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Review Article

Medicinal chemistry of catechol, a versatile pharmacophore

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ABSTRACT

Catechol being a versatile pharmacophore, used in medicine as a molecular group in adjunction with other moieties and functional groups. The versatile pharmacophore has rendered several useful pharmaceuticals so far. The major medicines or the pharmaceutical drugs containing catechol moiety include levodopa, carbidopa, and several others. The FDA approved pharmaceutical preparations have been highlighted and reviewed in this paper.

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1. Introduction

Catechol:[1] It is a versatile moiety and many a times used as antioxidant and several industrial and other applications. Catechol is being used as versatile pharmacophore in many active ingredients Catechol's effectiveness as an antioxidant is due to its ability to stabilize the semiquinone radical created when it donates hydrogen atom to produce intramolecular hydrogen bond and its electron-donating properties.

However, despite efforts to develop new antioxidants based on catechol, there is a significant concern about the potential toxicity of catecholic compounds. This is because the semiquinone radical derived from catechol can still create quinone by donating a hydrogen atom, and during this process, it may generate a superoxide anion radical. Additionally, quinones can act as Michael acceptors, reacting with various nucleophilic biomolecules and causing harm. Therefore, it's crucial to find ways to overcome the potential toxicity of catechols in the search for antioxidants.

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Given that catecholic compounds are commonly found in vegetables, fruits, beverages, and some drugs, it's possible that their toxicity can be managed. To gain insights into the relationship between the structure of catecholic drugs and their toxicity, researchers have attempted to explore the structural characteristics of catecholic drugs. This exploration may provide clues to mitigate the potential toxicity associated with catechols.[2]

2. Review

Catechol is dihydroxy benzene or benzene diol. Two hydroxy groups are attached to the benzene ring at adjacent carbon atoms.[3]

Properties[4]

Chemical formula: C-H6O2 Molecular weight: 110.1

1. Density: 1.344g/cm^3
2. Boiling point: 245.5°C Melting point: 105°
3. Appearance: Colorless solid.

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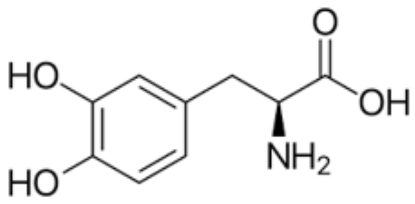
2.1. Pharmaceutical applications

Catechol has several industrial pharmaceutical applications, and it is utilized in the as raw material for purpose of chemical transformation.

1. Anticorrosion Agent:[5] it is used for anticorrosion properties. It can be used as additive to pharmaceutical formulations to prevent corrosion of metal components in containers or equipment used in the production and storage of pharmaceutical products.
2. Antioxidant:[6] Catechol acts as an antioxidant in many industrial pharmaceutical applications. It is used in pharmaceutical products, particularly those containing rubber, olefins, polyolefins, and polyurethanes, from oxidative degradation to have stability and effectiveness.
3. Chelating Agent:[7] Catechol can function as a chelating agent in pharmaceutical formulations. Chelating agents are used to bind with and inactivate metal ions that might be present in the formulation, as these ions can sometimes have unwanted effects on the stability or efficacy of the product.
4. Detergent:[8] It can be s used as a detergent for some pharmaceutical preparations. Thus catechol can be used for preventing corrosion and wide range of pharmaceutical applications such as stability, chelating of untoward metal ions and cleaning of equipments in pharmaceutical industry.

The versatile pharmacophore moiety cathechol is also being used in allopathic medicine for both veterinary and human use.

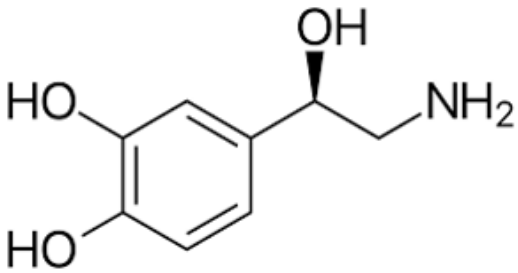
2.2. Levodopa[9]



Levodopa is prodrug of dopamine and crossess blood brain barrier (BBB). It is metabolized to dopamine on either side of the BBB. On crossing BBB and reaching th brain it nis metabolized to dopamine. Dopamine regulates several movements and other functions and thus used in Parkinsonian's disease. Levodopa acts by increasing dopamine levels in the brain, helps to alleviate these symptoms and improve the quality of life for individuals with Parkinson's disease. It is a fundamental component of many Parkinson's disease treatment regimens.

3. Marketed Preparation

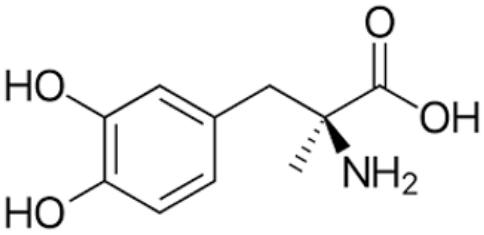
3.1. Norepinephrine[10]



Norepinephrine is a sympathomimetic medication that is used to control blood pressure in various hypotensive (low blood pressure) states. It is employed as an adjunct treatment during cardiac arrest and is primarily used to treat patients in vasodilatory shock conditions, such as septic shock and neurogenic shock. In septic shock, for example, the body's response to infection can lead to a severe drop in blood pressure, and norepinephrine is administered to help raise and stabilize blood pressure. Similarly, in neurogenic shock, often caused by spinal cord injuries, blood pressure can drop significantly, and norepinephrine can be used to counteract this effect. This is especially important for patients with critical hypotension, as it can help maintain organ perfusion and overall circulatory stability.

