IJARSCT
ISSN (Online) 2581-9429
International Journal of Advanced Research in Science, Communication and Technology (IJARSCT)
International Open-Access, Double-Blind, Peer-Reviewed, Refereed, Multidisciplinary Online Journal
Impact Factor: 7.53
Volume 4, Issue 6, March 2024

Comprehensive Characterization and Comparative Assessment of Carvedilol Solid Dispersions: Insights into Enhanced Bioavailability and Stability Profiles

Ms. Pooja R. Gawandar and Dr. Kailash Biyani
Anuradha College of Pharmacy, Chikhli, India
poojagawandarsaj@gmail.com

Abstract: *Carvedilol, a non-selective beta-adrenergic antagonist, poses a challenge in achieving optimal bioavailability due to its poor aqueous solubility. This study aimed to enhance the solubility and dissolution rate of carvedilol through the formulation and evaluation of solid dispersions. Various solid dispersion formulations were prepared using different carriers and methods, including solvent evaporation, fusion, and spray-drying techniques. The prepared formulations were systematically characterized using Fourier-transform infrared spectroscopy (FTIR), differential scanning calorimetry (DSC), powder X-ray diffraction (PXRD), and scanning electron microscopy (SEM) to investigate drug-carrier interactions, solid-state changes, and morphological characteristics. Evaluation of the formulated solid dispersions involved in vitro dissolution studies, solubility enhancement assays, and stability assessments. Dissolution profiles revealed significantly improved drug release rates for the solid dispersion formulations compared to the pure drug. The solubility of carvedilol was notably enhanced in the solid dispersion systems, indicating improved drug dissolution behavior. Stability studies demonstrated the robustness of selected formulations against environmental factors over an extended period. Moreover, pharmacokinetic studies conducted in animal models showcased enhanced bioavailability of carvedilol from the optimized solid dispersion formulation compared to the conventional drug formulation. This comprehensive investigation provides valuable insights into the development of carvedilol solid dispersions, elucidating the influence of formulation variables on drug solubility, dissolution, stability, and ultimately, bioavailability enhancement. The findings underscore the potential of solid dispersion technology as a promising strategy to overcome the solubility challenges associated with carvedilol, paving the way for improved therapeutic efficacy and patient compliance.*

Keywords: Carvedilol, Solid Dispersion, Solubility Enhancement, Dissolution Rate, Bioavailability, Formulation, Characterization, Pharmacokinetics.

REFERENCES

[1]. Fang, R., Liu, Y., Ma, L., Yu, X., & Jin, Y. (2021). Facile preparation of solid dispersions by dissolving drugs in N-vinyl-2-pyrrolidone and photopolymerization. *Materials science & engineering. C, Materials for biological applications*, *124*, 112063. https://doi.org/10.1016/j.msec.2021.112063

[2]. Jelić D. (2021). Thermal Stability of Amorphous Solid Dispersions. *Molecules (Basel, Switzerland)*, *26*(1), 238. https://doi.org/10.3390/molecules26010238

[3]. Meng, F., Gala, U., & Chauhan, H. (2015). Classification of solid dispersions: correlation to (i) stability and solubility (ii) preparation and characterization techniques. *Drug development and industrial pharmacy*, *41*(9), 1401–1415. https://doi.org/10.3109/03639045.2015.1018274

[4]. Xu, H., Zhang, T., Yang, H., Xiao, X., Bian, Y., Si, D., & Liu, C. (2011). Preparation of evodiamine solid dispersions and its pharmacokinetics. *Indian journal of pharmaceutical sciences*, *73*(3), 276–281. https://doi.org/10.4103/0250-474X.93511

IJARSCT
ISSN (Online) 2581-9429
International Journal of Advanced Research in Science, Communication and Technology (IJARSCT)
International Open-Access, Double-Blind, Peer-Reviewed, Refereed, Multidisciplinary Online Journal
Impact Factor: 7.53
Volume 4, Issue 6, March 2024

[5]. Jha, D. K., Shah, D. S., & Amin, P. D. (2020). Thermodynamic aspects of the preparation of amorphous solid dispersions of Naringenin with enhanced dissolution rate. *International journal of pharmaceutics*, *583*, 119363. https://doi.org/10.1016/j.ijpharm.2020.119363

[6]. Zhai, X., Li, C., Lenon, G. B., Xue, C. C. L., & Li, W. (2017). Preparation and characterisation of solid dispersions of tanshinone IIA, cryptotanshinone and total tanshinones. *Asian journal of pharmaceutical sciences*, *12*(1), 85–97. https://doi.org/10.1016/j.ajps.2016.08.004

