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## Product Data Sheet

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Product Name: PXS-5120A

Cat. No.: GC63158

### Chemical Properties

Cas. No. 2125955-70-4

Formula  $C_{22}H_{25}ClFN_3O_4S$  M.Wt 481.97

Solubility Storage

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°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

PXS-5120A is a potent, irreversible fluoroallylamine inhibitor of Lysyl Oxidase-like 2/3 (LOXL2/3) with anti-fibrotic activity. PXS-5120A is >300-fold selective for LOXL2 (K<sub>i</sub> of 83 nM; pIC<sub>50</sub> of 8.4) over LOXL (pIC<sub>50</sub> of 5.8)[1].

PXS-5120A (Compound 12k) is a potent inhibitor of the LOXL2/3 enzyme and a moderate blocker of LOXL4. PXS-5120A inhibits recombinant human LOXL2, human fibroblast LOXL2, recombinant mouse LOXL2, recombinant rat LOXL2, collagen oxidation assay, recombinant human LOXL3 and recombinant human LOXL4 with IC<sub>50</sub>s of 5 nM, 9 nM, 6 nM, 6 nM, 13 nM, 16 nM and 280 nM, respectively.

PXS-5129A is readily absorbed following oral gavage, and once in the circulation, rapidly hydrolyzed to release PXS-5120 (free base) in vivo, affording plasma concentrations well above the LOXL2 IC<sub>50</sub> (6 nM) for a prolonged period (>6 h) in mice, while remaining well below the IC<sub>50</sub> for LOX throughout[1].

[1]. Identification and Optimization of Findlay AD, et al. Mechanism-Based Fluoroallylamine Inhibitors of Lysyl Oxidase-like 2/3. J Med Chem. 2019 Nov 14;62(21):9874-9889.

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

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