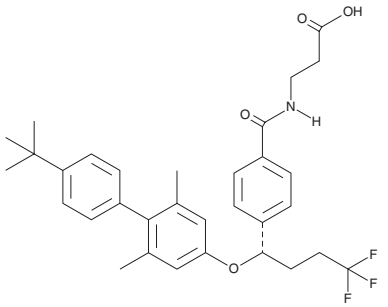
PRODUCT INFORMATION

Adomeglivant

Item No. 29668

CAS Registry No.:	1488363-78-5
Formal Name:	N-[4-[(1S)-1-[[4′-(1,1-dimethylethyl)-2,6-dimethyl[1,1′-biphenyl]-4-yl]oxy]-4,4,4-trifluorobutyl]benzoyl]-β-alanine
Synonym:	LY2409021
MF:	$C_{32}H_{36}F_3NO_4$
FW:	555.6
Purity:	≥98%
Supplied as:	A 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

Adomeglivant is supplied as a solid. A stock solution may be made by dissolving the adomeglivant in the solvent of choice, which should be purged with an inert gas. Adomeglivant is soluble in the organic solvent DMSO.

Description

Adomeglivant is an allosteric antagonist of the glucagon receptor.[1,2] It inhibits glucagon-induced increases in cAMP levels in HEK293 cells expressing the rat glucagon or GLP-1 receptors (IC_{50}s = 1.8 and 1.2 µM, respectively) but has no effect on cAMP levels when used alone.[2] Adomeglivant also inhibits increases in cAMP levels induced by GLP-1 or exendin-4 (48-86) amide (Item No. 11096) in HEK293 cells expressing the GLP-1 receptor (IC_{50}s = 7 and 12 µM, respectively). It decreases glucose-stimulated insulin secretion when used at a concentration of 1 µM in combination with the GLP-1 receptor antagonist exendin (9-39) in isolated human islets but not glucose-stimulated glucagon secretion when used alone or in combination with exendin (9-39) in isolated mouse islets.[3]

References

1. Li, Y., Ye, Z., Bellman, T.M., *et al.* Efficient synthesis of β-CF_3/SCF_3-substituted carbonyls via copper-catalyzed electrophilic ring-opening cross-coupling of cyclopropanols. *Org. Lett.* **17(9),** 2186-2189 (2015).
2. Chepurny, O.G., Matsoukas, M.-T., Liapakis, G., *et al.* Non-conventional glucagon and GLP-1 receptor agonist and antagonist interplay at the GLP-1 receptor revealed in high-throughput FRET assays for cAMP. *J. Biol. Chem.* **294(10)**, 3514-3531 (2019).
3. Zhu, L., Dattaroy, D., Pham, J., *et al.* Intraislet glucagon signaling is critical for maintaining glucose homeostasis. *JCI Insight* **4(10),** e127994 (2019).

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