[7]. Zhang, J., Han, R., Chen, W., Zhang, W., Li, Y., Ji, Y., Chen, L., Pan, H., Yang, X., Pan, W., & Ouyang, D. (2018). Analysis of the Literature and Patents on Solid Dispersions from 1980 to 2015. *Molecules (Basel, Switzerland)*, *23*(7), 1697. https://doi.org/10.3390/molecules23071697

[8]. Wang, L., Wu, W., Wang, L., Wang, L., & Zhao, X. (2019). Highly Water-Soluble Solid Dispersions of Honokiol: Preparation, Solubility, and Bioavailability Studies and Anti-Tumor Activity Evaluation. *Pharmaceutics*, *11*(11), 573. https://doi.org/10.3390/pharmaceutics11110573

[9]. Altaani, B., Obaidat, R., & Malkawi, W. (2020). Enhancement of dissolution of atorvastatin through preparation of polymeric solid dispersions using supercritical fluid technology. *Research in pharmaceutical sciences*, *15*(2), 123–136. https://doi.org/10.4103/1735-5362.283812

[10]. Zhang, J., Guo, M., Luo, M., & Cai, T. (2023). Advances in the development of amorphous solid dispersions: The role of polymeric carriers. *Asian journal of pharmaceutical sciences*, *18*(4), 100834. https://doi.org/10.1016/j.ajps.2023.100834

[11]. Ma, X., Müller, F., Huang, S., Lowinger, M., Liu, X., Schooler, R., & Williams Iii, R. O. (2020). Influence of Carbamazepine Dihydrate on the Preparation of Amorphous Solid Dispersions by Hot Melt Extrusion. *Pharmaceutics*, *12*(4), 379. https://doi.org/10.3390/pharmaceutics12040379

[12]. Hu, L., Song, W., Niu, F., Jiao, K., & Jia, Z. (2013). Preparation, characterization and tableting of cilnidipine solid dispersions. *Pakistan journal of pharmaceutical sciences*, *26*(3), 629–636.

[13]. Chen, Y., Shi, Q., Chen, Z., Zheng, J., Xu, H., Li, J., & Liu, H. (2011). Preparation and characterization of emulsified solid dispersions containing docetaxel. *Archives of pharmacal research*, *34*(11), 1909–1917. https://doi.org/10.1007/s12272-011-1111-2

[14]. Shi, Q., Chen, H., Wang, Y., Wang, R., Xu, J., & Zhang, C. (2022). Amorphous Solid Dispersions: Role of the Polymer and Its Importance in Physical Stability and In Vitro Performance. *Pharmaceutics*, *14*(8), 1747. https://doi.org/10.3390/pharmaceutics14081747

[15]. Monschke, M., Kayser, K., & Wagner, K. G. (2020). Processing of Polyvinyl Acetate Phthalate in Hot-Melt Extrusion-Preparation of Amorphous Solid Dispersions. *Pharmaceutics*, *12*(4), 337. https://doi.org/10.3390/pharmaceutics12040337

[16]. Yu, J. Y., Kim, J. A., Joung, H. J., Ko, J. A., & Park, H. J. (2020). Preparation and characterization of curcumin solid dispersion using HPMC. *Journal of food science*, *85*(11), 3866–3873. https://doi.org/10.1111/1750-3841.15489

[17]. Borde, S., Paul, S. K., & Chauhan, H. (2021). Ternary solid dispersions: classification and formulation considerations. *Drug development and industrial pharmacy*, *47*(7), 1011–1028. https://doi.org/10.1080/03639045.2021.1908342

[18]. Huang, Y., Zhao, X., Zu, Y., Wang, L., Deng, Y., Wu, M., & Wang, H. (2019). Enhanced Solubility and Bioavailability of Apigenin via Preparation of Solid Dispersions of Mesoporous Silica Nanoparticles. *Iranian journal of pharmaceutical research : IJPR*, *18*(1), 168–182.

[19]. Paulino, S., Santos, L., Rabello, M., da Silva, P., Oliveira, J., Lima, M. D. C., Rocha, T., Albuquerque, M., Santos, V., Alves, L., Brayner, F., Gomes, T., Aires, A., Neto, P. R., & Silva, R. (2023). Development of solid dispersions based on 3- (2,6-difluorobenzyl) -5- (5-bromo-1H-indol-3-ylmethylene) thiazolidine-2,4-dione for schistosomicidal treatment. *Experimental parasitology*, *248*, 108455. https://doi.org/10.1016/j.exppara.2022.108455

[20]. Malkawi, R., Malkawi, W. I., Al-Mahmoud, Y., & Tawalbeh, J. (2022). Current Trends on Solid Dispersions: Past, Present, and Future. *Advances in pharmacological and pharmaceutical sciences*, *2022*, 5916013. https://doi.org/10.1155/2022/5916013

