Ishihara Sangyo Kaisha, Ltd.

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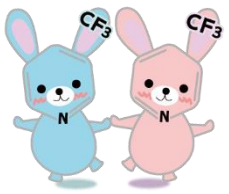
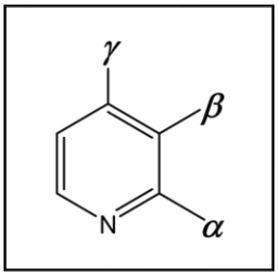
Ishihara Sangyo's CF_3-Pyridine Compound-Features

Fluorine atom[1,2], which is one of the elements that characterize CF_3-pyridine compounds, has the highest electronegativity even though it is the second smallest atom after hydrogen among all atoms. The CF_3 group having three fluorine atoms has a large polarity and blocking property while being a mimetic of a methyl group, and also has a hydrophobicity based on high lipophilicity, so that it shows a remarkable introduction effect among fluorine-containing functional groups. Unlike the benzene ring, the pyridine ring, which is another element, exhibits hydrophilicity and strong basicity, and is a structure used in various fields. By inducing physiological activity by these properties, it is expected to exert tremendous effects such as improving the performance of various materials as drugs and pesticides.[3-7)]

For example, anti-infectious disease drugs (Tipranavir[8)], Doravirine[9)]) and anti-cancer drugs (Apalutamide[10)], Enasidenib[11)], Pexidartinib[12)]) have recently been developed and put on the market as drugs with a CF_3-pyridine structure. In addition, it has been increasingly used recently for basic research and drug discovery in related peripheral areas.[13-16)] In this way, CF_3-pyridine compounds are attracting attention as unique modified compounds in drug discovery such as pharmaceuticals in the 21st century, and can be widely used for drug development and other raw materials.

We have increased the range of CF_3-pyridine compounds that can be mass-produced for scale-up to 61 compounds and are introducing them as Ishihara Sangyo's "CF_3-pyridine" series.

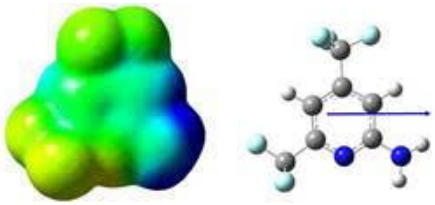




These CF_3-pyridine compounds are referred to as "α-CF_3-pyridine", "β-CF_3-pyridine", and "γ-CF_3-pyridine" depending on the bond position (α-position, β-position, γ-position) of the CF_3 group on the pyridine ring. It is generically called, and compounds in which two CF_3 groups are bonded are classified into all four types as "Bis-CF_3-pyridine".

Among them, Bis-CF_3-pyridine has a high rarity value, and mass

production is possible by using our gas phase reaction. Bonding two CF_3 groups to the pyridine ring is expected to improve the effect more than expected (example of Bis-CF_3-pyridine; right figure), so there are reagents that many researcher should try.



Molecular surface electric field of 2,4,6-ABiTF

Going forward, we will continue to expand our lineup of more distinctive organic intermediates and support the development of a wide range of pharmaceuticals and various materials, including pharmaceutical companies.

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