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## Product Data Sheet

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Product Name: BU 226 hydrochloride

Cat. No.: GC15202

### Chemical Properties

Cas. No. 1186195-56-1

Chemical Name 3-(4,5-dihydro-1H-imidazol-2-yl)isoquinoline hydrochloride

SMILES C12=CC=CC=C1C=NC(C3=NCCN3)=C2.Cl

Formula  $C_{12}H_{11}N_3.HCl$  M.Wt 233.7

Solubility Soluble to 100 mM in Water Storage Store at RT

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

BU 226 hydrochloride is a selective ligand of imidazoline2 receptor [1].

Imidazoline receptor is the primary receptor for clonidine and other imidazolines. Imidazoline2 receptor (I2 receptor) is an allosteric binding site of monoamine oxidase and plays an important role in neuroprotection and pain modulation.

BU 226 hydrochloride is a selective ligand of I2 receptor. BU226 exhibited high affinity for I2 receptor with  $K_i$  value of 1.4 nM and displayed 380-fold selectivity against I1 receptor. Also, BU226 showed low affinity for  $\alpha_2$ -adrenoceptor. In rat brain or kidney membranes, BU226 showed affinity for I1, I2 receptors and  $\alpha_2$ -adrenoceptor with  $IC_{50}$  value of 534.5 nM and  $K_i$  values of 2.7 and 6700 nM, respectively [1]. In pig brain, BU226 displaced of 2BFI with  $K_i$  value of 44.7 nM, which suggested the presence of imidazoline I2 binding site [2].

In male Hooded Lister rats, BU226(1.6-7.0 mg/kg) potently substituted for 2-BFI with

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

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ED50 value of 3.2 mg/kg in a dose-dependent way [3].

### References:

- [1]. Hudson AL, Gough R, Tyacke R, et al. Novel selective compounds for the investigation of imidazoline receptors. *Ann N Y Acad Sci*, 1999, 881: 81-91.
- [2]. Anderson NJ, Lupo PA, Nutt DJ, et al. Characterisation of imidazoline I2 binding sites in pig brain. *Eur J Pharmacol*, 2005, 519(1-2): 68-74.
- [3]. MacInnes N, Handley SL. Characterization of the discriminable stimulus produced by 2-BFI: effects of imidazoline I(2)-site ligands, MAOIs, beta-carbolines, agmatine and ibogaine. *Br J Pharmacol*, 2002, 135(5): 1227-1234.

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