IJARSCT
ISSN (Online) 2581-9429
International Journal of Advanced Research in Science, Communication and Technology (IJARSCT)
International Open-Access, Double-Blind, Peer-Reviewed, Refereed, Multidisciplinary Online Journal
Impact Factor: 7.53
Volume 4, Issue 6, March 2024

[21]. Oshima, T., Sonoda, R., Ohkuma, M., & Sunada, H. (2007). Preparation of rapidly disintegrating tablets containing itraconazole solid dispersions. *Chemical & pharmaceutical bulletin*, *55*(11), 1557–1562. https://doi.org/10.1248/cpb.55.1557

[22]. Salawi, A., Sonju, J. J., Kamal, M. M., Abu-Fayyad, A., Al Hagbani, T., & Nazzal, S. (2021). Preparation and characterization of aqueous vitamin E/Soluplus® dispersions for film coating applications. *Drug development and industrial pharmacy*, *47*(8), 1335–1341. https://doi.org/10.1080/03639045.2021.1991367

[23]. Nair, A. R., Lakshman, Y. D., Anand, V. S. K., Sree, K. S. N., Bhat, K., & Dengale, S. J. (2020). Overview of Extensively Employed Polymeric Carriers in Solid Dispersion Technology. *AAPS PharmSciTech*, *21*(8), 309. https://doi.org/10.1208/s12249-020-01849-z

[24]. Djuris, J., Milovanovic, S., Medarevic, D., Dobricic, V., Dapčević, A., & Ibric, S. (2019). Selection of the suitable polymer for supercritical fluid assisted preparation of carvedilol solid dispersions. *International journal of pharmaceutics*, *554*, 190–200. https://doi.org/10.1016/j.ijpharm.2018.11.015

[25]. Vo, C. L., Park, C., & Lee, B. J. (2013). Current trends and future perspectives of solid dispersions containing poorly water-soluble drugs. *European journal of pharmaceutics and biopharmaceutics : official journal of Arbeitsgemeinschaft fur Pharmazeutische Verfahrenstechnik e.V*, *85*(3 Pt B), 799–813. https://doi.org/10.1016/j.ejpb.2013.09.007

[26]. Lee, S. K., Ha, E. S., Park, H., Kang, K. T., Jeong, J. S., Kim, J. S., Baek, I. H., & Kim, M. S. (2023). Preparation of Hot-Melt-Extruded Solid Dispersion Based on Pre-Formulation Strategies and Its Enhanced Therapeutic Efficacy. *Pharmaceutics*, *15*(12), 2704. https://doi.org/10.3390/pharmaceutics15122704

[27]. Lalge, R., Kumar, N. S. K., & Suryanarayanan, R. (2023). Understanding the Effect of Nucleation in Amorphous Solid Dispersions through Time-Temperature Transformation. *Molecular pharmaceutics*, *20*(8), 4196–4209. https://doi.org/10.1021/acs.molpharmaceut.3c00313

[28]. Lalge, R., Kumar, N. S. K., & Suryanarayanan, R. (2023). Understanding the Effect of Nucleation in Amorphous Solid Dispersions through Time-Temperature Transformation. *Molecular pharmaceutics*, *20*(8), 4196–4209. https://doi.org/10.1021/acs.molpharmaceut.3c00313

[29]. Madan, J. R., Pawar, A. R., Patil, R. B., Awasthi, R., & Dua, K. (2018). Preparation, characterization and in vitro evaluation of tablets containing microwave-assisted solid dispersions of apremilast. *Polimery w medycynie*, *48*(1), 17–24. https://doi.org/10.17219/pim/99801

[30]. Eedara, B. B., Nyavanandi, D., Narala, S., Veerareddy, P. R., & Bandari, S. (2021). Improved Dissolution Rate and Intestinal Absorption of Fexofenadine Hydrochloride by the Preparation of Solid Dispersions: In Vitro and In Situ Evaluation. *Pharmaceutics*, *13*(3), 310. https://doi.org/10.3390/pharmaceutics13030310

[31]. Holm, T. P., Knopp, M. M., Berthelsen, R., & Löbmann, K. (2022). Supersaturated amorphous solid dispersions of celecoxib prepared in situ by microwave irradiation. *International journal of pharmaceutics*, *626*, 122115. https://doi.org/10.1016/j.ijpharm.2022.122115

[32]. Khodaverdi, E., Khalili, N., Zangiabadi, F., & Homayouni, A. (2012). Preparation, Characterization and Stability Studies of Glassy Solid Dispersions of Indomethacin using PVP and Isomalt as carriers. *Iranian journal of basic medical sciences*, *15*(3), 820–832.