

Hello Bio, Inc.  
304 Wall St., Princeton, NJ 08540 USA

T. 609-683-7500  
F. 609-228-4994

customercare-usa@helloworldbio.com



## DATASHEET

JHU37160 dihydrochloride (DREADD ligand) (water soluble)

### Product overview

<b>Name</b>	JHU37160 dihydrochloride (DREADD ligand) (water soluble)
<b>Cat No</b>	HB6261
<b>Alternative names</b>	J60 dihydrochloride
<b>Purity</b>	>98%
<b>Description</b>	Novel DREADD agonist with high affinity and potency for hM3Dq and hM4Di. Active in vivo. Water soluble.

### Images



### Biological Data

#### Biological description

#### Overview

JHU37160 is reported to be a novel DREADD agonist with high in vivo DREADD potency for CNS applications.

It has high affinity in vitro for hM3Dq and hM4Di ( $K_i$  values are 1.9 nM (hM3Dq) and 3.6 nM (hM4Di)).

It selectively displaces [ $^3$ H]clozapine from DREADDs and not from other clozapine-binding sites at concentrations up to 10 nM when tested for in situ [ $^3$ H]clozapine displacement in brain tissue from WT and D<sub>1</sub>-DREADD mice.

JHU37160 activates hM3Dq and hM4Di with high potency and efficacy in fluorescent and BRET-based assays in HEK-293 cells ( $EC_{50}$  values are 18.5 and 0.2 nM at hM3Dq and hM4Di respectively).

#### Occupancy

JHU37160 exhibits high in vivo DREADD occupancy and was not reported to be a P-gp substrate.

#### In vivo application

JHU37160 is reported to be a potent in vivo DREADD agonist, which selectively inhibits locomotor activity in D<sub>1</sub>-hM3Dq and D<sub>1</sub>-hM4Di mice without any significant locomotor effects observed in wild type (WT) mice (at doses ranging 0.01 - 1 mg/kg).

It also produces robust and selective increases in hM3Dq-stimulated locomotion in rats expressing

hM3Dq in tyrosine hydroxylase expressing neurons (at doses ranging 0.01 – 0.3 mg/kg).

In vivo electrophysiology experiments in mice, JHU37160 produces rapid and potent hM4Di-driven inhibition of light-evoked neuronal activation (at a dose of 0.1 mg/kg).

While its selectivity is not ideal (i.e. comparable to clozapine), its high in vivo potency allows for dose adjustments with minimal off-target effects. The compound exhibits promising characteristics for DREADD use in monkeys.

[Freebase](#) also available.

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#### **Stability Studies**

For more info on the stability of water-soluble DREADD ligands in solution, please see the following guides:

- [Stability of Water-Soluble DREADD ligands in Solution: A Technical Review](#)

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## Solubility & Handling

### Storage instructions Solubility overview Handling

-20 °C

Soluble in water (100mM). Always store solutions at -20 °C.

#### Storage of solid

- Store at -20 °C.
- Please note that the compound is a hygroscopic solid and contact with air may cause material to become sticky. Product performance should not be affected but we recommend storing the material in a sealed jar.

#### Storage of solutions

- Make up solutions and use immediately.
- If storage of solutions is required, you should aliquot out the solution into tightly sealed vials and store at -20 °C and store these for up to one month.
- Allow the product to equilibrate to RT for at least one hour before opening and using.

#### Storage of solutions at room temperature

- We have found that this compound precipitates in aqueous solution at RT (~25 °C)
- We therefore recommend that you make up solutions and use immediately.
- Always check that your product is completely dissolved before use.

### Important

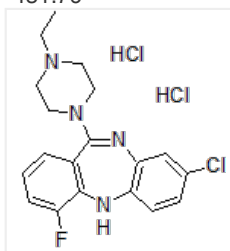
This product is for RESEARCH USE ONLY and is not intended for therapeutic or diagnostic use. Not for human or veterinary use

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## Chemical Data

### Chemical name Molecular Weight Chemical structure

8-chloro-11-(4-ethylpiperazin-1-yl)-4-fluoro-5H-dibenzo[b,e][1,4]diazepine dihydrochloride  
431.76



### Molecular Formula CAS Number PubChem identifier SMILES Source InChi

C<sub>19</sub>H<sub>20</sub>ClFN<sub>4</sub> · 2HCl  
2369979-68-8 (free base)

0  
Cl.Cl.CCN1CCN(CC1)C3=Nc4cc(Cl)ccc4Nc2c3cccc2F

### InChiKey

Synthetic

InChi=1S/C19H20ClFN4.2ClH/c1-2-24-8-10-25(11-9-24)19-14-4-3-5-15(21)18(14)22-16-7-6-13(20)1-2-17(16)23-19;/h3-7,12,22H,2,8-11H2,1H3;2\*1H

DHICOGMHOC AKOF-UHFFFAOYSA-N

**Appearance**  
**Licensing details**

Yellow solid  
Sold under license from the NIH, US patent pending 62/627,527

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## References

### **Chemogenetic ligands for translational neurotheranostics**

Bonaventura et al (2018) bioRxiv doi: <https://doi.org/10.1101/487>

### **High-potency ligands for DREADD imaging and activation in rodents and monkeys.**

Bonaventura et al (2019) Nat Commun. 10(1)

**PubMedID** [31604917](#)

### **0067 Humanized Chemogenetic Approach to Treat Sleep Apnea**

Curado et al (2019) Sleep (42)

### **OP-01-02 Graft-host synaptic connectivity can be chemogenetically inhibited with clinically relevant activators to eliminate graft-induced dyskinesias (GID) without losing anti-parkinsonian benefits of dopaminergic grafts**

Subramanian et al (2019) World Congress On Parkinson's Disease And Related Disorders 2019 Poster Abstract

### **DREADDs: The Power of the Lock, the Weakness of the Key. Favoring the Pursuit of Specific Conditions Rather than Specific Ligands.**

Goutaudier et al (2019) eNeuro 6

**PubMedID** [31562177](#)

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