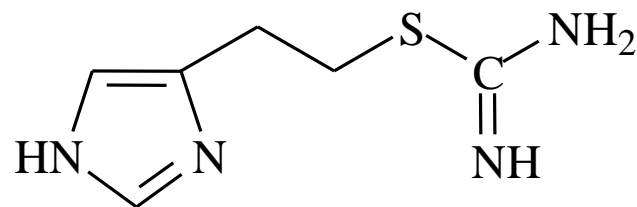
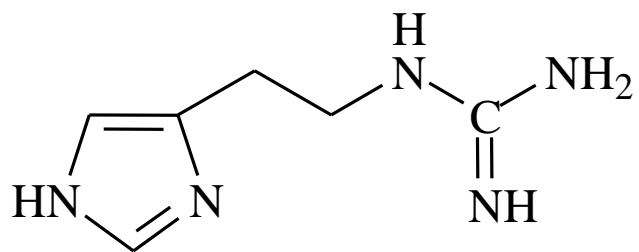
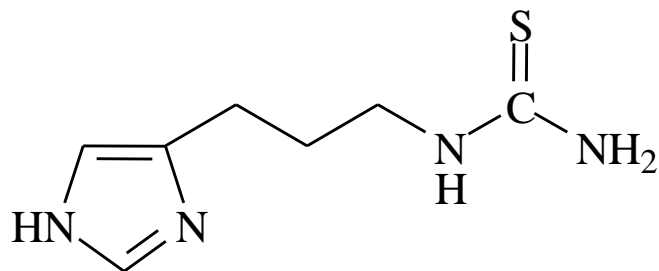


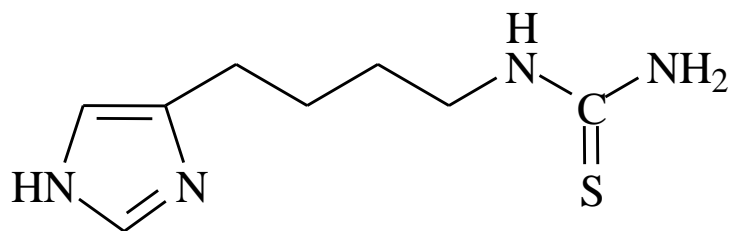
p-Clu-Cly-Pro-Trp-Leu-[Glu]₅-Ala-
Tyr-Gly-Trp-Met-Asp-Phe-NH₂



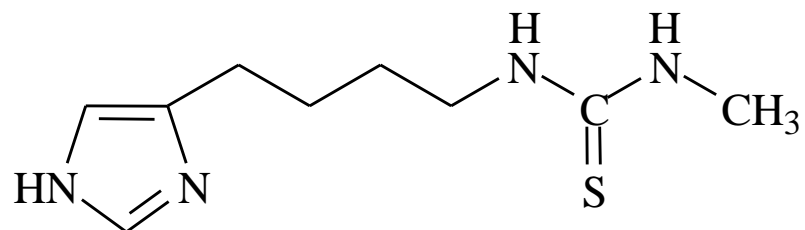
Μόρια που δρουν ως μερικοί αγωνιστές.



SK&F 91581

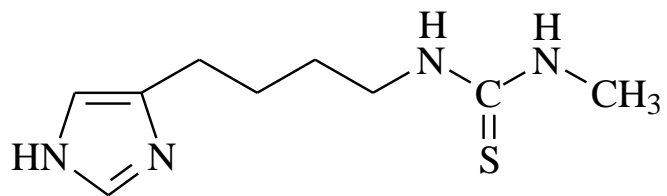
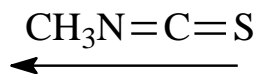
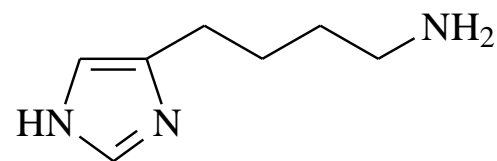
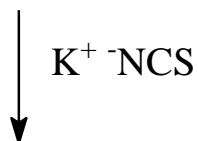
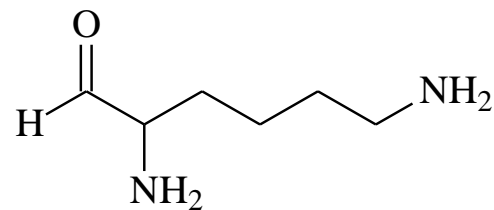
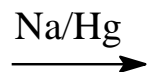
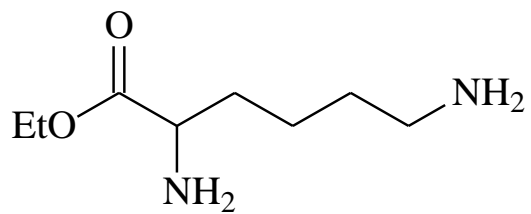


