Product Data Sheet

EMD386088

 Cat. No.:
 HY-103130

 CAS No.:
 1171123-46-8

 Molecular Formula:
 $C_{14}H_{16}Cl_2N_2$

Molecular Weight: 283.2

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 EMD386088 is a potent serotonin 6 receptor (5-HT6R) agonist. EMD386088 induces cell death. EMD386088 regulates the activity of ERK1/2. EMD386088 has the potential for the research of alzheimer's disease (AD) and schizophrenia^{[1][2][3]}.

IC₅₀ & Target 5-HT₆ Receptor

In Vitro EMD386088 (1, 10, 60 μM; 0-18 h) induces cell death in a dose- and time- dependent manner^[1].

 $EMD386088~(1,10,60~\mu\text{M})~regulates~the~activity~of~ERK1/2~in~a~5-HT6R-dependent~and~5-HT6R-independent~manner~\cite{13}.$

 $\label{eq:mce} \mbox{MCE has not independently confirmed the accuracy of these methods. They are for reference only.}$

Cell Viability Assay^[1]

Cell Line:	HEK/HA-5-HT6R, native HEK293 cells
Concentration:	1, 10, 60 μΜ
Incubation Time:	0-18 h
Result:	Induced cell death in HEK293 cells stably expressing HA-5-HT6R (HEK/HA-5-HT6R) and in native HEK293 cells in a dose- and time- dependent manner.

Western Blot Analysis^[1]

Cell Line:	HEK/HA-5-HT6R, native HEK293 cells
Concentration:	1, 10, 60 μΜ
Incubation Time:	0-18 h
Result:	Led to the activation of ERK1/2 at 0-30 μM , retarded ERK1/2 activation at a high dose of 60 μM in HEK/HA-5-HT6R cells.

In Vivo

EMD386088 (1, 5, 10 mg/kg) impairs both short-term memory (STM) and long-term memory (LTM) at 5 mg/kg, but produces no significant effects at 10 mg/kg in male Wistar rats^[2].

 $EMD386088~(0,2,4~mg/kg)~significantly~impairs~spontaneous~alternation~performance in~at~2~mg/kg,~but~the~high~dose~of~4~mg/kg~dose~not~reach~significance~in~C57BL/6J~mice^{[3]}.$

 $\label{eq:mce} \mbox{MCE has not independently confirmed the accuracy of these methods. They are for reference only.}$

REFERENCES

- [1]. Yun HM, et al. 5-HT6 receptor ligands, EMD386088 and SB258585, differentially regulate 5-HT6 receptor-independent events. Toxicol In Vitro. 2011 Dec;25(8):2035-40.
- [2]. Meneses A, et al. The effects of the 5-HT(6) receptor agonist EMD and the 5-HT(7) receptor agonist AS19 on memory formation. Behav Brain Res. 2008 Dec 16;195(1):112-9.
- [3]. Amodeo DA, et al. 5-HT6 receptor agonist EMD386088 impairs behavioral flexibility and working memory. Behav Brain Res. 2018 Sep 3;349:8-15.

Caution: Product has not been fully validated for medical applications. For research use only.

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