Product Data Sheet

DU125530

Cat. No.: HY-19283 CAS No.: 161611-99-0

Molecular Formula: $C_{23}H_{26}CIN_3O_5S$

Molecular Weight: 491.99

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

BIOLOGICAL ACTIVITY

Description DU125530 is a potent and selective5-HT1A receptor antagonist with K_i values of 0.7, 890, 1200, 240, 750, 1100 nM for 5-HT1A,

5-HT1B, 5-HT1D, 5-HT2A, 5-HT2C, 5-HT3, respectively. DU125530 shows antidepressant effects^{[1][2]}.

IC₅₀ & Target 5-HT_{1A} Receptor 5-HT_{1B} Receptor 5-HT_{1C} Receptor 5-HT_{2A} Receptor 0.7 nM (Ki) 890 nM (Ki) 1200 nM (Ki) 240 nM (Ki)

> 5-HT_{2C} Receptor 5-HT₃ Receptor 750 nM (Ki) 1100 nM (Ki)

In Vivo DU125530 (3 mg/kg; s.c.) reduces the reduction of 5-HT release induced by 8-OH-DPAT (HY-112061) in wild-type mice^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	wild-type mice ^[2]
Dosage:	3 mg/kg
Administration:	S.c.
Result:	Prevented the reduction of 5-HT release induced by 0.5 mg·kg-1 s.c. 8-OH-DPAT in WT mice, alone had no effect on the extracellular 5-HT concentration in mPFC of wild-type mice (WT) nor in 5-HT1A receptor knock-out mice (KO).

REFERENCES

[1]. Mos J, et al. The putative 5-HT1A receptor antagonist DU125530 blocks the discriminative stimulus of the 5-HT1A receptor agonist flesinoxan in pigeons. Eur J Pharmacol. 1997 May 1;325(2-3):145-53.

[2]. Scorza MC, et al. Preclinical and clinical characterization of the selective 5-HT(1A) receptor antagonist DU-125530 for antidepressant treatment. Br J Pharmacol. 2012 Nov;167(5):1021-34.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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