SK&F 91863

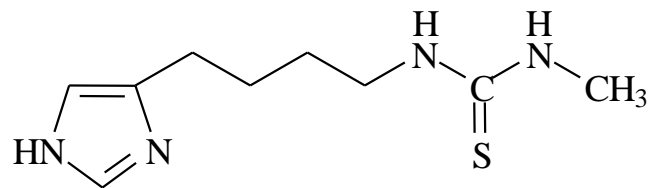


burimamide

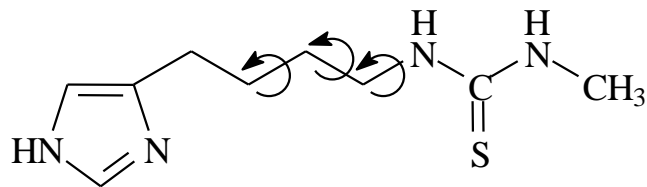
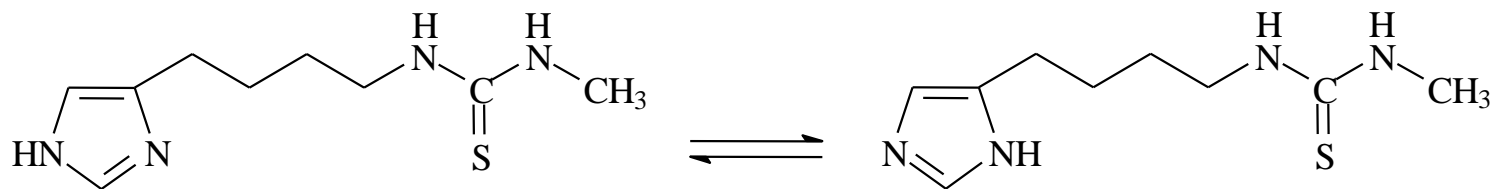
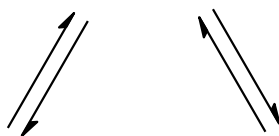
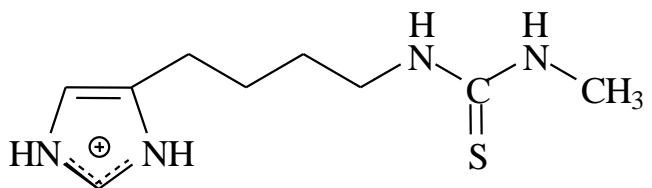
Μόρια που δρουν ως ανταγωνιστές.

