

## Catalog # 10-4086 Serodolin

CAS# 1814929-81-1

1-(5-(4-(4-Fluorophenyl)piperazin-1-yl)pentyl)-1H-benzo[d]imidazole-2(3H)-one Lot # FBA8092

Serodolin is a potent dual antagonist of the serotonin receptors  $5\text{-HT}_7$  (Ki = 6.2 nM; IC $_{50}$  = 5.7 nM cAMP response) and  $5\text{-HT}_{2A}$  (Ki = 19 nM; IC $_{50}$  = 110 nM IP1 response) with significant selectivity over  $5\text{-HT}_{1A}$  and  $5\text{-HT}_{6.}$  Serodolin has recently been found to act as a 6-arrestin-biased inverse agonist on 6 signaling while inducing ERK activation requiring c-SRS activation, a unique pharmacological profile among 6-HT $_7$  ligands. It decreased hyperalgesia and pain sensation in response to inflammatory, thermal, and mechanical stimulation in a mouse model demonstrating the therapeutic potential of a 6-arrestin-biased ligand for pain relief. Blood-brain barrier permeable.

- 1) Deau et al. (2015), Rational Design, Pharmacomodulation, and Synthesis of Dual 5-Hydroxytryptamine 7 (5-HT<sub>7</sub>)/5-Hydroxytryptamine 2A (5-HT<sub>2A</sub>) Receptor Antagonists and Evaluation by [<sup>18</sup>F]-PET Imaging in a Primate Brain; J. Med. Chem. **58** 8066
- 2) El Kamlichi *et al.* (2022), Serodolin, a ß-arrestin-biased ligand of 5-HT<sub>7</sub> receptor, attenuates pain-related behaviors; Proc. Natl. Acad. Sci. USA **119** e2118847119

## **PHYSICAL DATA**

Molecular Weight: 382.47

Molecular Formula: C<sub>22</sub>H<sub>27</sub>FN<sub>4</sub>O

Purity: >98% by HPLC

NMR: (Conforms)

Solubility: DMSO (at least 50 mg/ml)

Physical Description: Off-white solid

Storage and Stability: Store as supplied at -20°C for up to 2 years from the date of purchase. Solutions in

DMSO may be stored at -20°C for up to 3 months.

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