PRODUCT INFORMATION



Lumateperone (tosylate)

Item No. 34541

CAS Registry No.: 1187020-80-9

Formal Name: 1-(4-fluorophenyl)-4-((6bR,10aS)-3-methyl-

> 2,3,6b,9,10,10a-hexahydro-1H-pyrido[3',4':4,5] pyrrolo[1,2,3-de]quinoxalin-8(7H)-yl)butan-1-

one 4-methylbenzenesulfonic acid

Synonym:

 $C_{24}H_{28}FN_3O \bullet C_7H_8O_3S$ MF:

565.7 FW: **Purity:** UV/Vis.: λ_{max} : 229 nm A solid Supplied as: -20°C Storage: Stability: ≥2 years

· CH,C,H,SO,H

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Lumateperone (tosylate) is supplied as a solid. A stock solution may be made by dissolving the lumateperone (tosylate) in the solvent of choice, which should be purged with an inert gas. Lumateperone (tosylate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of lumateperone (tosylate) in these solvents is approximately 1, 10, and 25 mg/ml, respectively.

Lumateperone (tosylate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, lumateperone (tosylate) should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Lumateperone (tosylate) has a solubility of approximately 0.12 mg/ml in a 1:7 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Lumateperone is an atypical antipsychotic.¹ It selectively binds to the serotonin (5-HT) receptor subtype $5-HT_{2A}$ over $5-HT_{1A}$ and $5-HT_{2C}$ receptors (K_is = 0.54, 1,480, and 173 nM, respectively). Lumateperone also binds to dopamine D_1 , D_2 , and D_4 receptors (K_i s = 52, 32, and 108 nM, respectively), α_{1A} - and α_{1B} - adrenergic receptors (K_i s = 73 and 31 nM, respectively), and the 5-HT transporter (SERT; K_i = 61 nM). It reduces head-twitch behavior induced by the 5-HT $_{2A}$ agonist quipazine in rats (ED $_{50}$ = 0.12 mg/kg). Formulations containing lumateperone have been used in the treatment of schizophrenia.

Reference

1. Li, P., Zhang, Q., Robichaud, A.J., et al. Discovery of a tetracyclic quinoxaline derivative as a potent and orally active multifunctional drug candidate for the treatment of neuropsychiatric and neurological disorders. J. Med. Chem. 57(6), 2670-2682 (2014).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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