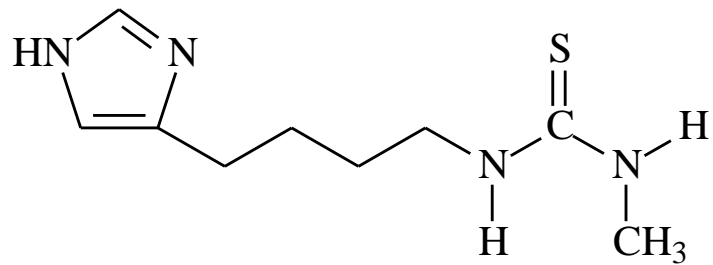


burimamide

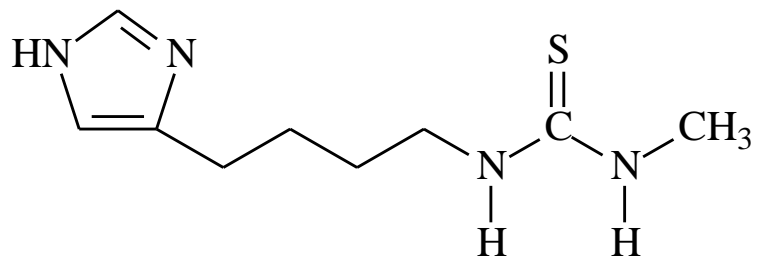


burimamide

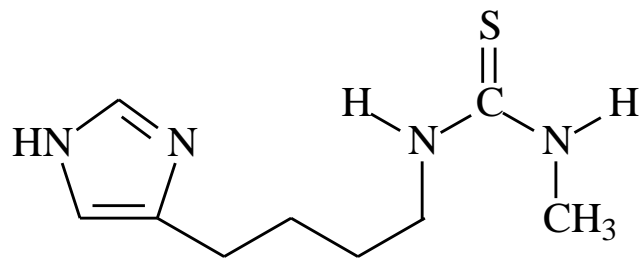




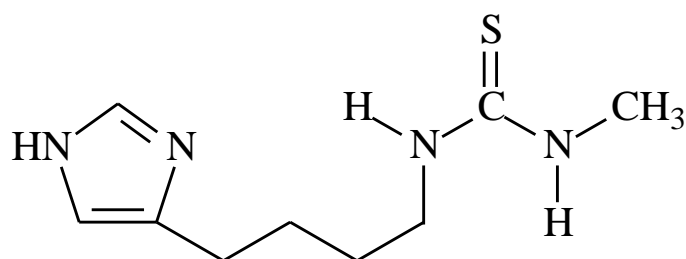
Z, E



Z, Z

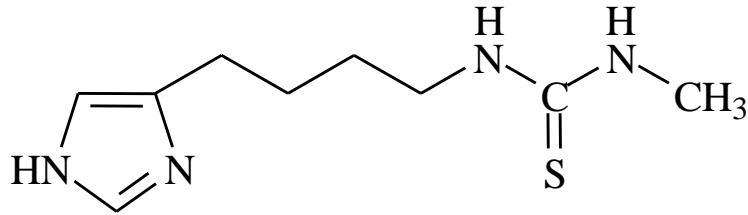


E, E

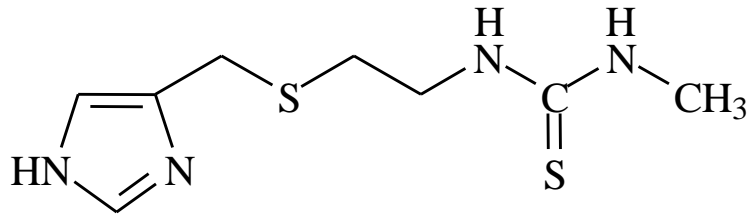


E, Z

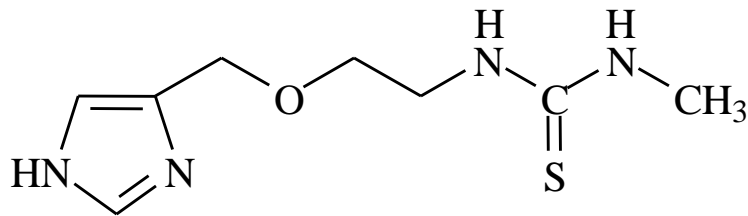
Εξελικτική πορεία ανακάλυψης ανταγωνιστών στον H2 υποδοχέα.



