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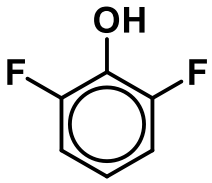
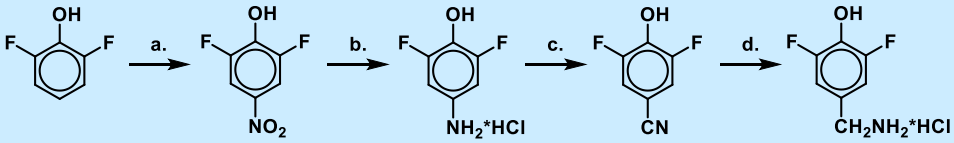
2,6-Difluorophenol

2,6-ジフルオロフェノール (abb. 2,6-DFP)



Purity	97%
CAS Number	28177-48-2
Molecular Formula	C6H4F2O
Molecular Weight	130.09
Application	GABA is known to suppress excitement and irritation by suppressing noradrenaline secretion and increasing parasympathetic nervous system dominance. As a bioisosteric analogues of $GABA_A$ receptor, which accounts for a large proportion of GABA receptors, or GABA aminotransferase antagonist, it is investigated the synthetic protocol of 4-aminomethyl-2, 6-difluorophenol (abb.;ADFP) from 2,6-DFP which has fluorine that is advantageous for lipid solubility.



a. HNO_3 + CH_3COOH at 15-20°C, b-1. H_2 + Pd/C, b-2.HCl, c-1. $NaNO_2$+HCl at 0°C, c-2. CuCN+KCN at 50°C; Yd 40%, d-1. BH_3+THF reflux, d-2. 6N-HCl reflux; Yd 71%

Next, it is investigated the function of ADFP as an antagonist using GABA receptors in oocytes. As a result, ADFP alone did not show significant binding to GABA receptors, but the combination of GABA/ADFP suppressed the binding of GABA to the above receptors by about 30% (an bioisostere of GABA for the first time).

Table-1 Binding current value during administration of GABA and ADFP to GABA receptors in oocytes

	10μM-GABA	100μM-ADFP	100μM-ADFP + 10μM-GABA
Current value of oocyte(nA)	12nA	0	8nA

Electrode potential ; -60mV

Bioorganic & medicinal Chem. Lett. 9, 1999, p3093-3098
J. Med. Chem. 1999, 42, p329-332

Properties:

Appearance	Solid
Boiling point, °C	59-61
Melting point,°C	38-41
Capacity:	-