

## Product Data Sheet

Product Name: Tozadenant

Cat. No.: GC15973

### Chemical Properties

Cas. No. 870070-55-6

Chemical Name 4-hydroxy-N-(4-methoxy-7-morpholin-4-yl)-1,3-benzothiazol-2-yl)-4-methylpiperidine-1-carboxamide

SMILES CC1(CCN(CC1)C(=O)NC2=NC3=C(C=CC(=C3S2)N4CCOCC4)OC)O

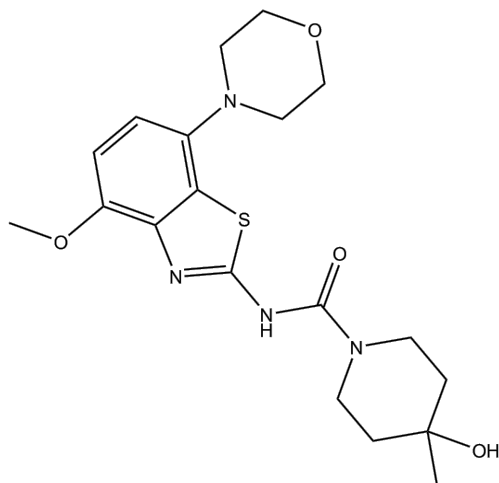
Formula  $C_{19}H_{26}N_4O_4S$  M.Wt 406.5

Solubility  $\geq 20.35$  mg/mL in DMSO Storage Store at  $-20^{\circ}\text{C}$

General tips For obtaining a higher solubility, please warm the tube at  $37^{\circ}\text{C}$  and shake it in the ultrasonic bath for a while. Stock solution can be stored below  $-20^{\circ}\text{C}$  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



### Protocol

#### Human experiment [1]:

Patients Patients with Parkinson's disease.

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

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Dosage form            60, 120, 180, or 240 mg twice daily for 12 weeks.

Applications            Tozadenant increases mean daily total on-time and improved total UPDRS scores for parts I-III in the combined tozadenant 120 mg twice-daily and 180 mg twice-daily group and in the 120 mg twice-daily group. Also, tozadenant significantly improved CGI-S and CGI-I scores.

Other notes            Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

### References:

[1]. Hauser RA, Olanow CW, Kieburtz KD, et al. Tozadenant (SYN115) in patients with Parkinson's disease who have motor fluctuations on levodopa: a phase 2b, double-blind, randomised trial. Lancet Neurol, 2014, 13(8): 767-776.

### Background

Tozadenant (SYN115) is an orally active, selective adenosine A2A receptor antagonist.

In animal models of Parkinson's disease, tozadenant improves motor neuron function.

Tozadenant (60 mg twice daily) was not associated with a significant reduction in on-time, and tozadenant (240 mg twice daily) was associated with an increased rate of discontinuation because of adverse events (17 of 84 patients [20%]). Tozadenant at dose of 120 or 180 mg twice daily was effective at reducing on-time and was generally well tolerated. Further investigation of tozadenant treatment in phase 3 trials is guaranteed. Perfusion MRI showed that tozadenant induced highly significant suppressed in regional cerebral blood flow, with the most important decreases occurring in bilateral thalami.

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