burimamide

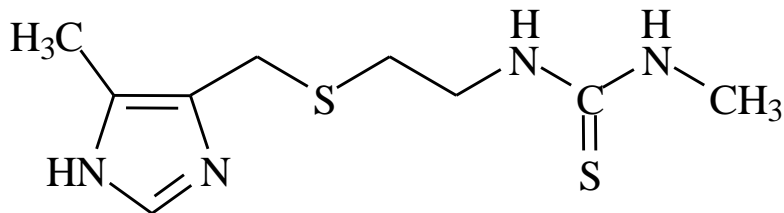


thiaburimamide

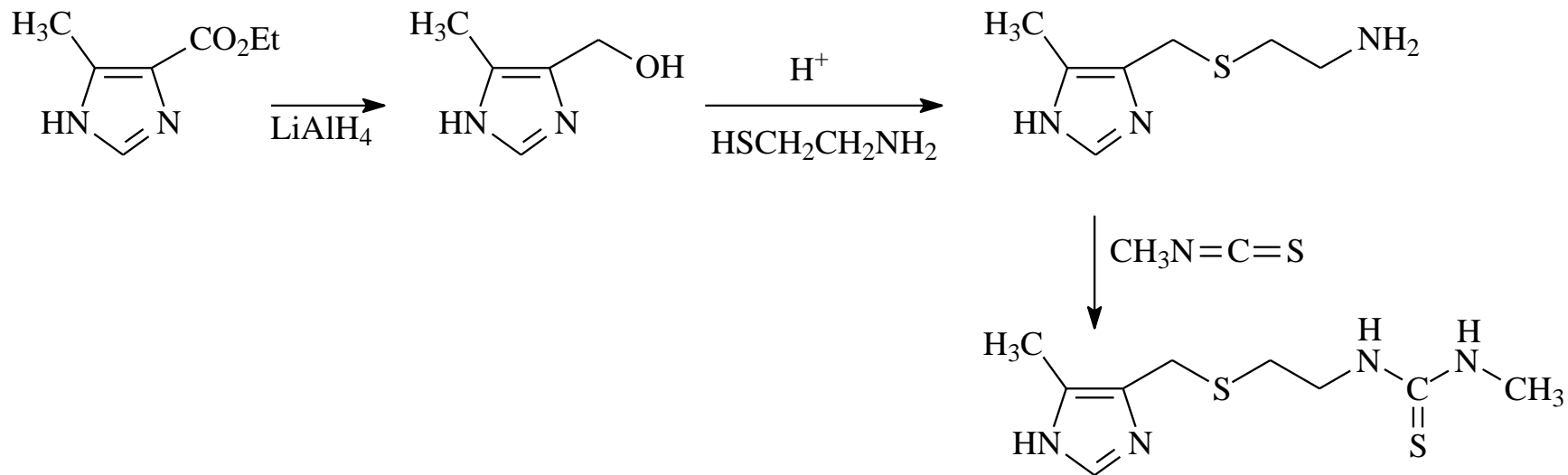


oxaburimamide

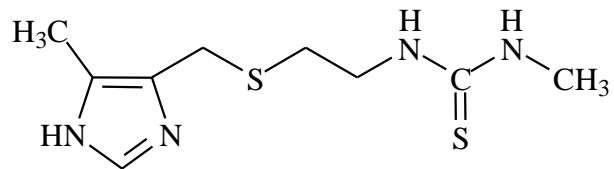
αδρανές



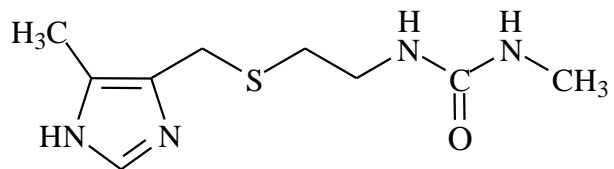
metiamide



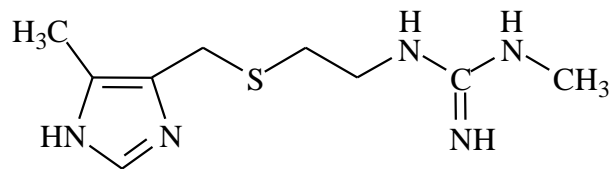
metiamide



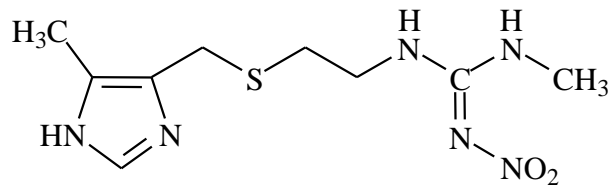
metiamide



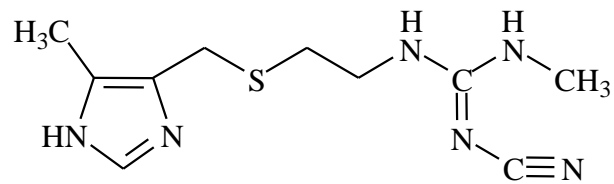
ισοστερές τύπου "ουρίας"



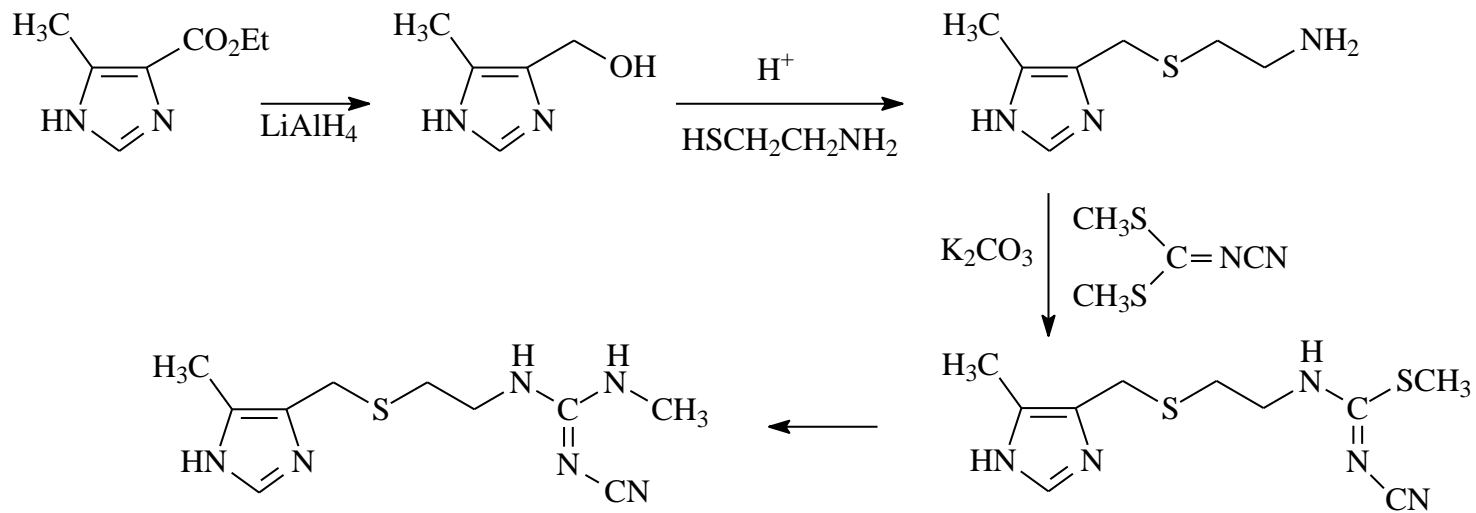
ισοστερές τύπου "γουανιδίνης"



