

## Product Data Sheet

Product Name: LDN-211904

Cat. No.: GC16798

### Chemical Properties

Cas. No. 1198408-78-4

Chemical Name N-(2-chlorophenyl)-6-(4-piperidiny)-imidazo[1,2-a]pyridine-3-carboxamide, monoethane dioate

SMILES O=C(NC1=C(Cl)C=CC=C1)C2=CN=C(C=C3)N2C=C3C4CCNCC4.OC(C(O)=O)=O

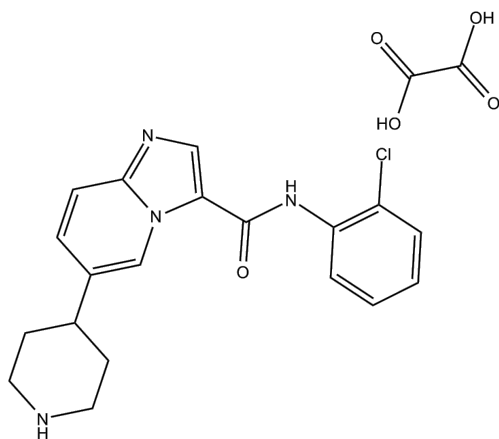
Formula  $C_{19}H_{19}ClN_4O \cdot C_2H_2O_4$  M.Wt 444.9

Solubility  $\leq 20\text{mg/ml}$  in DMSO;  $0.5\text{mg/ml}$  in dimethyl formamide Storage Store at  $-20^\circ\text{C}$

General tips For obtaining a higher solubility, please warm the tube at  $37^\circ\text{C}$  and shake it in the ultrasonic bath for a while. Stock solution can be stored below  $-20^\circ\text{C}$  for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



### Background

IC50: 79 nM for EphB3

LDN-211904 is an inhibitor of erythropoietin-producing hepatocellular carcinoma (Eph) receptors.

Erythropoietin-producing hepatocellular carcinoma (Eph) receptors, a group of highly conserved

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

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transmembrane proteins composed of multiple domains, participate in various cell signaling pathways. So far, 16 Eph receptors have been identified in vertebrates, which can be divided into two major classes (EphA and EphB) based on sequence similarity. Mammals including humans have 14 Eph receptors (EphA1–EphA8, EphA10, EphB1–EphB4 and EphB6).

In vitro: Previous study found that LDN-211904, a 4-piperidinyl analog, retained significant EphB3 inhibitory activity, and also had greater aqueous solubility due to the presence of a basic amine. LDN-211904 was profiled for functional inhibitory activity against a panel of 288 kinases and the results showed that LDN-211904 was quite selective for tyrosine kinases. The only noted exceptions were the three serine/threonine kinases p38a, p38b, and Qik. In addition, only LDN-211904 showed moderate selectivity among the tyrosine kinases and little selectivity versus other EphA and EphB subtypes, except for EphA6 and EphA7. Moreover, LDN-211904 demonstrated the best stability with a  $t_{1/2}$  of 348 min and a CLint of 4  $\mu\text{L}/\text{min}/\text{mg}$  protein [1].

In vivo: Up to now, there is no animal in vivo data reported.

Clinical trial: So far, no clinical study has been conducted.

### Reference:

[1] Qiao, L. ,Choi, S.,Case, A., et al. Structure-activity relationship study of EphB3 receptor tyrosine kinase inhibitors. Bioor. Med. Chem. Lett. 19(21), 6122-6126 (2009).

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