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**Product Data Sheet**

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Product Name: ACT 335827

Cat. No.: GC16336

**Chemical Properties**

Cas. No. 1354039-86-3

Chemical Name (R,Z)-2-((S)-1-(3,4-dimethoxybenzyl)-6,7-dimethoxy-3,4-dihydroisoquinolin-2(1H)-yl)-N-isopropyl-2-phenylacetimidic acid

CC(/N=C(O))/[C@@]

SMILES (N1CCC2=CC(OC)=C(OC)C=C2[C@]1([H])CC3=CC(OC)=C(OC)C=C3([H])C4=CC=CC=C4)C

Formula C<sub>31</sub>H<sub>38</sub>N<sub>2</sub>O<sub>5</sub> M.Wt 518.64

Solubility &lt;51.86mg/ml in DMSO; &lt;51.86mg/ml in ethanol Storage Store at -20°C

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**

ACT 335827 is a potent and selective antagonist of OXR-1 with IC<sub>50</sub> values of 120 and 2300 nM for OXR-1 and OXR-2, respectively [1].

Orexin receptor 1 (OXR-1) is a G-protein coupled receptor for neuropeptide orexin-A and regulates feeding behaviour. OXR-1 is expressed in the hypothalamus.

ACT 335827 is a potent and selective OXR-1 antagonist with K<sub>b</sub> values of 41 and 560 nM for OXR-1 and OXR-2, respectively [1].

In the fear-potentiated startle rats, ACT-335827 (300 mg/kg) inhibited fear-induced startle reactions. Also, ACT-335827 (300 mg/kg) significantly reduced stress-induced tachycardia and hyperthermia. In the rat polydipsia model, ACT-335827 (300 mg/kg) inhibited compulsive drinking behavior [1]. In rats exposed to novelty stress, ACT-

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Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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335827 (100 mg/kg) reduced the tachycardic and pressor by 48% and 32%, respectively [2]. In a diet-induced obesity(DIO) rat model, ACT-335827 increased the level of high-density lipoprotein and water intake. Also, it slightly increased body weight, which suggested that inhibition of OXR-1 had minimal impact in this model [3].

### References:

- [1]. Steiner MA, Gatfield J, Brisbare-Roch C, et al. Discovery and characterization of ACT-335827, an orally available, brain penetrant orexin receptor type 1 selective antagonist. *ChemMedChem*, 2013, 8(6): 898-903.
- [2]. Beig MI, Dampney BW, Carrive P. Both Ox1r and Ox2r orexin receptors contribute to the cardiovascular and locomotor components of the novelty stress response in the rat. *Neuropharmacology*, 2015, 89: 146-156.
- [3]. Steiner MA, Sciarretta C, Pasquali A, et al. The selective orexin receptor 1 antagonist ACT-335827 in a rat model of diet-induced obesity associated with metabolic syndrome. *Front Pharmacol*, 2013, 4: 165.

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