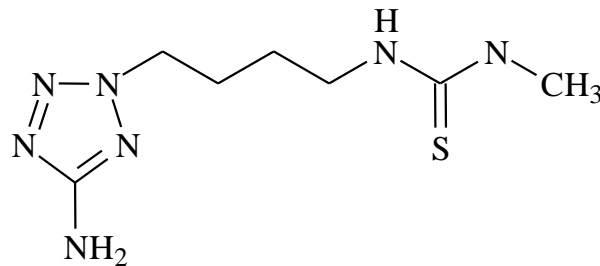
ισοστερές τύπου "νιτρογουανιδίνης"



cimetidine

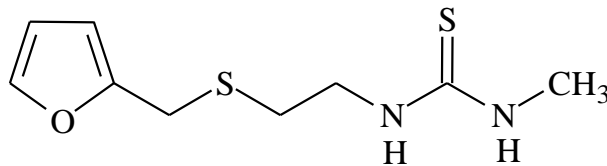


cimetidine



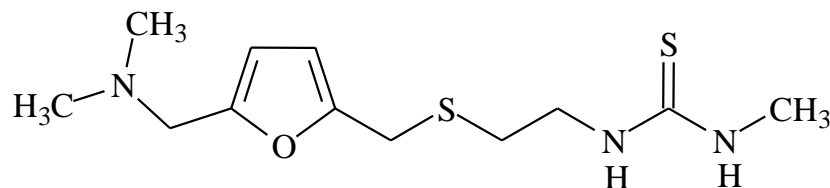
AH 15475

Παρόμοια δράση με το burimamide



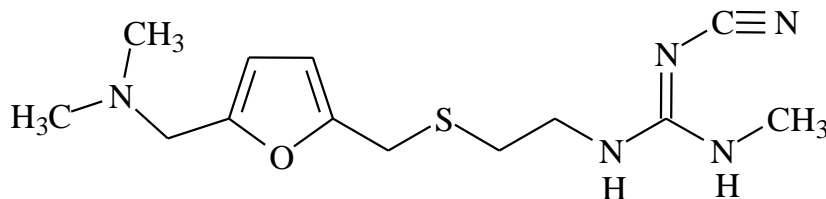
AH 18166

Χαμηλή υδατοδιαλυτότητα



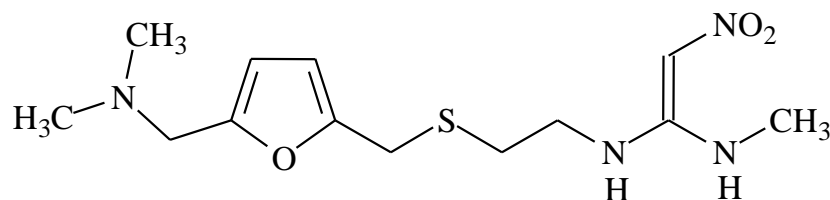
AH 18665

Παρόμοια δράση με το metiamide



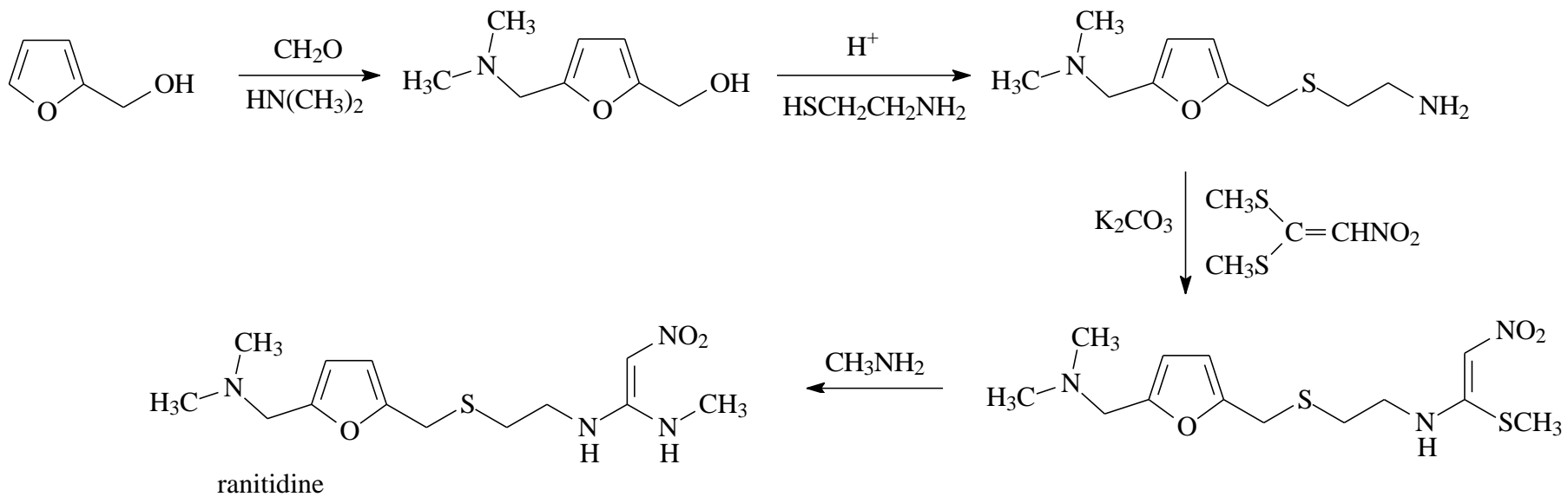
AH 18801

Παρόμοια δράση με το cimetidine



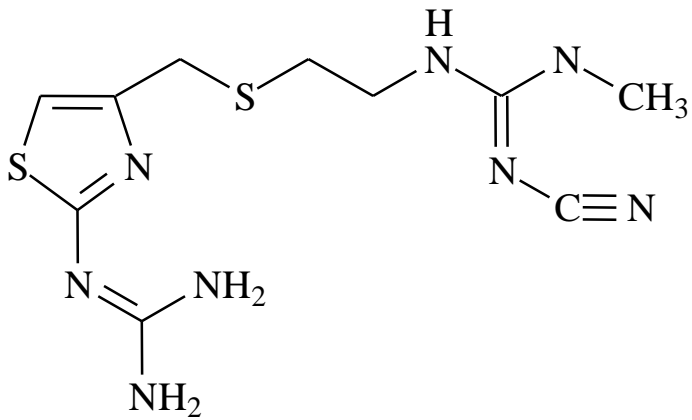
(AH 19065)
ranitidine

10πλάσια δράση του cimetidine



