

# SIBUTRAMINE

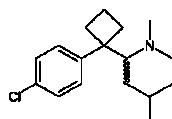
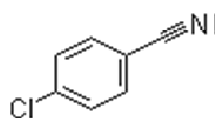


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## Introduction

- **Chemical name:** Sibutramine
- **IUPAC name:** {1-[1-(4-chloro-phenyl)-cyclobutyl]-3-methyl-butyl}-dimethyl-amine
- Sibutramine is a neurotransmitter reuptake inhibitor.
- It can reduce the reuptake of serotonin by 53%, norepinephrine by 54% and dopamine by 16%.
- Serotonin can affect the appetite.
- Nowadays, its properties are not fully discovered.
- it can inhibit the uptake of serotonin; it should be an effective antidepressant.
- It is banned in 2010

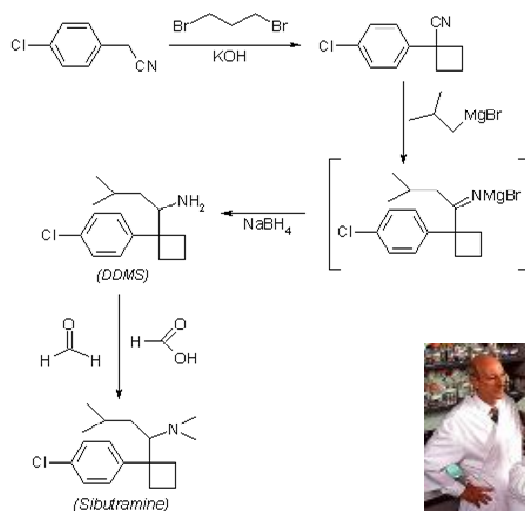
## Lead compound discovery



1. Since Serotonin can promote a feeling of wellbeing and happiness, scientists therefore do researches on Serotonin.
2. In 1987, Buckett, W.R., Hopcroft, R.H., Luscombe, G.P., Thomas, P.C. are the people who first discovered *BTS 545 24 (Sibutramine)*, a monoamine uptake inhibitor from 4-chlorobenzyl cyanide.
3. In 1995, Kelly discovered that sibutramine can decrease an obese person's weight. This makes it to become an anorexiatic to help obese people to lose weight.



## Molecular Modification



## Formulation development

Oral :Capsule

### Potential in treating diseases:

- 1.sexual dysfunction
- 2.addictive disorders
- 3.pulmonary hypertension
- 4.cardiovascular disease
- 5.Chronic Fatigue Syndrome
6. hyperactivity disorders
- 7.menstrual dysfunction
- 8.orthostatic hypotension.



## Formulation development

### a number of clinically significant interactions:

- 1.Sibutramine and [monoamine oxidase inhibitors](#) (MAOIs, such as [selegiline](#))
- 2.Increase the risk of [serotonin syndrome](#)
- 3.Sibutramine and certain medications used in the treatment of [migraines](#)—such as [ergolines](#) and [triptans](#)
- 4.Increase the risk for [serotonin syndrome](#)

## Safety tests and human trials

Mean Weight Loss (lbs) in the Six-Month and One-Year Trials

Study/Patient Group	Placebo (n)	Sibutramine(mg)			
		5 (n)	10 (n)	15 (n)	20 (n)
Study 1					
All patients*	2.0 (142)	6.6 (148)	9.7 (148)	12.1 (150)	13.6 (145)
Completers**	2.9 (84)	8.1 (103)	12.1 (95)	15.4 (94)	18.0 (89)
Early responders***	8.5 (17)	13.0 (60)	16.0 (64)	18.2 (73)	20.1 (76)
Study 2					
All patients*	3.5 (157)		9.8 (154)	14.0 (152)	
Completers**	4.8 (76)		13.6 (80)	15.2 (93)	
Early responders***	10.7 (24)		18.2 (57)	18.8 (76)	
Study 3****					
All patients*	15.2 (78)		28.4 (81)		
Completers**	16.7 (48)		29.7 (60)		
Early responders***	21.5 (22)		33.0 (46)		

## Approval for marketing:

### Meridia

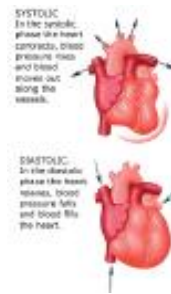
- 1.a Sibutramine product
2. Produced by **Abbott** of America.
3. Approved by **the Food and Drug Administration** in November 1997
4. For **weight loss** and **maintenance of weight loss** in obese people, as well as in certain **overweight people with other risks for heart disease**



## Approval for marketing:

### Side effects:

1. [cardiovascular events](#)
2. Increases the risk of [heart attacks](#)
3. [Increase the risk of strokes](#) in patients with a history of cardiovascular disease.
4. Increase [blood pressure](#) and pulse



***The End!***