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## DATASHEET

JHU37152 dihydrochloride (DREADD ligand) (water soluble)

### Product overview

<b>Name</b>	JHU37152 dihydrochloride (DREADD ligand) (water soluble)
<b>Cat No</b>	HB6253
<b>Alternative names</b>	J52 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

JHU37152 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 1.8 nM (hM3Dq) and 8.7 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  $D_1$ -DREADD mice.

JHU37152 activates hM3Dq and hM4Di with high potency and efficacy in fluorescent and BRET-based assays in HEK-293 cells ( $EC_{50}$  values are 5 and 0.5 nM at hM3Dq and hM4Di respectively).

#### Occupancy

JHU37152 exhibits high in vivo DREADD occupancy and was not reported to be a P-gp substrate.

#### In vivo application

JHU37152 is reported to be a potent in vivo DREADD agonist, which selectively inhibits locomotor activity in  $D_1$ -hM3Dq and  $D_1$ -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).

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 recommend only keeping solutions at room temperature (25°C) for a few days as our studies have shown that after 96 hours the purity of the compound in solution drops to ~95% and will continue to drop over time.

### Important

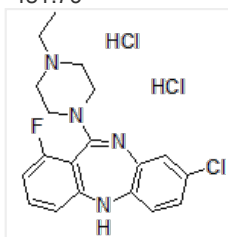
This product is for RESEARCH USE ONLY and is not intended for therapeutic or diagnostic use. Not for human or veterinary use

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## Chemical Data

### Chemical name Molecular Weight Chemical structure

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



### Molecular Formula CAS Number PubChem identifier SMILES Source InChi InChiKey Appearance Licensing details

C<sub>19</sub>H<sub>20</sub>ClFN<sub>4</sub> · 2HCl

2369979-67-7 (free base)

0

Cl.Cl.CCN1CCN(CC1)C2=Nc4cc(Cl)ccc4Nc3cccc(F)c23

Synthetic

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

FCMLDQBZPOLPW-UHFFFAOYSA-N

Yellow solid

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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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