Το ranitidine υπερτερεί του cimetidine γιατί το cimetidine εμφανίζει ως ανεπιθύμητες ενέργειες:

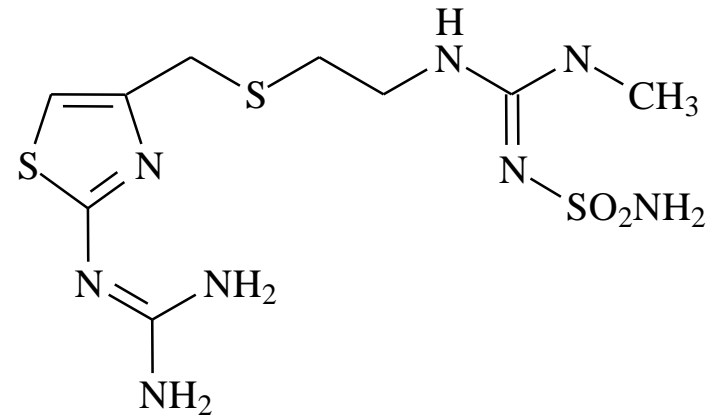
- ❖ ανασταλτική δράση επί του *cytP450*
- ❖ ελαφρά αντιανδρογόνο δράση



tiotidine

Παραδείγματα ανταγωνιστών H₂ που αναπτύχθηκαν μετά το ranitidine.

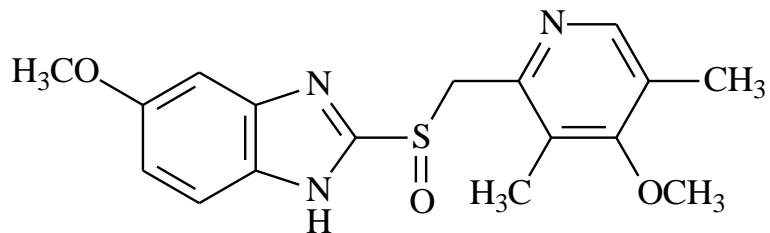
8πλασια δράση του cimetidine Διακοπή κλινικών δοκιμών λόγω τοξικότητας στα πλευρικά γαστρικά κύτταρα



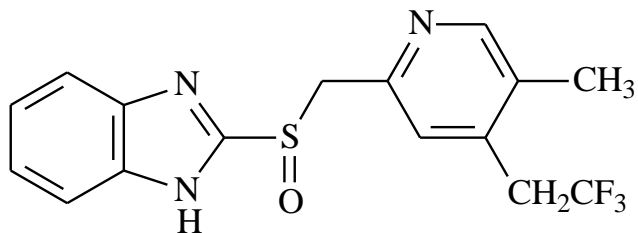
famotidine

30πλασια δράση του cimetidine

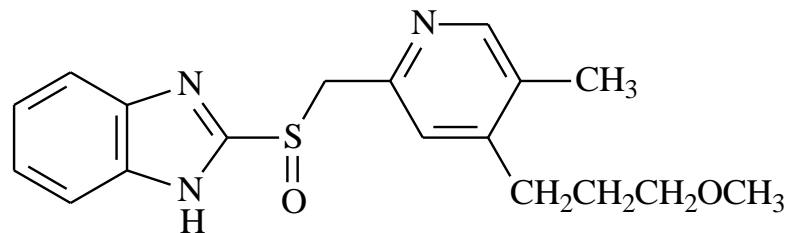
ΑΝΑΣΤΟΛΕΙΣ ΤΗΣ ΑΝΤΛΙΑΣ ΠΡΩΤΟΝΙΩΝ (H⁺/K⁺-ATPase)



