

In hyperthyroidism, widest dosing options of Methimazole tablet 1st time in Bangladesh



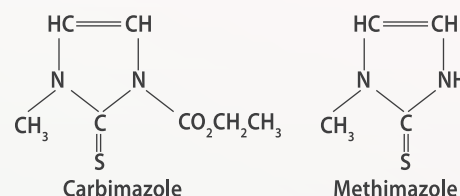
Drug Review

Overview on hyperthyroidism^{1,2}

Hyperthyroidism is an excessive concentration of thyroid hormones in tissues caused by increased synthesis of thyroid hormones, excessive release of preformed thyroid hormones, or an endogenous or exogenous extrathyroidal source. Worldwide the prevalence of hyperthyroidism is approximately 1.2% where hyperthyroidism is more prevalent in women than men (10:1). Prevalence of the disease increases with age.

Methimazole - active and effective drug of thionamide group^{3,4}

- Methimazole (MMI) is an active metabolite of carbimazole (CMZ)- a prodrug, which makes MMI more potent than CMZ.
- MMI has lower molecular weight than CMZ that ensures lower dose requirement than CMZ (3mg MMI equivalent to 5 mg CMZ).



How does Methimazole work?⁵

- Methimazole primarily functions by inhibiting synthesis of thyroid hormones (T3, T4) in thyroid gland.
- MMI disrupts the enzymatic process mediated by thyroid peroxidase (TPO), thus inhibiting iodination of tyrosine residues on thyroglobulin and preventing their subsequent coupling and formation of T3 and T4.
- The drug also inhibits sodium-iodide symporter, the protein responsible for transporting iodide ions (I⁻) into thyroid follicular cells affecting iodide uptake resulting in diminished iodination of thyroglobulin and synthesis of T3 and T4.

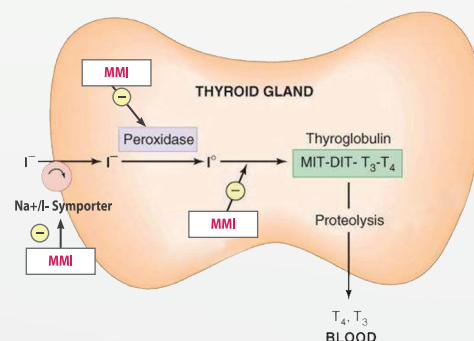


Figure: Methimazole (MMI) mechanism of action

* Na/I symporter = sodium-iodide symporter, MIT = mono-iodotyrosine, DIT = di-iodotyrosine

Methimazole vs Propylthiouracil ⁶

Traits	Methimazole	Propylthiouracil
Peak serum level	60–120 min	60 min
Albumin binding capacity	Low	High
Plasma half-life	6-8 hours	90 min
Duration of clinical action	>24 hours	8-12 hours
Intrathyroidal storing	5 × 10 ⁵ mol/L	Unknown
Time to reach normal serum hormone levels	2-4 weeks	10-15 weeks
Potency	10x	1x
Hepatic impact	Safe	Hepatotoxic
Patients' compliance	Better	Worse



In Hyperthyroidism

Widest dosing options of Methimazole tablet 1st time in Bangladesh



Precision dosing. Personalized care.

**THYZOL[®] gives most options
to choose **starting** and **maintenance** dose**

- Widest dosing options for individualized care with precision dosing
- Long-term treatment is effective and safe for improving remission & preventing relapse
- Alu-Alu blister strip ensures maximum protection

Thyzol[®] offers flexibility of choosing once daily dose according to American Thyroid Association (ATA)⁷	Free T4	Initial Total Daily Dose	Maintenance Dose
	1-1.5 times upper limit of normal	5-10 mg	5-10 mg
	1.5-2 times upper limit of normal	10-20 mg	
	2-3 times upper limit of normal	30-40 mg	



**US FDA Approved Dosage regimen gives freedom to choose
total daily dosage in 3 divided doses at approximately 8-hour intervals⁸**

	Severity of Disease	Initial Total Daily Dose	Maintenance Dose		Initial Total Daily Dose	Maintenance Dose
Adult	Mild Hyperthyroidism	15 mg	5-15 mg	Pediatric	0.4 mg/kg of body weight	1/2 of the initial dose
	Moderately Severe Hyperthyroidism	30-40 mg				
	Severe Hyperthyroidism	60 mg				

Ref.: 1. Am Fam Physician. 2016 Mar 1;93(5):363-70. 2. Vanderpump, M.P.J. (2019). 3. Brit J Clinical Pharma 1974.4. Feline Hyperthyroidism. Canine and Feline Endocrinology, 136-195. 5. https://tmedweb.tulane.edu/pharmwiki/doku.php/antithyroid_drugs 6. Eur Thyroid J. 2018 Aug;27(4):167-186. 7. Volume 26, Number 10, 2016 American Thyroid Association Mary Ann Liebert 8. Thyroid. 2017 Nov;27(11):1462.