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Short Communication

Biological Potential of Fluoro-Benzene Analogs

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Keywords

- Fluorobenzene
- Pharmacologically active
- Medicinal chemistry
- Biochemistry

Abstract

The progress of organic Fluorine (F) chemistry since 1950 has been explained as a guided to create useful biodynamic agents in Organic Medicinal and Biochemistry. Fluorobenzene having divers pharmacologically active areas like antibacterial, antifungal, anti-inflammatory, psychoactive agents, pesticides, herbicides etc The new generation flouroquinolone antibiotics such as Norfloxacin, Ciprofloxacin, Flufloxacin which were incorporated with Fluoro-benzene (C6H5F) moiety proved their efficacy as potent bio-active molecules.

FLUOROBENZENE

It is the chemical compound with the formula C6H5F or PhF. It is a derivative of benzene with a single fluorine atom attached.

Properties

Its melting point is -4^{0}C, with is lower than that of benzene, indicative of the remarkable effect of fluorine on the intermolecular interaction as seen throughout the organo fluorine chemistry.

Preparation

It is first reported in 1886 by O. Wallace. Prepared by the thermal decomposition of the benzenediazonium tetrafluoroborate.

$PhN_2BF_4 \rightarrow PhF+BF_3+N_2$

Now a days various compounds with Fluorobenzene moiety features in diverse pharmacologically active areas like antibacterial, antifungal, anti-inflammatory, psychoactive agents, pesticides, herbicides etc. Based on the above observations we have studied some biological lt active Fluorobenzothiazolo derivatives in this article.

Fluoro benzene derivatives of pharmacological interest

The increasing significance of fluorine (F) incorporated bio-active molecules may be listed below.

Fluorine (F) being the second smallest substituent next to hydrogen (H) closely mimics Hydrogen in Enzyme-receptor interactions.

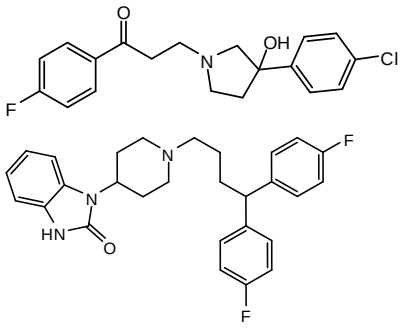
1. The substitution of F atom by H atom increases lipid solubility which in turn increases the transport and absorption of drug in-vivo.

2. The strong electron withdrawing, inductive effect (-I effect) of F influences stability and reactivity of functional groups which may in turn influence the reactivity of neighboring reaction centers.

3. The replacement of 'H' by 'F' at or near reactive sites causes inhibition of metabolism due to high C-F bond energy.

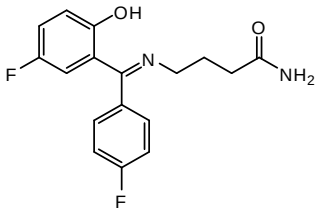
Some of the pharmacologically like active Psychoactive properties, anticonvulsant, antibacterial, antifungal, antitubercular, Dyslipidemia, antidepressant, cardiovascular action, central muscle relaxant, anticancer, Non Steroidal anti-inflammatory (NSAIDs) activities of Fluorobenzene derivatives are listed below.

Psychoactive agents: Fluorobenzoyl buterophenone derivatives have shown potential psychoactive properties.



Haloperidol Pimozide

Anti-convulsants: Fluorophenyl moiety containing drugs like Progabide have anti convulsant property.

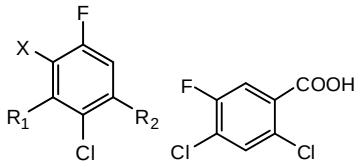


Progabide

Anti-bacterial and anti-fungal agents: Compounds with Fluorobenzene moiety are used as anti-bacterial and anti fungal drugs. Some of them are used as intermediates for anti-bacterial.

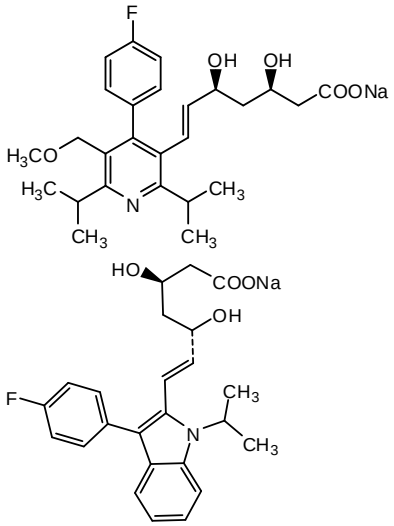
A) Fluorobenzoic acid derivatives were used as intermediates for anti-bacterial agent synthesis.