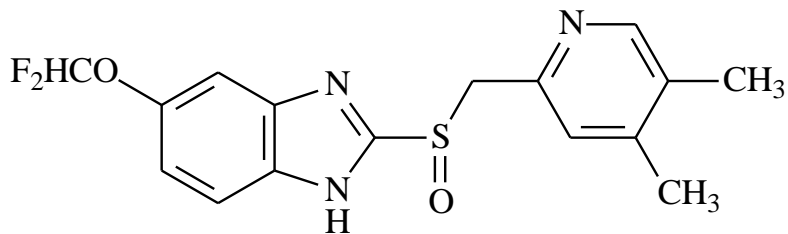
omeprazole



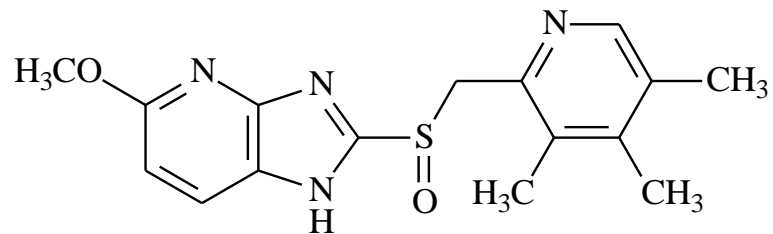
lansoprazole



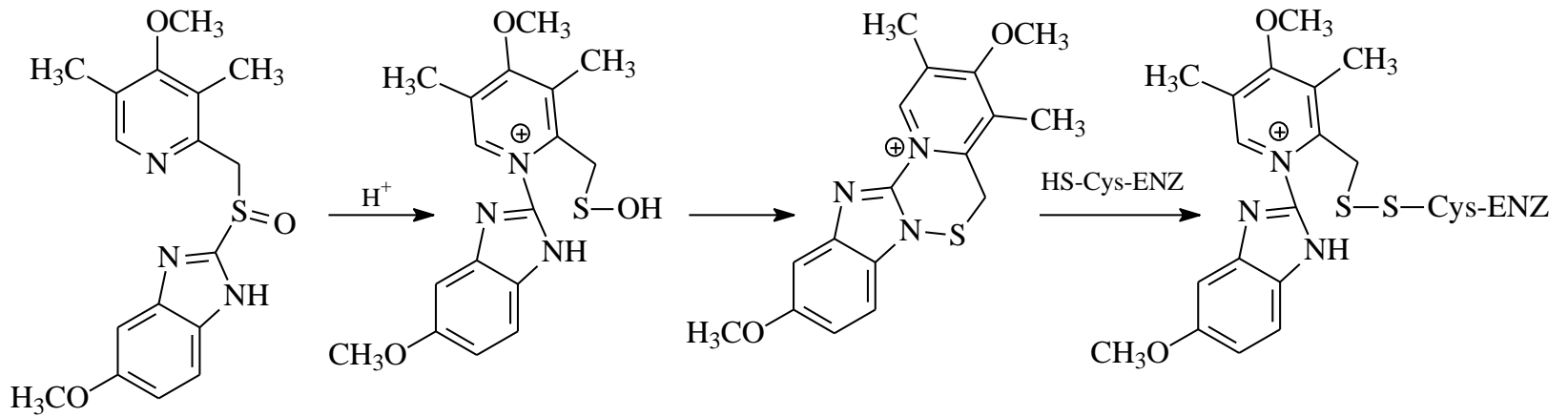
rabeprazole



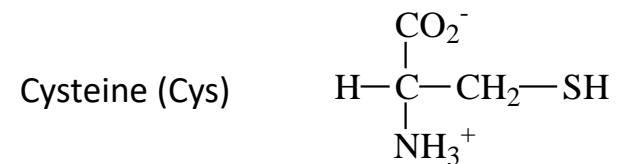
pantoprazole

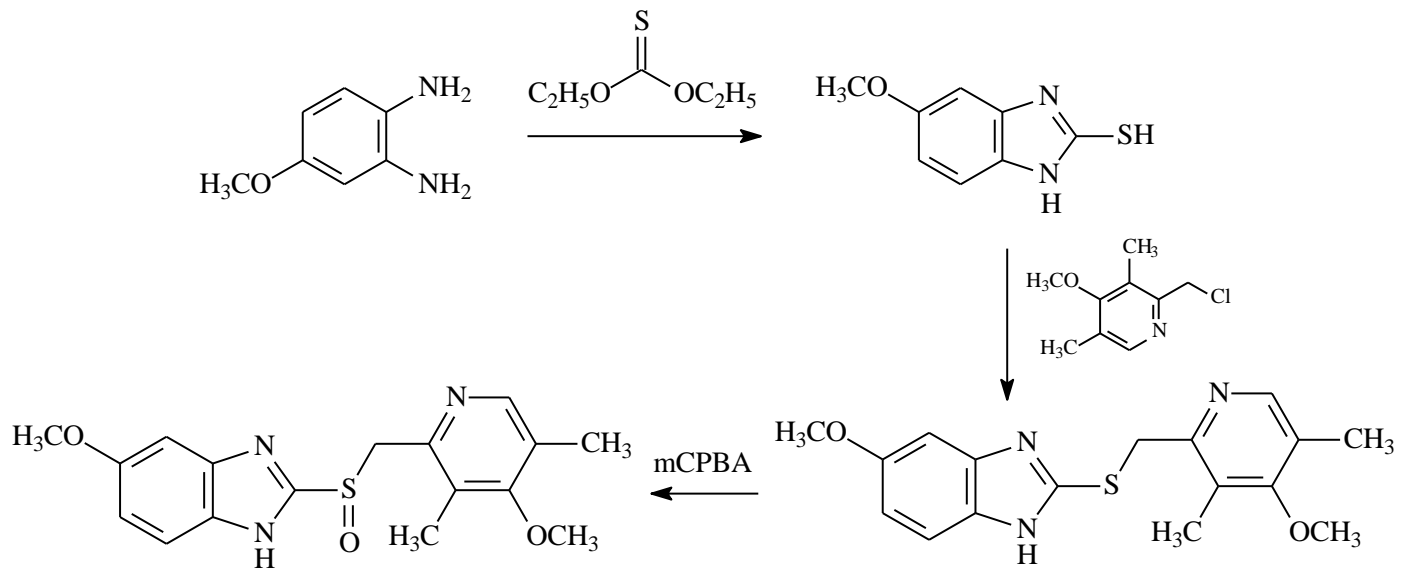


tenatoprazole



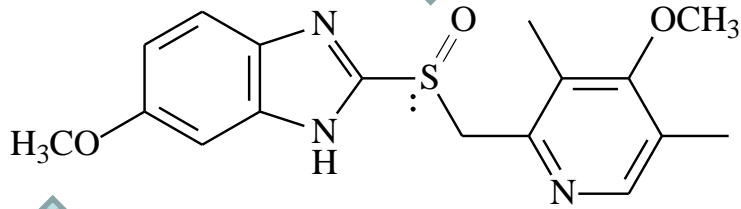
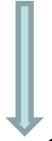
Μηχανισμός δράσης omeprazole





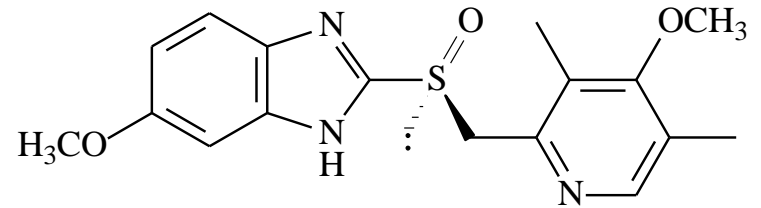
omeprazole

CYP3A4
σουλφοξειδίωση



