INDACATEROL: A NEW APPROVED MOLECULE TO TREAT COPD
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ABSTRACT
Indacaterol approved as once-daily bronchodilator for chronic obstructive pulmonary disease (COPD). Indacaterol is a new molecular entity in the β2-adrenergic agonist class taken only once a day unlike the currently available β2-adrenergic agonist that helps relax muscles around lung airways to prevent COPD symptoms, such as wheezing and breathlessness. It is approved only for the treatment of chronic obstructive pulmonary disease (COPD) (long-term data in patients with asthma are thus far lacking). It is delivered as an aerosol formulation through a dry powder inhaler. The most commonly reported side effects/adverse effects in patients taking Indacaterol (> 2% and more common than placebo) are runny nose, cough, sore throat, headache, and nausea. The main benefit, it is Long Acting β2-adrenergic agonist (LABA), once in a day dosing is sufficient.

KEYWORDS: Indacaterol, COPD, β2-adrenergic agonist, Onbrez, Arcepta Neohaler.

INTRODUCTION
Chronic obstructive pulmonary disease (COPD) is the co-occurrence of chronic bronchitis and emphysema, a pair of commonly co-existing diseases of the lungs in which the airways become narrowed. This leads to a limitation of the flow of air to and from the lungs, causing shortness of breath. In clinical practice, COPD is defined by its characteristically low airflow on lung function tests. In contrast to asthma, this limitation is poorly reversible and usually gets progressively worse over time.1,4

COPD is caused by noxious particles or gas, most commonly from tobacco smoking, which triggers an abnormal inflammatory response in the lung. The inflammatory response in the larger airways is known as chronic bronchitis, which is diagnosed clinically when people regularly cough up sputum. In the alveoli, the inflammatory response causes destruction of the tissues of the lung, a process known as emphysema. The natural course of COPD is characterized by occasional sudden worsenings of symptoms called acute exacerbations, most of which are caused by infections or air pollution.1,4

In rare cases, nonsmokers who lack a protein called alpha-1 antitrypsin can develop emphysema.

Risk factors for COPD are
- Smoking.
- Exposure to certain gases or fumes in the workplace
- Exposure to heavy amounts of secondhand smoke and pollution
- Frequent use of cooking fire without proper ventilation1,4

Symptoms
- Cough, with or without mucus
- Fatigue
- Many respiratory infections
- Shortness of breath (dyspnea) that gets worse with mild activity
- Trouble catching one’s breath
- Wheezing1,4

Treatment
Treatment can make you more comfortable, but there is no cure. Quitting smoking is the best way to avoid developing COPD.
- Bronchodilators
- Inhaled steroids
- Anti-inflammatory
- Oxygen therapy, Assistance during breathing from a machine
- Lung transplant for severe cases1,4

Indacaterol is a new molecular entity in the β2-adrenergic agonist class that helps relax muscles around lung airways to prevent COPD symptoms, such as wheezing and breathlessness. The Global Initiative for Asthma (GINA) guidelines recognizes the role of long-acting beta2 agonists (LABAs) for the optimal treatment of moderate-to-severe persistent asthma. Currently available inhaled LABAs have durations of action of approximately 12 hours at recommended doses, necessitating twice daily dosing to provide optimal clinical efficacy. Hence Indacaterol is developed which is a novel once daily β2-adrenergic agonist.5-11

DRUG DESCRIPTION5,6

Molecular Structure

IUPAC Name
(R)-5-[2-[(5,6-Diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxyquinolin-2(1H)-one

Pharmacology
Indacaterol (IND) is a novel, long-acting inhaled β2-agonist. The claimed indication for this product is: long term, once-daily, maintenance bronchodilator treatment of airflow obstruction in patients with chronic obstructive pulmonary disease. The recommended dose is the inhalation of the content of one 150 microgram capsule once a day, using indacaterol inhaler.8-12

The pharmacological effects of β2 adrenoceptor agonists including indacaterol are at least in part attributable to stimulation of intracellular adenyly cyclase, the enzyme that catalyzes the conversion of adenosine triphosphate (ATP) to cyclic-3’, 5’- adenosine monophosphate (cyclic AMP). Increased cyclic AMP levels result in relaxation of bronchial smooth muscle. Thus, indacaterol acts locally as a bronchodilator when inhaled. It is very unlikely that systemic exposure to indacaterol will lead to interactions with secondary receptor systems following inhalation at the recommended therapeutic dosages. However, interactions with several receptor systems expressed in lung tissues may be significant.8

The median time to reach peak serum concentrations of Indacaterol was approximately 15 min after single or repeated inhaled doses. The in vitro human serum and plasma protein binding was 94.1-
Indacaterol is a long-acting β2-agonist indicated for long-term, once-daily, maintenance bronchodilator treatment of airflow obstruction in patients with COPD. The recommended dosage of indacaterol is the once-daily inhalation of the content of one 75 μg or 150 μg capsule using the inhalation device. 14, 16

**Recommended Dose**

Indacaterol is a long-acting β2-agonist indicated for long-term, once-daily, maintenance bronchodilator treatment of airflow obstruction in patients with COPD. The recommended dosage of indacaterol is the once-daily inhalation of the content of one 75 μg or 150 μg capsule using the inhalation device. 14, 16

**Note**

“It will carry a boxed warning that the risk for asthma-related death may be increased.”

**Storage**

The product should be stored at 25°C, and the capsules should remain in their blister packs until immediately before use. 17

**Marketed products**

**Arcapta Neohaler- Capsule Dosage Form**

Capsule containing Indacaterol (75 mg.), places a capsule into the inhaler and breathes the powder in through the mouth.

Mfd. By- Novartis

**Onbrez Breezhaler- Capsule Dosage Form**

Capsule containing Indacaterol (150 mg.), places a capsule into the inhaler and breathes the powder in through the mouth.

Mfd. By- Novartis 18

**CONCLUSION**

Indacaterol is a new molecular entity, long-acting beta2 agonists (LABAs) for the optimal treatment of moderate-to-severe persistent asthma. Currently available inhaled LABAs have durations of action of approximately 12 hours at recommended doses, hence Indacaterol is developed which is a novel once daily β2-adrenergic agonist.

**REFERENCES**