

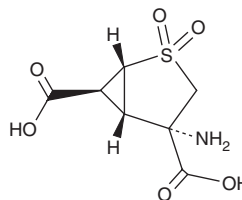
# PRODUCT INFORMATION



**LY404039**

Item No. 18408

**CAS Registry No.:** 635318-11-5  
**Formal Name:** (1R,4S,5S,6S)-4-amino-2-thiabicyclo[3.1.0]hexane-4,6-dicarboxylic acid 2,2-dioxide  
**MF:** C<sub>7</sub>H<sub>9</sub>NO<sub>6</sub>S  
**FW:** 235.2  
**Purity:** ≥95%  
**Supplied as:** A crystalline solid  
**Storage:** -20°C  
**Stability:** ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

## Laboratory Procedures

LY404039 is supplied as a crystalline solid. Aqueous solutions of LY404039 can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of LY404039 in PBS, pH 7.2, is approximately 0.5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

## Description

LY404039 is a potent agonist of metabotropic glutamate (mGlu) group II receptors mGluR2 and mGluR3 ( $K_{iS}$  = 149 and 62 nM, respectively, for recombinant human isoforms).<sup>1</sup> It displays 100-fold selectivity for mGluR2/3 over ionotropic glutamate receptors, glutamate transporters, and several other receptors targeted by known anxiolytic and antipsychotic medications.<sup>1</sup> LY404039 inhibits the binding of domperidone (Item No. 18875) by 15.5% to dopamine D<sub>2</sub> receptors ( $K_d$  = ~10 nM *in vitro*), indicating interaction with this receptor.<sup>2</sup> LY404039 is orally bioavailable and demonstrates antipsychotic and anxiolytic efficacy in several animal models.<sup>3</sup>

## References

1. Rorick-Kehn, L.M., Johnson, B.G., Burkey, J.L, *et al.* Pharmacological and pharmacokinetic properties of a structurally novel, potent, and selective metabotropic glutamate 2/3 receptor agonist: in vitro characterization of agonist (-)-(1R,4S,5S,6S)-4-amino-2-sulfonylbicyclo[3.1.0]-hexane-4,6-dicarboxylic acid (LY404039). *J. Pharmacol. Exp. Ther.* **321**(1), 308-317 (2007).
2. Seeman, P. and Guan, H.C. Glutamate agonist LY404,039 for treating schizophrenia has affinity for the dopamine D<sub>2</sub>(High) receptor. *Synapse* **63**(10), 935-939 (2009).
3. Rorick-Kehn, L.M., Johnson, B.G., Knitowski, K.M., *et al.* In vivo pharmacological characterization of the structurally novel, potent, selective mGlu2/3 receptor agonist LY404039 in animal models of psychiatric disorders. *Psychopharmacology (Berl)* **193**(1), 121-136 (2007).

### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

### WARRANTY AND LIMITATION OF REMEDY

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