4. Marketed preparation

4.1. Methyldopa[11]



It acts as alpha-2 adrenergic agonist. Used in treatment and management of hypertension and has several applications. It can be combined with several antihypertensive agents such as hydrochlorthiazide and several other medicines to lower the blood pressure by affecting CNS. In hypertensive crises it is used as injection.

5. Marketed Preparation

5.1. Isoetharine[12]

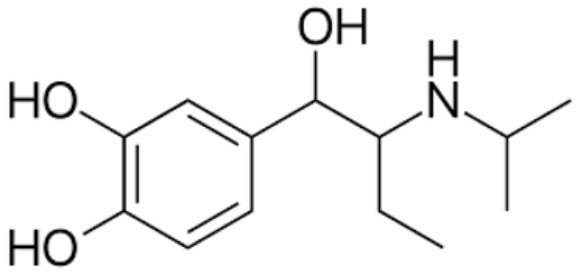
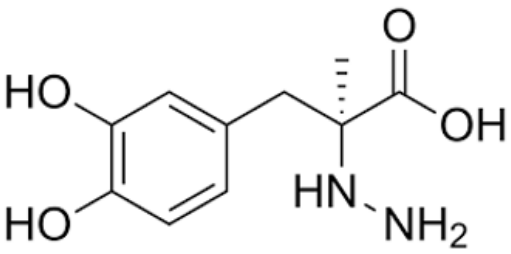


Figure 1:

Isoetharine is a medication classified as a relatively selective beta-2 adrenergic agonist. It is chemically similar to catecholamines. Isoetharine is primarily used as a fast-acting bronchodilator in the treatment of respiratory conditions, including emphysema, bronchitis, and asthma. Its main application is in the management of conditions characterized by airway constriction and narrowing, such as asthma and chronic asthmatic bronchitis. By stimulating beta-2 adrenergic receptors in the airways, isoetharine helps relax the smooth muscles surrounding the bronchial tubes, which leads to bronchodilation.

6. Marketed Preparation

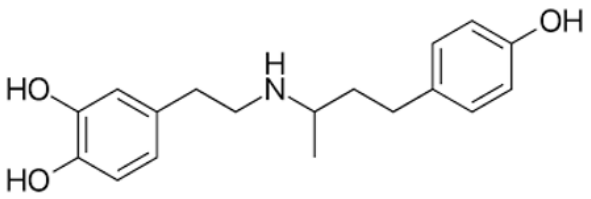
6.1. Carbidopa[13]



Carbidopa is a medication that serves as a dopa decarboxylase inhibitor. It is used in combination with levodopa for the symptomatic treatment of various conditions associated with parkinsonian symptoms, with the primary indication being idiopathic Parkinson's disease. It is also employed in the management of postencephalitic parkinsonism and symptomatic parkinsonism resulting from carbon monoxide or manganese intoxication. The combination of carbidopa with levodopa is utilized to address specific challenges associated with levodopa therapy. It is primarily employed to reduce levodopa-induced nausea and vomiting, which can be significant side effects in some patients. In cases where the combination therapy of carbidopa and levodopa provides less than the adequate daily dosage for symptom control or where the dosages of carbidopa and levodopa require individual titration to achieve optimal therapeutic effects, carbidopa can be a valuable component of the treatment regimen.

7. Marketed Preparations

7.1. Dobutamine[14]



Dobutamine is a medication classified as a beta-1 adrenergic agonist. It is primarily used to treat cardiac decompensation in patients with organic heart disease or those who have undergone cardiac surgery. Dobutamine is indicated when parenteral (administered through injection or infusion) therapy is necessary to provide inotropic support in the short-term treatment of patients experiencing cardiac decompensation. Cardiac decompensation refers to a situation where the heart's ability to pump blood effectively is compromised. Dobutamine's primary action is to stimulate beta-1 adrenergic receptors in the heart, leading to increased heart contractility and improved cardiac output. This makes it a valuable choice for patients who require short-term inotropic support to address issues with their heart's pumping ability, whether caused by heart disease or cardiac surgery.

8. Marketed Preparations

9. Conclusion

Catechols' with their intricate chemistry involving a benzene ring and two hydroxyl groups, offer a diverse

range of applications. Their antioxidative properties are valuable in pharmaceuticals and cosmetics, and their role in biological systems as melanin precursors underscores their biological significance. As sustainable synthesis methods and environmentally friendly approaches continue to evolve, catechol is positioned to be pivotal in addressing contemporary challenges across multiple disciplines, making them a subject of ongoing research and technological innovation.

10. Source of Funding

None.

11. Conflict of Interest

None.

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