omeprazole

CYP2C19
υδροξυλίωση

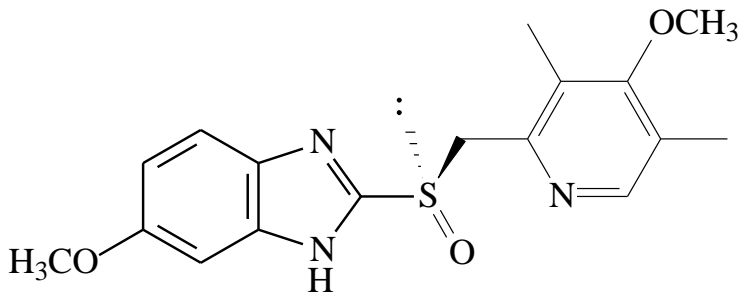
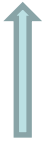


esomeprazole

S-(-)-εναντιομερές

Μεταβολίζεται πιο αργά από το
αντίστοιχο R-ισομερές

CYP2C19
O-απομεθυλίωση



R-(+)-εναντιομερές

Helicobacter pylori (σημαντικός παράγοντας ανάπτυξης ελκους γαστρεντερικού).

Θεραπευτικά σχήματα

2 εβδομάδες:

Amoxicillin (tetracycline)
Metronidazole
Bismuth subsalicylate

4 εβδομάδες:

Clarithromycin
Omeprazole (ranitidine)