B) 5-Fluoro benzoic acid derivatives were used as intermediates for anti-bacterial agents.



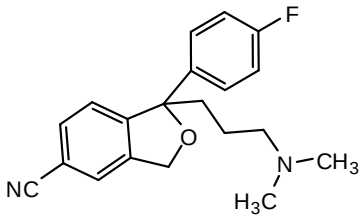
A: R_1=Cl, R_2=-COOH, X=Cl or F **B**; 2,4-dichloro-5-Fluoro benzoic acid.

Dyslipidemia-Statins: The statins are the most effective and best-tolerated agents for treating dyslipidemia.



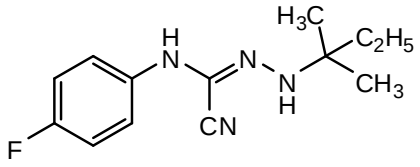
Cerivastatin Fluvastatin

Antidepressants-Selective Serotonin-Reuptake Inhibitors (SSRI): Citalopram acts as a Selective Serotonin-Reuptake Inhibitor



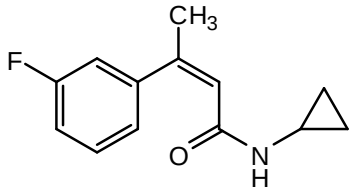
(+) Citalopram

Cardiovascular agents: Phenyl cyanoguanidine derivatives shown to possess hypotensive property.



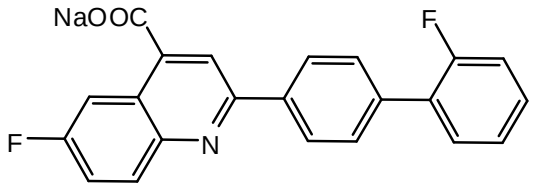
Phenyl cyanoguanidine derivative

Central Muscle relaxants: Fluorocinnamides shown central muscle relaxant activity.



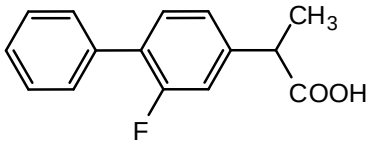
2-(3-Fluorophenyl)-N-Cyanoprop-2-yl-butyramide

Anti-cancer agents: A novel 4-quinoline carboxylic derivative Dup-785 developed by Du-part company as an anticancer agent.



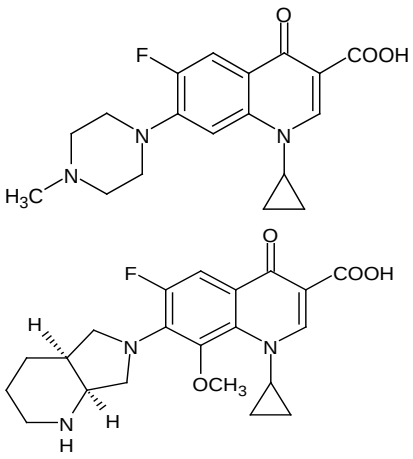
Dup-785

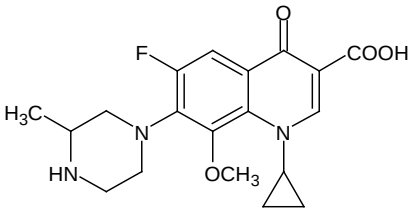
3.3.9. Non Steroidal anti-inflammatory drugs (NSAID): Aralkanoic acid derivatives with Fluorobenzene moiety have shown very good analgesic and anti-inflammatory activity.



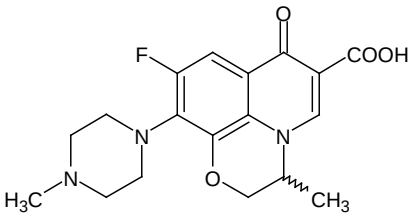
Flurbiprofen

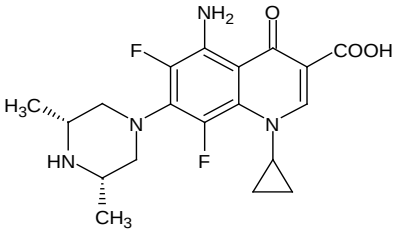
Antitubercular drugs: Various flouroquinolones are used as second line antitubercular drugs.

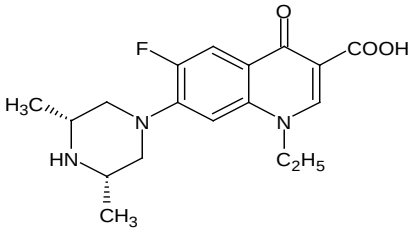




Ciprofloxacin Moxifloxacin R/S: Gatifloxacin







***R/S*: Ofloxacin Sparfloxacin Enofloxacin**

***S*: Levofloxacin**

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