

Product Name : SKF-83566

Synonyms : —

Cat No. : M22547

CAS Number : 99295-33-7

Molecular Formula : C₁₇H₁₈BrNO

Formula Weight : 332.23

Chemical Name : —

Description : SKF-83566 is a blood-brain permeable and orally active antagonist of D₁-like dopamine receptor and a weaker competitive 5-HT₂ receptor antagonist with K_i of 11 nM. SKF-83566 caused a concentration-dependent increase in peak single-pulse evoked extracellular DA concentration, with a maximum increase of 65% in 5 μM SKF-83566. This was accompanied by a concentration-dependent increase in extracellular DA concentration clearance time. Both effects were occluded by nomifensine (1 μM), a dopamine transporter (DAT) inhibitor, suggesting that SKF-83566 acted via the DAT. Tested this by examining [(3)H]DA uptake into LLc-PK cells expressing rat DAT, and confirmed that SKF-83566 is a competitive DAT inhibitor with an IC₅₀ of 5.7 μM. Binding studies with [(3)H]CFT, a cocaine analog, showed even more potent action of SKF-83566 at the DAT cocaine binding site (IC₅₀ = 0.51 μM). The facilitation induced by nicotine and cocaine can be blocked by oral administration of the dopamine D₁/D₅ receptor antagonist (SKF 83566).

Pathway : GPCR/G Protein

Target : Dopamine Receptor

Receptor : D₁; D₅; 5-HT₂

Solubility : —

SMILES : CN1CCc2cc(Br)c(O)cc2C(C1)c1ccccc1

Storage : (-20°C)

Stability : ≥ 2 years

Reference :

1. Melissa A Stouffer, et al. SKF-83566, a D₁-dopamine Receptor Antagonist, Inhibits the Dopamine Transporter. J Neurochem. 2011 Sep; 118(5):714-20.